

Connecting via Winsock to STN

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LOGINID:SSPTACDR1614

PASSWORD:

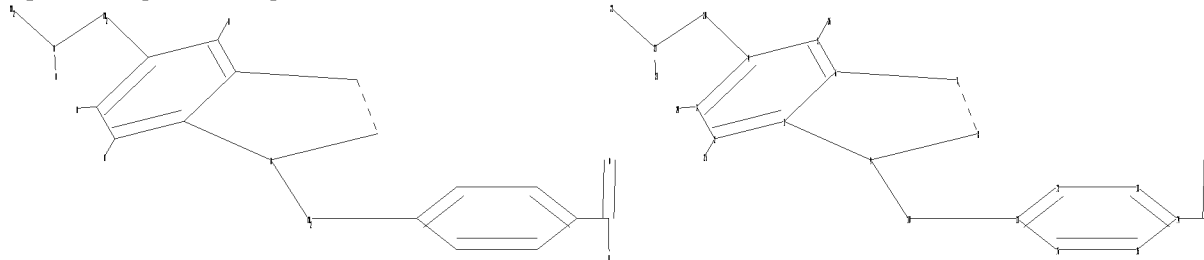
TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

|      |    |        |   |
|------|----|--------|---|
| NEWS | 1  |        | Web Page for STN Seminar Schedule - N. America  |
| NEWS | 2  | OCT 04 | Precision of EMBASE searching enhanced with new chemical name field   |
| NEWS | 3  | OCT 06 | Increase your retrieval consistency with new formats or for Taiwanese application numbers in CA/CAPLUS.   |
| NEWS | 4  | OCT 21 | CA/CAPLUS kind code changes for Chinese patents increase consistency, save time   |
| NEWS | 5  | OCT 22 | New version of STN Viewer preserves custom highlighting of terms when patent documents are saved in .rtf format   |
| NEWS | 6  | OCT 28 | INPADOCDB/INPAFAMDB: Enhancements to the US national patent classification.   |
| NEWS | 7  | NOV 03 | New format for Korean patent application numbers in CA/CAPLUS increases consistency, saves time.  |
| NEWS | 8  | NOV 04 | Selected STN databases scheduled for removal on December 31, 2010   |
| NEWS | 9  | NOV 18 | PROUSDDR and SYNTHLINE Scheduled for Removal December 31, 2010 by Request of Prous Science  |
| NEWS | 10 | NOV 22 | Higher System Limits Increase the Power of STN Substance-Based Searching  |
| NEWS | 11 | NOV 24 | Search an additional 46,850 records with MEDLINE backfile extension to 1946   |
| NEWS | 12 | DEC 14 | New PNK Field Allows More Precise Crossover among STN Patent Databases  |
| NEWS | 13 | DEC 18 | ReaxysFile available on STN   |
| NEWS | 14 | DEC 21 | CAS Learning Solutions -- a new online training experience  |
| NEWS | 15 | DEC 22 | Value-Added Indexing Improves Access to World Traditional Medicine Patents in CAPLUS  |
| NEWS | 16 | JAN 24 | The new and enhanced DPCI file on STN has been released   |
| NEWS | 17 | JAN 26 | Improved Timeliness of CAS Indexing Adds Value to USPATFULL and USPAT2 Chemistry Patents  |
| NEWS | 18 | JAN 26 | Updated MeSH vocabulary, new structured abstracts, and other enhancements improve searching in STN reload of MEDLINE  |
| NEWS | 19 | JAN 28 | CABA will be updated weekly   |
| NEWS | 20 | FEB 23 | PCTFULL file on STN completely reloaded   |
| NEWS | 21 | FEB 23 | STN AnaVist Test Projects Now Available for Qualified Customers   |
| NEWS | 22 | FEB 25 | LPCI will be replaced by LDPCI  |
| NEWS | 23 | MAR 07 | Pricing for SELECTing Patent, Application, and Priority Numbers in the USPAT and IFI Database Families is Now Consistent with Similar Patent Databases on STN |
| NEWS | 24 | APR 26 | Expanded Swedish Patent Application Coverage in CA/CAPLUS Provides More Current and Complete Information  |
| NEWS | 25 | APR 28 | The DWPI (files WPINDEX, WPIDS and WPIX) on STN have been enhanced with thesauri for the European Patent Classifications                                      |

$\Rightarrow$

Uploading C:\Program Files\STNEXP\Queries\10598281FOAM1.str



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exact/norm bonds :
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exact bonds :
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11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS 18:CLASS 19:CLASS
20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS 26:CLASS
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L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 215 TO ITERATE

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FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 3421 TO 5179  
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L2 0 SEA SSS SAM L1

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DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y  
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FULL SCREEN SEARCH COMPLETED - 4548 TO ITERATE

100.0% PROCESSED 4548 ITERATIONS 18 ANSWERS  
SEARCH TIME: 00.00.01

L3 18 SEA SSS FUL L1

=> file caplus

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
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FILE 'CAPLUS' ENTERED AT 09:53:51 ON 25 MAY 2011  
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FILE COVERS 1907 - 25 May 2011 VOL 154 ISS 22  
FILE LAST UPDATED: 24 May 2011 (20110524/ED)  
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2011  
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2011

Caplus now includes complete International Patent Classification (IPC) reclassification data for the fourth quarter of 2010.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L4 1 L3



=> d ibib abs

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2005:1042216 CAPLUS

DOCUMENT NUMBER: 143:347050

TITLE: Preparation of  
4-(5-(aminomethyl)indole-1-ylmethyl)benzamide  
derivatives as opioid receptor antagonists for the  
treatment of obesity

INVENTOR(S): Benesh, Dana Rae; Blanco-Pillado, Maria-Jesus

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 52 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

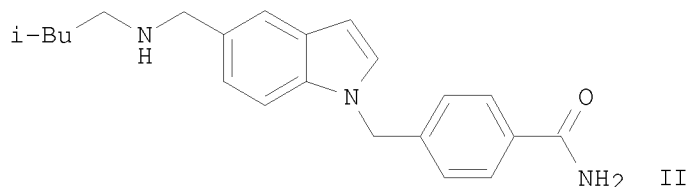
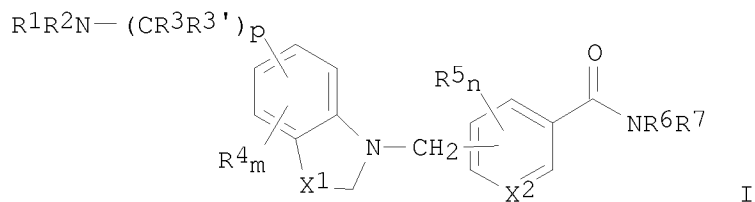
PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE       |
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| WO 2005090303   | A1   | 20050929 | WO 2005-US7702  | 20050309   |
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| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |            |
| CA 2558030  | A1   | 20050929 | CA 2005-2558030 | 20050309   |
| EP 1751103  | A1   | 20070214 | EP 2005-725070  | 20050309   |
| EP 1751103  | B1   | 20090114 |                 |            |
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| JP 2007529523   | T    | 20071025 | JP 2007-503959  | 20050309   |
| AT 420858   | T    | 20090115 | AT 2005-725070  | 20050309   |
| ES 2318472  | T3   | 20090501 | ES 2005-725070  | 20050309   |
| US 20070155793  | A1   | 20070705 | US 2006-598281  | 20060823   |
| PRIORITY APPLN. INFO.:  |      |          | US 2004-553176P | P 20040315 |
|   |      |          | WO 2005-US7702  | W 20050309 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 143:347050; MARPAT 143:347050

GI



AB Title compds. represented by the formula I [wherein X1 = CH<sub>2</sub>, CH or N; X2 = CH or N; R<sup>1</sup>, R<sup>2</sup> = independently H, alkyl(aryl), alkenyl, etc.; R<sup>3</sup>, R<sup>3'</sup> = independently H, alkyl, alkynyl, etc.; R<sup>4</sup>, R<sup>5</sup> = independently H, (halo)alkyl, aryl, etc.; m = 0-2; n = 0-2; p = 0-2; and pharmaceutically acceptable salts, solvates, prodrugs, enantiomers, racemates, diastereomers and diastereomeric mixture thereof] were prepared as opioid receptor antagonists. For example, II was provided in a multi-step synthesis starting from the reaction of 5-formylindole with 4-bromomethylbenzonitrile. I were tested for antagonistic activity of mu-, γ- and δ-opioid receptor in SPA-based GTPγS binding assay, and their pharmaceutical formulations were also presented. Thus, I and their pharmaceutical compns. are useful as opioid receptor antagonists for the treatment of obesity (no data).

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

|  |            |         |
|--|------------|---------|
| => file reg                                |            |         |
| COST IN U.S. DOLLARS                       | SINCE FILE | TOTAL   |
|  | ENTRY      | SESSION |
| FULL ESTIMATED COST                        | 3.72       | 200.81  |
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|  | ENTRY      | SESSION |
| CA SUBSCRIBER PRICE                        | -0.87      | -0.87   |

FILE 'REGISTRY' ENTERED AT 09:54:29 ON 25 MAY 2011  
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 24 MAY 2011 HIGHEST RN 1299596-13-6  
 DICTIONARY FILE UPDATES: 24 MAY 2011 HIGHEST RN 1299596-13-6

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

TSCA INFORMATION NOW CURRENT THROUGH January 14, 2011.

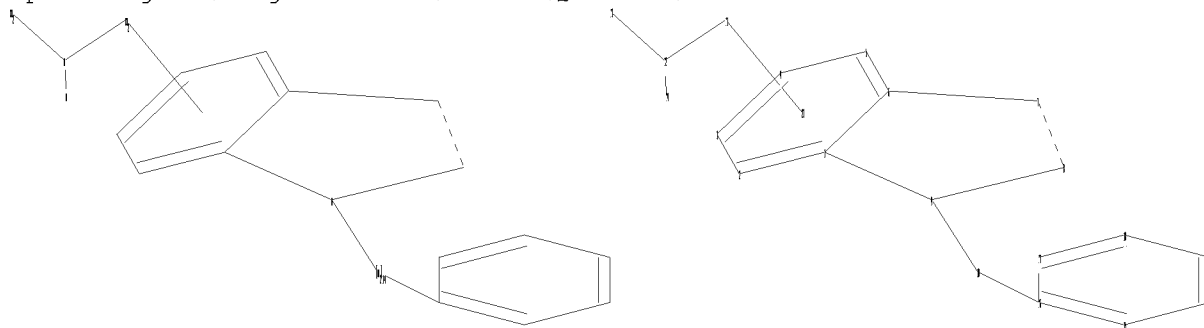
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

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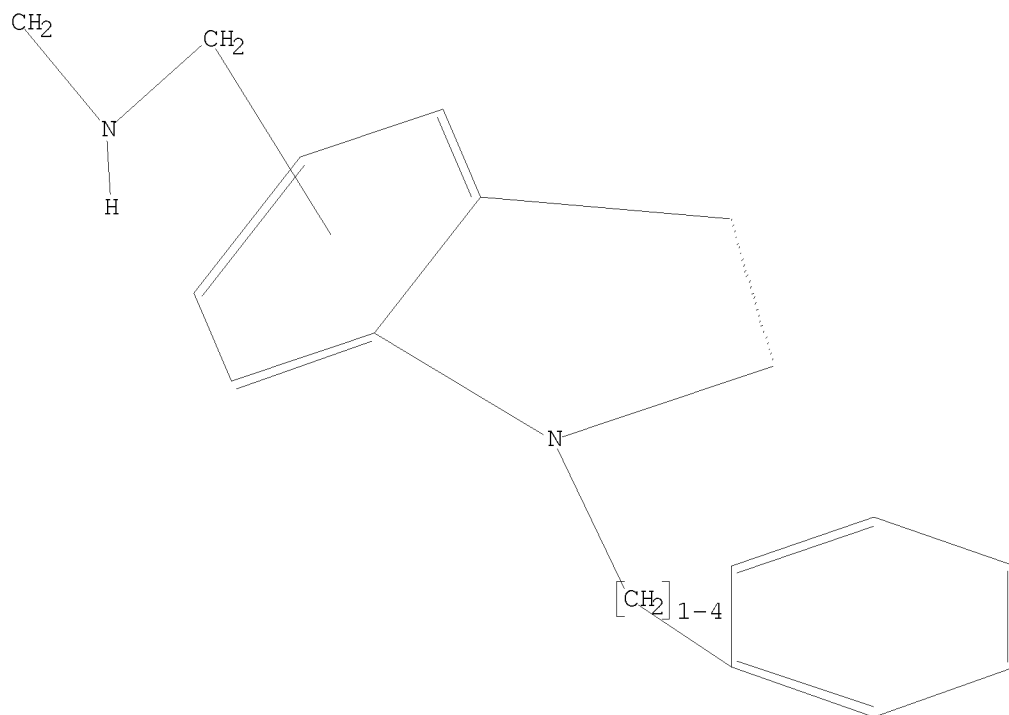


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19-20
exact/norm bonds :
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Match level :

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11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom 23:Atom
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L5 HAS NO ANSWERS
L5 STR
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Structure attributes must be viewed using STN Express query preparation.

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SEARCH TIME: 00.00.01
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                        BATCH    **COMPLETE**
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PROJECTED ANSWERS:      0 TO      0
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L6          0 SEA SSS SAM L5
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DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
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| CA SUBSCRIBER PRICE                        | 0.00             | -0.87         |

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FILE COVERS 1907 - 25 May 2011 VOL 154 ISS 22  
 FILE LAST UPDATED: 24 May 2011 (20110524/ED)  
 REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2011  
 USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2011

CAplus now includes complete International Patent Classification (IPC) reclassification data for the fourth quarter of 2010.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 17  
 L8 9 L7

=> d ibib abs hitstr 1-9

L8 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2011 ACS on STN  
 ACCESSION NUMBER: 2010:1400899 CAPLUS  
 DOCUMENT NUMBER: 153:596083  
 TITLE: S1P3 receptor inhibitors for treating conditions of the eye  
 INVENTOR(S): Donello, John E.; Dibas, Mohammed I.; Beard, Richard L.  
 PATENT ASSIGNEE(S): Allergan, Inc., USA  
 SOURCE: PCT Int. Appl., 108pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

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| WO 2010129553  | A1   | 20101111 | WO 2010-US33553 | 20100504 |
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ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP,  
 KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA,  
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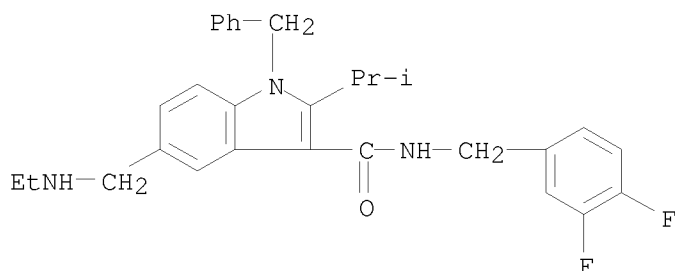
PRIORITY APPLN. INFO.: US 2009-175763P P 20090505  
 OTHER SOURCE(S): MARPAT 153:596083

AB Disclosed herein are compns. and methods for treating conditions of the  
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IT 1254474-63-9 1254474-64-0  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
 (Biological study); USES (Uses)  
 (S1P3 receptor inhibitors for treating conditions of eye)

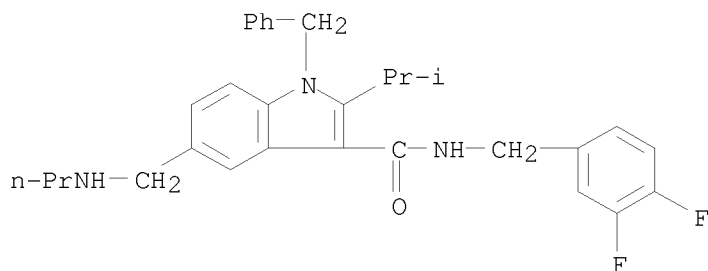
RN 1254474-63-9 CAPLUS

CN 1H-Indole-3-carboxamide, N-[(3,4-difluorophenyl)methyl]-5-  
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RN 1254474-64-0 CAPLUS

CN 1H-Indole-3-carboxamide, N-[(3,4-difluorophenyl)methyl]-2-(1-methylethyl)-  
 1-(phenylmethyl)-5-[(propylamino)methyl]- (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2009:1163615 CAPLUS

DOCUMENT NUMBER: 151:396098

TITLE: S1P3 receptor inhibitors for treating inflammation

INVENTOR(S): Donello, John E.; Dibas, Mohammed I.

PATENT ASSIGNEE(S): Allergan, Inc., USA

SOURCE: PCT Int. Appl., 91 pp.

CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE       |
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| WO 2009117335   | A2   | 20090924 | WO 2009-US37219 | 20090316   |
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| EP 2262497  | A2   | 20101222 | EP 2009-722947  | 20090316   |
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|   |      |          | WO 2009-US37219 | W 20090316 |

OTHER SOURCE(S): MARPAT 151:396098

AB Disclosed herein are comps. and methods for treating inflammation using sphingosine-1-phosphate S1P3 receptor inhibitors.

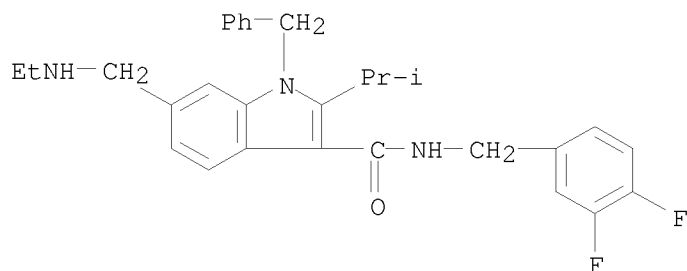
IT 1040027-53-9 1040027-54-0

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(selective sphingosine-1-phosphate S1P3 receptor inhibitors for treating inflammation)

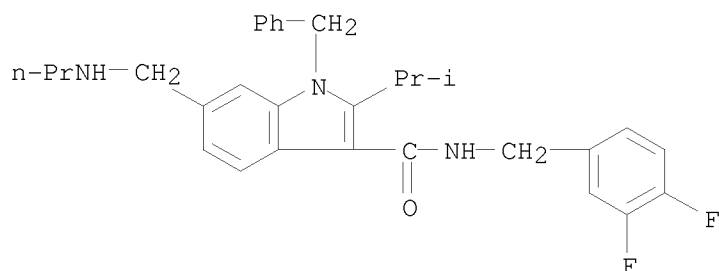
RN 1040027-53-9 CAPLUS

CN 1H-Indole-3-carboxamide, N-[(3,4-difluorophenyl)methyl]-6-[(ethylamino)methyl]-2-(1-methylethyl)-1-(phenylmethyl)- (CA INDEX NAME)



RN 1040027-54-0 CAPLUS

CN 1H-Indole-3-carboxamide, N-[(3,4-difluorophenyl)methyl]-2-(1-methylethyl)-1-(phenylmethyl)-6-[(propylamino)methyl]- (CA INDEX NAME)



L8 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2008:889426 CAPLUS

DOCUMENT NUMBER: 149:176179

TITLE: Preparation of 6-substituted indole-3-carboxylic acid amide compounds having sphingosine-1-phosphate (S1P) receptor agonist and/or antagonist biological activity

INVENTOR(S): Beard, Richard L.; Yuan, Haiging

PATENT ASSIGNEE(S): Allergan, Inc., USA

SOURCE: PCT Int. Appl., 57pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| WO 2008089015   | A1   | 20080724 | WO 2008-US50695 | 20080110 |
| W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW     |      |          |                 |          |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  |      |          |                 |          |
| AU 2008206495   | A1   | 20080724 | AU 2008-206495  | 20080110 |
| CA 2674946  | A1   | 20080724 | CA 2008-2674946 | 20080110 |
| KR 2009101307   | A    | 20090924 | KR 2009-7016762 | 20080110 |
| EP 2125723  | A1   | 20091202 | EP 2008-727502  | 20080110 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR   |      |          |                 |          |
| JP 2010515750   | T    | 20100513 | JP 2009-545669  | 20080110 |
| US 20080171772  | A1   | 20080717 | US 2008-13239   | 20080111 |
| AU 2008347006   | A1   | 20090716 | AU 2008-347006  | 20080710 |
| CA 2711815  | A1   | 20090716 | CA 2008-2711815 | 20080710 |
| WO 2009088531   | A1   | 20090716 | WO 2008-US69648 | 20080710 |
| W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW |      |          |                 |          |



RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU,  
 IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK,  
 TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,  
 TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,  
 AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

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|------------------------|--|----------|------------------|------------|
| EP 2238109             | A1   | 20101013 | EP 2008-870363   | 20080710   |
| R:                     | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU,<br>IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI,<br>SK, TR, AL, BA, MK, RS |          |                  |            |
| KR 2011005679          | A  | 20110118 | KR 2010-7017718  | 20080710   |
| MX 2009007334          | A  | 20090715 | MX 2009-7334     | 20090707   |
| IN 2009DN04500         | A  | 20100514 | IN 2009-DN4500   | 20090709   |
| CN 101668741           | A  | 20100310 | CN 2008-80007131 | 20090904   |
| MX 2010007588          | A  | 20100806 | MX 2010-7588     | 20100709   |
| IN 2010DN05120         | A  | 20110225 | IN 2010-DN5120   | 20100715   |
| PRIORITY APPLN. INFO.: |  |          | US 2007-884470P  | P 20070111 |
|                        |  |          | WO 2008-US50695  | W 20080110 |
|                        |  |          | US 2008-13239    | A 20080111 |
|                        |  |          | WO 2008-US69648  | W 20080710 |

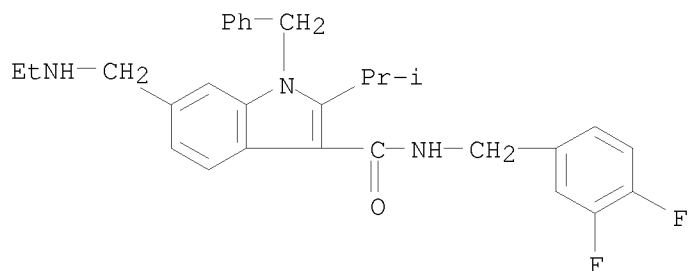
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
 OTHER SOURCE(S): CASREACT 149:176179; MARPAT 149:176179  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

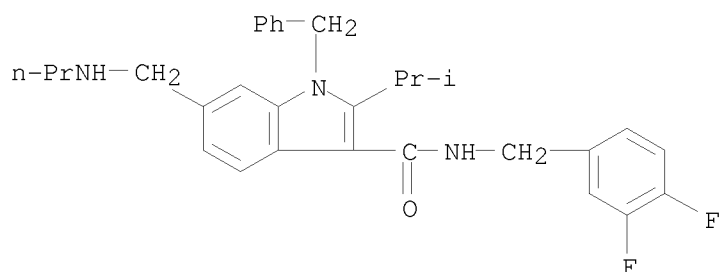
AB Title compound I [R1-4 independently = H, alkyl, alkenyl, alkynyl, etc.;  
 X and X1 independently = NR5, O or S; R5 = H, alkyl, cycloalkyl, Ph or  
 alkylphenyl; Y = carbocyclic aryl or heterocyclic aryl; Z = O or S; n =  
 0-5; m = 0-3; p = 0-3; each q independently = 0-1; A, A1 and A2  
 independently = alkyl, cycloalkyl, alkenyl, alkynyl, etc.; B = H, OR6,  
 COOR7, NR8R9, etc., wherein R6-9 independently = H, (un)substituted alkyl,  
 alkenyl, alkynyl, carbocyclic hydrocarbon or heterocyclyl], and their  
 pharmaceutically acceptable salts having sphingosine-1-phosphate receptor  
 agonist and/or antagonist biol. activity, are prepared Thus, e.g., II was  
 prepared by condensation reaction of 1-iodobutane with  
 1-benzyl-N-(3,4-difluorobenzyl)-6-hydroxy-2-isopropyl-1H-indole-3-  
 carboxamide which was prepared from Me 6-methoxy-1H-indole-2-carboxylate  
 with benzyl bromide in 7 steps. I were assessed for their ability to  
 activate or block activation of the human S1P receptor in T24 cells. From  
 the assay, I were found to have the activity to inhibit S1P receptor,  
 e.g., II demonstrated IC50 of 3 nM with 100% inhibition. I should prove  
 useful for treating a disease or condition selected from the group  
 consisting of glaucoma, dry eye, angiogenesis, cardiovascular conditions  
 and diseases, and wound healing.

IT 1040027-53-9P 1040027-54-0P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)  
 (preparation of indolecarboxamides as sphingosine-1-phosphate (S1P) receptor  
 agonists and/or antagonists)

RN 1040027-53-9 CAPLUS  
 CN 1H-Indole-3-carboxamide, N-[(3,4-difluorophenyl)methyl]-6-  
 [(ethylamino)methyl]-2-(1-methylethyl)-1-(phenylmethyl)- (CA INDEX NAME)

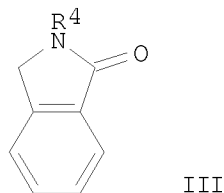
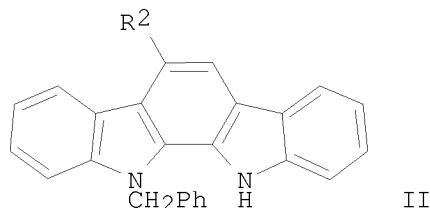
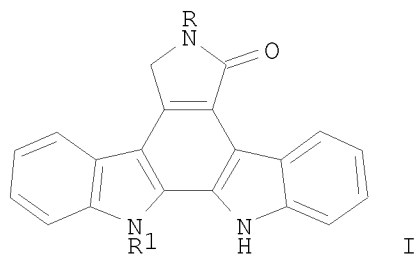


RN 1040027-54-0 CAPLUS  
 CN 1H-Indole-3-carboxamide, N-[(3,4-difluorophenyl)methyl]-2-(1-methylethyl)-1-(phenylmethyl)-6-[(propylamino)methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)  
 REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2011 ACS on STN  
 ACCESSION NUMBER: 2007:196791 CAPLUS  
 DOCUMENT NUMBER: 146:441968  
 TITLE: Synthesis of N-Protected Staurosporinones  
 AUTHOR(S): Wada, Yasuhiro; Nagasaki, Hideo; Tokuda, Masao; Orito, Kazuhiko  
 CORPORATE SOURCE: Laboratory of Organic Synthesis, Division of Molecular Chemistry, Graduate School of Engineering, Hokkaido University, Sapporo, 060-8628, Japan  
 SOURCE: Journal of Organic Chemistry (2007), 72(6), 2008-2014  
 CODEN: JOCEAH; ISSN: 0022-3263  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 146:441968  
 GI



AB I [R = H, 2,6-Me<sub>2</sub>C<sub>6</sub>H<sub>3</sub>CH<sub>2</sub>, 2,4,6-(MeO)<sub>3</sub>C<sub>6</sub>H<sub>2</sub>CH<sub>2</sub>; R<sub>1</sub> = H, PhCH<sub>2</sub>] are prepared from N-benzyl-3-indoleacetonitrile and 3-indolemethyltrimethylammonium iodide using a sequential acid- and oxidant-mediated cyclocondensation and a palladium-catalyzed oxidative cyclocarbonylation as the key steps. Lithiation of N-benzyl-3-indoleacetonitrile and coupling to 3-indolemethyltrimethylammonium iodide yields a bisindole which cyclizes in trifluoroacetic acid and undergoes dehydrogenation with DDQ to yield indolocarbazolecarbonitrile II (R<sub>2</sub> = CN); the use of either palladium-catalyzed cyclocondensation conditions or oxidation with chloranil followed by oxidative cyclocondensation with iodine and air yields II (R<sub>2</sub> = CN) in significantly lower yields. Cobalt-mediated reduction of II (R = CN) to the amine II (R = NH<sub>2</sub>CH<sub>2</sub>) and reductive amination with benzaldehydes R<sub>3</sub>CHO [R<sub>3</sub> = 2,6-Me<sub>2</sub>C<sub>6</sub>H<sub>3</sub>, 2,4,6-(MeO)<sub>3</sub>C<sub>6</sub>H<sub>2</sub>] provides II [R<sub>2</sub> = R<sub>3</sub>NHCH<sub>2</sub>; R<sub>3</sub> = 2,6-Me<sub>2</sub>C<sub>6</sub>H<sub>3</sub>, 2,4,6-(MeO)<sub>3</sub>C<sub>6</sub>H<sub>2</sub>]. Oxidative cyclocarbonylation of II [R<sub>2</sub> = 2,6-Me<sub>2</sub>C<sub>6</sub>H<sub>3</sub>CH<sub>2</sub>NHCH<sub>2</sub>, 2,4,6-(MeO)<sub>3</sub>C<sub>6</sub>H<sub>2</sub>CH<sub>2</sub>NHCH<sub>2</sub>] with copper (II) acetate in the presence of palladium acetate in refluxing toluene or DMSO at 110° gives I [R = 2,6-Me<sub>2</sub>C<sub>6</sub>H<sub>3</sub>CH<sub>2</sub>, 2,4,6-(MeO)<sub>3</sub>C<sub>6</sub>H<sub>2</sub>CH<sub>2</sub>; R<sub>1</sub> = PhCH<sub>2</sub>] in 15-50% yields; cleavage of the N-benzyl protecting groups of I [R = 2,6-Me<sub>2</sub>C<sub>6</sub>H<sub>3</sub>CH<sub>2</sub>, 2,4,6-(MeO)<sub>3</sub>C<sub>6</sub>H<sub>2</sub>CH<sub>2</sub>; R<sub>1</sub> = PhCH<sub>2</sub>] with aluminum trichloride and anisole yields I (R = R<sub>1</sub> = H) in 71-99% yields. Two isoindolinones III (R<sub>4</sub> = PhCH<sub>2</sub>, 2,6-Me<sub>2</sub>C<sub>6</sub>H<sub>3</sub>CH<sub>2</sub>) are prepared in 67% and 31% yields, resp., by oxidative carbonylation of PhCH<sub>2</sub>NHR<sub>4</sub> (R<sub>4</sub> = PhCH<sub>2</sub>, 2,6-Me<sub>2</sub>C<sub>6</sub>H<sub>3</sub>CH<sub>2</sub>) with copper (II) acetate in the presence of palladium acetate in refluxing toluene.

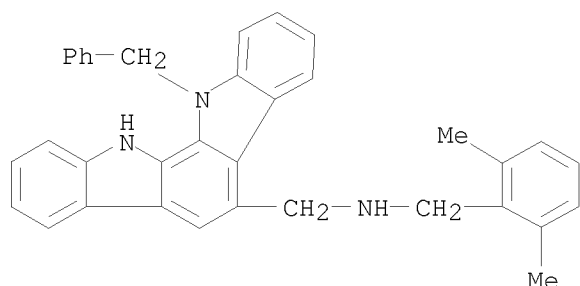
IT 934506-85-1P 934506-86-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

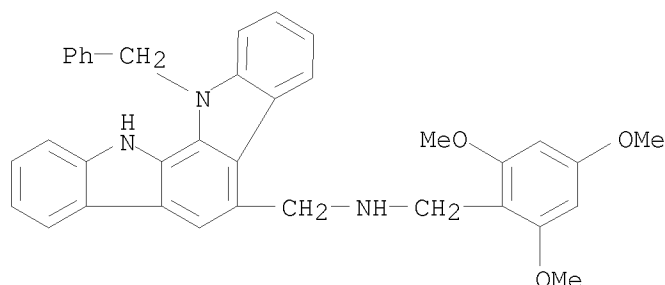
(preparation of staurosporinones using oxidative acid-mediated cyclocondensation and oxidative cyclocarbonylation reactions as key steps)

RN 934506-85-1 CAPLUS

CN Indolo[2,3-a]carbazole-5-methanamine,  
N-[(2,6-dimethylphenyl)methyl]-11,12-dihydro-12-(phenylmethyl)- (CA INDEX NAME)



RN 934506-86-2 CAPLUS  
 CN Indolo[2,3-a]carbazole-5-methanamine,  
 11,12-dihydro-12-(phenylmethyl)-N-[(2,4,6-trimethoxyphenyl)methyl]- (CA  
 INDEX NAME)



OS.CITING REF COUNT: 19 THERE ARE 19 CAPLUS RECORDS THAT CITE THIS  
 RECORD (19 CITINGS)  
 REFERENCE COUNT: 135 THERE ARE 135 CITED REFERENCES AVAILABLE FOR  
 THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
 FORMAT

L8 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2005:1103733 CAPLUS

DOCUMENT NUMBER: 143:386930

TITLE: Preparation of 2-amino- and 2-thio-substituted  
 1,3-diaminopropanes as  $\beta$ -secretase inhibitors for  
 treating Alzheimer's disease and other diseases  
 characterized by deposition of A $\beta$ -peptide

INVENTOR(S): Hom, Roy; Tucker, John; John, Varghese; Shah, Neerav

PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn  
 Company

SOURCE: PCT Int. Appl., 365 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.    | KIND | DATE     | APPLICATION NO. | DATE     |
|---------------|------|----------|-----------------|----------|
| WO 2005095326 | A2   | 20051013 | WO 2005-US9920  | 20050325 |
| WO 2005095326 | A3   | 20051110 |                 |          |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,  
 CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,  
 GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,  
 LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,

NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM,  
 SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,  
 AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,  
 EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,  
 RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,  
 MR, NE, SN, TD, TG

CA 2560773 A1 20051013 CA 2005-2560773 20050325  
 US 20050267199 A1 20051201 US 2005-90520 20050325  
 US 7544717 B2 20090609  
 EP 1751091 A2 20070214 EP 2005-741943 20050325

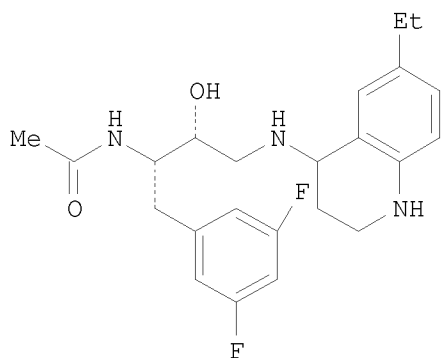
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 HR, LV, MK, YU

BR 2005009186 A 20070828 BR 2005-9186 20050325  
 JP 2007530583 T 20071101 JP 2007-505201 20050325  
 MX 2006010899 A 20061215 MX 2006-10899 20060922

PRIORITY APPLN. INFO.:

US 2004-556461P P 20040325  
 WO 2005-US9920 W 20050325

OTHER SOURCE(S): MARPAT 143:386930  
 GI



II

AB Title compds. of formula Z-X-NHCH(R1)CH(Q)C(R2)(R3)N(R15)(Rc) (I) [Q = SH and derivs., NH and derivs.; Z = H, (un)substituted cycloalkylalk(en/yn)yl, cycloalkyl; X = CO, SO<sub>2</sub>; R1 = (un)substituted alkyl; R2, R3 = independently H, F, (un)substituted alk(en/yn)yl, hetero/aryl, etc.; R2CR3 = 3-7 membered carbocyclic ring with 1-3 C atoms optionally replaced by O, S, SO<sub>2</sub>, CO, NH and derivs.; R15 = H, (un)substituted alkyl, alkoxy, etc.; Rc = (un)substituted (CH<sub>2</sub>)<sub>n</sub>-cycloalkyl, etc.; n = 0-3] were prepared Compds. disclosed herein are inhibitors of the  $\beta$ -secretase enzyme (no data) and are therefore useful in the treatment of Alzheimer's disease and other diseases characterized by deposition of A beta peptide in a mammal (no data). For example, II was prepared, in 4 steps, by reacting benzyl 4-amino-6-ethyl-3,4-dihydroquinoline-1(2H)-carboxylate with [(1S)-2-(3,5-difluorophenyl)-1-((2S)-oxiran-2-yl)ethyl]carbamate, followed by Boc-deprotection, acetylation in the presence of N,N-diacetyl-O-methylhydroxylamine/CH<sub>2</sub>Cl<sub>2</sub>, and Cbz-deprotection.

IT 1044707-53-0 1044707-54-1

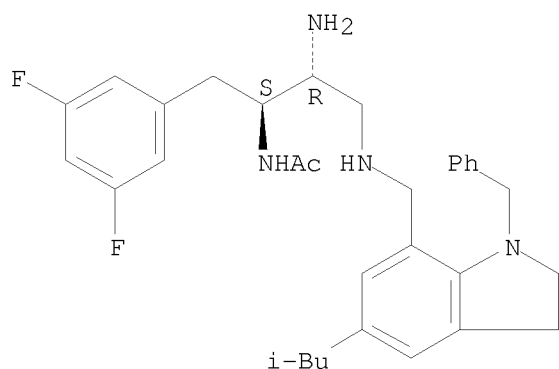
RL: PRPH (Prophetic)

(Preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as  $\beta$ -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A $\beta$ -peptide)

RN 1044707-53-0 CAPLUS

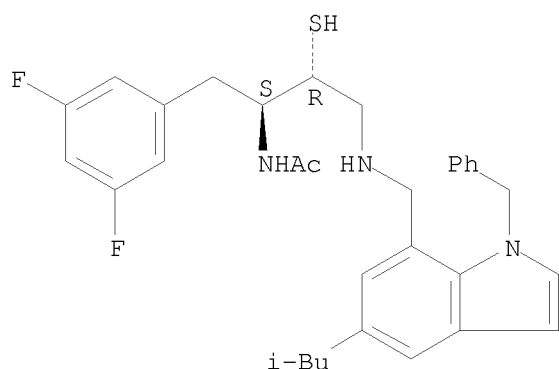
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.



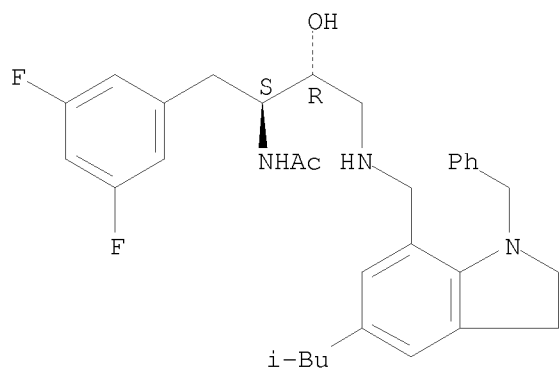
RN 1044707-54-1 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.



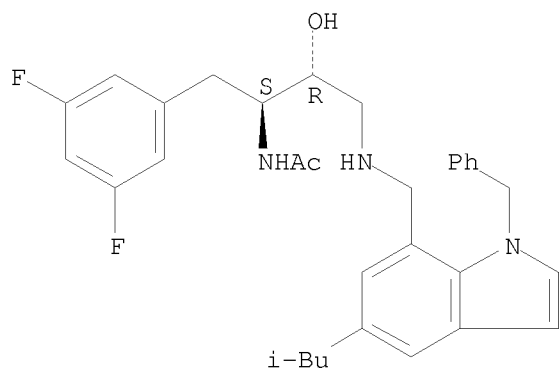
IT 676137-42-1P 676137-48-7P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(drug candidate; preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as  $\beta$ -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A $\beta$ -peptide)  
RN 676137-42-1 CAPLUS  
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-3-[[[2,3-dihydro-5-(2-methylpropyl)-1-(phenylmethyl)-1H-indol-7-yl]methyl]amino]-2-hydroxypropyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 676137-48-7 CAPLUS  
 CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-3-[[[5-(2-methylpropyl)-1-(phenylmethyl)-1H-indol-7-yl]methyl]amino]propyl]- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)  
 REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2011 ACS on STN  
 ACCESSION NUMBER: 2005:1042216 CAPLUS  
 DOCUMENT NUMBER: 143:347050  
 TITLE: Preparation of  
 4-(5-(aminomethyl)indole-1-ylmethyl)benzamide  
 derivatives as opioid receptor antagonists for the  
 treatment of obesity  
 INVENTOR(S): Benesh, Dana Rae; Blanco-Pillado, Maria-Jesus  
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA  
 SOURCE: PCT Int. Appl., 52 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.    | KIND | DATE     | APPLICATION NO. | DATE     |
|---------------|------|----------|-----------------|----------|
| WO 2005090303 | A1   | 20050929 | WO 2005-US7702  | 20050309 |

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 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

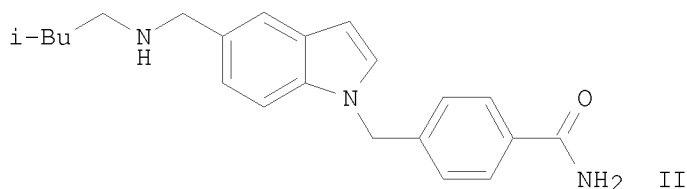
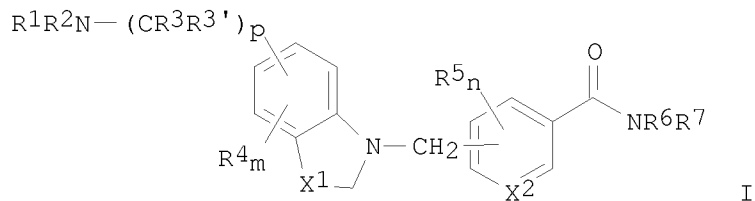
CA 2558030 A1 20050929 CA 2005-2558030 20050309  
 EP 1751103 A1 20070214 EP 2005-725070 20050309  
 EP 1751103 B1 20090114

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR

JP 2007529523 T 20071025 JP 2007-503959 20050309  
 AT 420858 T 20090115 AT 2005-725070 20050309  
 ES 2318472 T3 20090501 ES 2005-725070 20050309  
 US 20070155793 A1 20070705 US 2006-598281 20060823

PRIORITY APPLN. INFO.: US 2004-553176P P 20040315  
 WO 2005-US7702 W 20050309

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
 OTHER SOURCE(S): CASREACT 143:347050; MARPAT 143:347050  
 GI



AB Title compds. represented by the formula I [wherein X1 = CH2, CH or N; X2 = CH or N; R1, R2 = independently H, alkyl(aryl), alkenyl, etc.; R3, R3' = independently H, alkyl, alkynyl, etc.; R4, R5 = independently H, (halo)alkyl, aryl, etc.; m = 0-2; n = 0-2; p = 0-2; and pharmaceutically acceptable salts, solvates, prodrugs, enantiomers, racemates, diastereomers and diastereomeric mixture thereof] were prepared as opioid receptor antagonists. For example, II was provided in a multi-step synthesis starting from the reaction of 5-formylindole with 4-bromomethylbenzonitrile. I were tested for antagonistic activity of mu-, gamma- and delta-opioid receptor in SPA-based GTPgammaS binding assay, and their pharmaceutical formulations were also presented. Thus, I and their pharmaceutical compns. are useful as opioid receptor antagonists for the treatment of obesity (no data).

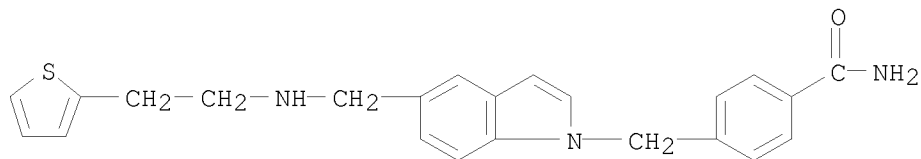
IT 865542-83-2P  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)



(preparation of 4-(5-(aminomethyl)indole-1-ylmethyl)benzamide derivs. as opioid receptor antagonists for treatment of obesity)

RN 865542-83-2 CAPLUS

CN Benzamide, 4-[[5-[[[2-(2-thienyl)ethyl]amino]methyl]-1H-indol-1-yl]methyl]-  
(CA INDEX NAME)



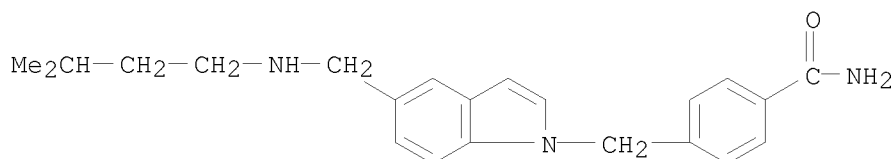
IT 865542-80-9P 865542-84-3P 865542-85-4P  
 865542-86-5P 865542-87-6P 865542-88-7P  
 865542-89-8P 865542-90-1P 865542-91-2P  
 865542-92-3P 865542-93-4P 865542-94-5P  
 865542-95-6P 865542-96-7P 865542-97-8P  
 865542-98-9P 865542-99-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 4-(5-(aminomethyl)indole-1-ylmethyl)benzamide derivs. as opioid receptor antagonists for treatment of obesity)

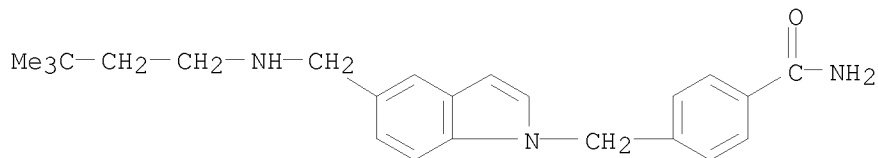
RN 865542-80-9 CAPLUS

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(CA INDEX NAME)



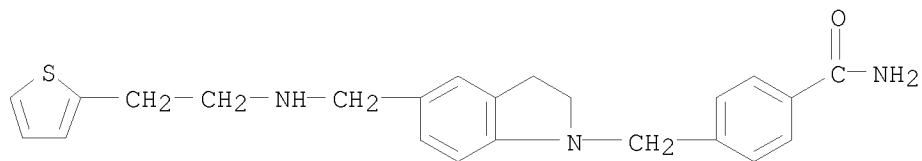
RN 865542-84-3 CAPLUS

CN Benzamide, 4-[[5-[[[3,3-dimethylbutyl]amino]methyl]-1H-indol-1-yl]methyl]-  
(CA INDEX NAME)



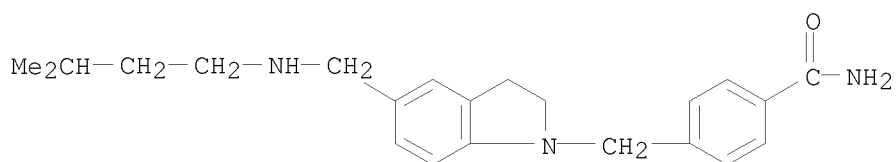
RN 865542-85-4 CAPLUS

CN Benzamide, 4-[[2,3-dihydro-5-[[[2-(2-thienyl)ethyl]amino]methyl]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



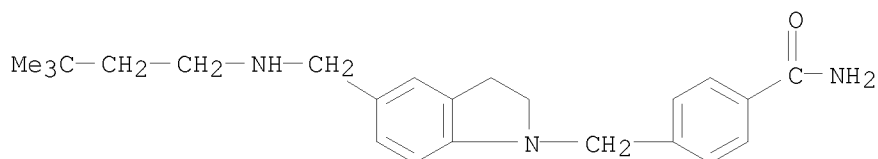
RN 865542-86-5 CAPLUS

CN Benzamide, 4-[[2,3-dihydro-5-[[ (3-methylbutyl)amino]methyl]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



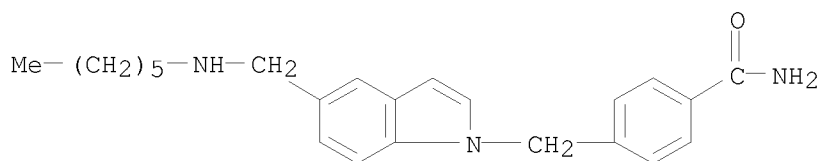
RN 865542-87-6 CAPLUS

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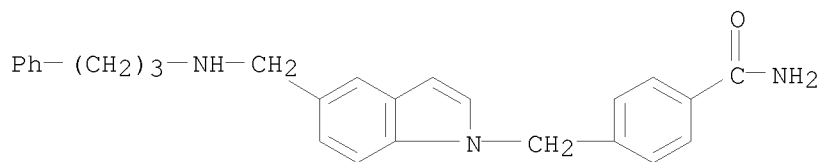
RN 865542-88-7 CAPLUS

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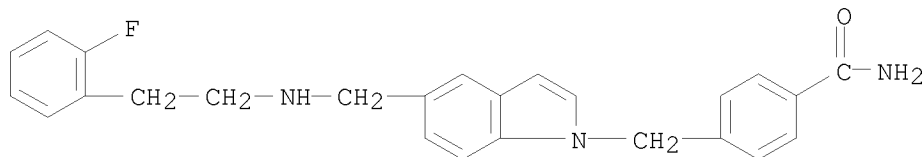
RN 865542-89-8 CAPLUS

CN Benzamide, 4-[[5-[[ (3-phenylpropyl)amino]methyl]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



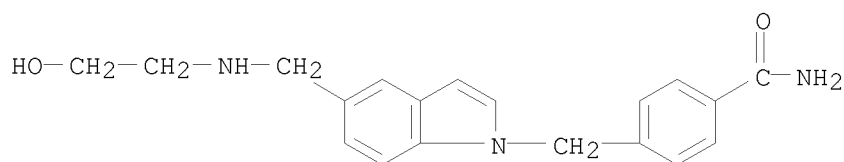
RN 865542-90-1 CAPLUS

CN Benzamide, 4-[[5-[[[2-(2-fluorophenyl)ethyl]amino]methyl]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



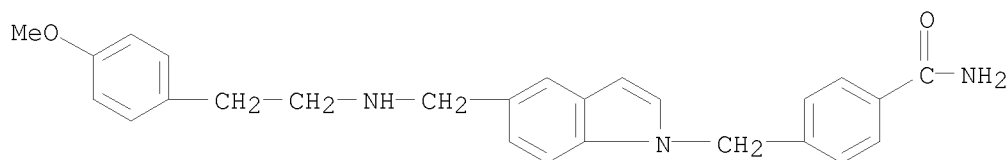
RN 865542-91-2 CAPLUS

CN Benzamide, 4-[[5-[[[2-(2-hydroxyethyl)amino]methyl]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



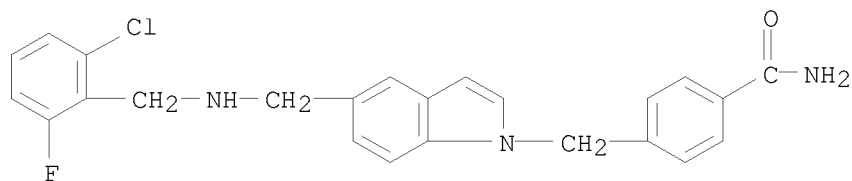
RN 865542-92-3 CAPLUS

CN Benzamide, 4-[[5-[[[2-(4-methoxyphenyl)ethyl]amino]methyl]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



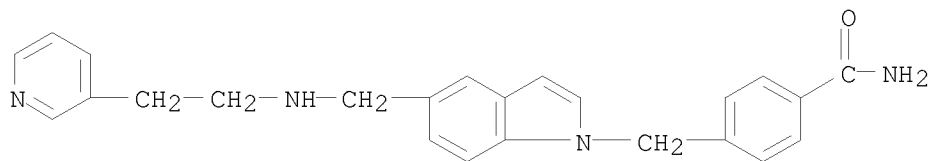
RN 865542-93-4 CAPLUS

CN Benzamide, 4-[[5-[[[2-(2-chloro-6-fluorophenyl)methyl]amino]methyl]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



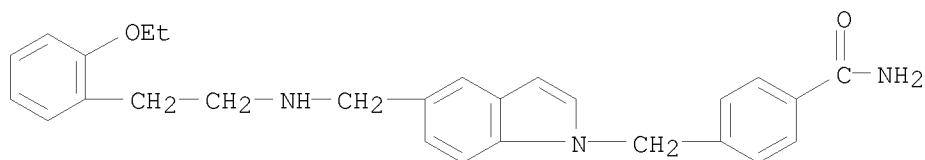
RN 865542-94-5 CAPLUS

CN Benzamide, 4-[[5-[[[2-(3-pyridinyl)ethyl]amino]methyl]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



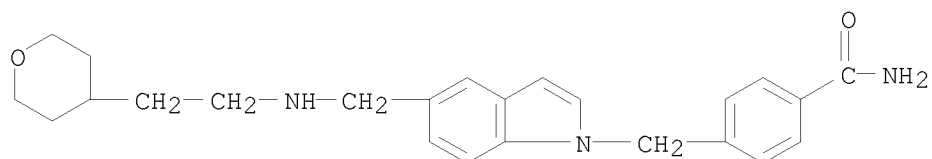
RN 865542-95-6 CAPLUS

CN Benzamide, 4-[[5-[[[2-(2-ethoxyphenyl)ethyl]amino]methyl]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



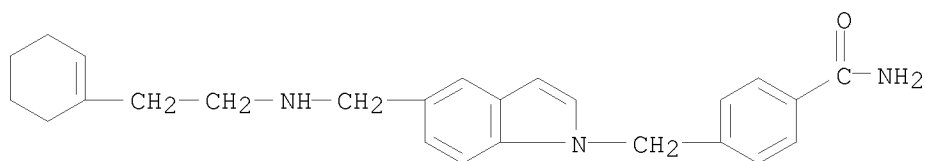
RN 865542-96-7 CAPLUS

CN Benzamide, 4-[[5-[[[2-(tetrahydro-2H-pyran-4-yl)ethyl]amino]methyl]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



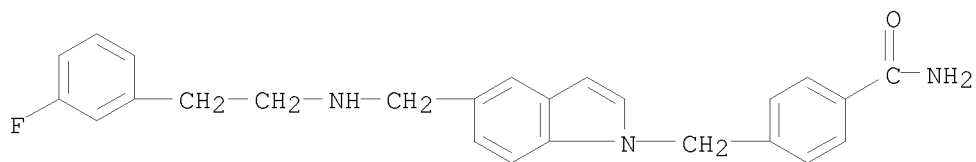
RN 865542-97-8 CAPLUS

CN Benzamide, 4-[[5-[[[2-(1-cyclohexen-1-yl)ethyl]amino]methyl]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



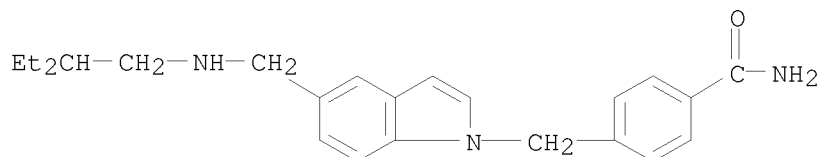
RN 865542-98-9 CAPLUS

CN Benzamide, 4-[[5-[[[2-(3-fluorophenyl)ethyl]amino]methyl]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



RN 865542-99-0 CAPLUS

CN Benzamide, 4-[[5-[[[(2-ethylbutyl)amino]methyl]-1H-indol-1-yl]methyl]- (CA  
INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2004:252298 CAPLUS

DOCUMENT NUMBER: 140:287268

TITLE: Preparation of ring-containing N-acetyl  
2-hydroxy-1,3-diaminoalkanes as  $\beta$ -secretase  
inhibitors for treating Alzheimer's disease and other  
diseases characterized by deposition of  
 $A\beta$ -peptide

INVENTOR(S): Maillard, Michel; Baldwin, Eric T.; Beck, James T.;  
Hughes, Robert; John, Varghese; Pulley, Shon R.;  
Tenbrink, Ruth

PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Pfizer, Inc.;  
Pharmacia & Upjohn Company, LLC

SOURCE: PCT Int. Appl., 459 pp.  
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

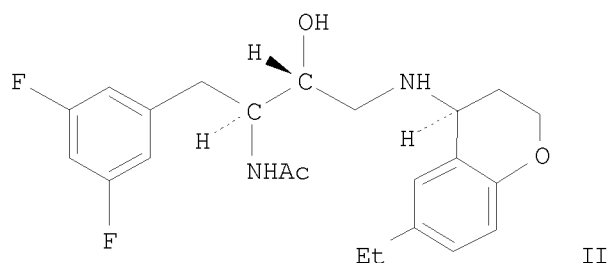
| PATENT NO.     | KIND   | DATE     | APPLICATION NO. | DATE     |
|----------------|--|----------|-----------------|----------|
| WO 2004024081  | A2   | 20040325 | WO 2003-US28503 | 20030910 |
| WO 2004024081  | A3   | 20050623 |                 |          |
| W:             | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |          |                 |          |
| RW:            | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |                 |          |
| CA 2498248     | A1   | 20040325 | CA 2003-2498248 | 20030910 |
| AU 2003267132  | A1   | 20040430 | AU 2003-267132  | 20030910 |
| US 20040180939 | A1   | 20040916 | US 2003-658959  | 20030910 |
| US 7244725     | B2   | 20070717 |                 |          |
| BR 2003014188  | A  | 20050809 | BR 2003-14188   | 20030910 |
| EP 1565443     | A2   | 20050824 | EP 2003-749607  | 20030910 |
| R:             | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK   |          |                 |          |
| CN 1694870     | A  | 20051109 | CN 2003-824988  | 20030910 |
| CN 100384824   | C  | 20080430 |                 |          |
| JP 2006504793  | T  | 20060209 | JP 2004-571986  | 20030910 |
| NZ 539095      | A  | 20070427 | NZ 2003-539095  | 20030910 |
| TW 336320      | B  | 20110121 | TW 2003-125081  | 20030910 |

|                |    |          |                 |          |
|----------------|----|----------|-----------------|----------|
| NO 2005001239  | A  | 20050606 | NO 2005-1239    | 20050310 |
| MX 2005002695  | A  | 20050908 | MX 2005-2695    | 20050310 |
| KR 2006057520  | A  | 20060526 | KR 2005-7004161 | 20050310 |
| IN 2005KN00409 | A  | 20060421 | IN 2005-KN409   | 20050314 |
| IN 225649      | A1 | 20081121 |                 |          |
| ZA 2005001991  | A  | 20050309 | ZA 2005-1991    | 20051020 |
| US 20070293483 | A1 | 20071220 | US 2006-447789  | 20060606 |
| US 7645780     | B2 | 20100112 |                 |          |
| US 20100145056 | A1 | 20100610 | US 2009-624100  | 20091123 |
| JP 2011084568  | A  | 20110428 | JP 2010-273586  | 20101208 |

PRIORITY APPLN. INFO.:

|                 |    |          |
|-----------------|----|----------|
| US 2002-409453P | P  | 20020910 |
| US 2003-452231P | P  | 20030305 |
| US 2003-491757P | P  | 20030801 |
| JP 2004-571986  | A3 | 20030910 |
| US 2003-658959  | A1 | 20030910 |
| WO 2003-US28503 | W  | 20030910 |
| US 2006-447789  | A3 | 20060606 |

OTHER SOURCE(S): MARPAT 140:287268  
GI



AB Disclosed are Z-X-NHCH(R1)CH(OH)C(R2)(R3)N(R15)(Rc) (I; variables defined below; e.g. II). Compds. disclosed herein are inhibitors of the beta-secretase enzyme (no data) and are therefore useful in the treatment of Alzheimer's disease and other diseases characterized by deposition of A beta peptide in a mammal (no data). An unspecified method of preparation is claimed and >100 example preps. of intermediates and I are included. For example, II was prepared in 4 steps starting with preparation of (6-iodochroman-4-yl)amine from 6-iodo-4-chroman-4-ol followed by reaction with tert-Bu [(1S)-2-(3,5-difluorophenyl)-1-((2S)-oxiran-2-yl)ethyl]carbamate to give tert-Bu [(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(6-iodo-3,4-dihydro-2H-chromen-4-yl)amino]propyl]carbamate, followed by ethylation. For I: Z is H, (C3-C7 cycloalkyl)O-1(C1-C6 alkyl)-, (C3-C7 cycloalkyl)O-1(C2-C6 alkenyl)-, (C3-C7 cycloalkyl)O-1(C2-C6 alkynyl)- or (C3-C7 cycloalkyl)-; X = C(O), SO2; R1 is C1-C10 alkyl (un)substituted with 1, 2, or 3 halogen, -OH, -O-, -SH, -CN, -CF3, -OCF3, -C3-7 cycloalkyl, -C1-C4 alkoxy, amino, mono- or dialkylamino, aryl, heteroaryl, and heterocycloalkyl; R2 and R3 = H; F; -C1-C6 alkyl (un)substituted with -F, -OH, -CN, -CF3, C1-C3 alkoxy, or -NR5R6; -(CH2)0-2-R17; -(CH2)0-2-R18; -C2-C6 alkenyl or C2-C6 alkynyl; R15 = H, C1-C6 alkyl, C1-C6 alkoxy, C1-C6 alkoxy C1-C6 alkyl, hydroxy C1-C6 alkyl, halo C1-C6 alkyl; R2, R3 and the C to which they are attached can form a C3-C7 carbocycle, wherein 1-3 C atoms are optionally replaced by -O-, -S-, -SO2-, -C(O)-, or -NR7-; Rc = -(CH2)0-3-(C3-C8) cycloalkyl, etc.; addnl. details are given in the claims.

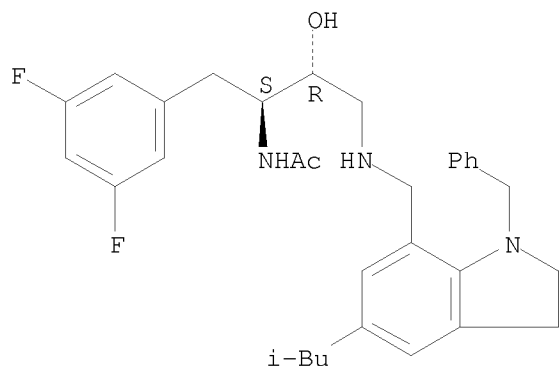
IT 676137-42-1P 676137-48-7P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(drug candidate; preparation of ring-containing N-acetyl

2-hydroxy-1,3-diaminoalkanes as  $\beta$ -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A $\beta$ -peptide)

RN 676137-42-1 CAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-3-[[[2,3-dihydro-5-(2-methylpropyl)-1-(phenylmethyl)-1H-indol-7-yl]methyl]amino]-2-hydroxypropyl]- (CA INDEX NAME)

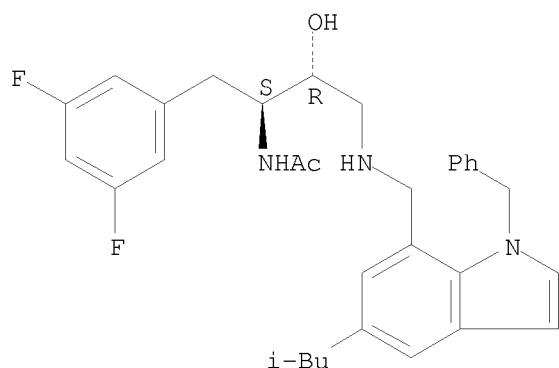
Absolute stereochemistry.



RN 676137-48-7 CAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-3-[[[5-(2-methylpropyl)-1-(phenylmethyl)-1H-indol-7-yl]methyl]amino]propyl]- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 20 THERE ARE 20 CAPLUS RECORDS THAT CITE THIS RECORD (20 CITINGS)  
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1991:62458 CAPLUS

DOCUMENT NUMBER: 114:62458

ORIGINAL REFERENCE NO.: 114:10727a,10730a

TITLE: Attempted synthesis of olivacine isomers

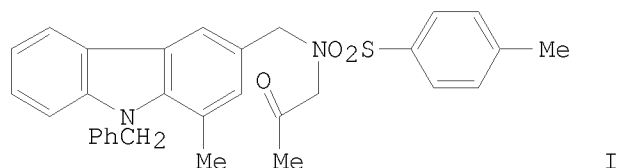
AUTHOR(S): Kasturi, T. R.; Mathew, Lata; Sattigeri, J. A.

CORPORATE SOURCE: Dep. Org. Chem., Indian Inst. Sci., Bangalore, 560 012, India

SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1990),

29B(11), 1004-6  
CODEN: IJSBDB; ISSN: 0376-4699

DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 114:62458  
GI

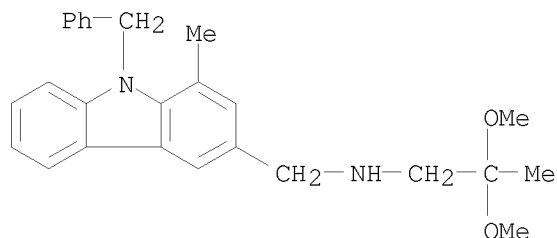


AB Attempted cyclization of tosyl lactone I with HCl/dioxane or P2O5/benzene gave, instead of olivacine isomers, only the cleaved product N-tosylaminoacetone.

IT 131713-52-5P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and N-tosylation of)

RN 131713-52-5 CAPLUS

CN 9H-Carbazole-3-methanamine, N-(2,2-dimethoxypropyl)-1-methyl-9-(phenylmethyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

L8 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1990:572402 CAPLUS

DOCUMENT NUMBER: 113:172402

ORIGINAL REFERENCE NO.: 113:29249a,29252a

TITLE: Synthetic studies of indoles and related compounds.

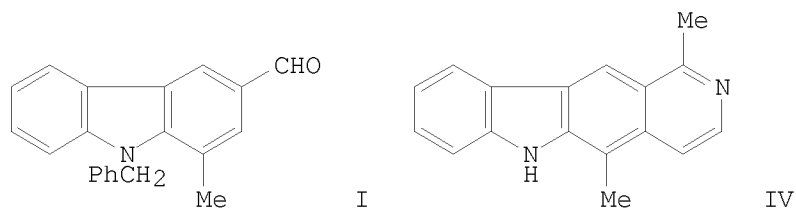
Part 22. The Vilsmeier-Haack reaction of N-benzyl-1,2,3,4-tetrahydrocarbazoles and its synthetic application to olivacine and ellipticine  
Yokoyama, Yuusaku; Okuyama, Naomi; Iwadate, Shinji; Momoi, Tokuko; Murakami, Yasuoki

CORPORATE SOURCE: Sch. Pharm. Sci., Toho Univ., Funabashi, 274, Japan  
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1990), (5), 1319-29

CODEN: JCPRB4; ISSN: 0300-922X

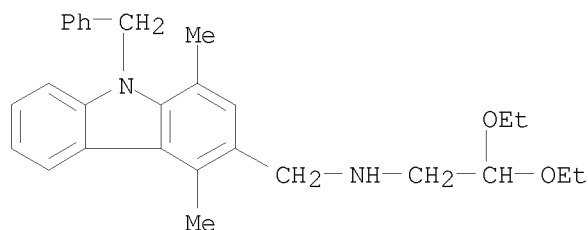
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 113:172402  
GI





AB Vilsmeier-Haack reaction of 9-benzyl-1,2,3,4-tetrahydrocarbazole at 120 °C gave 9-benzyl-1-methylcarbazole-3-carbaldehyde (I) and 9-benzyl-1-[N,N-(dimethylamino)methyl]carbazole-3-carbaldehyde in moderate yields, whereas, the same reaction at 0 °C gave 9-benzyl-1,2,3,4-tetrahydrocarbazole-1-carbaldehyde (II) in very good yield. II was converted into 9-benzyl-1-methylcarbazole by another Vilsmeier-Haack reaction. This carbazole unexpectedly underwent non-regioselective formylation under similar reaction conditions to give a mixture of compound I and 9-benzyl-8-methylcarbazole-3-carbaldehyde. On the basis of the above results, a mechanism of the formation of the aromatic aldehyde I was proposed, which involves 1,5-sigmatropic rearrangement of an N-methylidene dimethylammonium cation from the 4a-position to the 3-position as a key step. Vilsmeier-Haack reaction of 9-benzyl-1,2,3,4-tetrahydro-4-methylcarbazole at 100 °C also gave 9-benzyl-1,4-dimethylcarbazole-3-carbaldehyde (III) in moderate yield. The total synthesis of two antitumor alkaloids, olivacine (IV) and ellipticine, were achieved by utilizing compds. I and III as key intermediates.

IT 129868-53-7P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and tosylation of)  
 RN 129868-53-7 CAPLUS  
 CN 9H-Carbazole-3-methanamine, N-(2,2-diethoxyethyl)-1,4-dimethyl-9-(phenylmethyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 15 THERE ARE 15 CAPLUS RECORDS THAT CITE THIS RECORD (16 CITINGS)

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|--|------------------|---------------|
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| FULL ESTIMATED COST                        | 54.16            | 453.87        |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
| CA SUBSCRIBER PRICE                        | -7.83            | -8.70         |

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DICTIONARY FILE UPDATES: 24 MAY 2011 HIGHEST RN 1299596-13-6

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<http://www.cas.org/legal/infopolicy.html>

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on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

|  |            |         |
|--|------------|---------|
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| FULL ESTIMATED COST                        | 0.51       | 454.38  |
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|  | ENTRY      | SESSION |
| CA SUBSCRIBER PRICE                        | 0.00       | -8.70   |

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STN INTERNATIONAL SESSION SUSPENDED AT 09:57:45 ON 25 MAY 2011

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PASSWORD:

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SESSION RESUMED IN FILE 'REGISTRY' AT 10:01:54 ON 25 MAY 2011  
FILE 'REGISTRY' ENTERED AT 10:01:54 ON 25 MAY 2011  
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|  |            |         |
|--|------------|---------|
| COST IN U.S. DOLLARS                       | SINCE FILE | TOTAL   |
|  | ENTRY      | SESSION |
| FULL ESTIMATED COST                        | 0.51       | 454.38  |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE | TOTAL   |
|  | ENTRY      | SESSION |
| CA SUBSCRIBER PRICE                        | 0.00       | -8.70   |

=> file reg

|  |                  |               |
|--|------------------|---------------|
| COST IN U.S. DOLLARS                       | SINCE FILE ENTRY | TOTAL SESSION |
| FULL ESTIMATED COST                        | 0.51             | 454.38        |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
| CA SUBSCRIBER PRICE                        | 0.00             | -8.70         |

FILE 'REGISTRY' ENTERED AT 10:02:11 ON 25 MAY 2011  
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 PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 24 MAY 2011 HIGHEST RN 1299596-13-6  
 DICTIONARY FILE UPDATES: 24 MAY 2011 HIGHEST RN 1299596-13-6

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

TSCA INFORMATION NOW CURRENT THROUGH January 14, 2011.

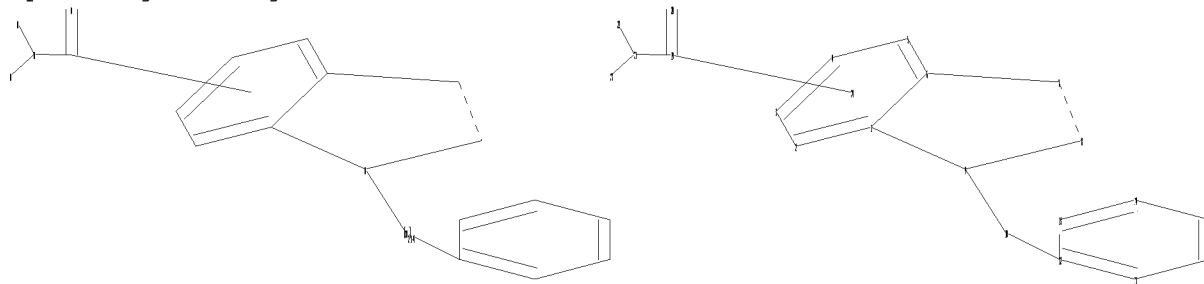
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\STNEXP\Queries\10598281FOAM1b.str



chain nodes :  
 10 19 20 21 22 23

```

ring nodes :
1  2  3  4  5  6  7  8  9  11  12  13  14  15  16
chain bonds :
9-10  10-12  19-20  19-21  21-22  21-23
ring bonds :
1-2  1-6  1-9  2-3  3-4  4-5  5-6  6-7  7-8  8-9  11-12  11-16  12-13  13-14  14-15
15-16
exact/norm bonds :
1-9  6-7  7-8  8-9  19-20  19-21
exact bonds :
9-10  10-12  21-22  21-23
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6  11-12  11-16  12-13  13-14  14-15  15-16

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Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 19:CLASS 20:CLASS 21:CLASS
22:CLASS 23:CLASS 24:Atom

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L9            STRUCTURE UPLOADED

=> d l9

L9 HAS NO ANSWERS

L9                    STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

=> s l9 sss sam

SAMPLE SEARCH INITIATED 10:02:29 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 45563 TO ITERATE

100.0% PROCESSED 45563 ITERATIONS

24 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 898500 TO 924020

PROJECTED ANSWERS: 187 TO 773

L10            24 SEA SSS SAM L9

=> s l9 sss full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 196.35 U.S. DOLLARS

DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y

FULL SEARCH INITIATED 10:02:34 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 914454 TO ITERATE

100.0% PROCESSED 914454 ITERATIONS

446 ANSWERS

SEARCH TIME: 00.00.02

L11            446 SEA SSS FUL L9

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

|  |            |         |
|--|------------|---------|
| FULL ESTIMATED COST                        | 196.86     | 651.24  |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE | TOTAL   |
|  | ENTRY      | SESSION |
| CA SUBSCRIBER PRICE                        | 0.00       | -8.70   |

FILE 'CAPLUS' ENTERED AT 10:02:39 ON 25 MAY 2011  
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 PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
 COPYRIGHT (C) 2011 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 25 May 2011 VOL 154 ISS 22  
 FILE LAST UPDATED: 24 May 2011 (20110524/ED)  
 REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2011  
 USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2011

CAPLUS now includes complete International Patent Classification (IPC) reclassification data for the fourth quarter of 2010.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l11

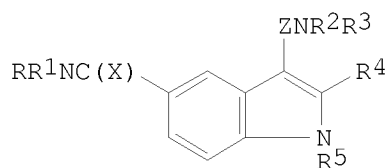
L12 55 L11

=> d ibib abs hitstr 55

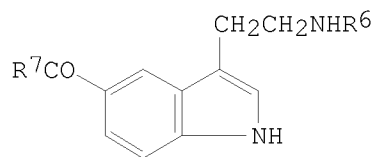
L12 ANSWER 55 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN  
 ACCESSION NUMBER: 1980:532369 CAPLUS  
 DOCUMENT NUMBER: 93:132369  
 ORIGINAL REFERENCE NO.: 93:21105a,21108a  
 TITLE: Indole compounds and pharmaceutical compositions containing them  
 INVENTOR(S): Webb, Colin Frederick  
 PATENT ASSIGNEE(S): Glaxo Group Ltd., UK  
 SOURCE: Ger. Offen., 102 pp.  
 CODEN: GWXXBX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE     | APPLICATION NO. | DATE     |
|------------|------|----------|-----------------|----------|
| DE 2940687 | A1   | 19800430 | DE 1979-2940687 | 19791008 |
| DE 2940687 | C2   | 19910801 |                 |          |
| ZA 7905239 | A    | 19801126 | ZA 1979-5239    | 19791002 |

|                        |        |           |                |            |
|------------------------|--------|-----------|----------------|------------|
| FI 7903071             | A      | 19800413  | FI 1979-3071   | 19791004   |
| DK 7904255             | A      | 19800413  | DK 1979-4255   | 19791009   |
| AU 7951657             | A      | 19800417  | AU 1979-51657  | 19791010   |
| AU 531783              | B2     | 19830908  |                |            |
| GB 2035310             | A      | 19800618  | GB 1979-35208  | 19791010   |
| GB 2035310             | B      | 19821222  |                |            |
| US 4252803             | A      | 19810224  | US 1979-83343  | 19791010   |
| AT 7906605             | A      | 19840815  | AT 1979-6605   | 19791010   |
| AT 377511              | B      | 19850325  |                |            |
| SE 7908443             | A      | 19800413  | SE 1979-8443   | 19791011   |
| SE 448628              | B      | 19870309  |                |            |
| SE 448628              | C      | 19870618  |                |            |
| CH 646151              | A5     | 19841115  | CH 1979-9194   | 19791011   |
| BE 879381              | A1     | 19800201  | BE 1979-197621 | 19791012   |
| NL 7907583             | A      | 19800415  | NL 1979-7583   | 19791012   |
| FR 2438651             | A1     | 19800509  | FR 1979-25446  | 19791012   |
| FR 2438651             | B1     | 19830304  |                |            |
| JP 55062063            | A      | 19800510  | JP 1979-130944 | 19791012   |
| JP 63058817            | B      | 19881117  |                |            |
| CA 1146550             | A1     | 19830517  | CA 1979-337443 | 19791012   |
| PRIORITY APPLN. INFO.: |        |           | GB 1978-40279  | A 19781012 |
| OTHER SOURCE(S):       | MARPAT | 93:132369 |                |            |
| GI                     |        |           |                |            |



I



II

AB The indole derivs. I [R, R1, R2, R3 = H, (substituted) alkyl, cycloalkyl, aryl, or aralkyl; RR1N, and R2R3N = ring; R4 = H, C1-3 alkyl, aryl; R5 = H, alkyl, aralkyl; Z = C1-4 alkylene; X = O, S] and their salts were prepared for use in treatment of hypertension and migraines (no data). Thus, II (R6 = CO2CH2Ph, R7 = OH) reacted with PhCH2NH2 in the presence of 2-chloro-1-methylpyridinium iodide to give II (R6 = CO2CH2Ph, R7 = NHCH2Ph), which was hydrogenated over Pd-C to give I (R6 = H, R7 = NHCH2Ph), isolated as compound with creatinine sulfate.

IT 74885-49-7P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

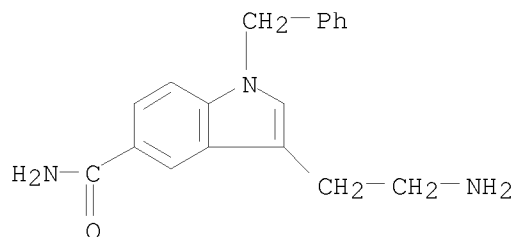
RN 74885-49-7 CAPLUS

CN 1H-Indole-5-carboxamide, 3-(2-aminoethyl)-1-(phenylmethyl)-,  
(2Z)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 74885-48-6

CMF C18 H19 N3 O

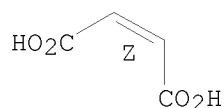


CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.



OS.CITING REF COUNT: 29 THERE ARE 29 CAPLUS RECORDS THAT CITE THIS RECORD (30 CITINGS)

=> d ibib abs hitstr 1-55

L12 ANSWER 1 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2010:1609367 CAPLUS

DOCUMENT NUMBER: 154:173387

TITLE: The discovery of novel indole-2-carboxamides as cannabinoid CB1 receptor antagonists

AUTHOR(S): Cowley, Phillip M.; Baker, James; Barn, David R.; Buchanan, Kirsteen I.; Carlyle, Ian; Clark, John K.; Clarkson, Thomas R.; Deehan, Maureen; Edwards, Darren; Goodwin, Richard R.; Jaap, David; Kiyoi, Yasuko; Mort, Chris; Palin, Ronald; Prosser, Alan; Walker, Glenn; Ward, Nick; Wishart, Grant; Young, Trevor

CORPORATE SOURCE: Department of Chemistry, MSD, Newhouse, Lanarkshire, ML1 5SH, UK

SOURCE: Bioorganic & Medicinal Chemistry Letters (2011), 21(1), 497-501

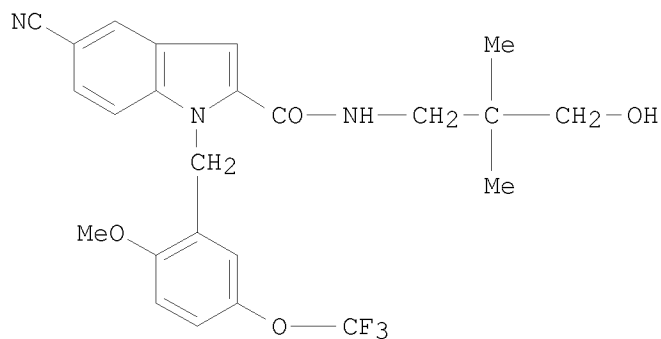
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I

AB The discovery and structure-activity relationship of a novel series of indole-2-carboxamide antagonists of the cannabinoid CB1 receptor is disclosed. Compound 26i (I) was found to be a high potency, selective cannabinoid CB1 antagonist.

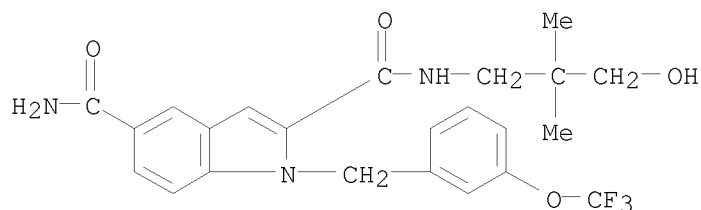
IT 1262836-12-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(indolecarboxamides as cannabinoid CB1 receptor antagonists)

RN 1262836-12-3 CAPLUS

CN 1H-Indole-2,5-dicarboxamide, N2-(3-hydroxy-2,2-dimethylpropyl)-1-[[3-(trifluoromethoxy)phenyl]methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2010:1342793 CAPLUS

DOCUMENT NUMBER: 153:554916

TITLE: A process for the preparation of frovatriptan and frovatriptan succinate and their intermediates

INVENTOR(S): Gore, Vinayak Govind; Gadkar, Maheshkumar; Tripathi, Anilkumar; Mankar, Viraj

PATENT ASSIGNEE(S): Generics UK Limited, UK; Mylan India Private Limited

SOURCE: PCT Int. Appl., 34pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

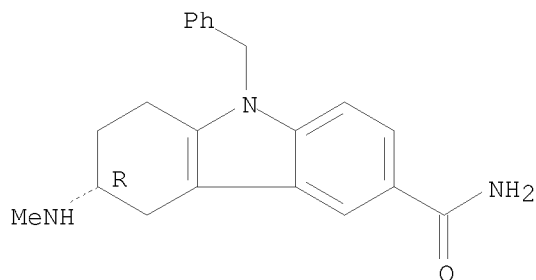
FAMILY ACC. NUM. COUNT: 1



## PATENT INFORMATION:

| PATENT NO.  | KIND  | DATE                | APPLICATION NO. | DATE       |
|---|---|---------------------|-----------------|------------|
| WO 2010122343   | A1  | 20101028            | WO 2010-GB50658 | 20100422   |
| W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PE, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TH, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW<br>RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, SM, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LR, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |   |                     |                 |            |
| IN 2009KO00657  | A   | 20101029            | IN 2009-KO657   | 20090423   |
| PRIORITY APPLN. INFO.:  |   |                     | IN 2009-KO657   | A 20090423 |
| OTHER SOURCE(S):  |   | CASREACT 153:554916 |                 |            |
| AB  | A process for preparation of 6-carboxamido-3-phthalimido-1,2,3,4-tetrahydrocarbazole comprises reaction of 4-aminobenzamide with nitrite in the presence of a mineral acid and a sulfonic acid, reduction of the resulting diazonium salt, and addition of (protected) 4-phthalimidocyclohexanone. Thus, 4-aminobenzamide in H <sub>2</sub> O was treated sequentially with aqueous HCl, p-toluenesulfonic acid, aqueous NaNO <sub>2</sub> , aqueous Na <sub>2</sub> SO <sub>3</sub> , MeOH, and 4-phthalimidocyclohexanone under cooling followed by heating at 75° for 8 h to give 70% 6-carboxamido-3-phthalimido-1,2,3,4-tetrahydrocarbazole. |                     |                 |            |
| IT  | 1253121-63-9P<br>RL: IMF (Industrial manufacture); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)<br>(preparation of frovatriptan and frovatriptan succinate and their intermediates)  |                     |                 |            |
| RN  | 1253121-63-9 CAPLUS   |                     |                 |            |
| CN  | 1H-Carbazole-6-carboxamide, 2,3,4,9-tetrahydro-3-(methylamino)-9-(phenylmethyl)-, (3R)- (CA INDEX NAME)   |                     |                 |            |

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 3 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2009:268662 CAPLUS

DOCUMENT NUMBER: 150:298998

TITLE: Use of secretory phospholipase A2 (SPLA2) inhibitors to decrease SPLA2 levels

INVENTOR(S): Trias, Joaquim; Hislop, Colin

PATENT ASSIGNEE(S): Anthera Pharmaceuticals, Inc., USA  
 SOURCE: U.S. Pat. Appl. Publ., 48 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.             | KIND | DATE     | APPLICATION NO. | DATE     |
|------------------------|------|----------|-----------------|----------|
| US 20090062369         | A1   | 20090305 | US 2007-849243  | 20070831 |
| PRIORITY APPLN. INFO.: |      |          | US 2007-849243  | 20070831 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB Administration of sPLA2 inhibitors has been found to decrease sPLA2 levels in human serum. Provided herein are methods of decreasing serum sPLA2 levels in a subject in need thereof, as well as methods for accurately measuring sPLA2 levels in a serum sample.

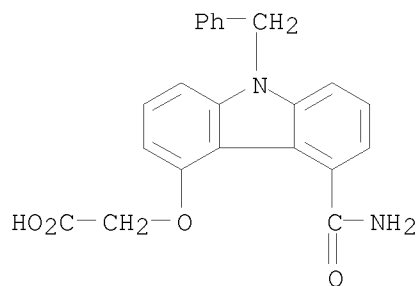
IT 246513-34-8 246513-34-8D, salts and prodrug derivs.

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(use of secretory phospholipase A2 (SPLA2) inhibitors to decrease SPLA2 levels)

RN 246513-34-8 CAPLUS

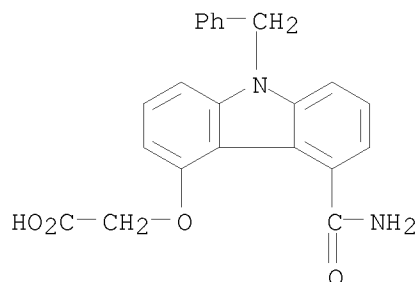
CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, sodium salt (1:1) (CA INDEX NAME)



● Na

RN 246513-34-8 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, sodium salt (1:1) (CA INDEX NAME)



● Na

L12 ANSWER 4 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2009:86451 CAPLUS

DOCUMENT NUMBER: 150:160095

TITLE: Use of adenosine A2A receptor agonists and phosphodiesterase (PDE) inhibitors for the treatment of B-cell proliferative disorders, and combinations with other agents

INVENTOR(S): Rickles, Richard; Lee, Margaret S.

PATENT ASSIGNEE(S): CombinatoRx, Incorporated, USA

SOURCE: PCT Int. Appl., 70 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.     | KIND   | DATE     | APPLICATION NO. | DATE     |
|----------------|--|----------|-----------------|----------|
| WO 2009011893  | A2   | 20090122 | WO 2008-US8758  | 20080717 |
| WO 2009011893  | A3   | 20090319 |                 |          |
| W:             | AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW |          |                 |          |
| RW:            | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA   |          |                 |          |
| AU 2008276451  | A1   | 20090122 | AU 2008-276451  | 20080717 |
| CA 2694983     | A1   | 20090122 | CA 2008-2694983 | 20080717 |
| US 20090053168 | A1   | 20090226 | US 2008-175219  | 20080717 |
| EP 2178369     | A2   | 20100428 | EP 2008-780231  | 20080717 |
| R:             | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, AL, BA, MK, RS   |          |                 |          |

PRIORITY APPLN. INFO.: US 2007-950307P P 20070717  
US 2007-965587P P 20070821  
WO 2008-US8758 W 20080717

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB The invention provides compns. and methods for the treatment of B-cell

proliferative disorders that employ an A2A receptor agonist or one or more PDE inhibitors. The methods and compns. may further include an antiproliferative compound

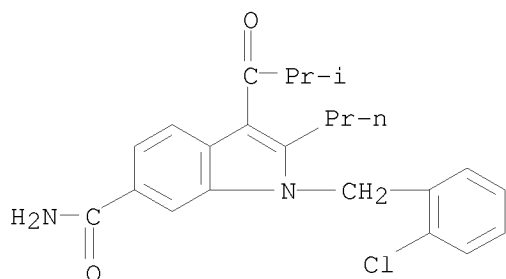
IT 184147-65-7

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(FR 181074; adenosine A2A receptor agonists and phosphodiesterase inhibitors for treatment of B-cell proliferative disorders, and combinations with other agents)

RN 184147-65-7 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L12 ANSWER 5 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2009:83374 CAPLUS

DOCUMENT NUMBER: 150:160094

TITLE: Combinations for the treatment of B-cell proliferative disorders

INVENTOR(S): Rickles, Richard; Pierce, Laura; Lee, Margaret S.

PATENT ASSIGNEE(S): Combinatorx, Incorporated, USA

SOURCE: PCT Int. Appl., 79pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.     | KIND   | DATE     | APPLICATION NO. | DATE     |
|----------------|--|----------|-----------------|----------|
| WO 2009011897  | A1   | 20090122 | WO 2008-US8764  | 20080717 |
| W:             | AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW |          |                 |          |
| RW:            | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM   |          |                 |          |
| AU 2008276455  | A1   | 20090122 | AU 2008-276455  | 20080717 |
| CA 2694987     | A1   | 20090122 | CA 2008-2694987 | 20080717 |
| US 20090047243 | A1   | 20090219 | US 2008-175121  | 20080717 |
| EP 2178370     | A1   | 20100428 | EP 2008-780237  | 20080717 |

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU,  
IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI,  
SK, TR, AL, BA, MK, RS

PRIORITY APPLN. INFO.:

US 2007-959877P P 20070717

US 2007-965595P P 20070821

WO 2008-US8764 W 20080717

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB The invention features compns. and methods employing combinations of an A2A receptor agonist and a PDE (phosphodiesterase) inhibitor for the treatment of a B-cell proliferative disorder, e g, multiple myeloma. In at least one embodiment, the compns. of the invention comprise a PDE inhibitor active against at least two of PDE 2, 3, 4, and 7. In at least one embodiment, the compns. of the invention comprises further administering an antiproliferative compound

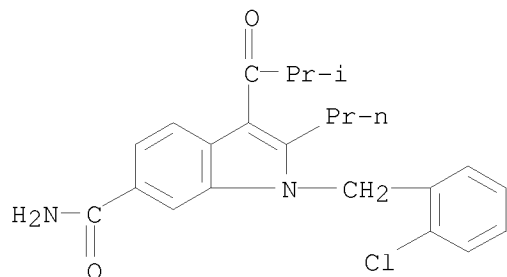
IT 184147-65-7

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(FR 181074; combinations for treatment of B-cell proliferative disorders using PDE inhibitors and A2A receptor agonists and antiproliferative compds.)

RN 184147-65-7 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 6 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2007:1099326 CAPLUS

DOCUMENT NUMBER: 148:253384

TITLE: Design and bioassay of non-peptidic inhibitors of SARS coronavirus 3C-like proteinase

AUTHOR(S): Liu, Ying; Zheng, Teng-Fei; Jin, Feng; Zhou, Lu; Liu, Zhen-Ming; Wei, Ping; Lai, Lu-Hua

CORPORATE SOURCE: Beijing National Laboratory for Molecular Sciences, State Key Laboratory for Structural Chemistry of Unstable and Stable Species, College of Chemistry and Molecular Engineering, Peking University, Beijing, 100871, Peop. Rep. China

SOURCE: Huaxue Xuebao (2007), 65(16), 1707-1712

CODEN: HHHPA4; ISSN: 0567-7351

PUBLISHER: Huaxue Xuebao Bianjibu

DOCUMENT TYPE: Journal

LANGUAGE: Chinese

OTHER SOURCE(S): CASREACT 148:253384

AB Severe acute respiratory syndrome (SARS) coronavirus 3C-like proteinase is the key enzyme for the maturation of the virus and has been proposed to be

a key target for structure based drug design against SARS. In this paper, based on the three-dimensional structure of SARS coronavirus 3C-like proteinase, the available chemical database (ACD) and clin. drug database were used for virtual screening, and the candidate non-peptidic compds. were purchased or synthesized. Several human rhinovirus (HRV) 3C protease inhibitors were also synthesized. All the compds. were tested against SARS 3C-like proteinase bioassay. Two types of compds. including hydroxyzine dihydrochloride, a well known antihistamine, were found to inhibit the enzyme and SARS virus in cell cultivating; one of the isatin compds. shows significant inhibition with an IC<sub>50</sub> of (0.76±0.02)  $\mu\text{mol}\cdot\text{L}^{-1}$ . The primary result suggested that drugs in clin. usage can be developed for new purpose.

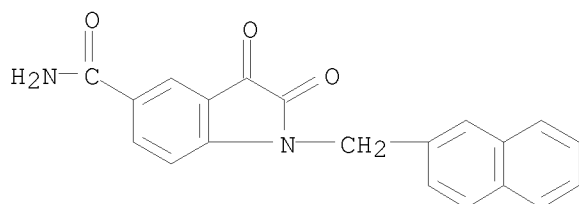
IT 184904-82-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(design and bioassay of non-peptidic inhibitors of SARS coronavirus 3C-like proteinase)

RN 184904-82-3 CAPLUS

CN 1H-Indole-5-carboxamide, 2,3-dihydro-1-(2-naphthalenylmethyl)-2,3-dioxo- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L12 ANSWER 7 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2007:410811 CAPLUS

DOCUMENT NUMBER: 146:421837

TITLE: Preparation of fused pyrrole derivatives as GR modulators

INVENTOR(S): Sone, Toshihiko; Sawaki, Rieko; Nakajima, Tomoko

PATENT ASSIGNEE(S): Dainippon Sumitomo Pharma Co., Ltd., Japan

SOURCE: PCT Int. Appl., 403pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

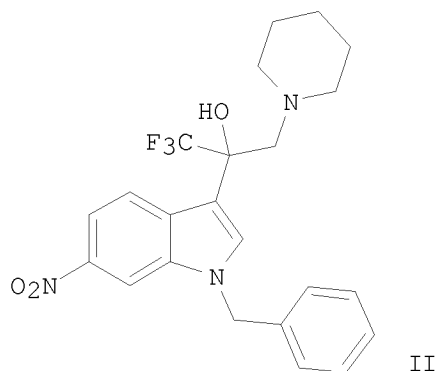
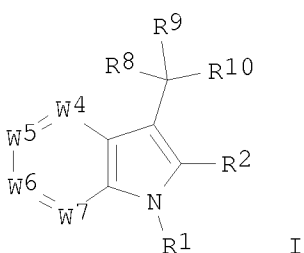
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.    | KIND   | DATE     | APPLICATION NO.  | DATE     |
|---------------|--|----------|------------------|----------|
| WO 2007040166 | A1   | 20070412 | WO 2006-JP319426 | 20060929 |
| W:            | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW |          |                  |          |
| RW:           | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,  |          |                  |          |

GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM  
 AU 2006298164 A1 20070412 AU 2006-298164 20060929  
 CA 2623154 A1 20070412 CA 2006-2623154 20060929  
 EP 1930320 A1 20080611 EP 2006-810832 20060929  
 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
 IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR  
 KR 2008063288 A 20080703 KR 2008-7007427 20080327  
 IN 2008DN02633 A 20080704 IN 2008-DN2633 20080328  
 US 20100190768 A1 20100729 US 2008-88658 20080328  
 CN 101321726 A 20081210 CN 2006-80044619 20080528  
 PRIORITY APPLN. INFO.: JP 2005-286576 A 20050930  
 WO 2006-JP319426 W 20060929  
 ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
 OTHER SOURCE(S): MARPAT 146:421837  
 GI



AB Title compds. I [R1 = H, (un)substituted alkyl, (un)substituted alkenyl, etc.; R2 = H, halo, carboxyl, etc.; -W4:W5-W6:W7- = -CR4:CR5-CR6:CR7-, -N:CR5-CR6:CR7-, -CR4:N-CR6:CR7-, etc.; R4-R7 = -E-A; E = single bond, -O-, -CO-, etc.; when E is a single bond, A is H, halo, cyano, etc.; when E is -O-, -CO-, etc., A is H, (un)substituted alkyl, (un)substituted cycloalkyl, etc.; R8 = -OR11, -SR11, -N(R11)R12; R11, R12 = H, (un)substituted alkyl; R9 = alkyl substituted with halo, cycloalkyl substituted with halo; R10 = -[C(R13)R14]n-R15; R13, R14 = H, alkyl, halo; R13 and R14 may combine to form a oxo group; or R13 and R14, together with the carbon atom to which they are attached, form a cycloalkane (one or two -CH2- in cycloalkane may be replaced with -NH-, -S-, -S(:O)-, etc.); n = 0-10; R15 = hydroxy, (un)substituted alkyl, (un)substituted alkenyl, etc.], prodrugs or pharmaceutically acceptable salts were prepared For example, reaction of 1-(1-benzyl-6-nitro-1H-indol-3-yl)-2,2,2-trifluoroethanone, e.g., prepared from 6-nitroindole in 2 steps, with trimethylphosphonium iodide followed by treatment with piperidine afforded

compound II. In glucocorticoid receptor (GR) binding assays, compound II exhibited the inhibitory activity of 92% at 100 nM. Compds. I are claimed useful for the treatment of inflammation and diabetes.

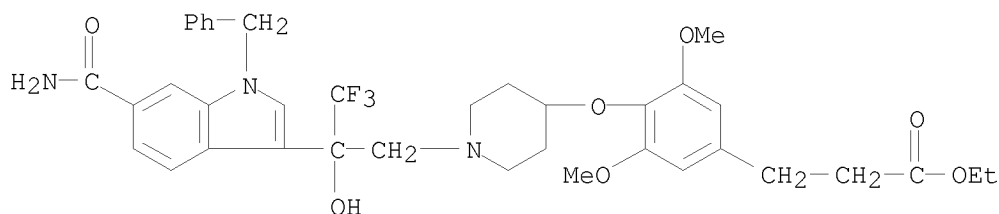
IT 934226-80-9P 934230-02-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of fused pyrrole derivs. as GR modulators for treatment of inflammation and diabetes)

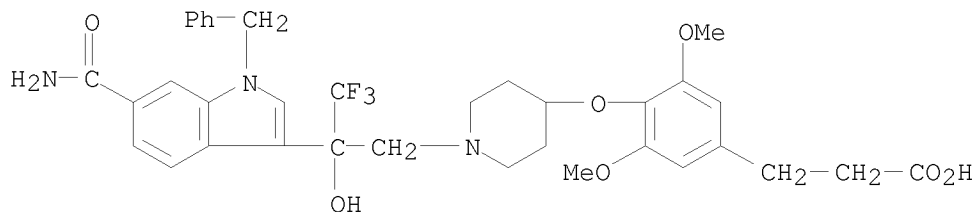
RN 934226-80-9 CAPLUS

CN Benzenepropanoic acid, 4-[[1-[2-[6-(aminocarbonyl)-1-(phenylmethyl)-1H-indol-3-yl]-3,3,3-trifluoro-2-hydroxypropyl]-4-piperidinyl]oxy]-3,5-dimethoxy-, ethyl ester (CA INDEX NAME)



RN 934230-02-1 CAPLUS

CN Benzenepropanoic acid, 4-[[1-[2-[6-(aminocarbonyl)-1-(phenylmethyl)-1H-indol-3-yl]-3,3,3-trifluoro-2-hydroxypropyl]-4-piperidinyl]oxy]-3,5-dimethoxy- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

REFERENCE COUNT: 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 8 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2007:11294 CAPLUS

DOCUMENT NUMBER: 146:142499

TITLE: Preparation of tetrahydrocarbazole derivatives useful as androgen receptor modulators

INVENTOR(S): Fales, Kevin Robert; Green, Jonathan Edward; Jadhav, Prabhakar Kondaji; Matthews, Donald Paul; Neel, David Andrew; Smith, Edward C R.

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 218 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

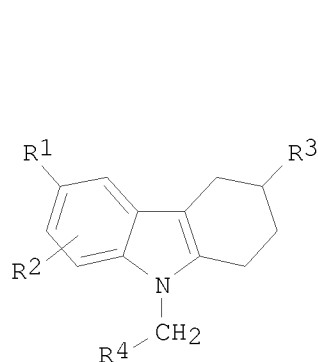
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

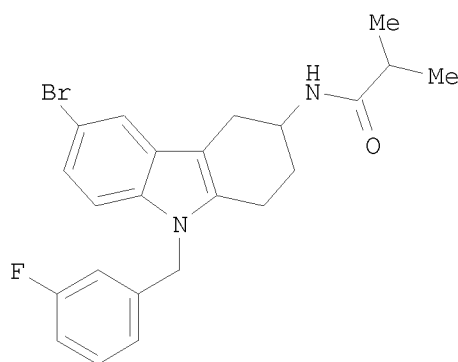
PATENT INFORMATION:



| PATENT NO.  | KIND | DATE     | APPLICATION NO.  | DATE       |
|---|------|----------|------------------|------------|
| WO 2007002181   | A2   | 20070104 | WO 2006-US24122  | 20060621   |
| WO 2007002181   | A3   | 20070301 |                  |            |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW |      |          |                  |            |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  |      |          |                  |            |
| AU 2006262283   | A1   | 20070104 | AU 2006-262283   | 20060621   |
| CA 2612723  | A1   | 20070104 | CA 2006-2612723  | 20060621   |
| EP 1902026  | A2   | 20080326 | EP 2006-785258   | 20060621   |
| EP 1902026  | B1   | 20100217 |                  |            |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR   |      |          |                  |            |
| JP 2008546791   | T    | 20081225 | JP 2008-518350   | 20060621   |
| AT 457979   | T    | 20100315 | AT 2006-785258   | 20060621   |
| PT 1902026  | E    | 20100317 | PT 2006-785258   | 20060621   |
| ES 2339480  | T3   | 20100520 | ES 2006-785258   | 20060621   |
| IN 2007KN04710  | A    | 20080627 | IN 2007-KN4710   | 20071205   |
| IN 244647   | A1   | 20101224 |                  |            |
| MX 2007015905   | A    | 20080306 | MX 2007-15905    | 20071213   |
| US 20100022550  | A1   | 20100128 | US 2007-917398   | 20071213   |
| US 7935722  | B2   | 20110503 |                  |            |
| CN 101203491  | A    | 20080618 | CN 2006-80022629 | 20071224   |
| PRIORITY APPLN. INFO.:  |      |          | US 2005-693604P  | P 20050624 |
|   |      |          | WO 2006-US24122  | W 20060621 |
| ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT   |      |          |                  |            |
| OTHER SOURCE(S): CASREACT 146:142499; MARPAT 146:142499   |      |          |                  |            |
| GI  |      |          |                  |            |



I



II

AB Title compds. I [R1 = H, OH, CN, halo, etc.; R2 = H, halo, alkyl or alkoxy, or R1 and R2 together form -OCH2O- or -OCF2O-; R3 = NHCOR5 or NHSO2R6; R4 = (un)substituted Ph or heteroaryl; R5 and R6 independently = alkyl, haloalkyl, alkoxy, etc.] and pharmaceutically acceptable salts were prepared as androgen receptor modulators. Thus, reacting p-bromophenylhydrazine hydrochloride with N-(4-oxocyclohexyl)isobutyramide (preparation given) in saturated ethanolic HCl at reflux for 18 h, followed by

alkylation with 3-fluorobenzyl bromide gave tetrahydrocarbazole II. II showed  $K_i$  of 2.6 nM in steroid hormone nuclear receptor binding assay and  $EC_{50}$  of 2.3 nM with 74.1% efficacy in C2C12 AR/ARE reporter assay. Tetrahydrocarbazoles I, and their pharmaceutical compns., are useful for treating physiol. disorders, particularly frailty, osteoporosis, osteopenia, and male and female sexual dysfunction.

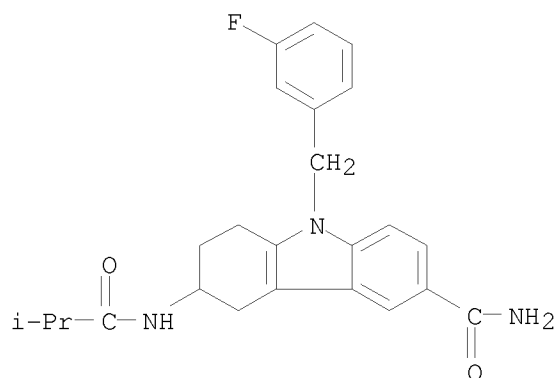
IT 918791-04-5P, 9-(3-Fluorobenzyl)-6-(isobutanoylamino)-6,7,8,9-tetrahydro-5H-carbazole-3-carboxamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of tetrahydrocarbazoles as androgen receptor modulators)

RN 918791-04-5 CAPLUS

CN 1H-Carbazole-6-carboxamide, 9-[(3-fluorophenyl)methyl]-2,3,4,9-tetrahydro-3-[(2-methyl-1-oxopropyl)amino]- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)  
 REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 9 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2006:455326 CAPLUS

DOCUMENT NUMBER: 145:145490

TITLE: Isatin Compounds as Noncovalent SARS Coronavirus 3C-like Protease Inhibitors

AUTHOR(S): Zhou, Lu; Liu, Ying; Zhang, Weilin; Wei, Ping; Huang, Changkang; Pei, Jianfeng; Yuan, Yaxia; Lai, Luhua

CORPORATE SOURCE: State Key Laboratory for Structural Chemistry of Unstable and Stable Species, College of Chemistry and Molecular Engineering, Peking University, Beijing, 100871, Peop. Rep. China

SOURCE: Journal of Medicinal Chemistry (2006), 49(12), 3440-3443

CODEN: JMCMAR; ISSN: 0022-2623

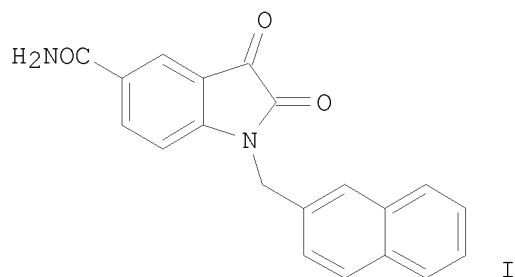
PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 145:145490

GI

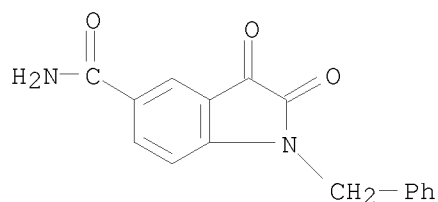


AB A series of isatin derivs. were synthesized and tested against SARS CoV 3C-like protease. Substitutions at the N-1 and C-5 positions were examined to elucidate the differences in substrate binding sites of the rhinovirus 3C protease and SARS CoV 3C-like protease. Isatin I shows significant inhibition with an IC<sub>50</sub> of 0.37  $\mu$ M. Further study showed that, unlike the irreversible covalent binding of isatin derivs. to human rhinovirus 3C protease, the compds. tested in this study are all noncovalent reversible inhibitors.

IT 184904-80-1P 184904-82-3P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation of isatin derivs. as noncovalent SARS coronavirus 3C-like protease inhibitors)

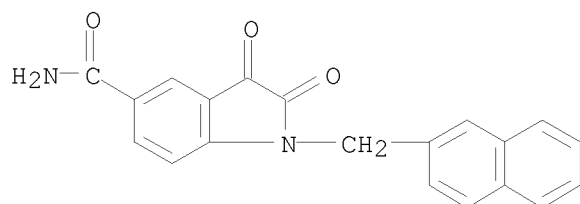
RN 184904-80-1 CAPLUS

CN 1H-Indole-5-carboxamide, 2,3-dihydro-2,3-dioxo-1-(phenylmethyl)- (CA INDEX NAME)



RN 184904-82-3 CAPLUS

CN 1H-Indole-5-carboxamide, 2,3-dihydro-1-(2-naphthalenylmethyl)-2,3-dioxo- (CA INDEX NAME)



OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS)

REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 10 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2006:167023 CAPLUS

DOCUMENT NUMBER: 144:247226  
 TITLE: Use of a phosphodiesterase 5 (PDE5) inhibitor for treating and preventing hypopigmentary disorders  
 INVENTOR(S): Peuker, Heidemarie  
 PATENT ASSIGNEE(S): Switch Biotech A.-G., Germany  
 SOURCE: PCT Int. Appl., 48 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE       |
|---|------|----------|-----------------|------------|
| WO 2006018088   | A1   | 20060223 | WO 2005-EP7747  | 20050715   |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW<br>RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |      |          |                 |            |
| EP 1759700  | A1   | 20070307 | EP 2004-19695   | 20040819   |
| EP 1759700  | B1   | 20090805 |                 |            |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, HR, LT, LV, MK   |      |          |                 |            |
| AT 438403   | T    | 20090815 | AT 2004-19695   | 20040819   |
| ES 2330934  | T3   | 20091217 | ES 2004-19695   | 20040819   |
| AU 2005274546   | A1   | 20060223 | AU 2005-274546  | 20050715   |
| AU 2005274546   | B2   | 20110203 |                 |            |
| CA 2619779  | A1   | 20060223 | CA 2005-2619779 | 20050715   |
| JP 2008509944   | T    | 20080403 | JP 2007-526325  | 20050715   |
| US 20080051408  | A1   | 20080228 | US 2007-660351  | 20070705   |
| PRIORITY APPLN. INFO.:  |      |          |                 |            |
|   |      |          | EP 2004-19695   | A 20040819 |
|   |      |          | US 2004-603069P | P 20040819 |
|   |      |          | WO 2005-EP7747  | W 20050715 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB The invention discloses the use of PDE5 inhibitors, preferably sildenafil or tadalafil, optionally in combination with a further active ingredient, for treating and/or preventing hypopigmentary disorders.

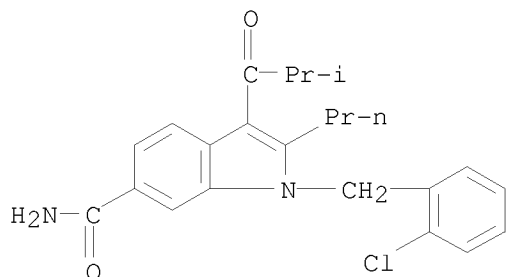
IT 184147-65-7, FR 181074

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(phosphodiesterase 5 inhibitor for treatment and prevention of hypopigmentary disorder)

RN 184147-65-7 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
(2 CITINGS)  
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 11 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2006:81629 CAPLUS

DOCUMENT NUMBER: 144:311874

TITLE: An efficient synthesis of carbazole-based secretory phospholipase A2 (sPLA2) inhibitors LSN433771 and LSN426891

AUTHOR(S): May, Scott A.; Wilson, Thomas M.; Fields, Allison L.  
CORPORATE SOURCE: Chemical Product Research and Development, Eli Lilly and Company, Indianapolis, IN, 46285-4813, USA

SOURCE: Tetrahedron Letters (2006), 47(8), 1351-1353  
CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 144:311874

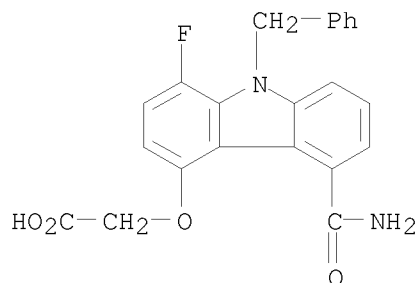
AB The flexible and efficient synthesis of two structurally similar carbazole derivs. is described. This general strategy features an intramol. palladium-mediated biaryl coupling reaction to join two aromatic domains of the target mols. Formation of the carbazole core is accomplished via nitrene insertion. The synthesis of secretory phospholipase A2 (sPLA2) inhibitors LSN433771 and LSN426891 is detailed.

IT 220862-61-3P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of carbazole-based secretory phospholipase A2 inhibitors via intramol. palladium-mediated biaryl coupling reaction and nitrene insertion)

RN 220862-61-3 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-1-fluoro-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS

## RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 12 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2005:1042216 CAPLUS

DOCUMENT NUMBER: 143:347050

TITLE: Preparation of  
 4-(5-(aminomethyl)indole-1-ylmethyl)benzamide  
 derivatives as opioid receptor antagonists for the  
 treatment of obesity

INVENTOR(S): Benesh, Dana Rae; Blanco-Pillado, Maria-Jesus

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 52 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

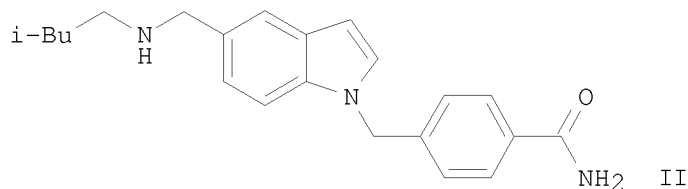
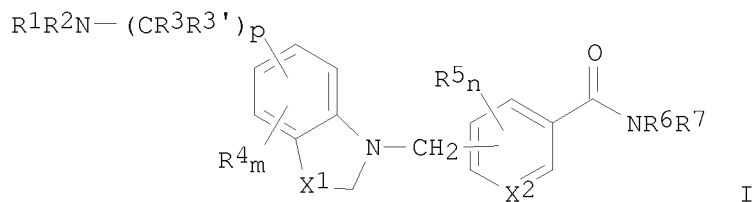
PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE       |
|---|------|----------|-----------------|------------|
| WO 2005090303   | A1   | 20050929 | WO 2005-US7702  | 20050309   |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |      |          |                 |            |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |            |
| CA 2558030  | A1   | 20050929 | CA 2005-2558030 | 20050309   |
| EP 1751103  | A1   | 20070214 | EP 2005-725070  | 20050309   |
| EP 1751103  | B1   | 20090114 |                 |            |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR   |      |          |                 |            |
| JP 2007529523   | T    | 20071025 | JP 2007-503959  | 20050309   |
| AT 420858   | T    | 20090115 | AT 2005-725070  | 20050309   |
| ES 2318472  | T3   | 20090501 | ES 2005-725070  | 20050309   |
| US 20070155793  | A1   | 20070705 | US 2006-598281  | 20060823   |
| PRIORITY APPLN. INFO.:  |      |          | US 2004-553176P | P 20040315 |
|   |      |          | WO 2005-US7702  | W 20050309 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 143:347050; MARPAT 143:347050

GI



AB Title compds. represented by the formula I [wherein X1 = CH<sub>2</sub>, CH or N; X2 = CH or N; R<sup>1</sup>, R<sup>2</sup> = independently H, alkyl(aryl), alkenyl, etc.; R<sup>3</sup>, R<sup>3'</sup> = independently H, alkyl, alkynyl, etc.; R<sup>4</sup>, R<sup>5</sup> = independently H, (halo)alkyl, aryl, etc.; m = 0-2; n = 0-2; p = 0-2; and pharmaceutically acceptable salts, solvates, prodrugs, enantiomers, racemates, diastereomers and diastereomeric mixture thereof] were prepared as opioid receptor antagonists. For example, II was provided in a multi-step synthesis starting from the reaction of 5-formylindole with 4-bromomethylbenzonitrile. I were tested for antagonistic activity of mu-, γ- and δ-opioid receptor in SPA-based GTPγS binding assay, and their pharmaceutical formulations were also presented. Thus, I and their pharmaceutical compns. are useful as opioid receptor antagonists for the treatment of obesity (no data).

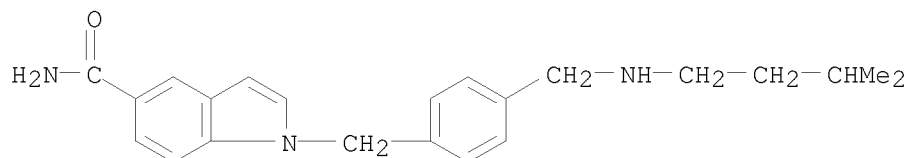
IT 865543-00-6P 865543-03-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 4-(5-(aminomethyl)indole-1-ylmethyl)benzamide derivs. as opioid receptor antagonists for treatment of obesity)

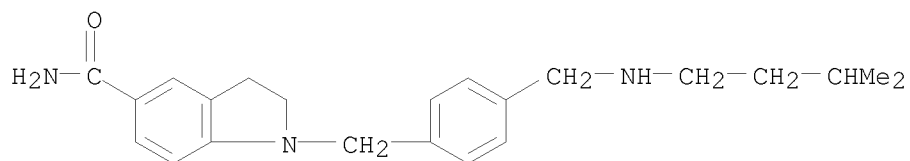
RN 865543-00-6 CAPLUS

CN 1H-Indole-5-carboxamide, 1-[[4-[[3-methylbutyl)amino]methyl]phenyl]methyl]- (CA INDEX NAME)

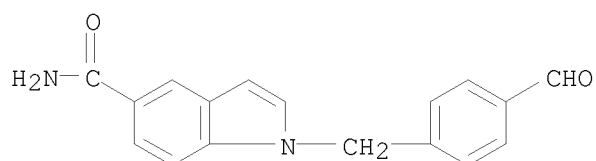


RN 865543-03-9 CAPLUS

CN 1H-Indole-5-carboxamide, 2,3-dihydro-1-[[4-[[3-methylbutyl)amino]methyl]phenyl]methyl]- (CA INDEX NAME)

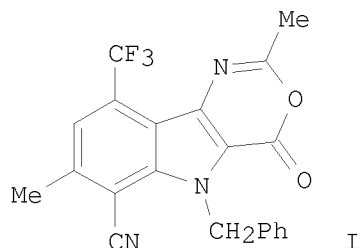


IT 865543-02-8P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation of 4-(5-(aminomethyl)indole-1-ylmethyl)benzamide derivs. as  
 opioid receptor antagonists for treatment of obesity)  
 RN 865543-02-8 CAPLUS  
 CN 1H-Indole-5-carboxamide, 1-[(4-formylphenyl)methyl]- (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 13 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN  
 ACCESSION NUMBER: 2005:348093 CAPLUS  
 DOCUMENT NUMBER: 143:43840  
 TITLE: Regioselective cyclization of unsymmetrical  
 dicyanoanilines to novel 2,3-bifunctionalized indole  
 regioisomers and their use in the synthesis of  
 4,5-dihydro[1,3]oxazino[5,4-b]indole-6-carbonitriles  
 AUTHOR(S): Maitraie, D.; Reddy, G. Venkat; Rao, V. V. V. N. S.  
 Rama; Ravikanth, S.; Narsaiah, B.; Rao, P. Shanthan;  
 Ravikumar, K.; Sridhar, B.  
 CORPORATE SOURCE: Fluoroorganic Division, Indian Institute of Chemical  
 Technology, Hyderabad, 500007, India  
 SOURCE: Tetrahedron (2005), 61(16), 3999-4008  
 CODEN: TETRAB; ISSN: 0040-4020  
 PUBLISHER: Elsevier B.V.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 143:43840  
 GI



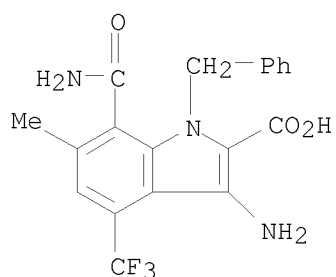


AB Synthesis of 2,3-bifunctionalized indole regioisomers from unsym.  
dicyanoanilines by regioselective cyclization in two independent ways.  
One of the regioisomers were further utilized in synthesis of  
4,5-dihydro[1,3]-oxazino[5,4-b] indole-6-carbonitriles, e.g., I.

IT 853053-03-9P 853053-06-2P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation of dihydrooxazinoindolecarbonitriles via hydrolysis of  
indolecarboxylate followed by cyclization)

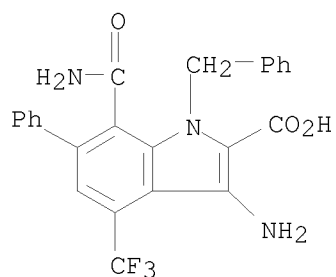
RN 853053-03-9 CAPLUS

CN 1H-Indole-2-carboxylic acid, 3-amino-7-(aminocarbonyl)-6-methyl-1-  
(phenylmethyl)-4-(trifluoromethyl)- (CA INDEX NAME)



RN 853053-06-2 CAPLUS

CN 1H-Indole-2-carboxylic acid, 3-amino-7-(aminocarbonyl)-6-phenyl-1-  
(phenylmethyl)-4-(trifluoromethyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD  
(8 CITINGS)

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 14 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2004:1124642 CAPLUS

DOCUMENT NUMBER: 142:79915

TITLE: Composition comprising a pulmonary surfactant and a  
pde5 inhibitor for the treatment of lung diseases

INVENTOR(S): Wollin, Stefan-Lutz

PATENT ASSIGNEE(S): Altana Pharma A.-G., Germany

SOURCE: PCT Int. Appl., 32 pp.  
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.     | KIND   | DATE     | APPLICATION NO. | DATE     |
|----------------|--|----------|-----------------|----------|
| WO 2004110450  | A1   | 20041223 | WO 2004-EP51120 | 20040615 |
| W:             | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |          |                 |          |
| RW:            | BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |                 |          |
| CA 2529007     | A1   | 20041223 | CA 2004-2529007 | 20040615 |
| EP 1638567     | A1   | 20060329 | EP 2004-741805  | 20040615 |
| R:             | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR   |          |                 |          |
| JP 2006527737  | T  | 20061207 | JP 2006-516154  | 20040615 |
| US 20060148693 | A1   | 20060706 | US 2005-560116  | 20051209 |
| US 7238664     | B2   | 20070703 |                 |          |

PRIORITY APPLN. INFO.:

|                 |   |          |
|-----------------|---|----------|
| EP 2003-13615   | A | 20030616 |
| WO 2004-EP51120 | W | 20040615 |

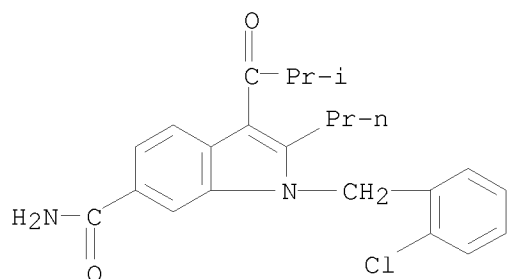
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB The invention relates to the combined administration of a pulmonary surfactant and a PDE5 inhibitor for the treatment of a disease in which pulmonary surfactant malfunction and/or phosphodiesterase 5 (PDE5) activity is detrimental. For example, a suspension for intrabronchial instillation contained Sildenafil 0.79mg and Lusupultide 15.34g.

IT 184147-65-7  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (pharmaceutical composition comprising pulmonary surfactants in combination with phosphodiesterase 5 inhibitors for the treatment of lung diseases)

RN 184147-65-7 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



|                      |   |  |
|----------------------|---|--|
| OS.CITING REF COUNT: | 1 | THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)                                     |
| REFERENCE COUNT:     | 9 | THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT |

L12 ANSWER 15 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2004:1036929 CAPLUS

DOCUMENT NUMBER: 142:16825

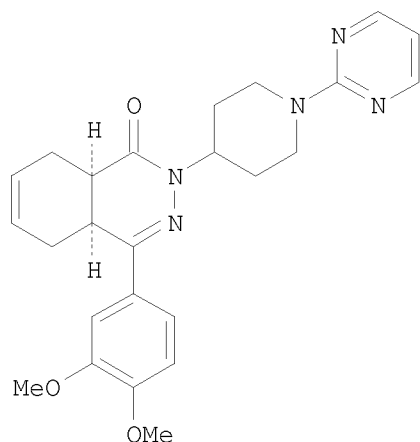
TITLE: Composition comprising a PDE4 inhibitor and a PDE5 inhibitor

INVENTOR(S): Dunkern, Thorsten; Hatzelmann, Armin; Schudt, Christian; Grimminger, Friedrich; Ghofrani, Hossein

PATENT ASSIGNEE(S): Ardeschir  
 SOURCE: Altana Pharma A.-G., Germany  
 PCT Int. Appl., 43 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.             | KIND   | DATE     | APPLICATION NO.  | DATE        |
|------------------------|--|----------|------------------|-------------|
| WO 2004103407          | A2   | 20041202 | WO 2004-EP50869  | 20040519    |
| WO 2004103407          | A3   | 20050217 |                  |             |
| W:                     | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, VZ, VC, VN, YU, ZA, ZM, ZW |          |                  |             |
| RW:                    | BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |                  |             |
| AU 2004241749          | A1   | 20041202 | AU 2004-241749   | 20040519    |
| AU 2004241749          | B2   | 20100325 |                  |             |
| CA 2525946             | A1   | 20041202 | CA 2004-2525946  | 20040519    |
| EP 1628682             | A2   | 20060301 | EP 2004-766017   | 20040519    |
| R:                     | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR   |          |                  |             |
| BR 2004010326          | A  | 20060523 | BR 2004-10326    | 20040519    |
| CN 1791429             | A  | 20060621 | CN 2004-80013349 | 20040519    |
| JP 2006528229          | T  | 20061214 | JP 2006-530210   | 20040519    |
| NZ 544040              | A  | 20090331 | NZ 2004-544040   | 20040519    |
| ZA 2005008116          | A  | 20070131 | ZA 2005-8116     | 20051007    |
| MX 2005012302          | A  | 20060130 | MX 2005-12302    | 20051115    |
| US 20060094723         | A1   | 20060504 | US 2005-556888   | 20051115    |
| IN 2005MN01393         | A  | 20070706 | IN 2005-MN1393   | 20051213    |
| IN 234325              | A1   | 20090710 |                  |             |
| NO 2005005941          | A  | 20051214 | NO 2005-5941     | 20051214    |
| US 20100234382         | A1   | 20100916 | US 2010-785973   | 20100524    |
| PRIORITY APPLN. INFO.: |  |          | EP 2003-11609    | A 20030522  |
|                        |  |          | WO 2004-EP50869  | W 20040519  |
|                        |  |          | US 2005-556888   | A1 20051115 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
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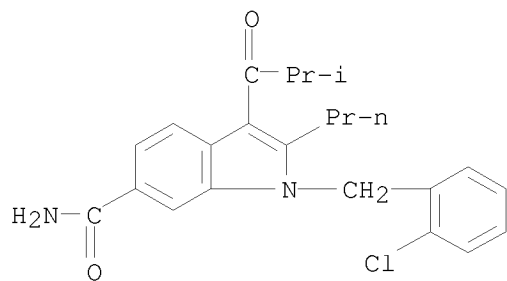
AB The invention relates to the combined administration of a PDE4 inhibitor and a PDE5 inhibitor for the treatment of a disease in which phosphodiesterase 4 (PDE4) and/or phosphodiesterase 5 (PDE5) activity is detrimental. Patients were administered orally one tablet of Roflumilase and once daily a tablet of Viagra. An example of another selected PDE4 inhibitor is I.

IT 184147-65-7, FR 181074

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(composition comprising a PDE4 inhibitor and a PDE5 inhibitor)

RN 184147-65-7 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)  
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 16 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2004:927166 CAPLUS

DOCUMENT NUMBER: 141:395428

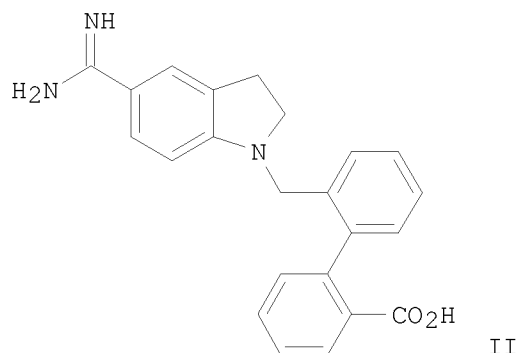
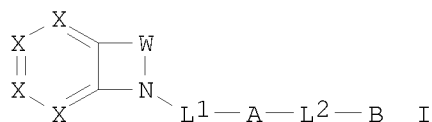
TITLE: Biarylmethyl indolines, indoles, and tetrahydroquinolines, useful as serine protease inhibitors, and particularly as anticoagulants, and their preparation, pharmaceutical compositions, and use.

INVENTOR(S): Smallheer, Joanne M.; Quan, Mimi L.; Wang, Shuaige; Bisacchi, Gregory S.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 153 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE       |
|---|------|----------|-----------------|------------|
| WO 2004094372   | A2   | 20041104 | WO 2004-US11856 | 20040415   |
| WO 2004094372   | A3   | 20050602 |                 |            |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW<br>RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG |      |          |                 |            |
| US 20040220206  | A1   | 20041104 | US 2004-824025  | 20040414   |
| US 7129264  | B2   | 20061031 |                 |            |
| EP 1633716  | A2   | 20060315 | EP 2004-750251  | 20040415   |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR   |      |          |                 |            |
| JP 2006523716   | T    | 20061019 | JP 2006-513080  | 20040415   |
| PRIORITY APPLN. INFO.:  |      |          |                 |            |
|   |      |          | US 2003-463452P | P 20030416 |
|   |      |          | US 2004-824025  | A 20040414 |
|   |      |          | WO 2004-US11856 | W 20040415 |
| OTHER SOURCE(S): MARPAT 141:395428  |      |          |                 |            |
| GI  |      |          |                 |            |



AB The invention provides compds. I or stereoisomers, pharmaceutically

acceptable salts or hydrates, or prodrugs thereof [wherein: W = (un)substituted CH<sub>2</sub>CH<sub>2</sub>, CH:CH, CH:N, or CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>; L<sub>1</sub> = CH<sub>2</sub>, CH<sub>2</sub>CH<sub>2</sub>, CH<sub>2</sub>S(O)<sub>0-2</sub>, or CH<sub>2</sub>C(O); L<sub>2</sub> = bond, (un)substituted CH<sub>2</sub>, CH<sub>2</sub>CH<sub>2</sub>, O, NH, C(O), S(O)<sub>0-2</sub>, CH<sub>2</sub>C(O), C(O)CH<sub>2</sub>, CH<sub>2</sub>O, OCH<sub>2</sub>, CH<sub>2</sub>NH, NHCH<sub>2</sub>, CH<sub>2</sub>S(O)<sub>0-2</sub>, S(O)<sub>0-2</sub>CH<sub>2</sub>, C(O)O, OC(O), C(O)NH, NHC(O), S(O)NH, S(O)<sub>2</sub>NH, NHS(O), or NHS(O)<sub>2</sub>; A = (un)substituted C<sub>3-10</sub> carbocycle or 5- to 12-membered heterocycle with 1-4 N/O/S(O)<sub>0-2</sub> heteroatoms; B = (un)substituted alk(en/yn)yl, C<sub>3-10</sub> carbocycle, or 5- to 12-membered heterocycle with 1-4 N/O/S(O)<sub>0-2</sub> heteroatoms; X = (independently) (un)substituted CH or N]. I are useful as selective inhibitors of serine protease enzymes of the coagulation cascade and/or contact activation system; for example thrombin, factor Xa, factor XIa, factor IXa, factor VIIa and/or plasma kallikrein. In particular, the invention relates to compds. that are selective factor XIa inhibitors. This invention also relates to pharmaceutical compns. comprising I, and methods of treating thromboembolic and/or inflammatory disorders using I. I had K<sub>i</sub> values of ≤ 15 μM in assays for Factor XIa and plasma kallikrein, thereby confirming their utility as effective inhibitors of these entities. Approx. 115 compds. I and various intermediates were prepared. For instance, 5-cyanoindole was reduced to 5-cyanoindoline with NaBH<sub>3</sub>CN (40%) or with Et<sub>3</sub>SiH (77%). Then, Suzuki coupling of 2-IC<sub>6</sub>H<sub>4</sub>CO<sub>2</sub>Me with 2-OCHC<sub>6</sub>H<sub>4</sub>B(OH)<sub>2</sub> gave 83% 2-OCHC<sub>6</sub>H<sub>4</sub>-C<sub>6</sub>H<sub>4</sub>CO<sub>2</sub>Me-2, which underwent reductive alkylation with 5-cyanoindoline (86%). The obtained 1-substituted 5-cyanoindoline was converted to the corresponding 5-amidoxime, which was reduced by Zn in AcOH to give the 5-amidine (18.5%). Alkaline saponification of the ester

moiety gave

invention compound II, isolated as the bis(trifluoroacetate) salt.

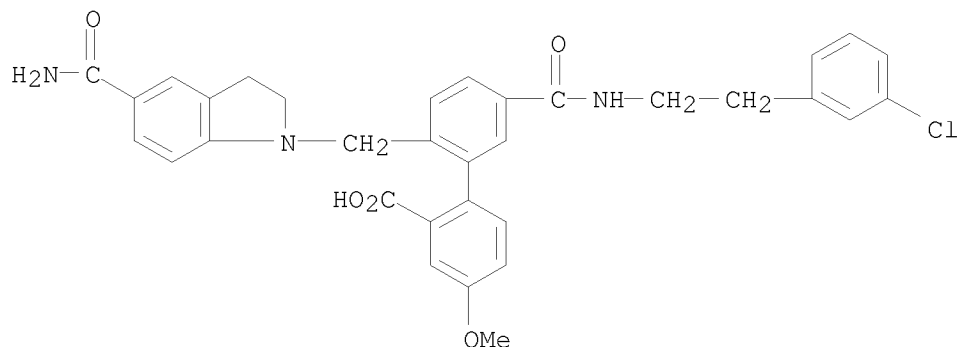
IT 787631-36-1P, 2'-(5-Carbamoyl-2,3-dihydroindol-1-ylmethyl)-5'-[(3-chlorophenethyl)carbamoyl]-4-methoxybiphenyl-2-carboxylic acid  
787631-37-2P, 5'-(Benzylcarbamoyl)-2'-(5-carbamoyl-2,3-dihydroindol-1-ylmethyl)-4-methoxybiphenyl-2-carboxylic acid

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of biarylmethyl indolines, indoles, and tetrahydroquinolines as serine protease inhibitors and anticoagulants)

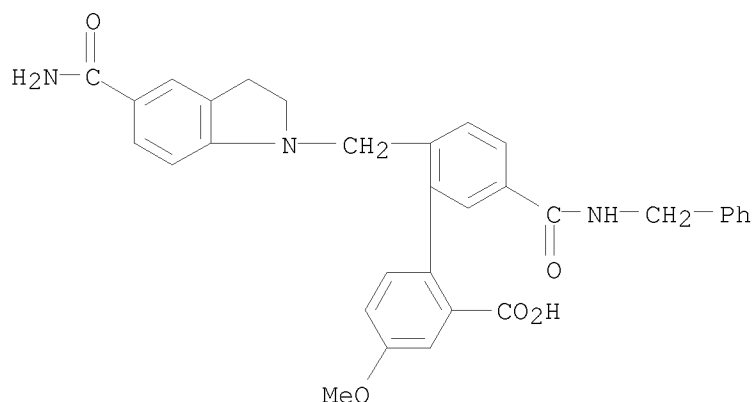
RN 787631-36-1 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid,  
2'-[[5-(aminocarbonyl)-2,3-dihydro-1H-indol-1-yl]methyl]-5'-[[[2-(3-chlorophenyl)ethyl]amino]carbonyl]-4-methoxy- (CA INDEX NAME)



RN 787631-37-2 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid,  
2'-[[5-(aminocarbonyl)-2,3-dihydro-1H-indol-1-yl]methyl]-4-methoxy-5'-[[[2-(3-chlorophenyl)ethyl]amino]carbonyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD  
(7 CITINGS)  
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 17 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2004:412918 CAPLUS

DOCUMENT NUMBER: 140:423584

TITLE: A preparation of indole derivatives useful in the  
treatment of androgen-receptor related diseases

INVENTOR(S): Hermkens, Pedro Harold Han; Stock, Herman Thijs;  
Teerhuis, Neeltje Miranda; Lommerse, Johannes Petrus  
Maria; Van der Louw, Jaap

PATENT ASSIGNEE(S): Akzo Nobel N.V., Neth.

SOURCE: PCT Int. Appl., 75 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

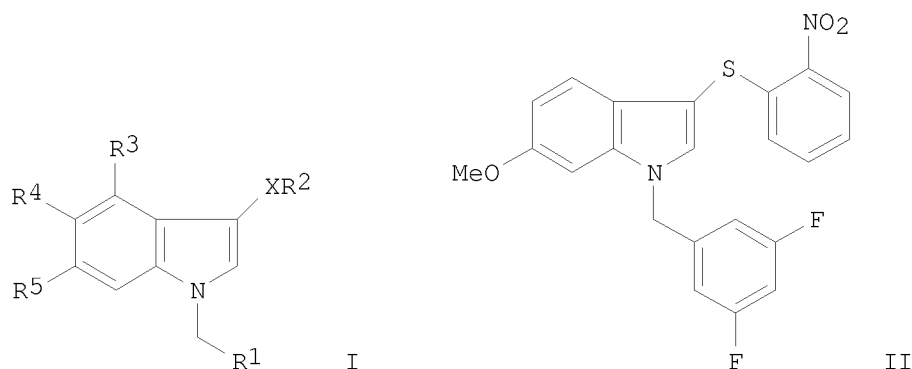
| PATENT NO.  | KIND | DATE     | APPLICATION NO.  | DATE     |
|---|------|----------|------------------|----------|
| WO 2004041782   | A1   | 20040521 | WO 2003-EP50783  | 20031103 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |      |          |                  |          |
| RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |                  |          |
| TW 310032   | B    | 20090521 | TW 2003-130277   | 20031030 |
| CA 2504907  | A1   | 20040521 | CA 2003-2504907  | 20031103 |
| AU 2003301853   | A1   | 20040607 | AU 2003-301853   | 20031103 |
| AU 2003301853   | B2   | 20100218 |                  |          |
| BR 2003016020   | A    | 20050920 | BR 2003-16020    | 20031103 |
| EP 1585727  | A1   | 20051019 | EP 2003-810458   | 20031103 |
| EP 1585727  | B1   | 20100526 |                  |          |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK   |      |          |                  |          |
| CN 1714078  | A    | 20051228 | CN 2003-80103950 | 20031103 |
| CN 100391944  | C    | 20080604 |                  |          |
| JP 2006507293   | T    | 20060302 | JP 2004-549180   | 20031103 |

|                        |    |          |                 |             |
|------------------------|----|----------|-----------------|-------------|
| JP 4643989             | B2 | 20110302 |                 |             |
| NZ 539657              | A  | 20080430 | NZ 2003-539657  | 20031103    |
| RU 2328484             | C2 | 20080710 | RU 2005-117374  | 20031103    |
| AT 469128              | T  | 20100615 | AT 2003-810458  | 20031103    |
| ES 2344836             | T3 | 20100908 | ES 2003-810458  | 20031103    |
| NO 2005002012          | A  | 20050526 | NO 2005-2012    | 20050425    |
| NO 329778              | B1 | 20101213 |                 |             |
| HR 2005000396          | A2 | 20050630 | HR 2005-396     | 20050503    |
| ZA 2005003559          | A  | 20060830 | ZA 2005-3559    | 20050504    |
| IN 2005CN00826         | A  | 20070817 | IN 2005-CN826   | 20050504    |
| IN 225099              | A1 | 20081226 |                 |             |
| MX 2005004929          | A  | 20050818 | MX 2005-4929    | 20050506    |
| US 20060128722         | A1 | 20060615 | US 2005-534945  | 20050506    |
| US 7795280             | B2 | 20100914 |                 |             |
| LV 13359               | B  | 20060320 | LV 2005-68      | 20050607    |
| HK 1078875             | A1 | 20100903 | HK 2006-101557  | 20060206    |
| US 20110065768         | A1 | 20110317 | US 2010-875295  | 20100903    |
| PRIORITY APPLN. INFO.: |    |          | EP 2002-79648   | A 20021107  |
|                        |    |          | US 2002-424579P | P 20021107  |
|                        |    |          | WO 2003-EP50783 | W 20031103  |
|                        |    |          | US 2005-534945  | A3 20050506 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 140:423584

GI



AB The invention relates to a preparation of indole derivs. of formula I [wherein: X = S, S(O), SO<sub>2</sub>; R<sup>1</sup> is (un)substituted 5- or 6-membered monocyclic, (hetero/homo)cyclic ring; R<sup>2</sup> is 2-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>, 2-cyanophenyl, 2-hydroxymethylphenyl, pyridin-2-yl, pyridin-2-yl-N-oxide, etc.; R<sup>3</sup> is H, halogen or C1-4alkyl; R<sup>4</sup> is H, OH, C1-4alkoxy, or halogen; R<sup>5</sup> is H, OH, C1-4alkoxy, NH<sub>2</sub>, CN, halogen, C1-4fluoroalkyl, or NO<sub>2</sub>, etc.], useful for the treatment of androgen-receptor related diseases. Anti-androgenic activity of the invented compds. was determined in an in vitro bioassay of Chinese hamster ovary (CHO) cells stably transfected with the human androgen receptor expression plasmid and a reporter plasmid in which the MMTV-promoter was linked to the luciferase reporter gene. For instance, indole derivs. II (EC<sub>50</sub> < 5 nM; efficacy > 0.8) was prepared via N-benylation of 6-methoxyindole by 3,5-difluorobenzyl bromide, and subsequent addition of the obtained 1-(3,5-difluorobenzyl)-6-methoxy-1H-indole to 2-nitrobenzenesulfonyl chloride (example 1).

IT 691399-73-2P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

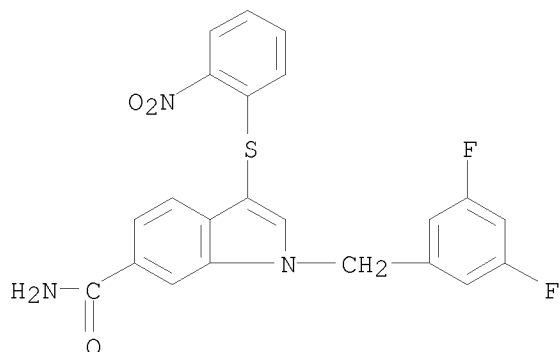


(Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of indole derivs. useful in the treatment of androgen-receptor related diseases)

RN 691399-73-2 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(3,5-difluorophenyl)methyl]-3-[(2-nitrophenyl)thio]- (CA INDEX NAME)



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 18 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2003:770917 CAPLUS

DOCUMENT NUMBER: 140:228430

TITLE: Discovery of Inhibitors that Elucidate the Role of

UCH-L1 Activity in the H1299 Lung Cancer Cell Line  
AUTHOR(S): Liu, Yichin; Lashuel, Hilal A.; Choi, Sungwoon; Xing, Xuechao; Case, April; Ni, Jake; Yeh, Li-An; Cuny, Gregory D.; Stein, Ross L.; Lansbury, Peter T.

CORPORATE SOURCE: Center for Neurologic Diseases, Brigham and Women's Hospital, Cambridge, MA, 02139, USA

SOURCE: Chemistry & Biology (2003), 10(9), 837-846  
CODEN: CBOLE2; ISSN: 1074-5521

PUBLISHER: Cell Press

DOCUMENT TYPE: Journal

LANGUAGE: English

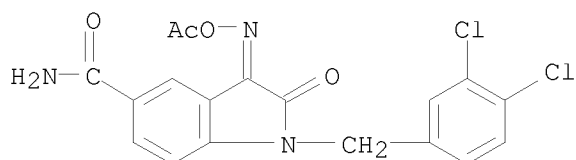
AB Neuronal ubiquitin C-terminal hydrolase (UCH-L1) has been linked to Parkinson's disease (PD), the progression of certain nonneuronal tumors, and neuropathic pain. Certain lung tumor-derived cell lines express UCH-L1 but it is not expressed in normal lung tissue, suggesting that this enzyme plays a role in tumor progression, either as a trigger or as a response. Small-mol. inhibitors of UCH-L1 would be helpful in distinguishing between these scenarios. By utilizing high-throughput screening (HTS) to find inhibitors and traditional medicinal chemical to optimize their affinity and specificity, we have identified a class of isatin O-acyl oximes that selectively inhibit UCH-L1 as compared to its systemic isoform, UCH-L3. Three representatives of this class (30, 50, 51) have IC50 values of 0.80-0.94  $\mu$ M for UCH-L1 and 17-25  $\mu$ M for UCH-L3. The Ki of 30 toward UCH-L1 is 0.40  $\mu$ M and inhibition is reversible, competitive, and active site directed. Two isatin oxime inhibitors increased proliferation of the H1299 lung tumor cell line but had no effect on a lung tumor line that does not express UCH-L1. Inhibition of UCH-L1 expression in the H1299 cell line using RNAi had a similar proproliferative effect, suggesting that the UCH-L1 enzymic activity is antiproliferative and that UCH-L1 expression may be a response

to tumor growth. The mol. mechanism of this response remains to be determined

IT 668468-14-2  
 RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (discovery of inhibitors that elucidate role of UCH-L1 activity in H1299 lung cancer)

RN 668468-14-2 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[(acetyloxy)imino]-1-[(3,4-dichlorophenyl)methyl]-2,3-dihydro-2-oxo- (CA INDEX NAME)



OS.CITING REF COUNT: 76 THERE ARE 76 CAPLUS RECORDS THAT CITE THIS RECORD (78 CITINGS)

REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 19 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2003:491029 CAPLUS

DOCUMENT NUMBER: 139:63337

TITLE: Use of selective phosphodiesterase 5 (PDE5) inhibitors in the treatment of pulmonary diseases having a ventilation-perfusion mismatch

INVENTOR(S): Ghofrani, Ardeschir; Grimminger, Friedrich Josef; Schudt, Christian

PATENT ASSIGNEE(S): Altana Pharma AG, Germany

SOURCE: PCT Int. Appl., 32 pp.  
 CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

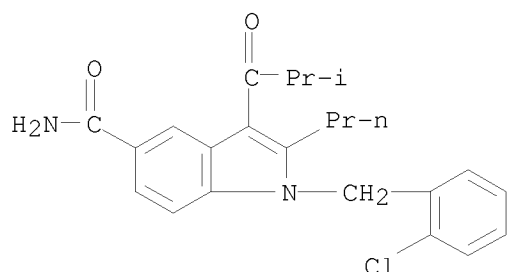
| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE       |
|---|------|----------|-----------------|------------|
| WO 2003051346   | A2   | 20030626 | WO 2002-EP14279 | 20021214   |
| WO 2003051346   | A3   | 20040212 |                 |            |
| W: AE, AL, AU, BA, BR, CA, CN, CO, CU, DZ, EC, GE, HR, HU, ID, IL, IN, IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, RO, SG, TN, UA, US, VN, YU, ZA, ZW |      |          |                 |            |
| RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR                    |      |          |                 |            |
| CA 2470210  | A1   | 20030626 | CA 2002-2470210 | 20021214   |
| AU 2002361417   | A1   | 20030630 | AU 2002-361417  | 20021214   |
| EP 1461022  | A2   | 20040929 | EP 2002-796635  | 20021214   |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK                                 |      |          |                 |            |
| JP 2005513060   | T    | 20050512 | JP 2003-552279  | 20021214   |
| US 20050107394  | A1   | 20050519 | US 2005-499215  | 20050104   |
| PRIORITY APPLN. INFO.:  |      |          |                 |            |
|   |      |          | EP 2001-129951  | A 20011217 |
|   |      |          | EP 2002-9555    | A 20020426 |
|   |      |          | EP 2002-23936   | A 20021025 |
|   |      |          | WO 2002-EP14279 | W 20021214 |
| AB The invention discloses the use of PDE5 inhibitors for the treatment of patients having a pulmonary disorder in which in which a pulmonary             |      |          |                 |            |

ventilation-pulmonary perfusion mismatch is present.

IT 184150-13-8  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
 (Biological study); USES (Uses)  
 (phosphodiesterase 5 inhibitors for treatment of pulmonary disease with  
 ventilation-perfusion mismatch)

RN 184150-13-8 CAPLUS

CN 1H-Indole-5-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
 (1 CITINGS)

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 20 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2002:777892 CAPLUS

DOCUMENT NUMBER: 137:279090

TITLE: Substituted carbazoles as inhibitors of sPLA2

INVENTOR(S): Harper, Richard Waltz; Lin, Ho-Shen; Richett, Michael  
 Enrico

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 92 pp.  
 CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| WO 2002079154   | A1   | 20021010 | WO 2002-US6636  | 20020315 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW |      |          |                 |          |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |          |
| CA 2441077  | A1   | 20021010 | CA 2002-2441077 | 20020315 |
| AU 2002244246   | A1   | 20021015 | AU 2002-244246  | 20020315 |
| EP 1395554  | A1   | 20040310 | EP 2002-709779  | 20020315 |
| EP 1395554  | B1   | 20070214 |                 |          |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR   |      |          |                 |          |
| JP 2004525154   | T    | 20040819 | JP 2002-577781  | 20020315 |
| AT 353876   | T    | 20070315 | AT 2002-709779  | 20020315 |

US 20040087796 A1 20040506 US 2003-467965 20030814  
 PRIORITY APPLN. INFO.: US 2001-279300P P 20010328  
 WO 2002-US6636 W 20020315

OTHER SOURCE(S): CASREACT 137:279090; MARPAT 137:279090

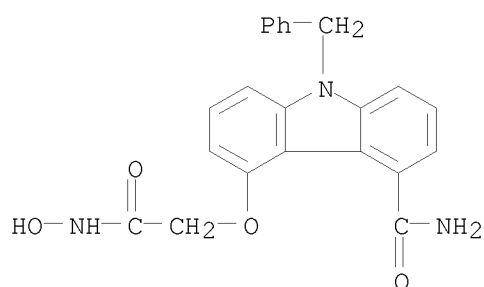
AB Carbazoles with hydroxy-functional amide (hydroxamic or esters) are disclosed together with using such compds. for inhibiting sPLA2 mediated release of fatty acids for treatment of conditions such as septic shock. Seven carbazoles, N-alkoxy-N-(5-carbamoyl-9-benzyl-4-carbazolyloxy)acetamides (alkoxy = MeO, EtO, PhCH<sub>2</sub>O), their derivs. and analogs, were prepared by amidation of 9-benzyl-5-carbamoyl-4-carbazolylacetic acid sodium salt with O-alkoxy hydroxylamine hydrochlorides in 50-88% yields. The carbazoles gave IC<sub>50</sub> (nM) values of 12.0-29.0 against sPLA2.

IT 466635-42-7P 466635-47-2P 466635-49-4P  
 466635-50-7P 466635-51-8P 466635-53-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation of carbazolyloxyacetamide sPLA2 inhibitors)

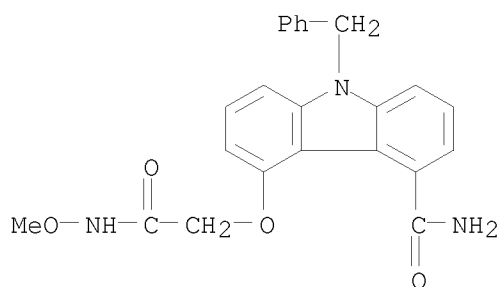
RN 466635-42-7 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-[2-(hydroxyamino)-2-oxoethoxy]-9-(phenylmethyl)- (CA INDEX NAME)



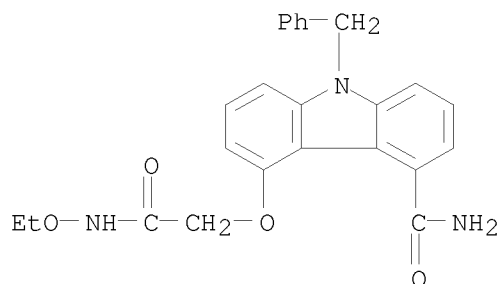
RN 466635-47-2 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-[2-(methoxyamino)-2-oxoethoxy]-9-(phenylmethyl)- (CA INDEX NAME)



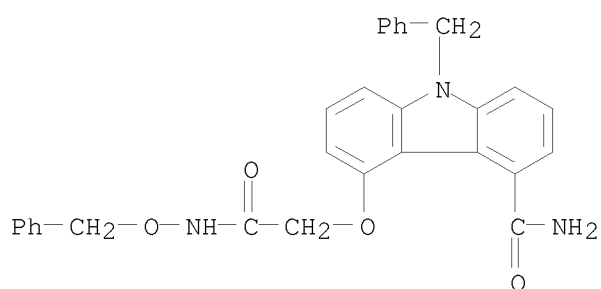
RN 466635-49-4 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-[2-(ethoxyamino)-2-oxoethoxy]-9-(phenylmethyl)- (CA INDEX NAME)



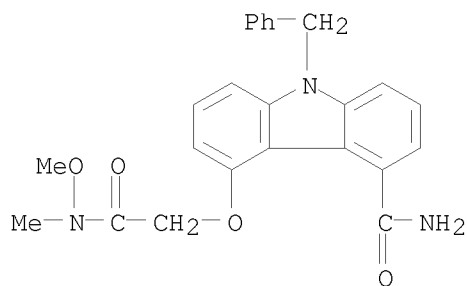
RN 466635-50-7 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-[2-oxo-2-[(phenylmethoxy)amino]ethoxy]-9-(phenylmethyl)- (CA INDEX NAME)



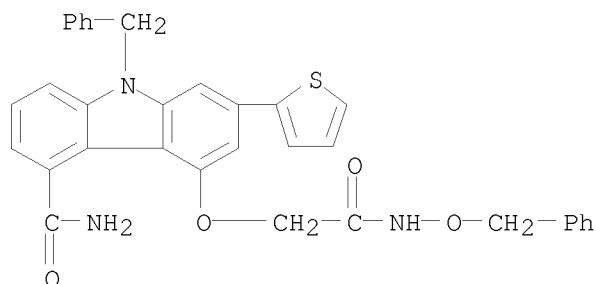
RN 466635-51-8 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-[2-(methoxymethylamino)-2-oxoethoxy]-9-(phenylmethyl)- (CA INDEX NAME)

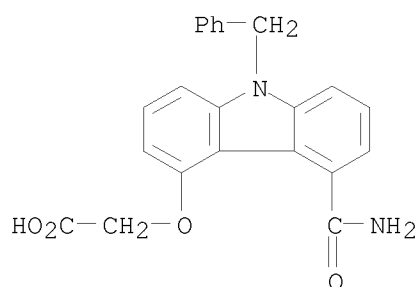


RN 466635-53-0 CAPLUS

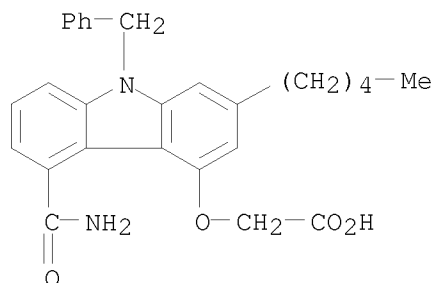
CN 9H-Carbazole-4-carboxamide, 5-[2-oxo-2-[(phenylmethoxy)amino]ethoxy]-9-(phenylmethyl)-7-(2-thienyl)- (CA INDEX NAME)



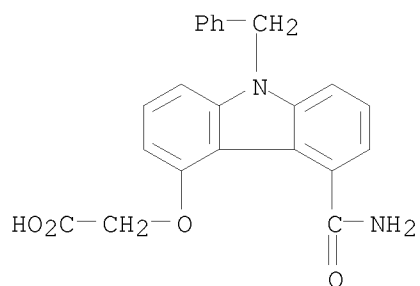
IT 207340-86-1  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of carbazolyloxyacetamide sPLA2 inhibitors)  
 RN 207340-86-1 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-  
 (CA INDEX NAME)



IT 220862-30-6P 246513-34-8P 246513-45-1P  
 246513-46-2P 247902-84-7P 247902-85-8P  
 247904-05-8P 247904-15-0P 247904-16-1P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation of carbazolyloxyacetamide sPLA2 inhibitors)  
 RN 220862-30-6 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-2-pentyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-  
 (CA INDEX NAME)

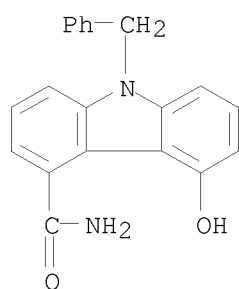


RN 246513-34-8 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-  
 , sodium salt (1:1) (CA INDEX NAME)

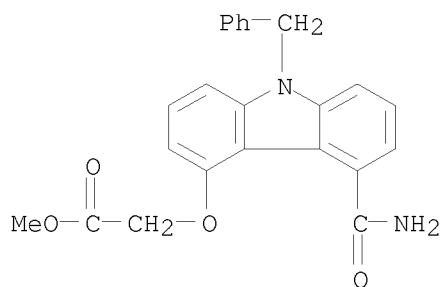


● Na

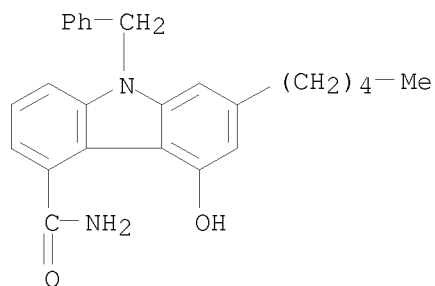
RN 246513-45-1 CAPLUS  
 CN 9H-Carbazole-4-carboxamide, 5-hydroxy-9-(phenylmethyl)- (CA INDEX NAME)



RN 246513-46-2 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)

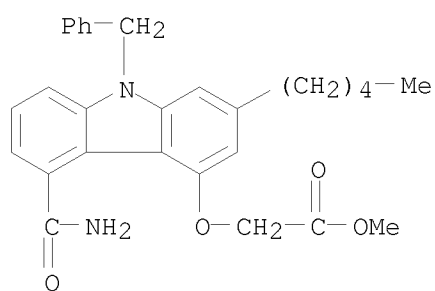


RN 247902-84-7 CAPLUS  
 CN 9H-Carbazole-4-carboxamide, 5-hydroxy-7-pentyl-9-(phenylmethyl)- (CA INDEX NAME)



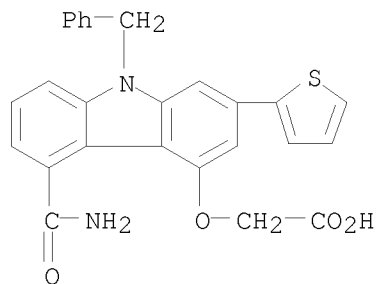
RN 247902-85-8 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-pentyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



RN 247904-05-8 CAPLUS

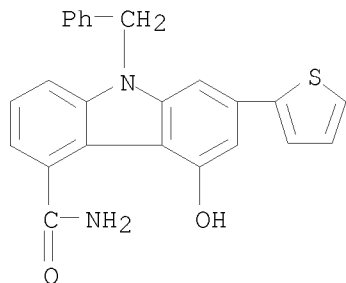
CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-2-(2-thienyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



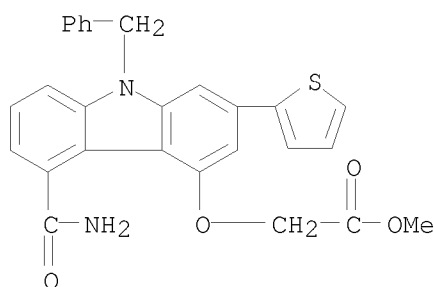
RN 247904-15-0 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-hydroxy-9-(phenylmethyl)-7-(2-thienyl)- (CA INDEX NAME)

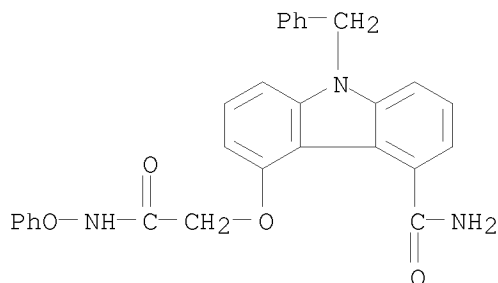




RN 247904-16-1 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-2-(2-thienyl)-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



IT 466635-52-9P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of carbazolyloxyacetamide sPLA2 inhibitors)  
 RN 466635-52-9 CAPLUS  
 CN 9H-Carbazole-4-carboxamide, 5-[2-oxo-2-(phenoxyamino)ethoxy]-9-(phenylmethyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 15 THERE ARE 15 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS)  
 REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 21 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN  
 ACCESSION NUMBER: 2002:736140 CAPLUS  
 DOCUMENT NUMBER: 137:242179  
 TITLE: Remedies for arteriosclerosis  
 INVENTOR(S): Saiga, Akihiko; Ono, Takashi; Yamada, Katsutoshi;  
 Hanasaki, Kohji  
 PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan

SOURCE: PCT Int. Appl., 83 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE        |
|---|------|----------|-----------------|-------------|
| WO 2002074342   | A1   | 20020926 | WO 2002-JP2585  | 20020319    |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW |      |          |                 |             |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |             |
| TW 314457   | B    | 20090911 | TW 2002-105096  | 20020318    |
| CA 2441110  | A1   | 20020926 | CA 2002-2441110 | 20020319    |
| CA 2441110  | C    | 20101012 |                 |             |
| AU 2002238962   | A1   | 20021003 | AU 2002-238962  | 20020319    |
| EP 1378246  | A1   | 20040107 | EP 2002-705327  | 20020319    |
| EP 1378246  | B1   | 20090415 |                 |             |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR   |      |          |                 |             |
| BR 2002008275   | A    | 20040413 | BR 2002-8275    | 20020319    |
| CN 1553814  | A    | 20041208 | CN 2002-809552  | 20020319    |
| CN 1553814  | B    | 20100526 |                 |             |
| EP 2044958  | A2   | 20090408 | EP 2008-21793   | 20020319    |
| EP 2044958  | A3   | 20090708 |                 |             |
| R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE, TR   |      |          |                 |             |
| AT 428425   | T    | 20090515 | AT 2002-705327  | 20020319    |
| PT 1378246  | E    | 20090522 | PT 2002-705327  | 20020319    |
| KR 908968   | B1   | 20090722 | KR 2003-7012268 | 20020319    |
| ES 2324766  | T3   | 20090814 | ES 2002-705327  | 20020319    |
| JP 4499361  | B2   | 20100707 | JP 2002-573049  | 20020319    |
| MX 2003008440   | A    | 20040129 | MX 2003-8440    | 20030918    |
| US 20040248898  | A1   | 20041209 | US 2003-472234  | 20030922    |
| PRIORITY APPLN. INFO.:  |      |          | JP 2001-78569   | A 20010319  |
|   |      |          | JP 2001-401289  | A 20011228  |
|   |      |          | EP 2002-705327  | A3 20020319 |
|   |      |          | WO 2002-JP2585  | W 20020319  |

OTHER SOURCE(S): MARPAT 137:242179

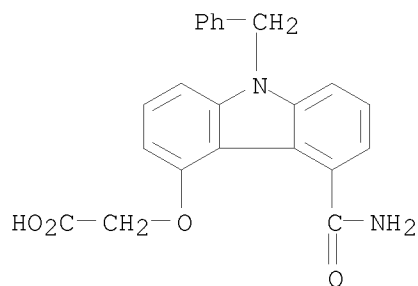
AB Novel remedies and preventives for arteriosclerosis which are characterized by treating or preventing arteriosclerosis with the use of V type and/or X type sPLA2 inhibitors.

IT 207340-86-1 220862-34-0 220862-37-3  
 220862-61-3

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (remedies for arteriosclerosis)

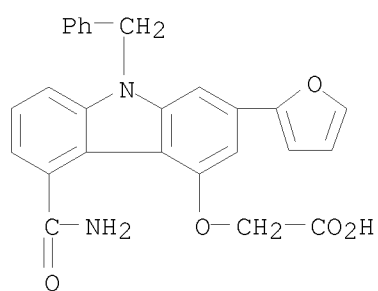
RN 207340-86-1 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-  
 (CA INDEX NAME)



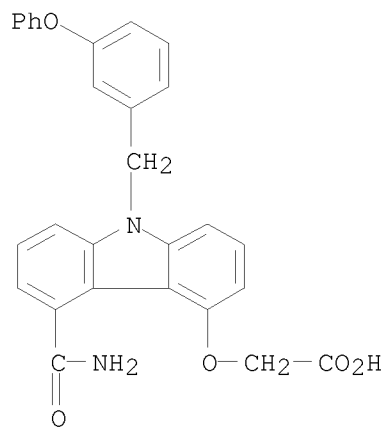
RN 220862-34-0 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-(2-furanyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



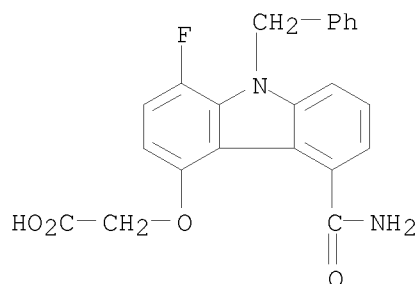
RN 220862-37-3 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3-phenoxyphenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-61-3 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-1-fluoro-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
(1 CITINGS)  
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 22 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2002:487530 CAPLUS

DOCUMENT NUMBER: 137:47114

TITLE: Novel sPLA2 inhibitors

INVENTOR(S): Beight, Douglas Wade; Kinnick, Michael Dean; Lin, Ho-Shen; Morin, John Michael, Jr.; Richett, Michael Enrico; Sall, Daniel Jon; Sawyer, Jason Scott

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 140 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.     | KIND   | DATE     | APPLICATION NO. | DATE     |
|----------------|--|----------|-----------------|----------|
| WO 2002050034  | A2   | 20020627 | WO 2001-US43185 | 20011206 |
| WO 2002050034  | A3   | 20030116 |                 |          |
| W:             | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW |          |                 |          |
| RW:            | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |                 |          |
| CA 2431028     | A1   | 20020627 | CA 2001-2431028 | 20011206 |
| AU 2002039263  | A  | 20020701 | AU 2002-39263   | 20011206 |
| EP 1345898     | A2   | 20030924 | EP 2001-987004  | 20011206 |
| R:             | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR   |          |                 |          |
| JP 2004518659  | T  | 20040624 | JP 2002-551531  | 20011206 |
| US 20040063941 | A1   | 20040401 | US 2003-450633  | 20030616 |
| US 6872743     | B2   | 20050329 |                 |          |

PRIORITY APPLN. INFO.: US 2000-256396P P 20001218  
WO 2001-US43185 W 20011206

OTHER SOURCE(S): MARPAT 137:47114

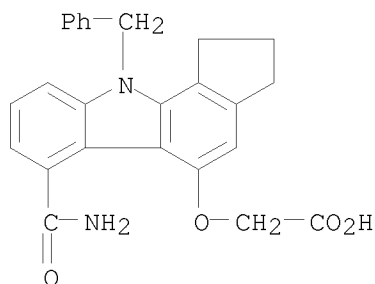
AB A novel class of tetracyclic compds. is disclosed together using such compds. for inhibiting sPLA2 mediated release of fatty acids for treatment of Inflammatory Diseases such as septic shock. Several carbazole derivs. were prepared in several steps by standard methods and tested as sPLA2 inhibitors. E.g., Me (11-benzyl-7-carbamoyl-11H-benzo[a]carbazol-6-

yloxy)acetate, prepared in 59% yield, had an IC50 0.0094  $\mu$ M against sPLA2.

IT 438588-86-4P 438588-88-6P 438589-51-6P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation of carbazole derivs. as sPLA2 inhibitors)

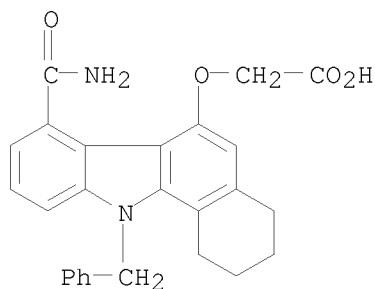
RN 438588-86-4 CAPLUS

CN Acetic acid, 2-[[6-(aminocarbonyl)-1,2,3,10-tetrahydro-10-(phenylmethyl)cyclopenta[a]carbazol-5-yl]oxy]- (CA INDEX NAME)



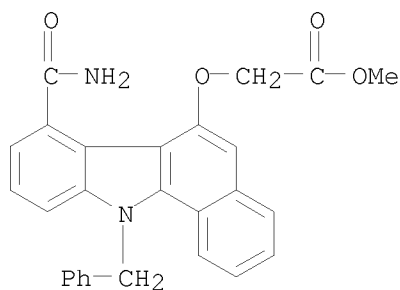
RN 438588-88-6 CAPLUS

CN Acetic acid, 2-[[7-(aminocarbonyl)-2,3,4,11-tetrahydro-11-(phenylmethyl)-1H-benzo[a]carbazol-6-yl]oxy]- (CA INDEX NAME)



RN 438589-51-6 CAPLUS

CN Acetic acid, 2-[[7-(aminocarbonyl)-11-(phenylmethyl)-11H-benzo[a]carbazol-6-yl]oxy]-, methyl ester (CA INDEX NAME)



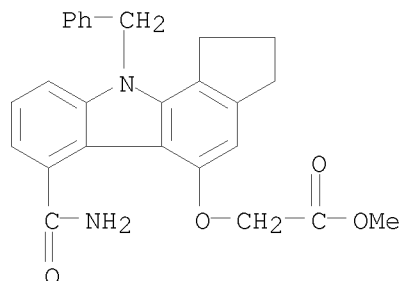
IT 438588-85-3P 438588-87-5P 438589-53-8P  
 438589-54-9P 438589-55-0P 438589-61-8P  
 438589-66-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of carbazole derivs. as sPLA2 inhibitors)

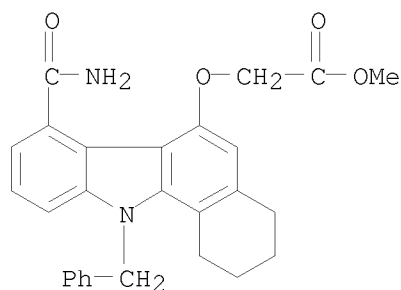
RN 438588-85-3 CAPLUS

CN Acetic acid, 2-[[6-(aminocarbonyl)-1,2,3,10-tetrahydro-10-(phenylmethyl)cyclopenta[a]carbazol-5-yl]oxy]-, methyl ester (CA INDEX NAME)



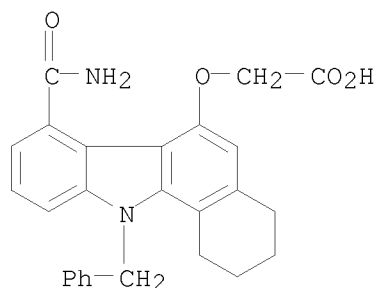
RN 438588-87-5 CAPLUS

CN Acetic acid, 2-[[7-(aminocarbonyl)-2,3,4,11-tetrahydro-11-(phenylmethyl)-1H-benzo[a]carbazol-6-yl]oxy]-, methyl ester (CA INDEX NAME)



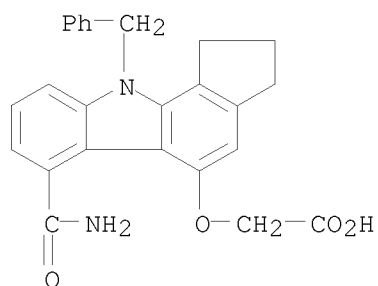
RN 438589-53-8 CAPLUS

CN Acetic acid, 2-[[7-(aminocarbonyl)-2,3,4,11-tetrahydro-11-(phenylmethyl)-1H-benzo[a]carbazol-6-yl]oxy]-, sodium salt (1:1) (CA INDEX NAME)



RN 438589-54-9 CAPLUS

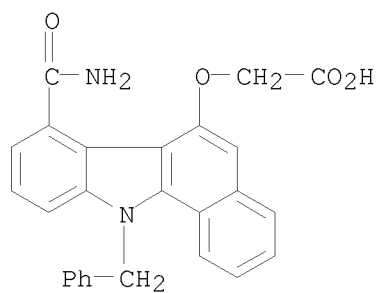
CN Acetic acid, 2-[[6-(aminocarbonyl)-1,2,3,10-tetrahydro-10-(phenylmethyl)cyclopenta[a]carbazol-5-yl]oxy]-, sodium salt (1:1) (CA INDEX NAME)



● Na

RN 438589-55-0 CAPLUS

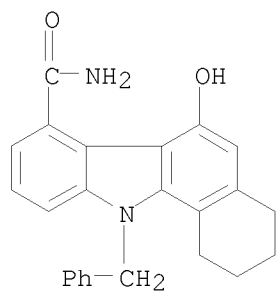
CN Acetic acid, 2-[[7-(aminocarbonyl)-11-(phenylmethyl)-11H-benzo[a]carbazol-6-yl]oxy]-, sodium salt (1:1) (CA INDEX NAME)



● Na

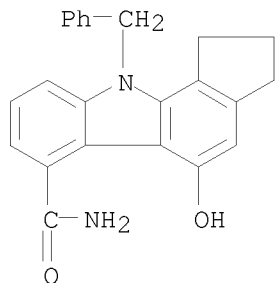
RN 438589-61-8 CAPLUS

CN 1H-Benzo[a]carbazole-7-carboxamide, 2,3,4,11-tetrahydro-6-hydroxy-11-(phenylmethyl)- (CA INDEX NAME)

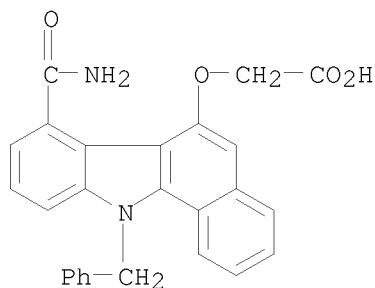


RN 438589-66-3 CAPLUS

CN Cyclopenta[a]carbazole-6-carboxamide, 1,2,3,10-tetrahydro-5-hydroxy-10-(phenylmethyl)- (CA INDEX NAME)



IT 438589-52-7P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of carbazole derivs. as sPLA2 inhibitors)  
 RN 438589-52-7 CAPLUS  
 CN Acetic acid, 2-[[7-(aminocarbonyl)-11-(phenylmethyl)-11H-benzo[a]carbazol-6-yl]oxy]- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD  
 (2 CITINGS)  
 REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 23 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2002:487525 CAPLUS

DOCUMENT NUMBER: 137:47111

TITLE: Novel sPLA2 inhibitors

INVENTOR(S): Beight, Douglas Wade; Jandzinski, John David; Kinnick, Michael Dean; Lin, Ho-Shen; Morin, John Michael, Jr.; Richett, Michael Enrico; Sall, Daniel Jon; Sawyer, Jason Scott

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 161 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.    | KIND | DATE     | APPLICATION NO. | DATE     |
|---------------|------|----------|-----------------|----------|
| WO 2002050029 | A2   | 20020627 | WO 2001-US43186 | 20011206 |
| WO 2002050029 | A3   | 20020906 |                 |          |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,



PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,  
 US, UZ, VN, YU, ZA, ZW  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB,  
 GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA,  
 GN, GQ, GW, ML, MR, NE, SN, TD, TG

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|---------------|----|----------|-----------------|----------|
| CA 2431721    | A1 | 20020627 | CA 2001-2431721 | 20011206 |
| AU 2002039264 | A  | 20020701 | AU 2002-39264   | 20011206 |
| EP 1349836    | A2 | 20031008 | EP 2001-987005  | 20011206 |
| EP 1349836    | B1 | 20060614 |                 |          |

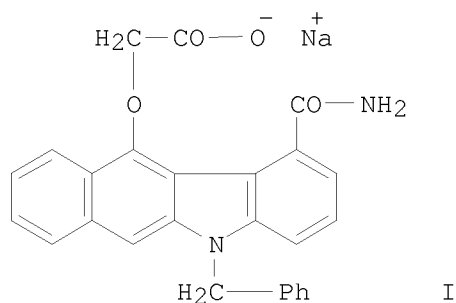
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

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|----------------|----|----------|----------------|----------|
| JP 2004523504  | T  | 20040805 | JP 2002-551526 | 20011206 |
| AT 329905      | T  | 20060715 | AT 2001-987005 | 20011206 |
| ES 2264708     | T3 | 20070116 | ES 2001-987005 | 20011206 |
| US 20040092543 | A1 | 20040513 | US 2003-450745 | 20030616 |
| US 6992100     | B2 | 20060131 |                |          |

PRIORITY APPLN. INFO.:

|                 |   |          |
|-----------------|---|----------|
| US 2000-256395P | P | 20001218 |
| WO 2001-US43186 | W | 20011206 |

OTHER SOURCE(S): MARPAT 137:47111  
 GI



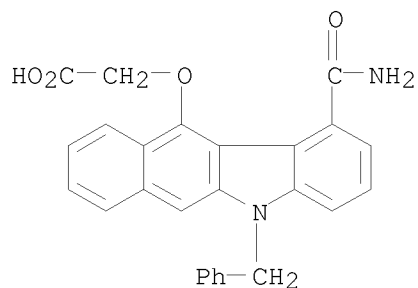
AB A novel class of tetracyclic compds. is disclosed together using such compds. for inhibiting sPLA2 mediated release of fatty acids for treatment of Inflammatory Diseases such as septic shock. Benzocarbazole I, prepared in several steps by standard methods, exhibited an inhibition value IC50 38.6 μM against sPLA2.

IT 438588-82-0P 438588-83-1P 438588-85-3P  
 438588-86-4P 438588-87-5P 438588-88-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation of benzocarbazoles for inhibition of sPLA2)

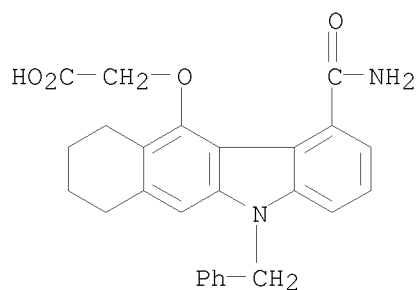
RN 438588-82-0 CAPLUS

CN Acetic acid, 2-[[1-(aminocarbonyl)-5-(phenylmethyl)-5H-benzo[b]carbazol-11-yl]oxy]-, sodium salt (1:1) (CA INDEX NAME)



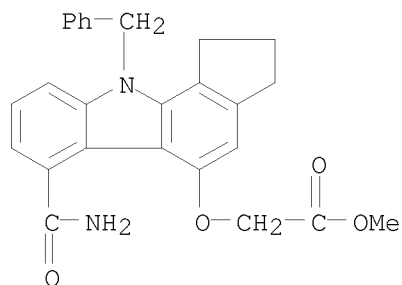
● Na

RN 438588-83-1 CAPLUS  
 CN Acetic acid, 2-[[1-(aminocarbonyl)-7,8,9,10-tetrahydro-5-(phenylmethyl)-5H-benzo[b]carbazol-11-yl]oxy]-, sodium salt (1:1) (CA INDEX NAME)

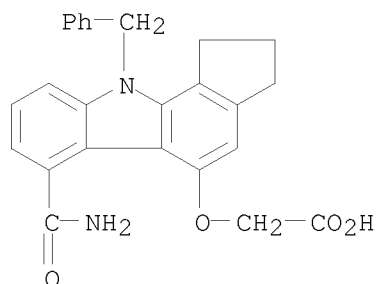


● Na

RN 438588-85-3 CAPLUS  
 CN Acetic acid, 2-[[6-(aminocarbonyl)-1,2,3,10-tetrahydro-10-(phenylmethyl)cyclopenta[a]carbazol-5-yl]oxy]-, methyl ester (CA INDEX NAME)

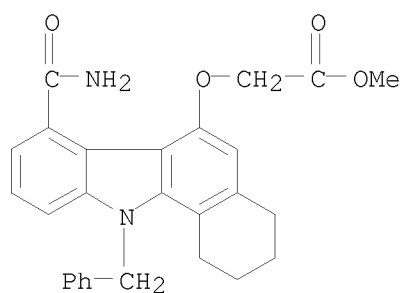


RN 438588-86-4 CAPLUS  
 CN Acetic acid, 2-[[6-(aminocarbonyl)-1,2,3,10-tetrahydro-10-(phenylmethyl)cyclopenta[a]carbazol-5-yl]oxy]- (CA INDEX NAME)



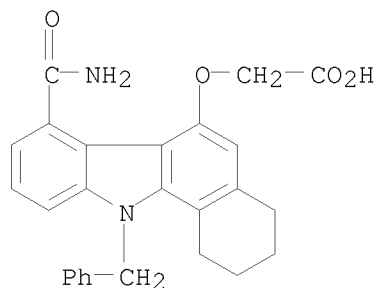
RN 438588-87-5 CAPLUS

CN Acetic acid, 2-[[7-(aminocarbonyl)-2,3,4,11-tetrahydro-11-(phenylmethyl)-1H-benzo[a]carbazol-6-yl]oxy]-, methyl ester (CA INDEX NAME)



RN 438588-88-6 CAPLUS

CN Acetic acid, 2-[[7-(aminocarbonyl)-2,3,4,11-tetrahydro-11-(phenylmethyl)-1H-benzo[a]carbazol-6-yl]oxy]- (CA INDEX NAME)

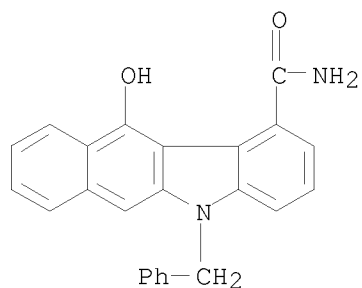


IT 438588-93-3P 438588-94-4P 438589-01-6P  
438589-02-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of benzocarbazoles for inhibition of sPLA2)

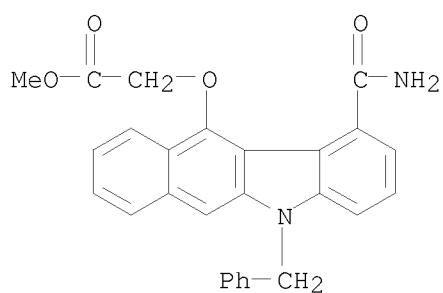
RN 438588-93-3 CAPLUS

CN 5H-Benzo[b]carbazole-1-carboxamide, 11-hydroxy-5-(phenylmethyl)- (CA INDEX NAME)



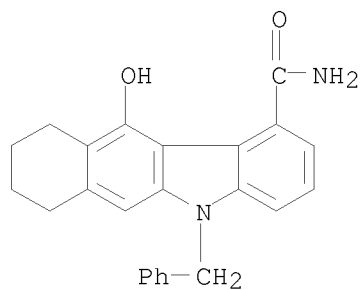
RN 438588-94-4 CAPLUS

CN Acetic acid, 2-[[1-(aminocarbonyl)-5-(phenylmethyl)-5H-benzo[b]carbazol-11-yl]oxy]-, methyl ester (CA INDEX NAME)



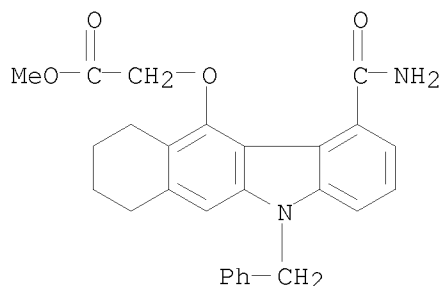
RN 438589-01-6 CAPLUS

CN 5H-Benzo[b]carbazole-1-carboxamide, 7,8,9,10-tetrahydro-11-hydroxy-5-(phenylmethyl)- (CA INDEX NAME)



RN 438589-02-7 CAPLUS

CN Acetic acid, 2-[[1-(aminocarbonyl)-7,8,9,10-tetrahydro-5-(phenylmethyl)-5H-benzo[b]carbazol-11-yl]oxy]-, methyl ester (CA INDEX NAME)

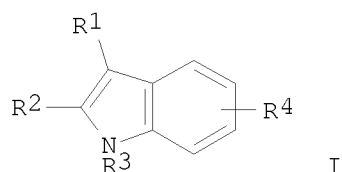


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 24 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN  
 ACCESSION NUMBER: 2002:213824 CAPLUS  
 DOCUMENT NUMBER: 136:247492  
 TITLE: Preparation of indolecarboxylates as neoplasm inhibitors.  
 INVENTOR(S): Pamukcu, Rifat; Piazza, Gary A.  
 PATENT ASSIGNEE(S): Cell Pathways, Inc., USA  
 SOURCE: U.S., 45 pp., Cont. of U.S. Ser. No. 200,139, abandoned.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.             | KIND              | DATE     | APPLICATION NO. | DATE        |
|------------------------|-------------------|----------|-----------------|-------------|
| US 6358992             | B1                | 20020319 | US 1999-443395  | 19991119    |
| PRIORITY APPLN. INFO.: |                   |          | US 1998-200139  | B1 19981125 |
| OTHER SOURCE(S):       | MARPAT 136:247492 |          |                 |             |

GI



AB Claimed is a method of treating a mammal having precancerous lesions comprising administration of title compds. [I; R1 = H, halo, NO2, (protected) carboxy, acyl, cyano, hydroxyiminoalkyl, alkenyl optionally substituted with oxo, alkyl optionally substituted with protected carboxy, carboxy, OH; R2 = H, halo, alkenyl, acyl, alkyl optionally substituted with protected carboxy, carboxy, alkoxy, OH; R1R2 = atoms to form a 4-7 membered (oxo)carbocyclic ring; R3 = (substituted) alkenyl, alkyl; R4 = (protected) carboxy, acyl, cyano, halo, heterocyclyl, amino optionally substituted with acyl or protected carboxy, alkyl optionally substituted with (protected) carboxy, acyl] (no data). Thus, Me 3-acetyl-2-propylindole-6-carboxylate in DMF was treated with NaH then with 2-chlorobenzyl bromide followed by stirring for 1 h to give Me 3-acetyl-1-(2-chlorobenzyl)-2-propylindole-6-carboxylate.

IT 184147-86-2P 184148-12-7P 184148-20-7P

184148-72-9P      184148-77-4P      184149-11-9P

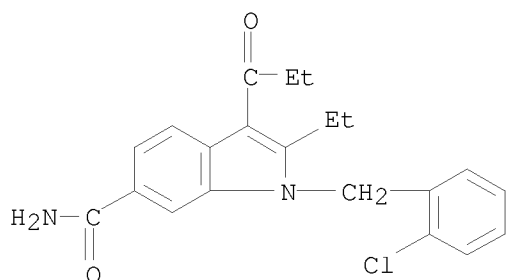
184150-27-4P      184150-38-7P      184150-41-2P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of indolecarboxylates as neoplasm inhibitors)

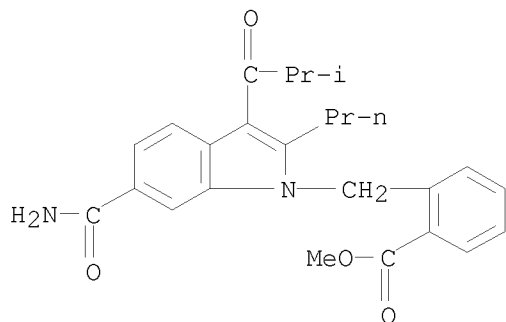
RN 184147-86-2 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-ethyl-3-(1-oxopropyl)- (CA INDEX NAME)



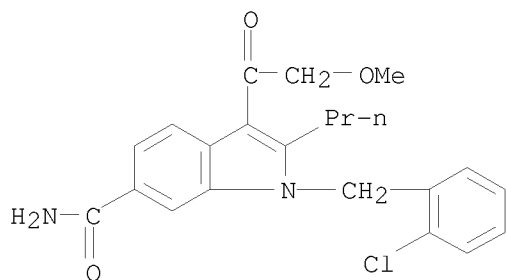
RN 184148-12-7 CAPLUS

CN Benzoic acid, 2-[[6-(aminocarbonyl)-3-(2-methyl-1-oxopropyl)-2-propyl-1H-indol-1-yl]methyl]-, methyl ester (CA INDEX NAME)



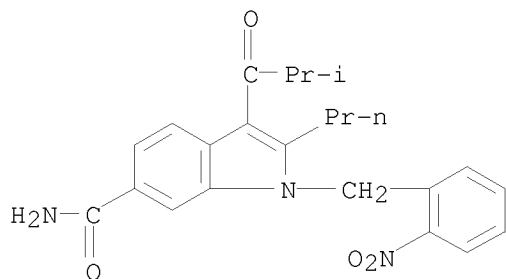
RN 184148-20-7 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methoxyacetyl)-2-propyl- (CA INDEX NAME)

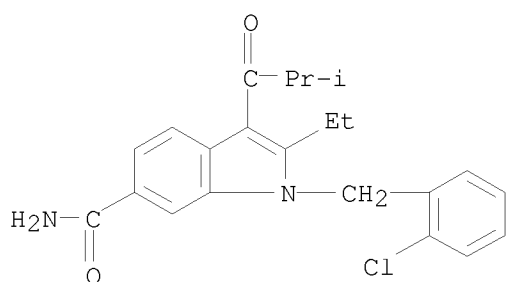


RN 184148-72-9 CAPLUS

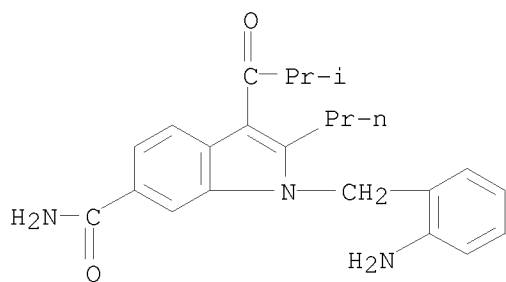
CN 1H-Indole-6-carboxamide, 3-(2-methyl-1-oxopropyl)-1-[(2-nitrophenyl)methyl]-2-propyl- (CA INDEX NAME)



RN 184148-77-4 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-ethyl-3-(2-methyl-1-oxopropyl)- (CA INDEX NAME)

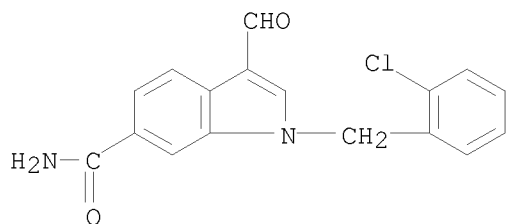


RN 184149-11-9 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-aminophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl-, hydrochloride (1:?) (CA INDEX NAME)



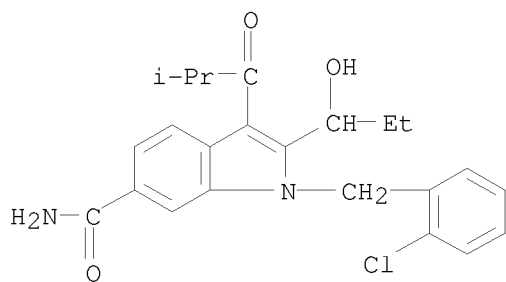
●x HCl

RN 184150-27-4 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-formyl- (CA INDEX NAME)



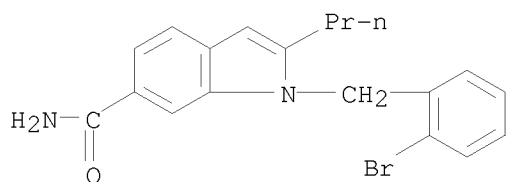
RN 184150-38-7 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-(1-hydroxypropyl)-3-(2-methyl-1-oxopropyl)- (CA INDEX NAME)



RN 184150-41-2 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-bromophenyl)methyl]-2-propyl- (CA INDEX NAME)



|    |  |               |              |
|----|--|---------------|--------------|
| IT | 184147-58-8P   | 184147-65-7P  | 184147-72-6P |
|    | 184147-80-6P   | 184147-92-0P  | 184147-98-6P |
|    | 184148-11-6P   | 184148-13-8P  | 184148-14-9P |
|    | 184148-15-0P   | 184148-16-1P  | 184148-17-2P |
|    | 184148-19-4P   | 184148-21-8P  | 184148-66-1P |
|    | 184148-67-2P   | 184148-68-3P  | 184148-69-4P |
|    | 184148-70-7P   | 184148-71-8P  | 184148-73-0P |
|    | 184148-74-1P   | 184148-75-2P  | 184148-76-3P |
|    | 184148-78-5P   | 184148-79-6P  | 184148-80-9P |
|    | 184148-82-1P   | 184148-83-2P  | 184148-84-3P |
|    | 184148-85-4P   | 184148-86-5P  | 184148-87-6P |
|    | 184148-89-8P   | 184148-90-1P  | 184149-00-6P |
|    | 184149-12-0P   | 184149-15-3P  | 184149-16-4P |
|    | 184149-17-5P   | 184149-18-6P  | 184149-22-2P |
|    | 184149-23-3P   | 184149-24-4P  | 184149-35-7P |
|    | 184149-56-2P   | 184149-57-3P  | 184149-58-4P |
|    | 184149-59-5P   | 184149-60-8P  | 184149-61-9P |
|    | 184149-62-0P   | 184149-63-1P  | 184149-64-2P |
|    | 184149-65-3P   | 184149-66-4P  | 184149-67-5P |
|    | 184150-10-5P   | 184150-11-6P, |              |
|    | 4-(2-Chlorobenzyl)-1-oxo-1,2,3,4-tetrahydrocyclopent[b]indole-6- |               |              |



|              |              |               |
|--------------|--------------|---------------|
| carboxamide  | 184150-12-7P | 184150-13-8P  |
| 184150-14-9P | 184150-15-0P | 184150-16-1P  |
| 184150-17-2P | 184150-18-3P | 184150-19-4P  |
| 184150-22-9P | 184150-23-0P | 184150-24-1P  |
| 184150-25-2P | 184150-28-5P | 184150-31-0P  |
| 184150-32-1P | 184150-34-3P | 184150-35-4P  |
| 184150-37-6P | 184150-39-8P | 184150-40-1P  |
| 184150-42-3P | 184150-43-4P | 184150-44-5P  |
| 184150-45-6P | 184150-46-7P | 184150-47-8P  |
| 184150-48-9P | 184150-49-0P | 184150-50-3P  |
| 184150-53-6P | 184150-54-7P | 184150-55-8P  |
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| 184150-59-2P | 184150-66-1P | 184151-83-5P, |

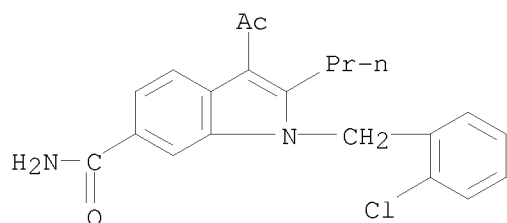
9-(2-Chlorobenzyl)-5-oxo-5,6,7,8-tetrahydrocarbazole-2-carboxamide  
184151-84-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of indolecarboxylates as neoplasm inhibitors)

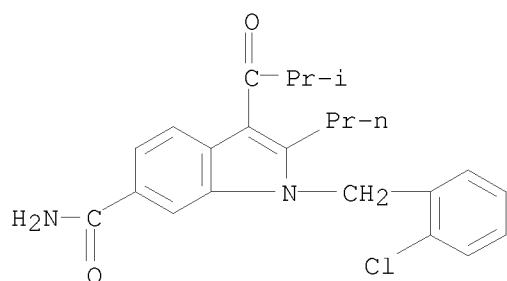
RN 184147-58-8 CAPLUS

CN 1H-Indole-6-carboxamide, 3-acetyl-1-[(2-chlorophenyl)methyl]-2-propyl- (CA INDEX NAME)



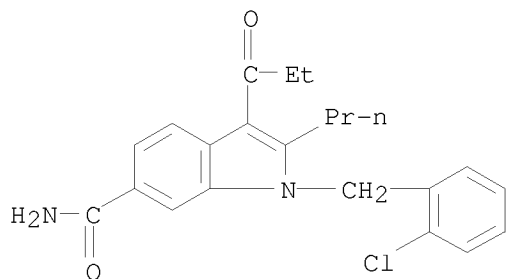
RN 184147-65-7 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)

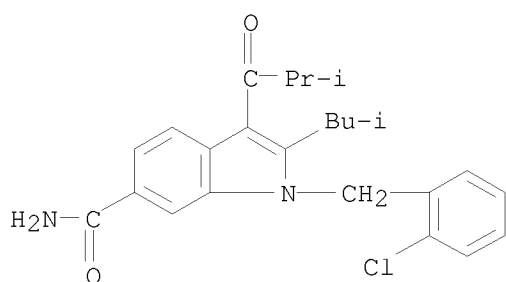


RN 184147-72-6 CAPLUS

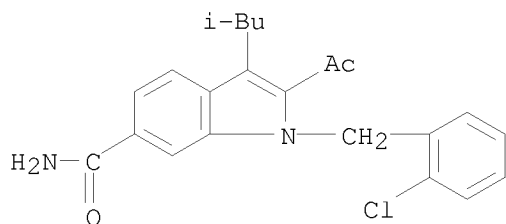
CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(1-oxopropyl)-2-propyl- (CA INDEX NAME)



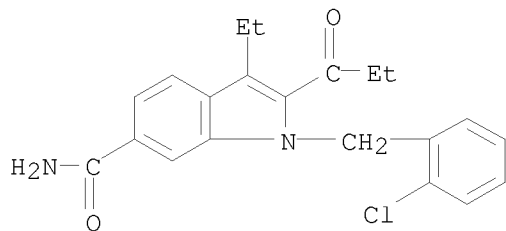
RN 184147-80-6 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-(2-methylpropyl)- (CA INDEX NAME)



RN 184147-92-0 CAPLUS  
 CN 1H-Indole-6-carboxamide, 2-acetyl-1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)- (CA INDEX NAME)

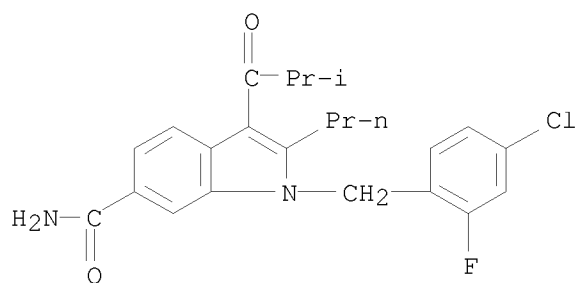


RN 184147-98-6 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-ethyl-2-(1-oxopropyl)- (CA INDEX NAME)



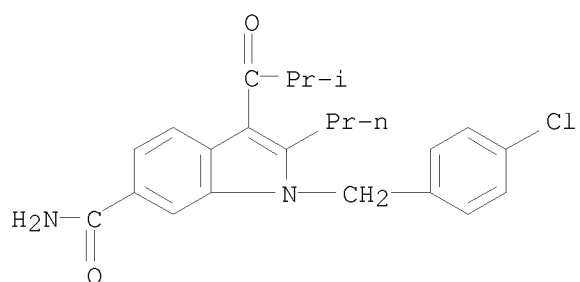
RN 184148-11-6 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(4-chloro-2-fluorophenyl)methyl]-3-(2-methyl-1-oxopropyl)- (CA INDEX NAME)

oxopropyl)-2-propyl- (CA INDEX NAME)



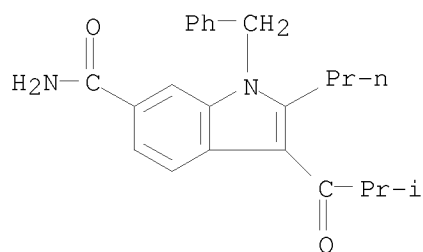
RN 184148-13-8 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(4-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



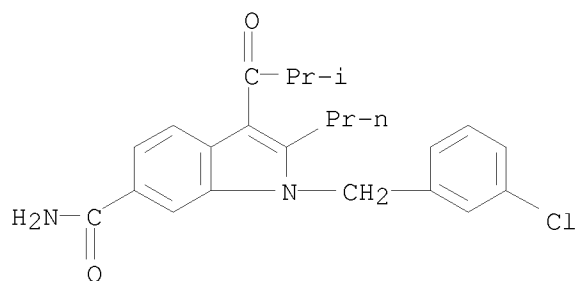
RN 184148-14-9 CAPLUS

CN 1H-Indole-6-carboxamide, 3-(2-methyl-1-oxopropyl)-1-(phenylmethyl)-2-propyl- (CA INDEX NAME)



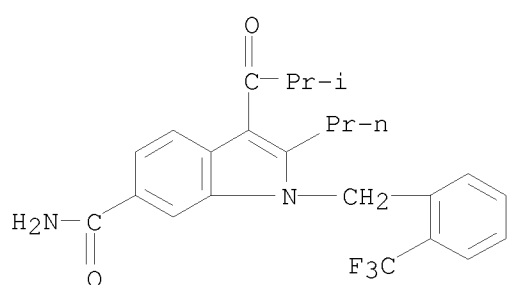
RN 184148-15-0 CAPLUS

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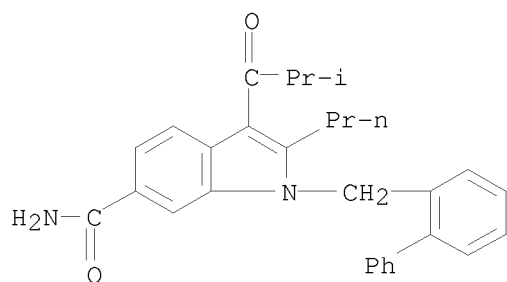
RN 184148-16-1 CAPLUS

CN 1H-Indole-6-carboxamide, 3-(2-methyl-1-oxopropyl)-2-propyl-1-[[2-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)



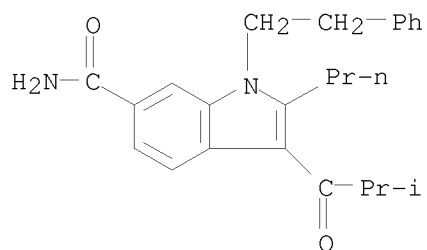
RN 184148-17-2 CAPLUS

CN 1H-Indole-6-carboxamide, 1-([1,1'-biphenyl]-2-ylmethyl)-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)

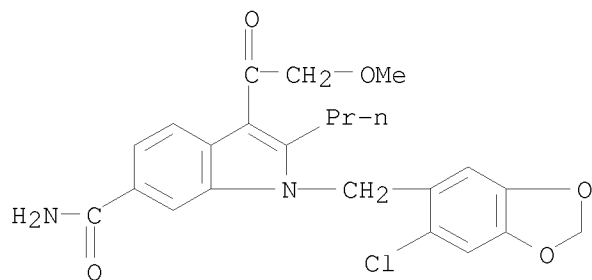


RN 184148-19-4 CAPLUS

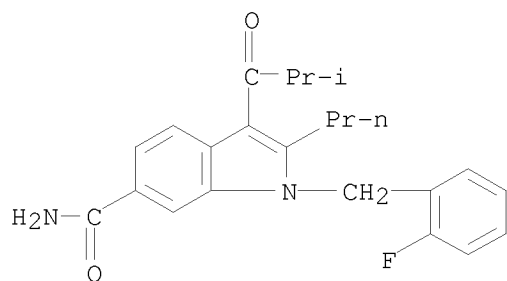
CN 1H-Indole-6-carboxamide, 3-(2-methyl-1-oxopropyl)-1-(2-phenylethyl)-2-propyl- (CA INDEX NAME)



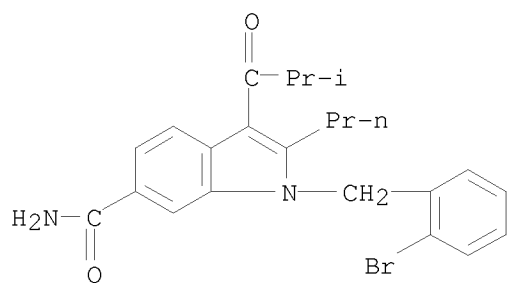
RN 184148-21-8 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(2-methoxyacetyl)-2-propyl- (CA INDEX NAME)



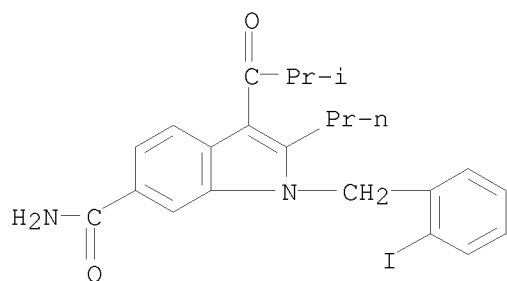
RN 184148-66-1 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-fluorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



RN 184148-67-2 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-bromophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)

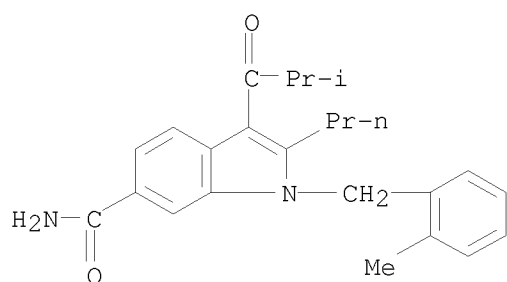


RN 184148-68-3 CAPLUS  
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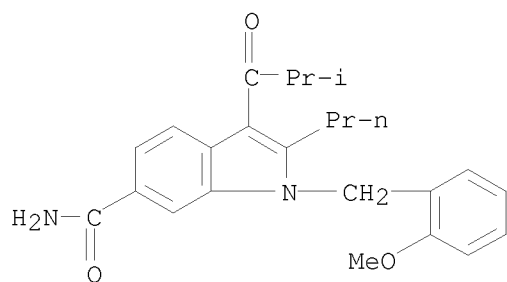
RN 184148-69-4 CAPLUS

CN 1H-Indole-6-carboxamide, 3-(2-methyl-1-oxopropyl)-1-[(2-methylphenyl)methyl]-2-propyl- (CA INDEX NAME)



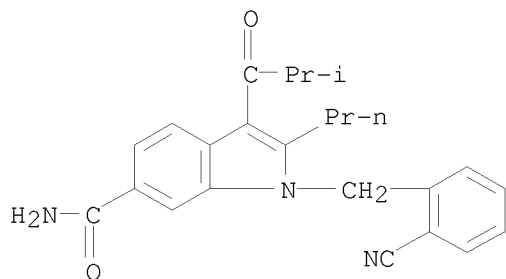
RN 184148-70-7 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-methoxyphenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



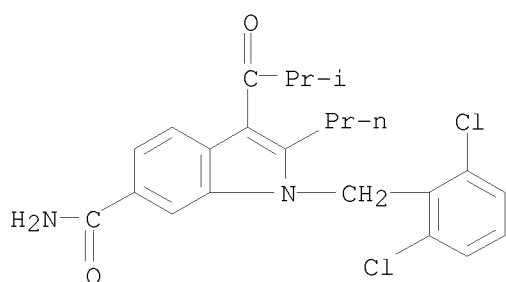
RN 184148-71-8 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-cyanophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



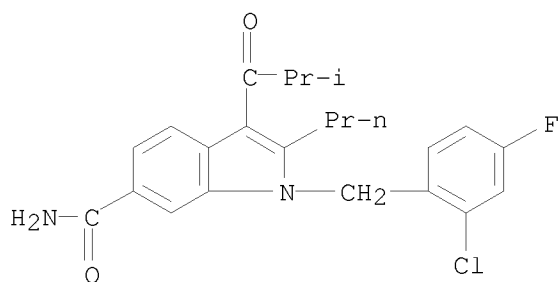
RN 184148-73-0 CAPLUS

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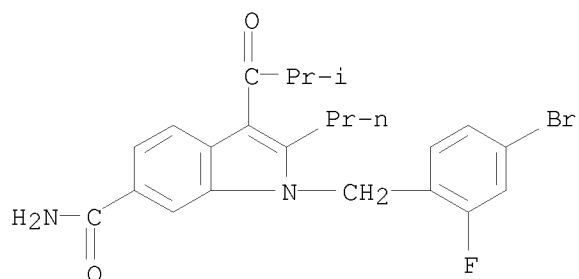
RN 184148-74-1 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chloro-4-fluorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)

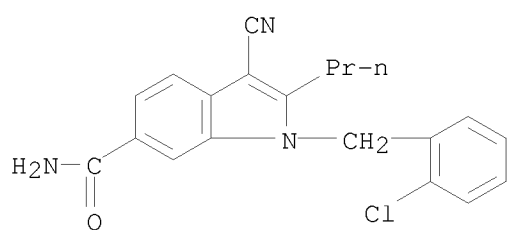


RN 184148-75-2 CAPLUS

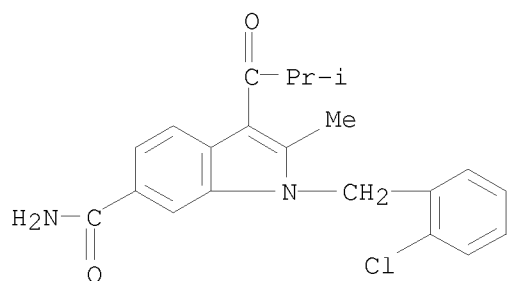
CN 1H-Indole-6-carboxamide, 1-[(4-bromo-2-fluorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



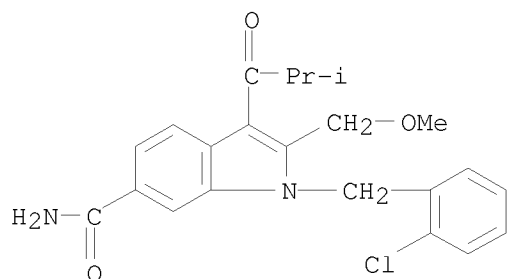
RN 184148-76-3 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-cyano-2-propyl- (CA INDEX NAME)



RN 184148-78-5 CAPLUS  
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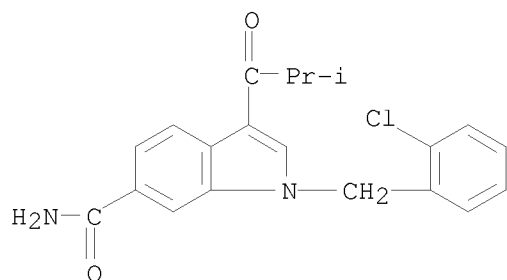


RN 184148-79-6 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-(methoxymethyl)-3-(2-methyl-1-oxopropyl)- (CA INDEX NAME)

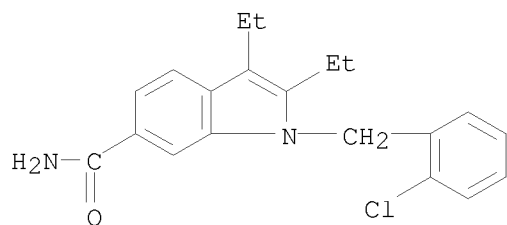




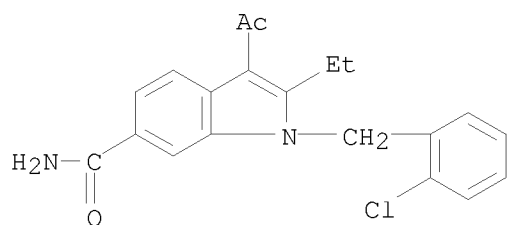
RN 184148-80-9 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)- (CA INDEX NAME)



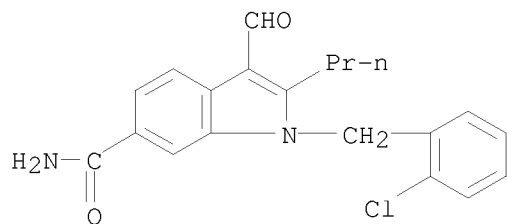
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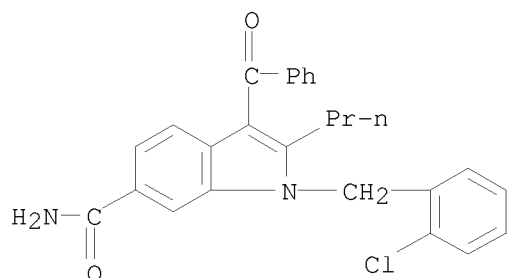
RN 184148-83-2 CAPLUS  
 CN 1H-Indole-6-carboxamide, 3-acetyl-1-[(2-chlorophenyl)methyl]-2-ethyl- (CA INDEX NAME)



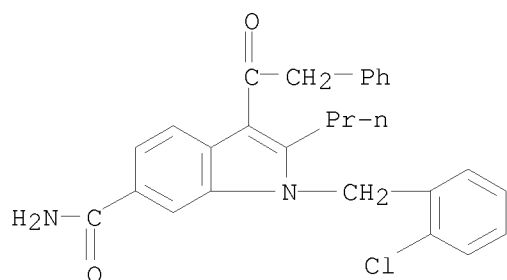
RN 184148-84-3 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-formyl-2-propyl- (CA INDEX NAME)



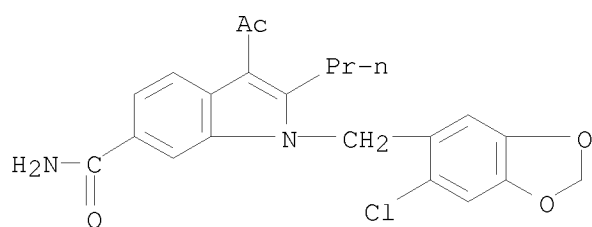
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 CN 1H-Indole-6-carboxamide, 3-benzoyl-1-[(2-chlorophenyl)methyl]-2-propyl-  
 (CA INDEX NAME)



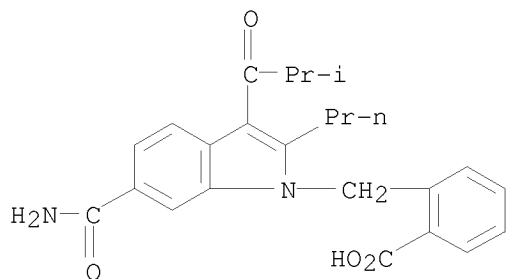
RN 184148-86-5 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-phenylacetyl)-2-propyl-  
 (CA INDEX NAME)



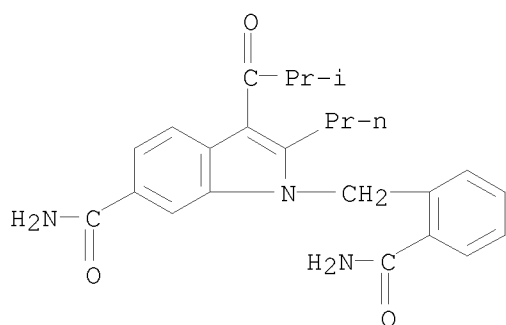
RN 184148-87-6 CAPLUS  
 CN 1H-Indole-6-carboxamide, 3-acetyl-1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-2-propyl-  
 (CA INDEX NAME)



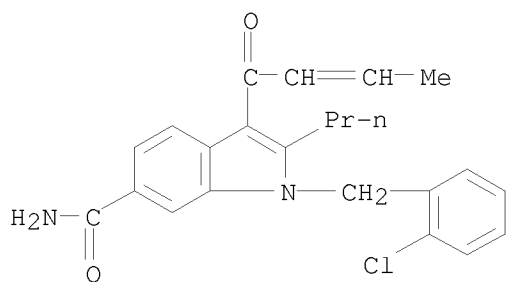
RN 184148-89-8 CAPLUS  
 CN Benzoic acid, 2-[[6-(aminocarbonyl)-3-(2-methyl-1-oxopropyl)-2-propyl-1H-indol-1-yl]methyl]-  
 (CA INDEX NAME)



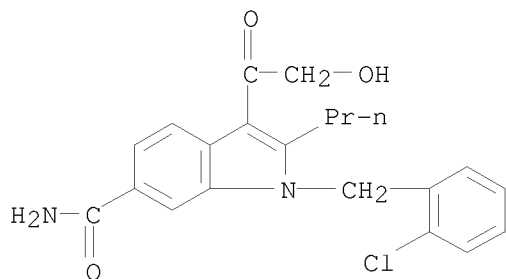
RN 184148-90-1 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[[2-(aminocarbonyl)phenyl]methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



RN 184149-00-6 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(1-oxo-2-buten-1-yl)-2-propyl- (CA INDEX NAME)

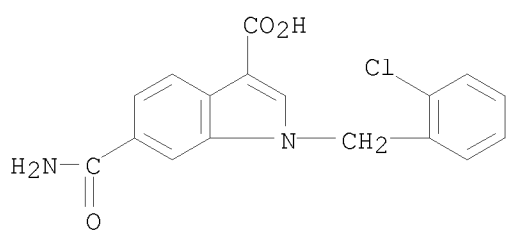


RN 184149-12-0 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-hydroxyacetyl)-2-propyl- (CA INDEX NAME)



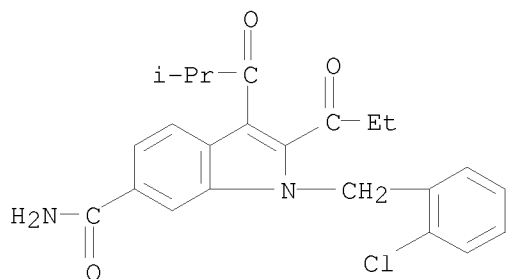
RN 184149-15-3 CAPLUS

CN 1H-Indole-3-carboxylic acid, 6-(aminocarbonyl)-1-[(2-chlorophenyl)methyl]-  
(CA INDEX NAME)



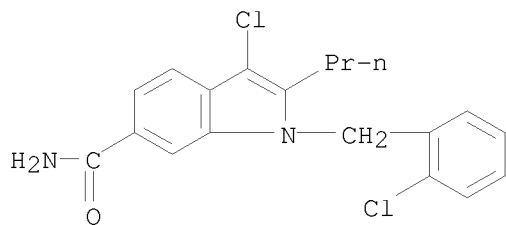
RN 184149-16-4 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-(1-oxopropyl)-  
(CA INDEX NAME)



RN 184149-17-5 CAPLUS

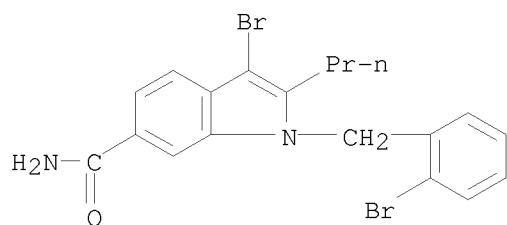
CN 1H-Indole-6-carboxamide, 3-chloro-1-[(2-chlorophenyl)methyl]-2-propyl-  
(CA INDEX NAME)



RN 184149-18-6 CAPLUS

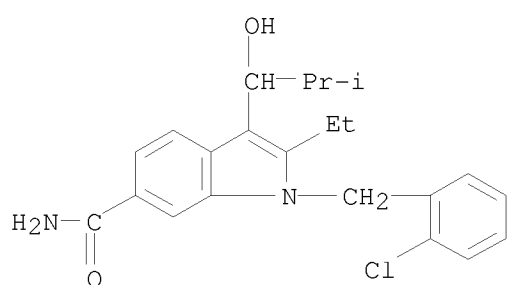
CN 1H-Indole-6-carboxamide, 3-bromo-1-[(2-bromophenyl)methyl]-2-propyl- (CA

INDEX NAME)



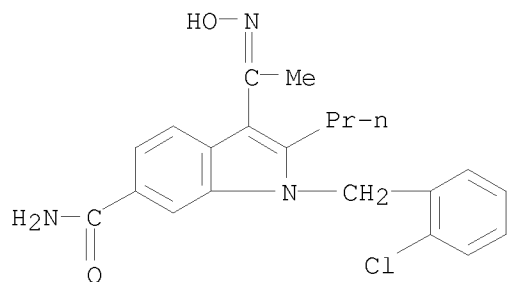
RN 184149-22-2 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-ethyl-3-(1-hydroxy-2-methylpropyl)- (CA INDEX NAME)



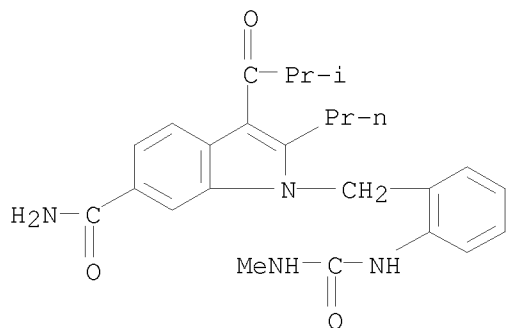
RN 184149-23-3 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-[1-(hydroxyimino)ethyl]-2-propyl- (CA INDEX NAME)

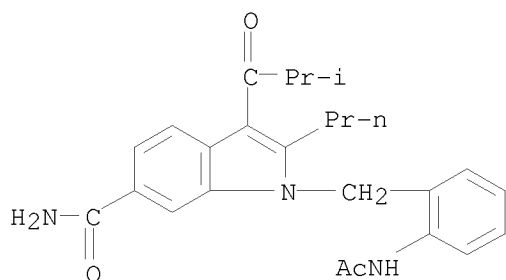


RN 184149-24-4 CAPLUS

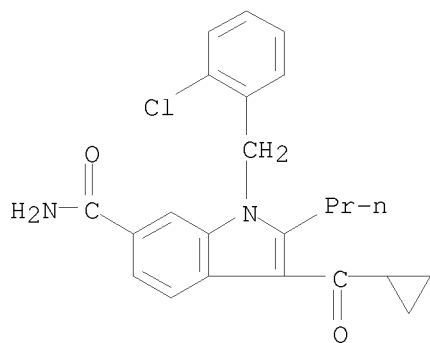
CN 1H-Indole-6-carboxamide, 1-[[2-[(methylamino)carbonyl]amino]phenyl]methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



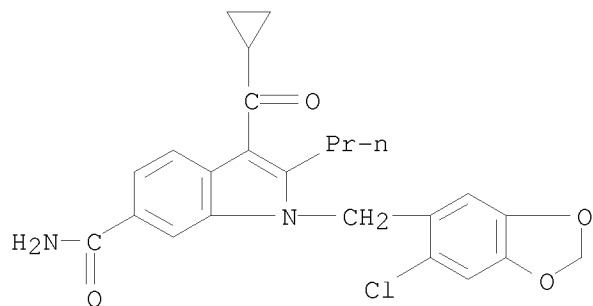
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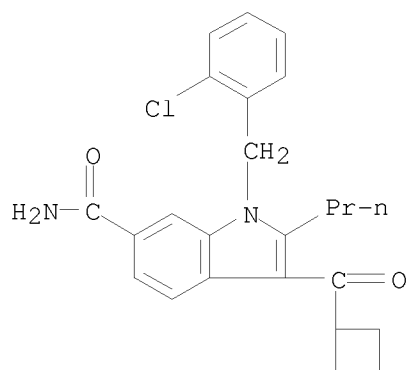
RN 184149-56-2 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(cyclopropylcarbonyl)-2-propyl- (CA INDEX NAME)



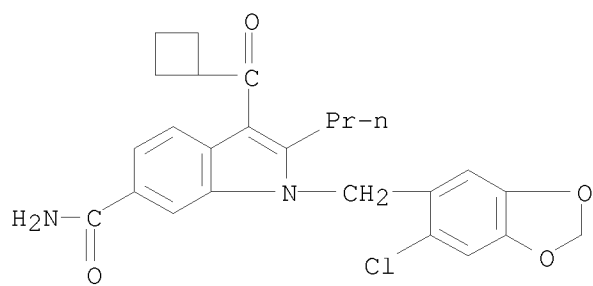
RN 184149-57-3 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(cyclopropylcarbonyl)-2-propyl- (CA INDEX NAME)



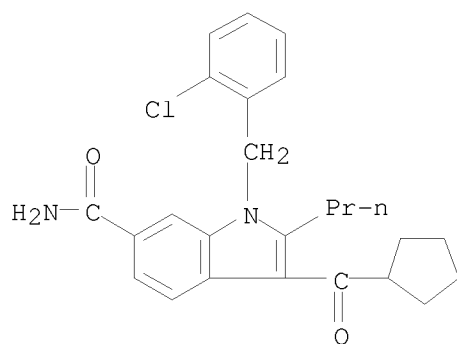
RN 184149-58-4 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(cyclobutylcarbonyl)-2-propyl- (CA INDEX NAME)



RN 184149-59-5 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(cyclobutylcarbonyl)-2-propyl- (CA INDEX NAME)

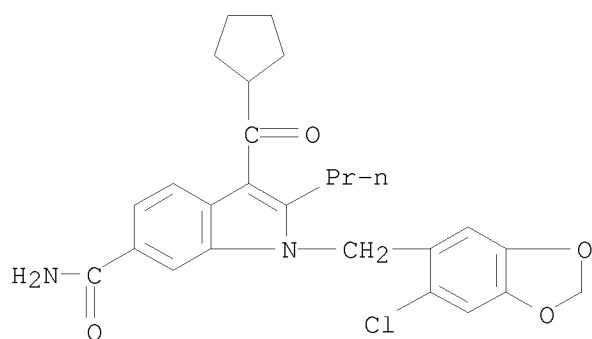


RN 184149-60-8 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(cyclopentylcarbonyl)-2-propyl- (CA INDEX NAME)



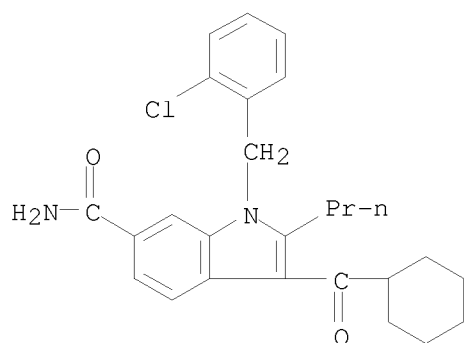
RN 184149-61-9 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(cyclopentylcarbonyl)-2-propyl- (CA INDEX NAME)



RN 184149-62-0 CAPLUS

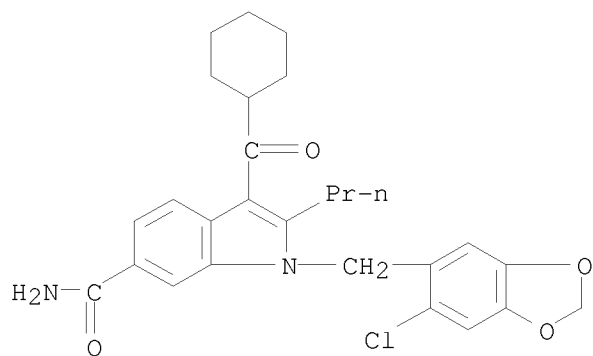
CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(cyclohexylcarbonyl)-2-propyl- (CA INDEX NAME)



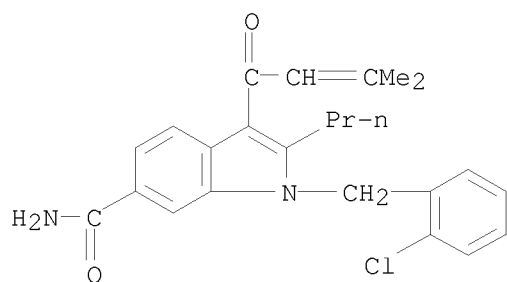
RN 184149-63-1 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(cyclohexylcarbonyl)-2-propyl- (CA INDEX NAME)

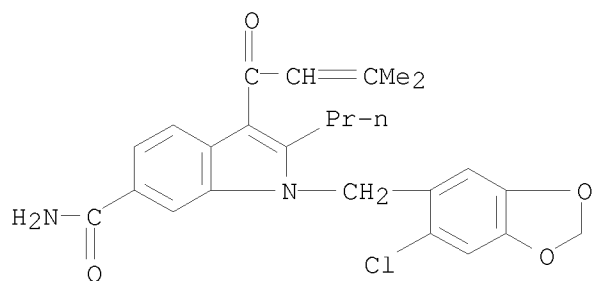




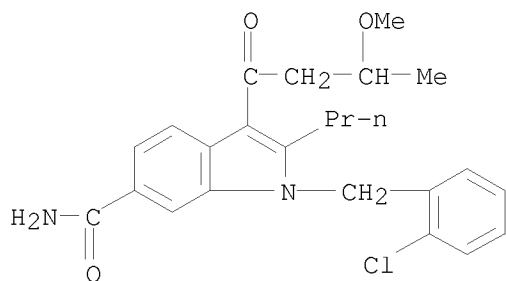
RN 184149-64-2 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(3-methyl-1-oxo-2-buten-1-yl)-2-propyl- (CA INDEX NAME)



RN 184149-65-3 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(3-methyl-1-oxo-2-buten-1-yl)-2-propyl- (CA INDEX NAME)

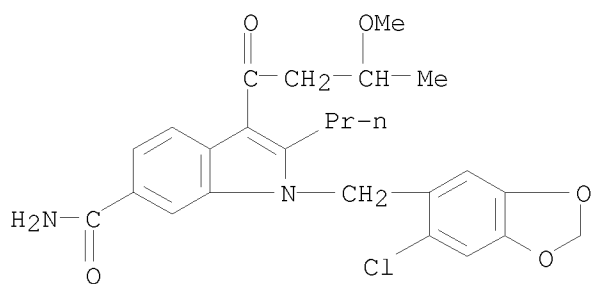


RN 184149-66-4 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(3-methoxy-1-oxobutyl)-2-propyl- (CA INDEX NAME)



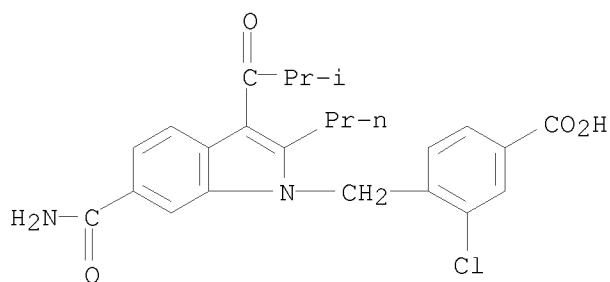
RN 184149-67-5 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(3-methoxy-1-oxobutyl)-2-propyl- (CA INDEX NAME)



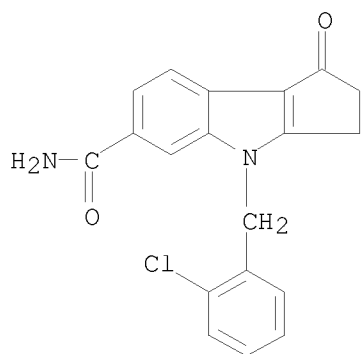
RN 184150-10-5 CAPLUS

CN Benzoic acid, 4-[[6-(aminocarbonyl)-3-(2-methyl-1-oxopropyl)-2-propyl-1H-indol-1-yl]methyl]-3-chloro- (CA INDEX NAME)



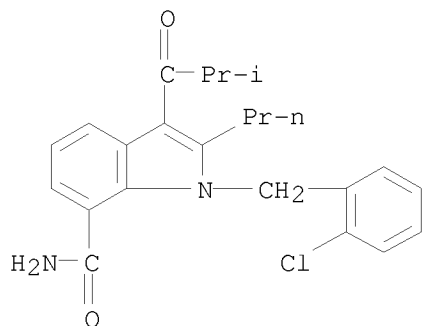
RN 184150-11-6 CAPLUS

CN Cyclopent[b]indole-6-carboxamide, 4-[(2-chlorophenyl)methyl]-1,2,3,4-tetrahydro-1-oxo- (CA INDEX NAME)



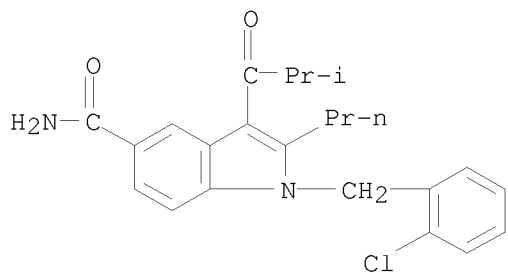
RN 184150-12-7 CAPLUS

CN 1H-Indole-7-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



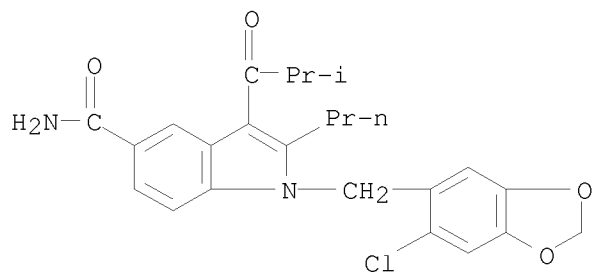
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CN 1H-Indole-5-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)

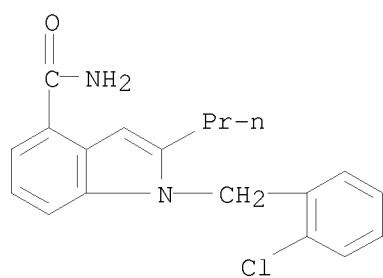


RN 184150-14-9 CAPLUS

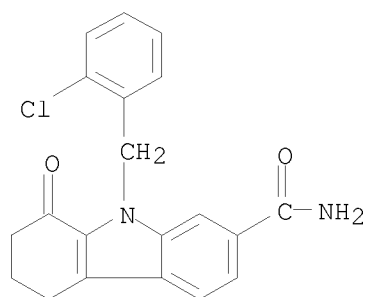
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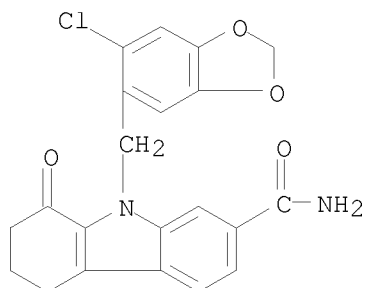
RN 184150-15-0 CAPLUS  
 CN 1H-Indole-4-carboxamide, 1-[(2-chlorophenyl)methyl]-2-propyl- (CA INDEX NAME)



RN 184150-16-1 CAPLUS  
 CN 1H-Carbazole-7-carboxamide, 9-[(2-chlorophenyl)methyl]-2,3,4,9-tetrahydro-1-oxo- (CA INDEX NAME)

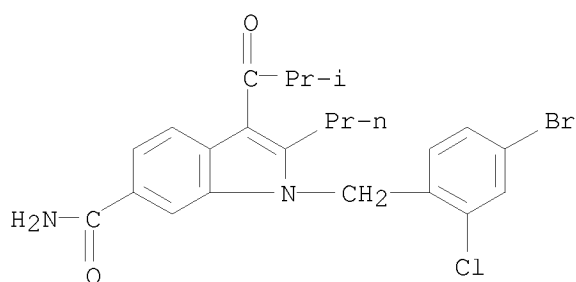


RN 184150-17-2 CAPLUS  
 CN 1H-Carbazole-7-carboxamide, 9-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-2,3,4,9-tetrahydro-1-oxo- (CA INDEX NAME)



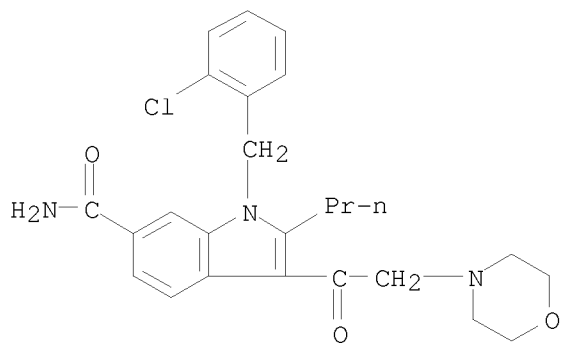
RN 184150-18-3 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(4-bromo-2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



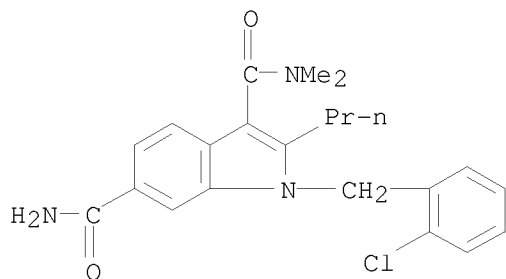
RN 184150-19-4 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-[2-(4-morpholinyl)acetyl]-2-propyl- (CA INDEX NAME)

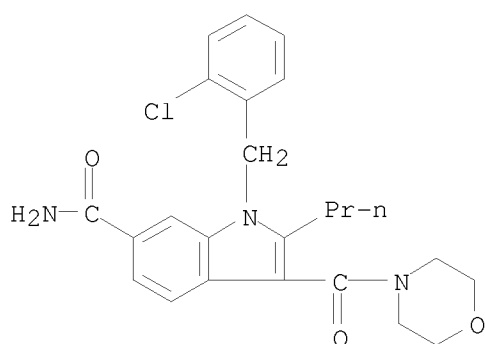


RN 184150-22-9 CAPLUS

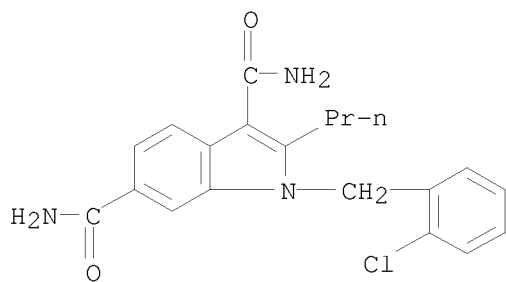
CN 1H-Indole-3,6-dicarboxamide, 1-[(2-chlorophenyl)methyl]-N3,N3-dimethyl-2-propyl- (CA INDEX NAME)



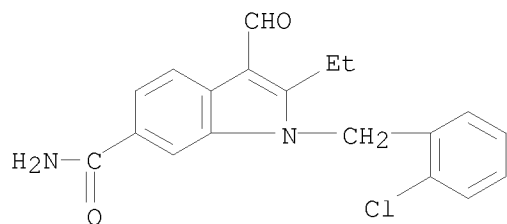
RN 184150-23-0 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(4-morpholinylcarbonyl)-2-propyl- (CA INDEX NAME)



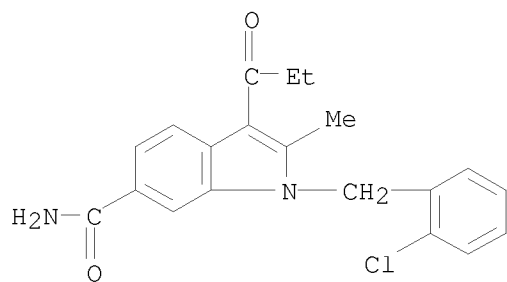
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 CN 1H-Indole-3,6-dicarboxamide, 1-[(2-chlorophenyl)methyl]-2-propyl- (CA INDEX NAME)



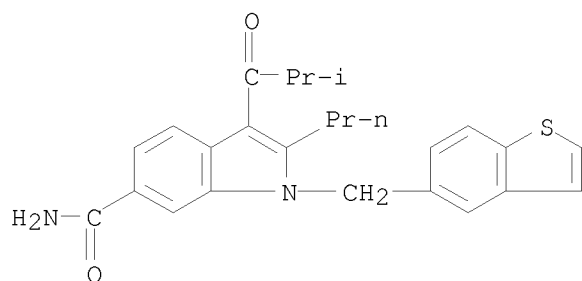
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 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-ethyl-3-formyl- (CA INDEX NAME)



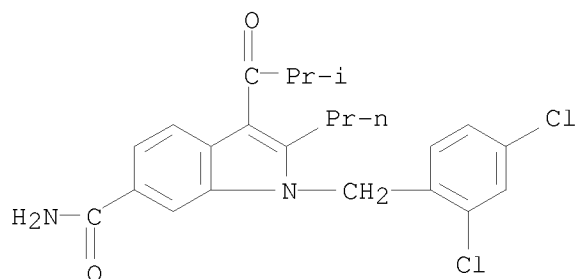
RN 184150-28-5 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-methyl-3-(1-oxopropyl)- (CA INDEX NAME)



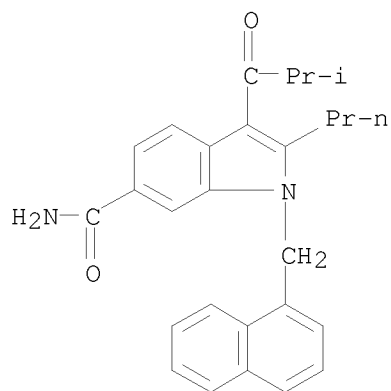
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 CN 1H-Indole-6-carboxamide, 1-(benzo[b]thien-5-ylmethyl)-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



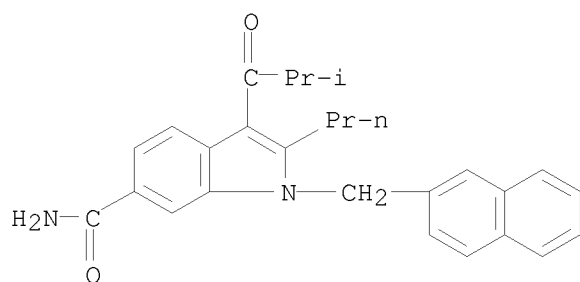
RN 184150-32-1 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2,4-dichlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



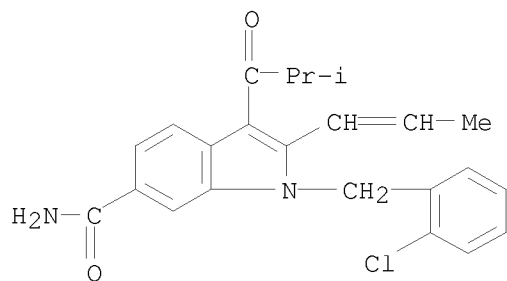
RN 184150-34-3 CAPLUS  
 CN 1H-Indole-6-carboxamide, 3-(2-methyl-1-oxopropyl)-1-(1-naphthalenylmethyl)-  
 2-propyl- (CA INDEX NAME)



RN 184150-35-4 CAPLUS  
 CN 1H-Indole-6-carboxamide, 3-(2-methyl-1-oxopropyl)-1-(2-naphthalenylmethyl)-  
 2-propyl- (CA INDEX NAME)

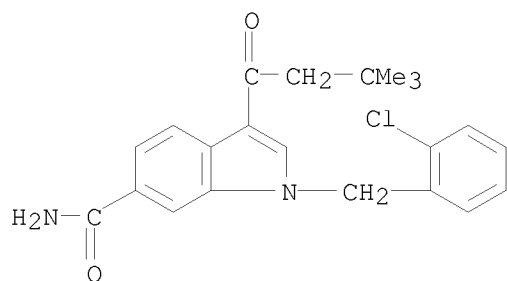


RN 184150-37-6 CAPLUS  
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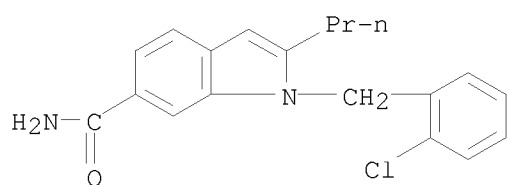


RN 184150-39-8 CAPLUS  
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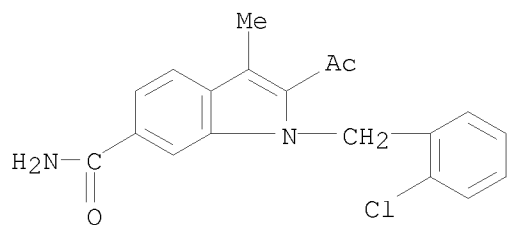




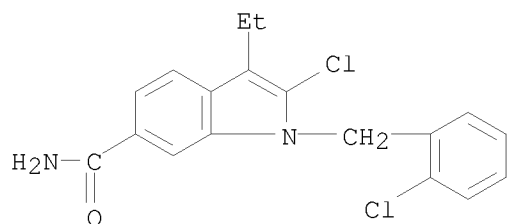
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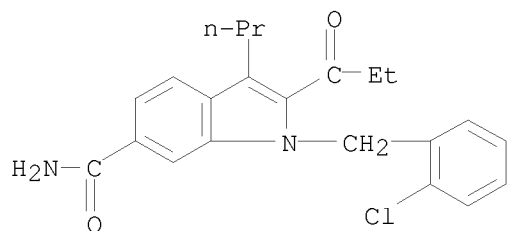
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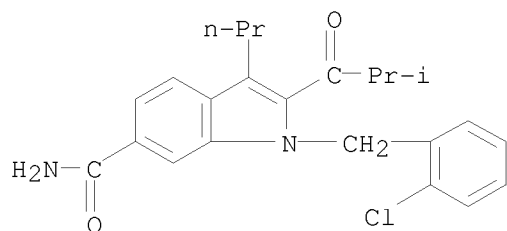
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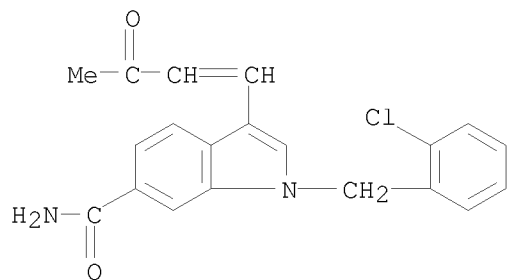
RN 184150-44-5 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-(1-oxopropyl)-3-propyl- (CA INDEX NAME)



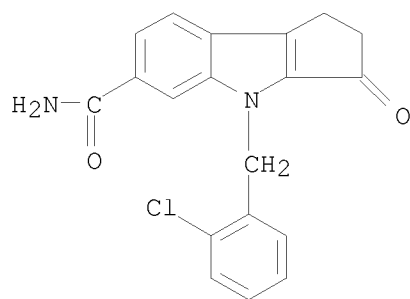
RN 184150-45-6 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-(2-methyl-1-oxopropyl)-3-propyl- (CA INDEX NAME)



RN 184150-46-7 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(3-oxo-1-buten-1-yl)- (CA INDEX NAME)

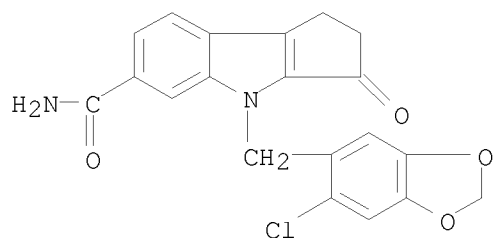


RN 184150-47-8 CAPLUS  
 CN Cyclopent[b]indole-6-carboxamide, 4-[(2-chlorophenyl)methyl]-1,2,3,4-tetrahydro-3-oxo- (CA INDEX NAME)



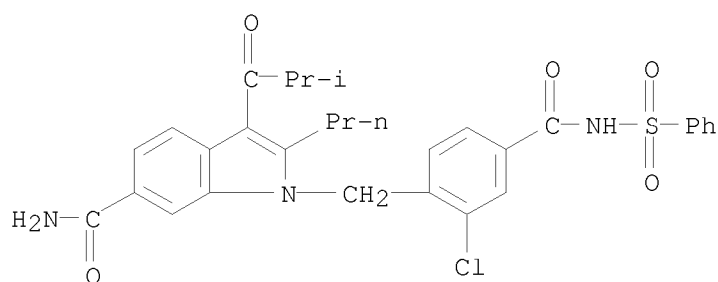
RN 184150-48-9 CAPLUS

CN Cyclopent[b]indole-6-carboxamide, 4-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-1,2,3,4-tetrahydro-3-oxo- (CA INDEX NAME)



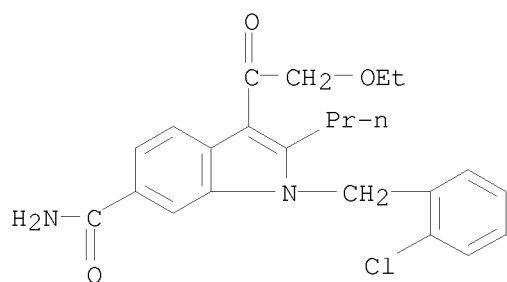
RN 184150-49-0 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[[2-chloro-4-[[ (phenylsulfonyl) amino] carbonyl] phenyl] methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



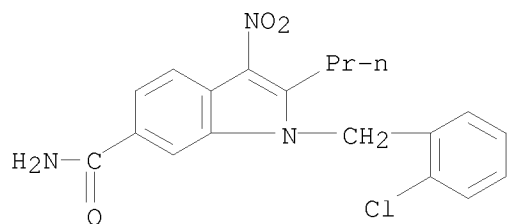
RN 184150-50-3 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-ethoxyacetyl)-2-propyl- (CA INDEX NAME)

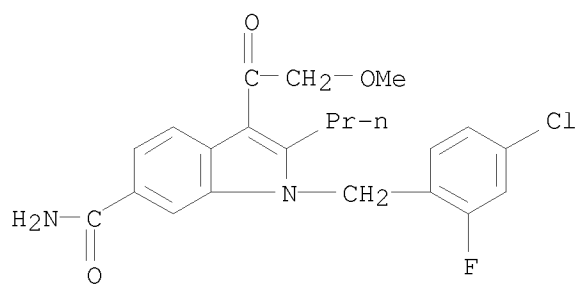


RN 184150-53-6 CAPLUS

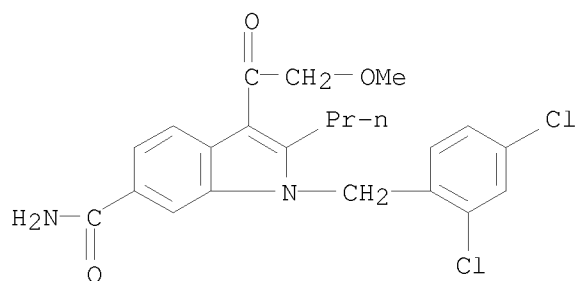
CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-nitro-2-propyl- (CA INDEX NAME)



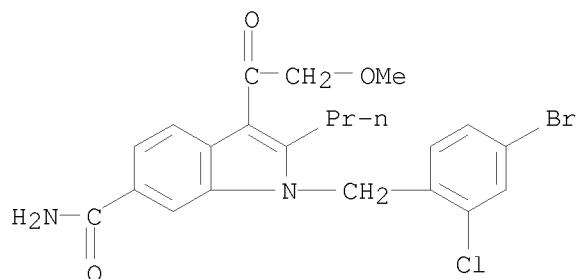
RN 184150-54-7 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(4-chloro-2-fluorophenyl)methyl]-3-(2-methoxyacetyl)-2-propyl- (CA INDEX NAME)



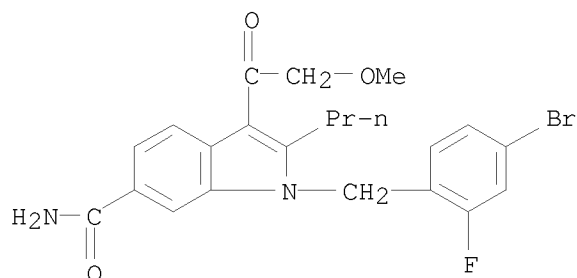
RN 184150-55-8 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2,4-dichlorophenyl)methyl]-3-(2-methoxyacetyl)-2-propyl- (CA INDEX NAME)



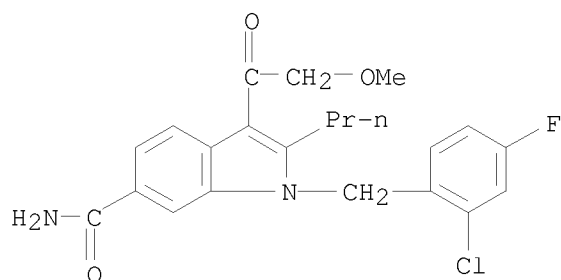
RN 184150-56-9 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(4-bromo-2-chlorophenyl)methyl]-3-(2-methoxyacetyl)-2-propyl- (CA INDEX NAME)



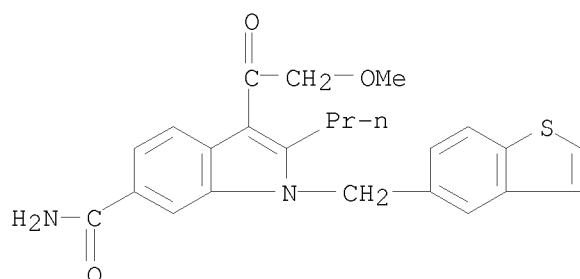
RN 184150-57-0 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(4-bromo-2-fluorophenyl)methyl]-3-(2-methoxyacetyl)-2-propyl- (CA INDEX NAME)



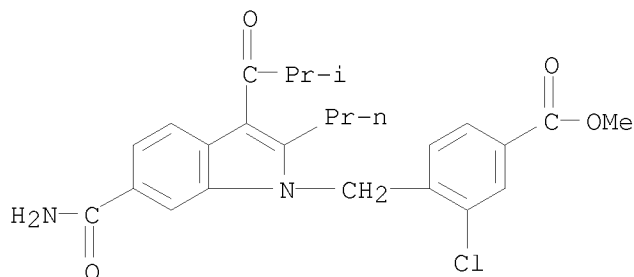
RN 184150-58-1 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chloro-4-fluorophenyl)methyl]-3-(2-methoxyacetyl)-2-propyl- (CA INDEX NAME)



RN 184150-59-2 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-(benzo[b]thien-5-ylmethyl)-3-(2-methoxyacetyl)-2-propyl- (CA INDEX NAME)

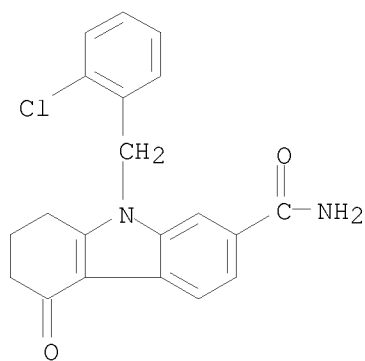


RN 184150-66-1 CAPLUS  
 CN Benzoic acid, 4-[[6-(aminocarbonyl)-3-(2-methyl-1-oxopropyl)-2-propyl-1H-indol-1-yl]methyl]-3-chloro-, methyl ester (CA INDEX NAME)



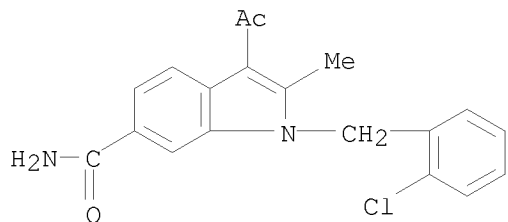
RN 184151-83-5 CAPLUS

CN 1H-Carbazole-7-carboxamide, 9-[(2-chlorophenyl)methyl]-2,3,4,9-tetrahydro-4-oxo- (CA INDEX NAME)



RN 184151-84-6 CAPLUS

CN 1H-Indole-6-carboxamide, 3-acetyl-1-[(2-chlorophenyl)methyl]-2-methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 25 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2002:122770 CAPLUS

DOCUMENT NUMBER: 136:178015

TITLE: Drugs for incontinence - salified and nonsalified nitric oxide-donors and phosphodiesterase inhibitors

INVENTOR(S): Del Soldato, Piero; Benedini, Francesca

PATENT ASSIGNEE(S): Nicox S.A., Fr.

SOURCE: PCT Int. Appl., 59 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE       |
|---|------|----------|-----------------|------------|
| WO 2002011707   | A2   | 20020214 | WO 2001-EP8734  | 20010727   |
| WO 2002011707   | A3   | 20021205 |                 |            |
| W: AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM<br>RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG |      |          |                 |            |
| IT 2000MI1848   | A1   | 20020208 | IT 2000-MI1848  | 20000808   |
| IT 1318674  | B1   | 20030827 |                 |            |
| AU 2001091691   | A    | 20020218 | AU 2001-91691   | 20010727   |
| EP 1307184  | A2   | 20030507 | EP 2001-971798  | 20010727   |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR   |      |          |                 |            |
| JP 2004511436   | T    | 20040415 | JP 2002-517044  | 20010727   |
| US 20030203899  | A1   | 20031030 | US 2003-343330  | 20030206   |
| PRIORITY APPLN. INFO.:  |      |          | IT 2000-MI1848  | A 20000808 |
|   |      |          | WO 2001-EP8734  | W 20010727 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

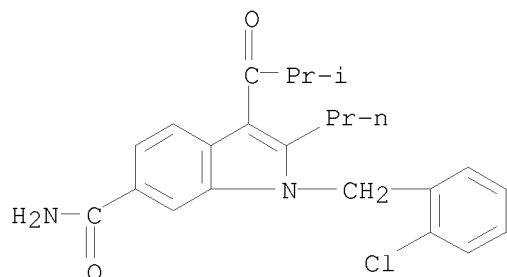
OTHER SOURCE(S): MARPAT 136:178015

AB Use in the incontinence of one or more of the following classes of drugs selected from the following: (B) salified and nonsalified nitric oxide-donor drugs, of formula: A - X1 - N(O)z, (B') nitrate salts of drugs used for the incontinence, and which do not contain in the mol. a nitric oxide donor group; (C) organic or inorg. salts of compds. inhibiting phosphodiesterases.

IT 184147-65-7  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (salified and nonsalified nitric oxide-donors and phosphodiesterase inhibitors for treatment of incontinence)

RN 184147-65-7 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 2002:122769 CAPLUS  
 DOCUMENT NUMBER: 136:189342  
 TITLE: Drugs for treatment of sexual dysfunction  
 INVENTOR(S): Del Soldato, Piero  
 PATENT ASSIGNEE(S): Nicox S.A., Fr.  
 SOURCE: PCT Int. Appl., 40 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE       |
|---|------|----------|-----------------|------------|
| WO 2002011706   | A2   | 20020214 | WO 2001-EP8733  | 20010727   |
| WO 2002011706   | A3   | 20030918 |                 |            |
| W: AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, YU, ZA<br>RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG |      |          |                 |            |
| IT 2000MI1847   | A1   | 20020208 | IT 2000-MI1847  | 20000808   |
| IT 1318673  | B1   | 20030827 |                 |            |
| AU 2001091690   | A    | 20020218 | AU 2001-91690   | 20010727   |
| EP 1363628  | A2   | 20031126 | EP 2001-971797  | 20010727   |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR   |      |          |                 |            |
| JP 2004506619   | T    | 20040304 | JP 2002-517043  | 20010727   |
| US 20030171393  | A1   | 20030911 | US 2003-333927  | 20030204   |
| PRIORITY APPLN. INFO.:  |      |          | IT 2000-MI1847  | A 20000808 |
|   |      |          | WO 2001-EP8733  | W 20010727 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 136:189342

AB Pharmaceuticals containing nitric oxide-donor drugs or inorg. salts of compds. inhibiting phosphodiesterases are useful for the treatment of sexual dysfunction. Thus, a formulation contained 2-(acetyloxy)benzoic acid 6-(nitroxy-methyl)-2-methylpyridyl ester-HCl (NCX 4050) 4.2, white petrolatum 24, Polysorbate-60 4.8, glycerin 9.5, and water 48 g. NCX 4050 showed vasorelaxing activity on the aortas.

IT 398460-36-1  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (drugs for treatment of sexual dysfunction)

RN 398460-36-1 CAPLUS

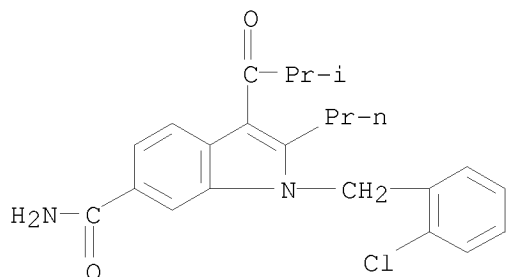
CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl-, nitrate (1:1) (CA INDEX NAME)

CM 1

CRN 184147-65-7

CMF C23 H25 Cl N2 O2

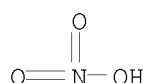




CM 2

CRN 7697-37-2

CMF H N O3



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD  
(4 CITINGS)  
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 27 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN  
ACCESSION NUMBER: 2002:71855 CAPLUS  
DOCUMENT NUMBER: 136:134669  
TITLE: Indoleoxoacetamides and tetrahydrocarbazoles as sPLA2  
inhibitors in treating sepsis  
INVENTOR(S): Loh, Andrew; Macias, William Louis; Skerjanec, Simona  
PATENT ASSIGNEE(S): Eli Lilly and Company, USA  
SOURCE: PCT Int. Appl., 152 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

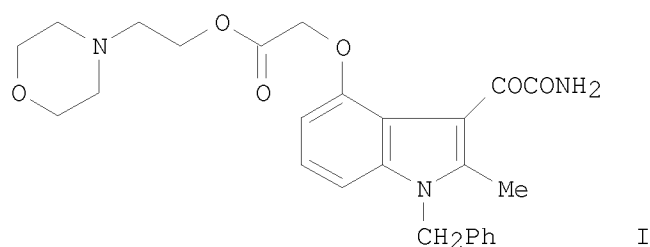
| PATENT NO.    | KIND   | DATE     | APPLICATION NO. | DATE     |
|---------------|--|----------|-----------------|----------|
| WO 2002005796 | A2   | 20020124 | WO 2001-US16509 | 20010629 |
| WO 2002005796 | A3   | 20020906 |                 |          |
| W:            | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW |          |                 |          |
| RW:           | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG   |          |                 |          |
| CA 2413582    | A1   | 20020124 | CA 2001-2413582 | 20010629 |
| EP 1303262    | A2   | 20030423 | EP 2001-952123  | 20010629 |
| R:            | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR   |          |                 |          |
| BR 2001012460 | A  | 20030722 | BR 2001-12460   | 20010629 |

JP 2004503586  
US 20040110825  
PRIORITY APPLN. INFO.:

T 20040205  
A1 20040610

JP 2002-511729 20010629  
US 2003-332178 20030103  
US 2000-218928P P 20000714  
US 2000-256398P P 20001218  
WO 2001-US16509 W 20010629

OTHER SOURCE(S): MARPAT 136:134669  
GI



AB Indoleoxoacetamides and tetrahydrocarbazoles were prepared for use as sPLA2 inhibitors in treating sepsis. Thus, 3-methoxy-2-methylaniline was N-tert.-butoxycarbonylated, lithiated at the Me group with sec-butyllithium and then treated with N-methoxy-N-methylacetamide, and cyclized with CF3CO2H to give 4-methoxy-2-methylindole. The latter compound was N-benzylated, demethylated, treated with BrCH2CO2Me, followed by ester hydrolysis and esterification with 4-(2-chloroethyl)morpholine hydrochloride to give the indole I. The results of clin. trials are reported.

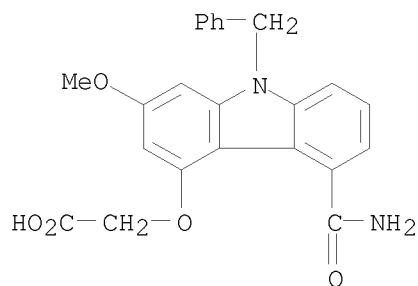
IT 207340-74-7P 207340-75-8P 207340-86-1P  
220862-21-5P 220862-22-6P 220862-23-7P  
220862-24-8P 220862-26-0P 220862-27-1P  
220862-30-6P 220862-31-7P 220862-32-8P  
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220862-36-2P 220862-37-3P 220862-38-4P  
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220862-42-0P 220862-43-1P 220862-44-2P  
220862-45-3P 220862-46-4P 220862-47-5P  
220862-48-6P 220862-49-7P 220862-50-0P  
220862-51-1P 220862-53-3P 220862-54-4P  
220862-55-5P 220862-59-9P 220862-61-3P  
220862-63-5P 220862-66-8P 220862-68-0P  
220862-72-6P 220862-74-8P 220862-76-0P  
220862-84-0P 246513-34-8P 246513-46-2P  
321858-11-1P 391936-29-1P 391936-30-4P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of indoleoxoacetamides and tetrahydrocarbazoles as sPLA2 inhibitors in treating sepsis)

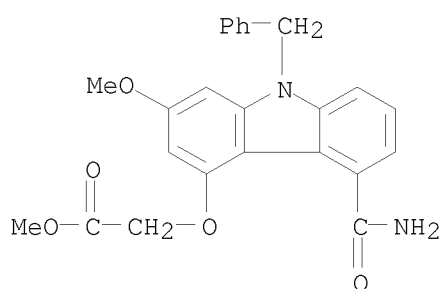
RN 207340-74-7 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-methoxy-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



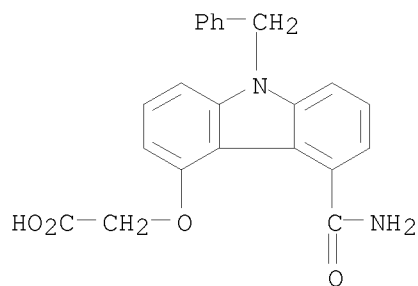
RN 207340-75-8 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-methoxy-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



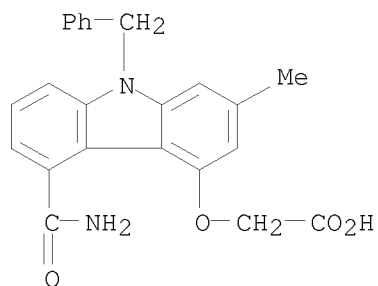
RN 207340-86-1 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



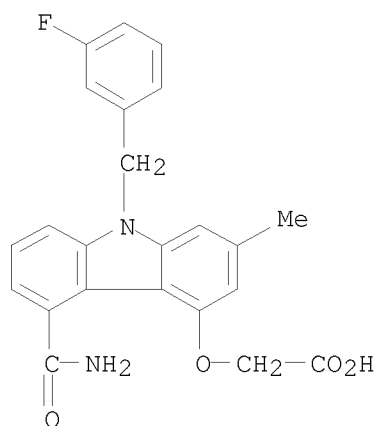
RN 220862-21-5 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-methyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



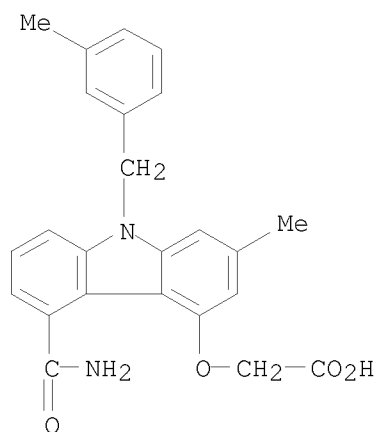
RN 220862-22-6 CAPLUS

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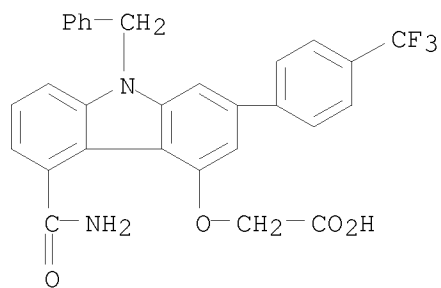
RN 220862-23-7 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-methyl-9-[(3-methylphenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



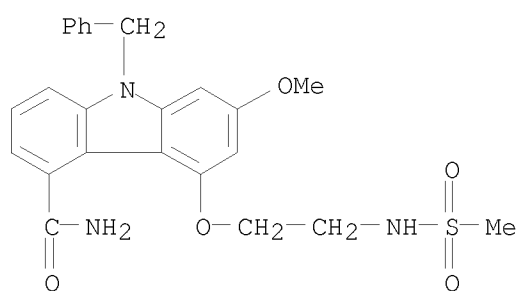
RN 220862-24-8 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-2-[4-(trifluoromethyl)phenyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



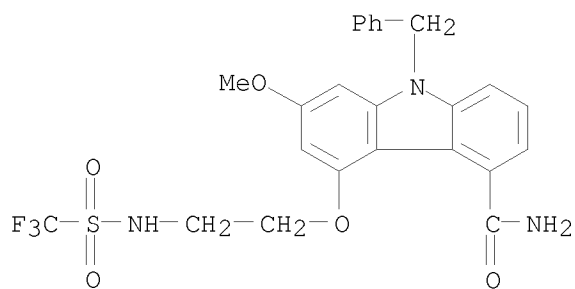
RN 220862-26-0 CAPLUS

CN 9H-Carbazole-4-carboxamide, 7-methoxy-5-[2-[(methanesulfonyl)amino]ethoxy]-9-(phenylmethyl)- (CA INDEX NAME)



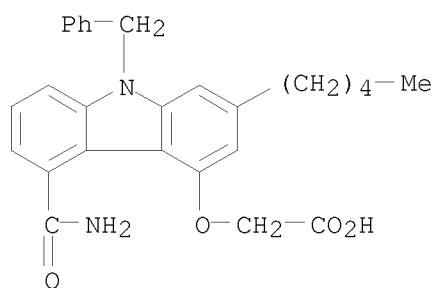
RN 220862-27-1 CAPLUS

CN 9H-Carbazole-4-carboxamide, 7-methoxy-9-(phenylmethyl)-5-[2-[[trifluoromethyl)sulfonyl]amino]ethoxy]- (CA INDEX NAME)

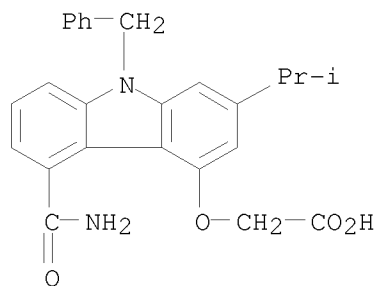


RN 220862-30-6 CAPLUS

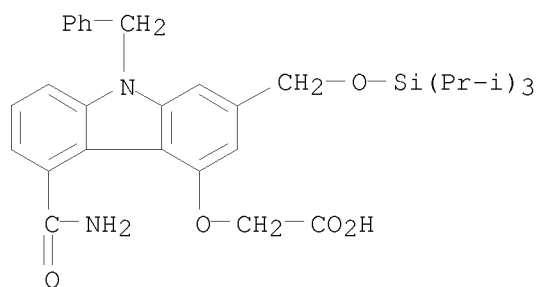
CN Acetic acid, 2-[[5-(aminocarbonyl)-2-pentyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



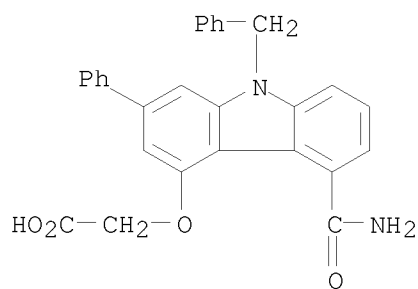
RN 220862-31-7 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-2-(1-methylethyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



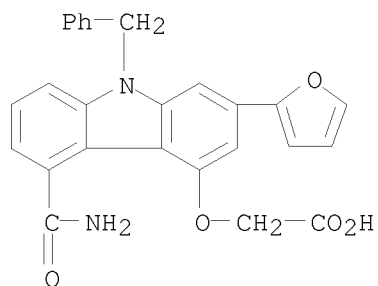
RN 220862-32-8 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-2-[[[tris(1-methylethyl)silyl]oxy]methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



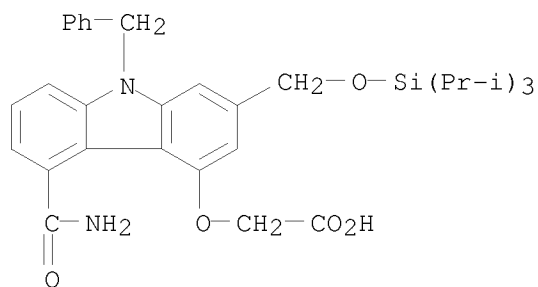
RN 220862-33-9 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-2-phenyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-34-0 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-2-(2-furanyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

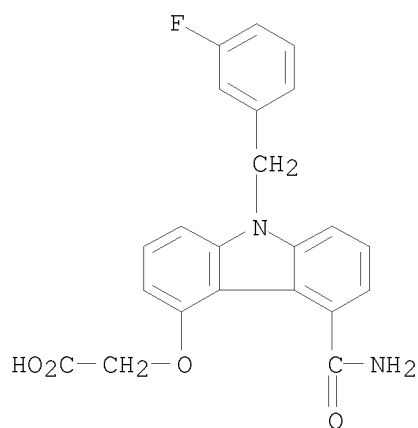


RN 220862-35-1 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-2-[[[tris(1-methylethyl)silyl]oxy]methyl]-9H-carbazol-4-yl]oxy]-, lithium salt (1:1)  
 (CA INDEX NAME)

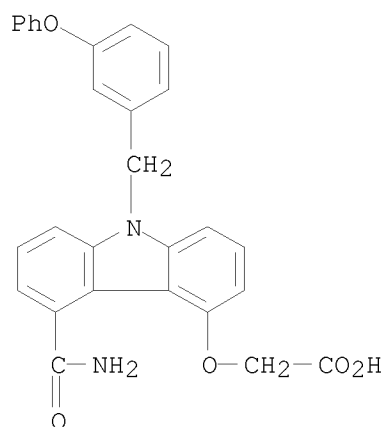


● Li

RN 220862-36-2 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3-fluorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

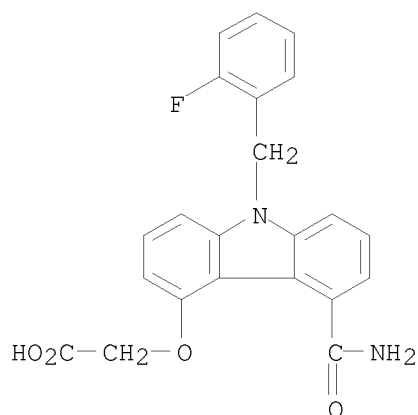


RN 220862-37-3 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3-phenoxyphenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



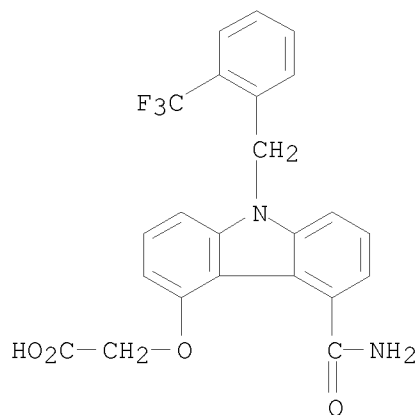
RN 220862-38-4 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2-fluorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-39-5 CAPLUS

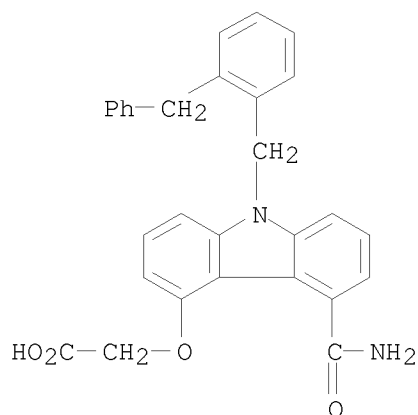
CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[[2-(trifluoromethyl)phenyl]methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-40-8 CAPLUS

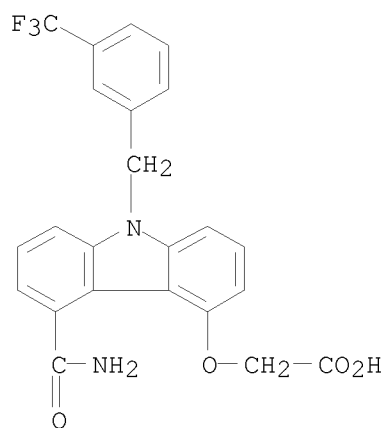


CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[[2-(phenylmethyl)phenyl]methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



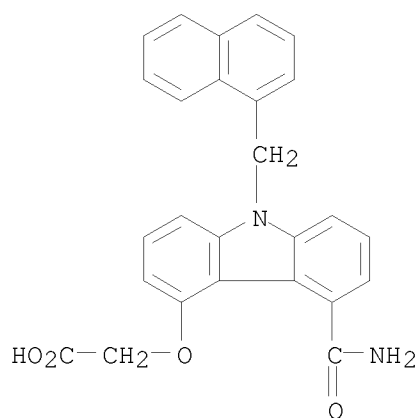
RN 220862-41-9 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[[3-(trifluoromethyl)phenyl]methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



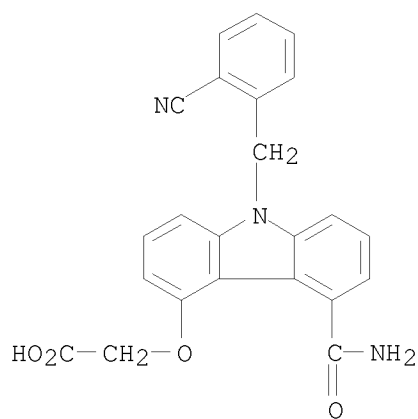
RN 220862-42-0 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(1-naphthalenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



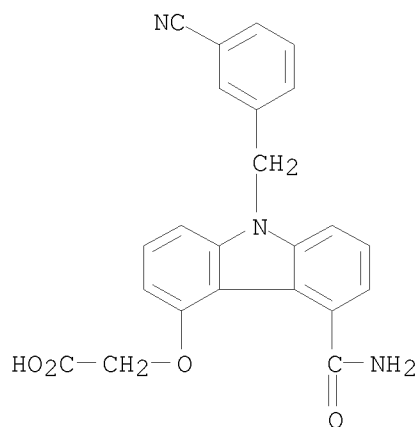
RN 220862-43-1 CAPLUS

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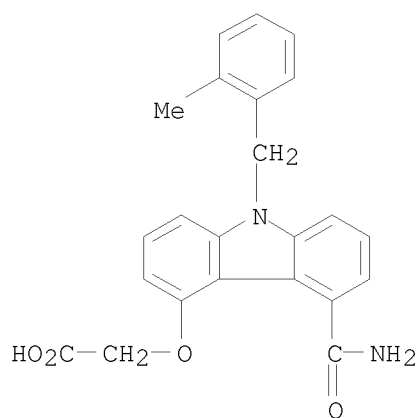


RN 220862-44-2 CAPLUS

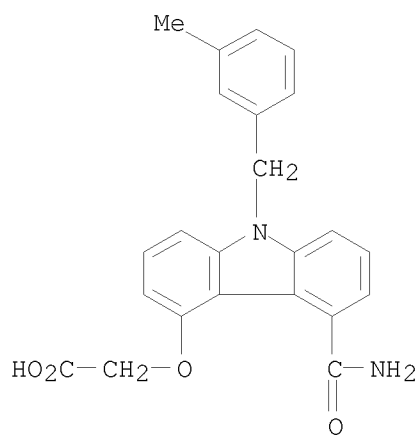
CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3-cyanophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



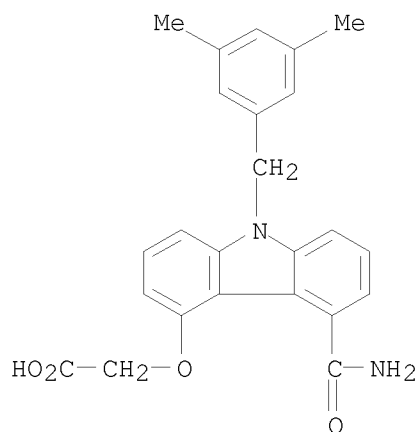
RN 220862-45-3 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2-methylphenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-46-4 CAPLUS  
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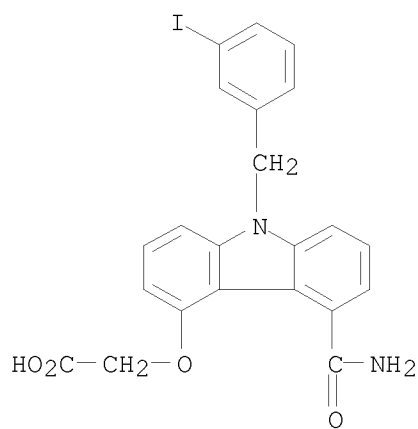


RN 220862-47-5 CAPLUS  
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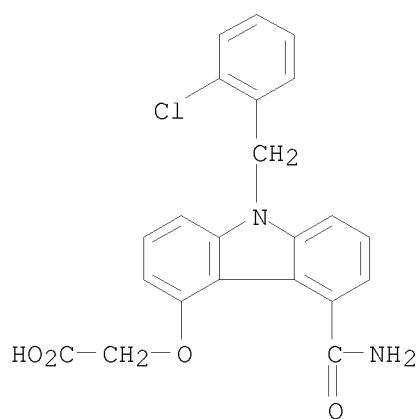
RN 220862-48-6 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3-iodophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



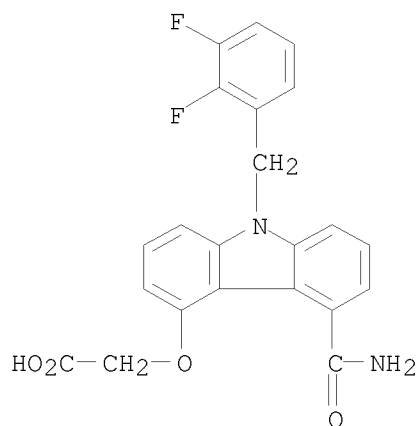
RN 220862-49-7 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2-chlorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



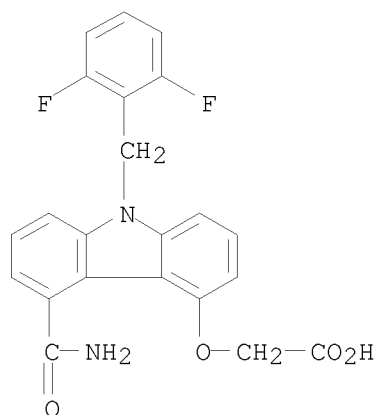
RN 220862-50-0 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2,3-difluorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



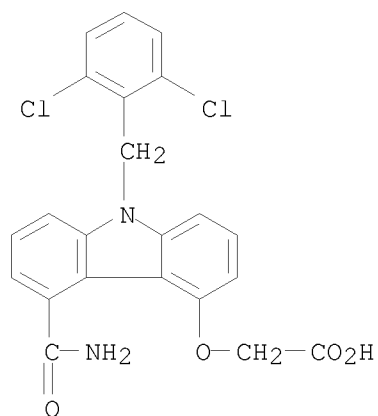
RN 220862-51-1 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2,6-difluorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



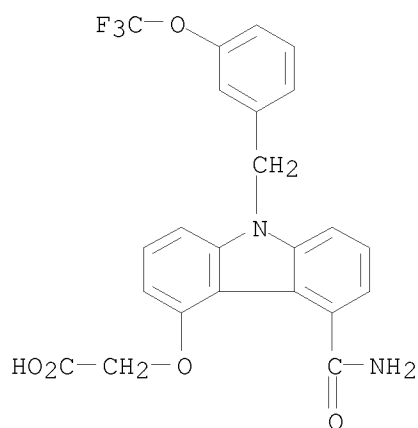
RN 220862-53-3 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2,6-dichlorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



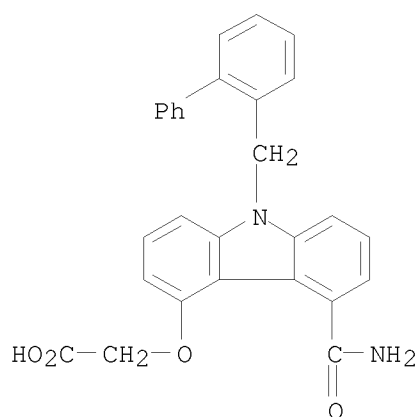
RN 220862-54-4 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[[3-(trifluoromethoxy)phenyl]methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

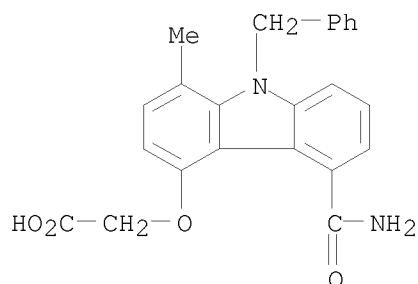


RN 220862-55-5 CAPLUS

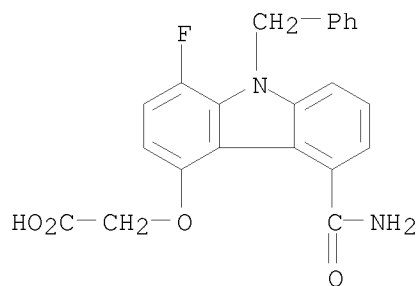
CN Acetic acid, 2-[[5-(aminocarbonyl)-9-([1,1'-biphenyl]-2-ylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



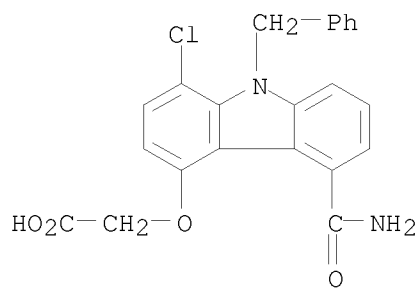
RN 220862-59-9 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-1-methyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



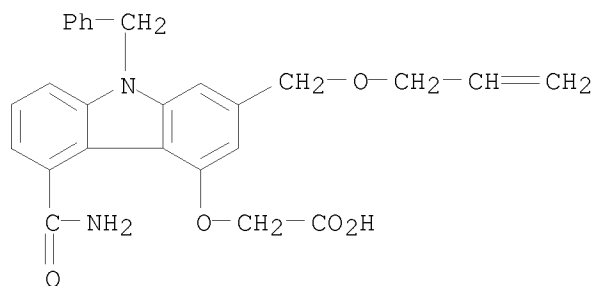
RN 220862-61-3 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-1-fluoro-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-63-5 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-1-chloro-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

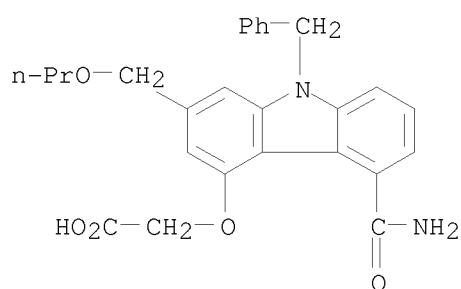


RN 220862-66-8 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-2-[(2-propen-1-yloxy)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



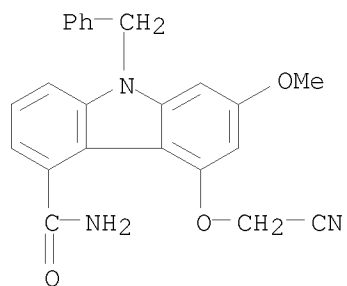
RN 220862-68-0 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-2-(propoxymethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-72-6 CAPLUS

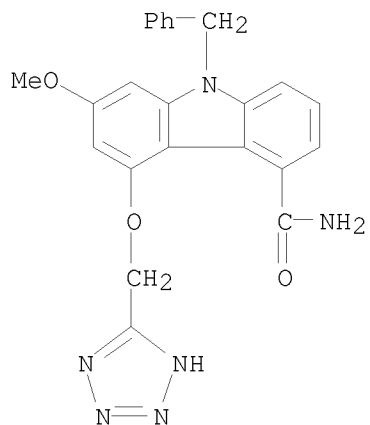
CN 9H-Carbazole-4-carboxamide, 5-(cyanomethoxy)-7-methoxy-9-(phenylmethyl)- (CA INDEX NAME)



RN 220862-74-8 CAPLUS

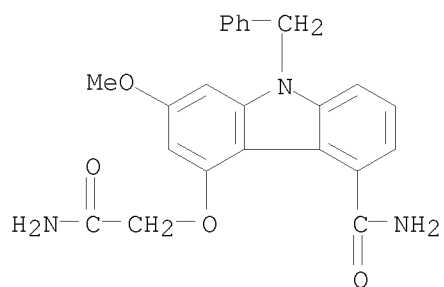
CN 9H-Carbazole-4-carboxamide, 7-methoxy-9-(phenylmethyl)-5-(2H-tetrazol-5-ylmethoxy)- (CA INDEX NAME)





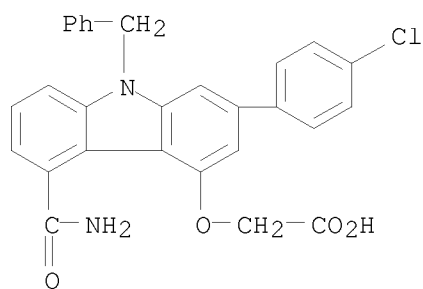
RN 220862-76-0 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-(2-amino-2-oxoethoxy)-7-methoxy-9-(phenylmethyl)- (CA INDEX NAME)



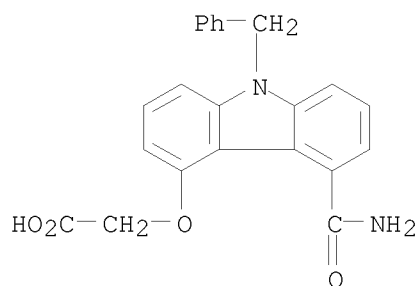
RN 220862-84-0 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-(4-chlorophenyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



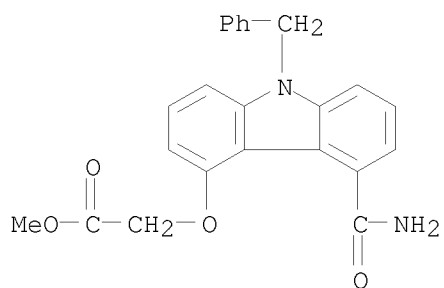
RN 246513-34-8 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, sodium salt (1:1) (CA INDEX NAME)

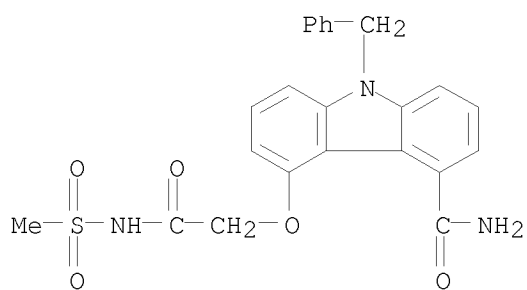


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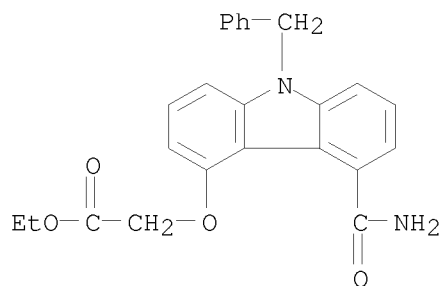
RN 246513-46-2 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



RN 321858-11-1 CAPLUS  
 CN 9H-Carbazole-4-carboxamide, 5-[2-[(methylsulfonyl)amino]-2-oxoethoxy]-9-(phenylmethyl)- (CA INDEX NAME)

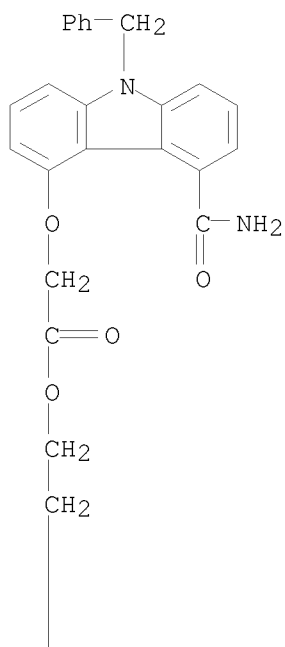


RN 391936-29-1 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, ethyl ester (CA INDEX NAME)

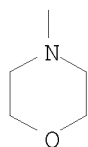


RN 391936-30-4 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-  
 , 2-(4-morpholinyl)ethyl ester (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD  
 (6 CITINGS)  
 REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 28 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN  
 ACCESSION NUMBER: 2002:10308 CAPLUS

DOCUMENT NUMBER: 136:64151  
 TITLE: Secretory PLA2 inhibitors as remedies for Alzheimer's disease  
 INVENTOR(S): Hanasaki, Kohji; Ikeda, Minoru; Ono, Takashi  
 PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 45 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE       |
|---|------|----------|-----------------|------------|
| WO 2002000257   | A1   | 20020103 | WO 2001-JP5482  | 20010627   |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW<br>RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG |      |          |                 |            |
| AU 2001067826   | A    | 20020108 | AU 2001-67826   | 20010627   |
| US 20040102442  | A1   | 20040527 | US 2002-312615  | 20021227   |
| PRIORITY APPLN. INFO.:  |      |          | JP 2000-195445  | A 20000629 |
|   |      |          | WO 2001-JP5482  | W 20010627 |

OTHER SOURCE(S): MARPAT 136:64151

AB It is found out that type X sPLA2 inhibitors are useful in preventing or treating Alzheimer's disease.

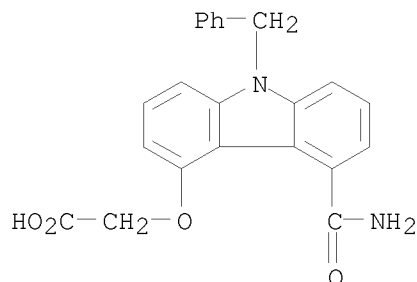
IT 207340-86-1 220862-34-0 220862-37-3  
 220862-61-3

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(secretory PLA2 inhibitors as remedies for Alzheimer's disease)

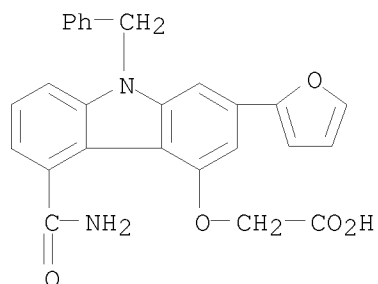
RN 207340-86-1 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-(CA INDEX NAME)



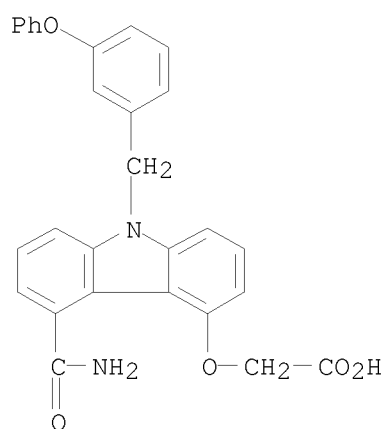
RN 220862-34-0 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-(2-furanyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-(CA INDEX NAME)



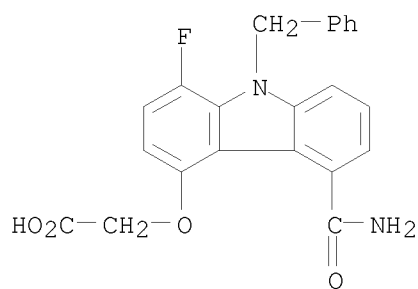
RN 220862-37-3 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3-phenoxyphenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-61-3 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-1-fluoro-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 29 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2002:10307 CAPLUS

DOCUMENT NUMBER: 136:64164

TITLE: Remedies for cirrhosis

INVENTOR(S): Hanasaki, Kohji; Ikeda, Minoru; Ono, Takashi

PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan

SOURCE: PCT Int. Appl., 45 pp.

DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

CODEN: PIXXD2

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| WO 2002000256   | A1   | 20020103 | WO 2001-JP5481  | 20010627 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW<br>RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG |      |          |                 |          |
| AU 2001067825   | A    | 20020108 | AU 2001-67825   | 20010627 |
| US 20040106669  | A1   | 20040603 | US 2002-312366  | 20021226 |
| US 6967200  | B2   | 20051122 |                 |          |

PRIORITY APPLN. INFO.: JP 2000-195436 A 20000629  
 WO 2001-JP5481 W 20010627

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 136:64164

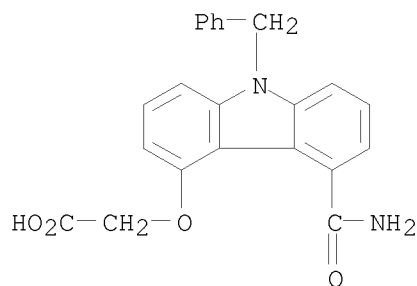
AB It is found out that type X sPLA2 inhibitors are useful in preventing or treating cirrhosis.

IT 207340-86-1 220862-34-0 220862-37-3  
 220862-61-3

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (secretory PLA2 inhibitors as remedies for cirrhosis)

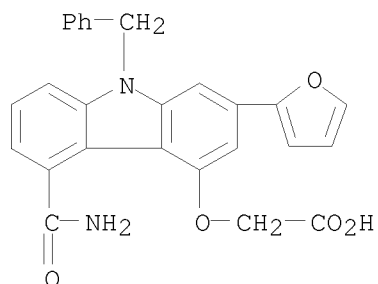
RN 207340-86-1 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

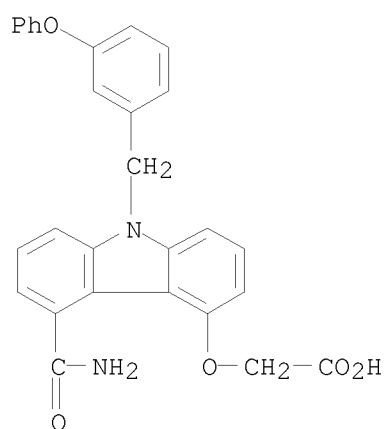


RN 220862-34-0 CAPLUS

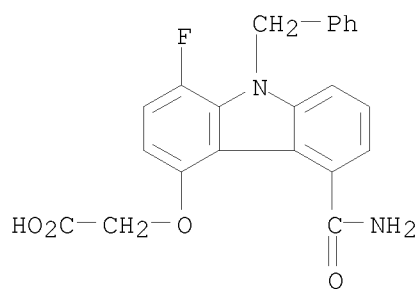
CN Acetic acid, 2-[[5-(aminocarbonyl)-2-(2-furanyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-37-3 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3-phenoxyphenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-61-3 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-1-fluoro-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 30 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN  
 ACCESSION NUMBER: 2002:10306 CAPLUS  
 DOCUMENT NUMBER: 136:64112  
 TITLE: Remedies for cancer  
 INVENTOR(S): Hanasaki, Kohji; Ikeda, Minoru; Ono, Takashi  
 PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 56 pp.

DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| WO 2002000255   | A1   | 20020103 | WO 2001-JP5480  | 20010627 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW<br>RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG |      |          |                 |          |
| AU 2001067824   | A    | 20020108 | AU 2001-67824   | 20010627 |
| EP 1300159  | A1   | 20030409 | EP 2001-945613  | 20010627 |
| EP 1300159  | B1   | 20071010 |                 |          |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR   |      |          |                 |          |
| TW 583000   | B    | 20040411 | TW 2001-115543  | 20010627 |
| AT 375171   | T    | 20071015 | AT 2001-945613  | 20010627 |
| ES 2294003  | T3   | 20080401 | ES 2001-945613  | 20010627 |
| US 20040077651  | A1   | 20040422 | US 2002-312451  | 20021227 |
| PRIORITY APPLN. INFO.:<br>JP 2000-195434 A 20000629<br>WO 2001-JP5480 W 20010627  |      |          |                 |          |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 136:64112

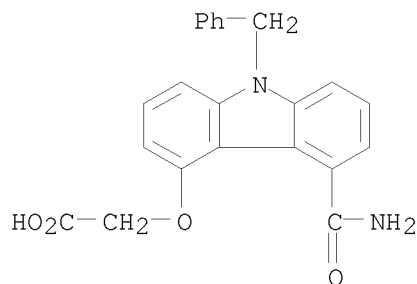
AB It is found out that type X secretory PLA2 inhibitors are useful in preventing or treating cancer.

IT 207340-86-1 220862-34-0 220862-37-3  
 220862-61-3

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (type X secretory PLA2 inhibitors as remedies for cancer)

RN 207340-86-1 CAPLUS

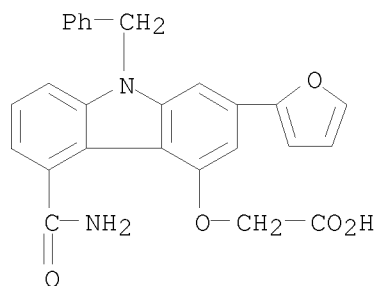
CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



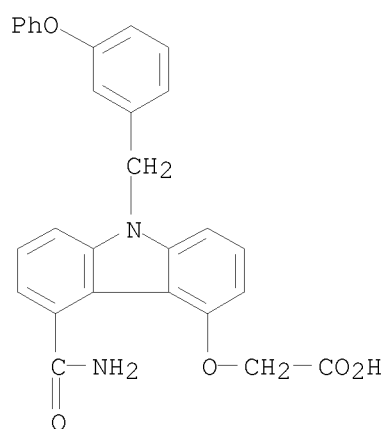
RN 220862-34-0 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-(2-furanyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

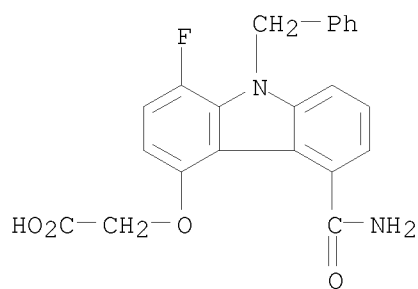




RN 220862-37-3 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3-phenoxyphenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-61-3 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-1-fluoro-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)  
 REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 31 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN  
 ACCESSION NUMBER: 2001:676601 CAPLUS  
 DOCUMENT NUMBER: 135:236446  
 TITLE: Compositions containing potential secretory phospholipase A2 (sPLA2) inhibitors for the treatment

of pain  
 INVENTOR(S): Macias, William Louis  
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA  
 SOURCE: PCT Int. Appl., 196 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| WO 2001066111   | A1   | 20010913 | WO 2001-US9     | 20010116 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW |      |          |                 |          |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |          |

PRIORITY APPLN. INFO.: US 2000-188135P P 20000309

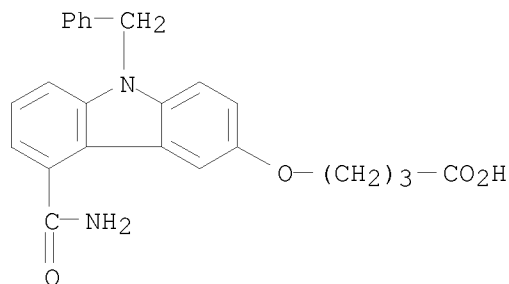
OTHER SOURCE(S): MARPAT 135:236446

AB A method is disclosed for the treatment of pain by administering to an animal in need thereof a therapeutically effective amount of a sPLA2 inhibitor, e.g. a 1H-indole-3-glyoxylamide or sPLA2 inhibitor in combination with propoxyphene. Preparation of [(3-(2-Amino-1,2-dioxoethyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl)oxylacetic acid is described.

IT 207340-73-6 359841-74-0 359841-74-0D, derivs.  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (secretory phospholipase A2 inhibitors for treatment of pain)

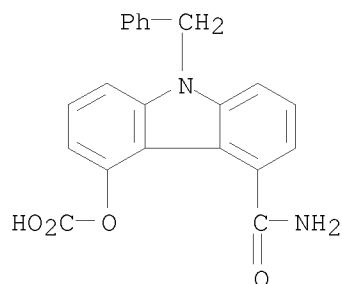
RN 207340-73-6 CAPLUS

CN Butanoic acid, 4-[[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-3-yl]oxy]- (CA INDEX NAME)

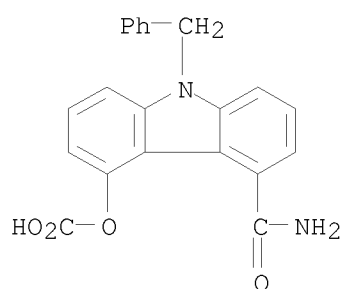


RN 359841-74-0 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-(carboxyoxo)-9-(phenylmethyl)- (CA INDEX NAME)



RN 359841-74-0 CAPLUS  
 CN 9H-Carbazole-4-carboxamide, 5-(carboxyoxymethyl)-9-(phenylmethyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)  
 REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 32 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN  
 ACCESSION NUMBER: 2001:676600 CAPLUS  
 DOCUMENT NUMBER: 135:236432  
 TITLE: Methods and formulations containing secretory phospholipase A2 (sPLA2) inhibitors for the treatment of renal dysfunction  
 INVENTOR(S): Macias, William Louis; Meador, Vincent Phillip  
 PATENT ASSIGNEE(S): Eli Lilly and Co., USA  
 SOURCE: PCT Int. Appl., 161 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.    | KIND | DATE     | APPLICATION NO. | DATE     |
|---------------|------|----------|-----------------|----------|
| WO 2001066110 | A2   | 20010913 | WO 2001-US7     | 20010116 |
| WO 2001066110 | A3   | 20020425 |                 |          |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, SZ, BE, CY, FR, GR, IE, IT, MC, NL, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,

DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,  
 BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
 EP 1265607 A2 20021218 EP 2001-956186 20010116  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR  
 JP 2003525901 T 20030902 JP 2001-564763 20010116  
 US 20030087944 A1 20030508 US 2002-203436 20020805  
 PRIORITY APPLN. INFO.: US 2000-188039P P 20000309  
 WO 2001-US7 W 20010116

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 135:236432

AB A method is disclosed for the treatment of symptoms associated with renal dysfunction by administering to an animal in need thereof a therapeutically effective amount of a sPLA2 inhibitor, e.g. a 1H-indole-3-glyoxylamide. Preparation of [(3-(2-Amino-1,2-dioxoethyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl)oxy]acetic acid is described.

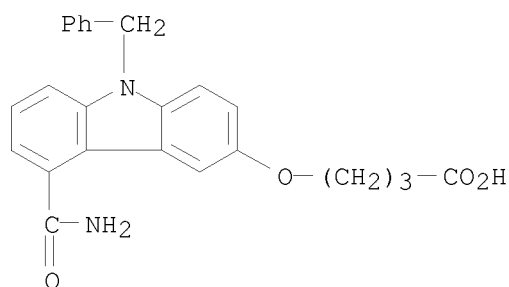
IT 207340-73-6 359841-74-0 359841-74-0D,  
 derivs.

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(secretory phospholipase A2 inhibitors for treatment of renal dysfunction)

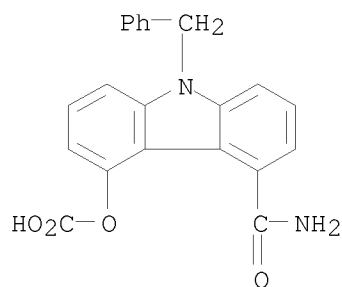
RN 207340-73-6 CAPLUS

CN Butanoic acid, 4-[[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-3-yl]oxy]- (CA INDEX NAME)



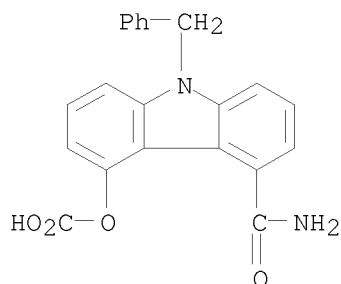
RN 359841-74-0 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-(carboxyoxy)-9-(phenylmethyl)- (CA INDEX NAME)



RN 359841-74-0 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-(carboxyoxy)-9-(phenylmethyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD  
(3 CITINGS)  
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 33 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2001:565004 CAPLUS

DOCUMENT NUMBER: 135:152715

TITLE: Secretory phospholipase A2 inhibitors for the  
treatment of inflammation

INVENTOR(S): Fleisch, Jerome Herbert; Macias, William Louis

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 200 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE       |
|---|------|----------|-----------------|------------|
| WO 2001055108   | A2   | 20010802 | WO 2001-US11    | 20010116   |
| WO 2001055108   | A3   | 20011220 |                 |            |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW |      |          |                 |            |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |            |
| AU 2001036440   | A    | 20010807 | AU 2001-36440   | 20010116   |
| PRIORITY APPLN. INFO.:  |      |          | US 2000-177907P | P 20000125 |
|   |      |          | WO 2001-US11    | W 20010116 |

OTHER SOURCE(S): MARPAT 135:152715

AB Title inhibitors for the treatment of inflammation (no data) comprise indoleglyoxamides, carbazoles, etc.

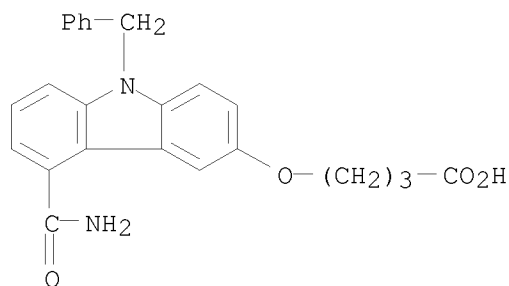
IT 207340-73-6

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(secretory phospholipase A2 inhibitors for the treatment of inflammation)

RN 207340-73-6 CAPLUS

CN Butanoic acid, 4-[[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-3-yl]oxy]- (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 34 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2001:507675 CAPLUS

DOCUMENT NUMBER: 135:77102

TITLE: Preparation of carbazole amino acid derivatives as secretory phospholipase A2 (sPLA2) inhibitors

INVENTOR(S): Lin, Ho-Shen; Richett, Michael Enrico

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 147 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

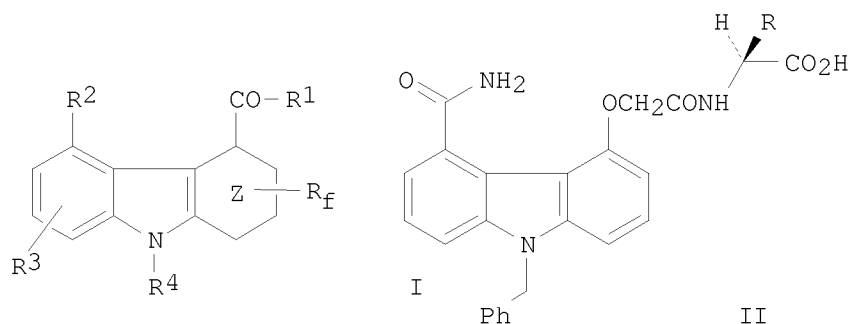
PATENT INFORMATION:

| PATENT NO.             | KIND   | DATE     | APPLICATION NO. | DATE        |
|------------------------|--|----------|-----------------|-------------|
| WO 2001049662          | A2   | 20010712 | WO 2001-US10850 | 20010105    |
| WO 2001049662          | A3   | 20020627 |                 |             |
| W:                     | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW |          |                 |             |
| RW:                    | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG   |          |                 |             |
| EP 1248769             | A2   | 20021016 | EP 2001-918984  | 20010105    |
| R:                     | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR   |          |                 |             |
| US 20030096854         | A1   | 20030522 | US 2002-168152  | 20020612    |
| US 20040204473         | A1   | 20041014 | US 2004-830380  | 20040422    |
| PRIORITY APPLN. INFO.: |  |          | US 2000-175028P | P 20000107  |
|                        |  |          | WO 2001-US10850 | W 20010105  |
|                        |  |          | US 2002-168152  | A3 20020612 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 135:77102

GI



AB Carbazole amino acid derivs. I [Z indicates a cyclohexenyl or Ph ring; R is a non-interfering substituent and f = 1-3; R1 is NHNH2, NH2, or CONH2; R2 is -O(CH2)tR5, where R5 is a carbamoyl group or -(Lh)-(acyl amino acid) (Lh is a linker of length 1-7) and t = 1-5; R3 is a non-interfering substituent or a carbocyclic or heterocyclic radical which may be substituted with non-interfering substituents; R4 is (a) (C5-C20)-alkyl, -alkenyl, or -alkynyl or a carbocyclic or heterocyclic radical, which may be substituted or (b) -(L)-R80, where (L)- is a divalent linking group of 1 to 12 atoms selected from carbon, hydrogen, oxygen, nitrogen, and sulfur (with provisos) and R80 is a group selected from (a)] or a pharmaceutically acceptable racemate, solvate, tautomer, optical isomer, prodrug or salt were prepared for inhibiting sPLA2 mediated release of fatty acids for treatment of inflammatory diseases such as septic shock. Thus, carbazole amino acids II (R is an amino acid side chain) were prepared via coupling of amino acid Me esters and saponification and showed IC50 = 16.1-324

nM

for inhibition of sPLA2.

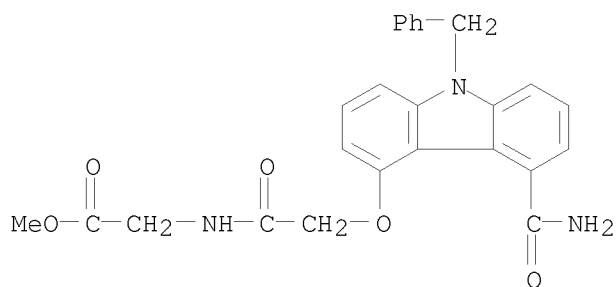
IT 346712-90-1P 346712-91-2P 346712-92-3P  
 346712-93-4P 346712-94-5P 346712-96-7P  
 346712-98-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of carbazole amino acid derivs. as secretory phospholipase A2 (sPLA2) inhibitors)

RN 346712-90-1 CAPLUS

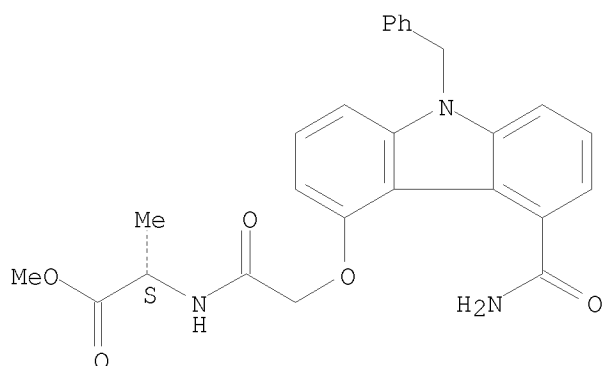
CN Glycine, N-[[[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]acetyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 346712-91-2 CAPLUS

CN L-Alanine, N-[[[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]acetyl]-, methyl ester (9CI) (CA INDEX NAME)

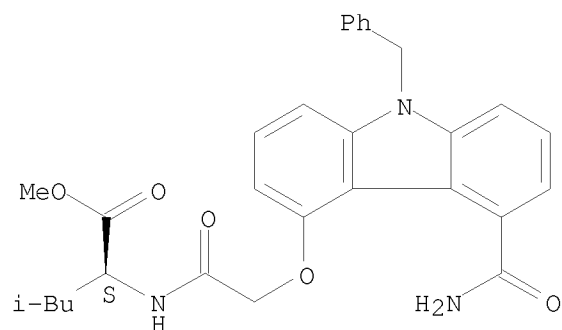
Absolute stereochemistry.



RN 346712-92-3 CAPLUS

CN L-Leucine, N-[[[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]acetyl]-, methyl ester (9CI) (CA INDEX NAME)

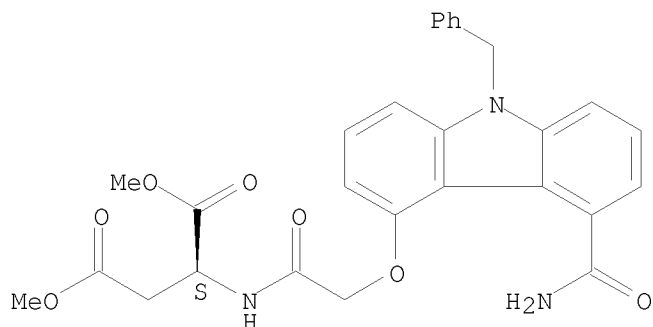
Absolute stereochemistry.



RN 346712-93-4 CAPLUS

CN L-Aspartic acid, N-[[[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]acetyl]-, dimethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

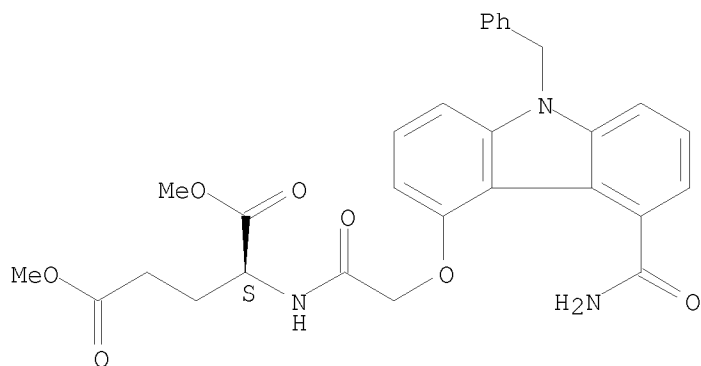


RN 346712-94-5 CAPLUS

CN L-Glutamic acid, N-[[[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]acetyl]-, dimethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

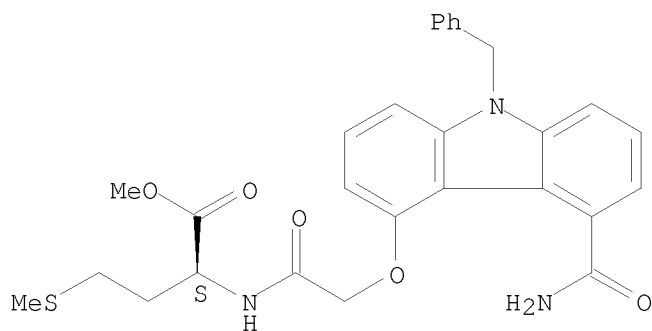




RN 346712-96-7 CAPLUS

CN L-Methionine, N-[[[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]acetyl]-, methyl ester (9CI) (CA INDEX NAME)

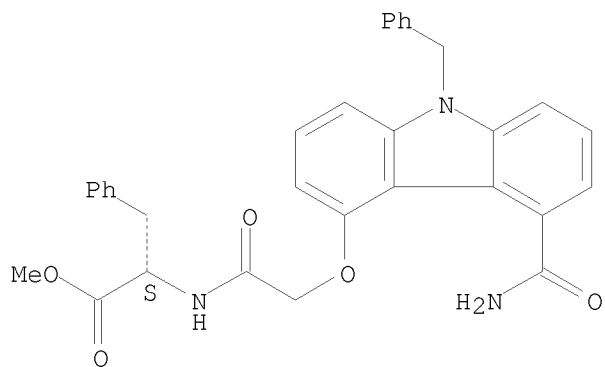
Absolute stereochemistry.



RN 346712-98-9 CAPLUS

CN L-Phenylalanine, N-[[[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]acetyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 346712-88-7P 346712-89-8P 346713-00-6P

346713-02-8P 346713-03-9P 346713-04-0P

346713-05-1P 346713-06-2P 346713-07-3P

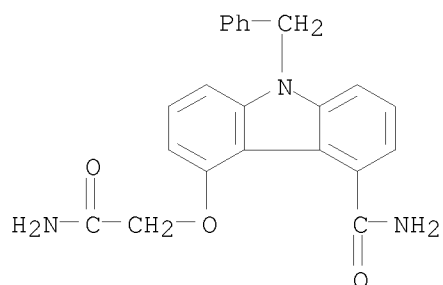
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of carbazole amino acid derivs. as secretory phospholipase A2 (sPLA2) inhibitors)

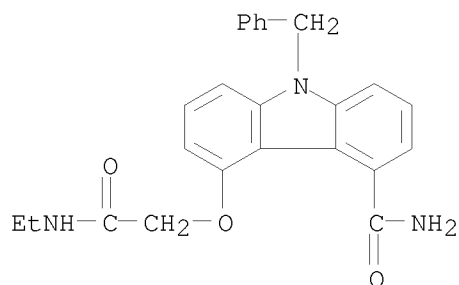
RN 346712-88-7 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-(2-amino-2-oxoethoxy)-9-(phenylmethyl)- (CA INDEX NAME)



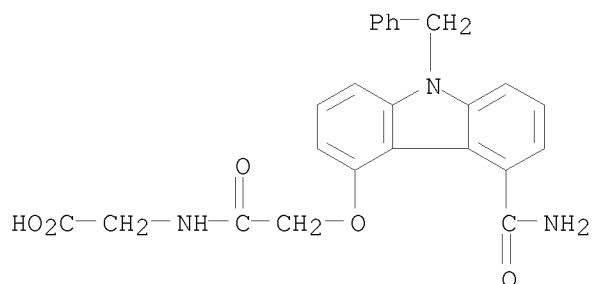
RN 346712-89-8 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-[2-(ethylamino)-2-oxoethoxy]-9-(phenylmethyl)- (CA INDEX NAME)



RN 346713-00-6 CAPLUS

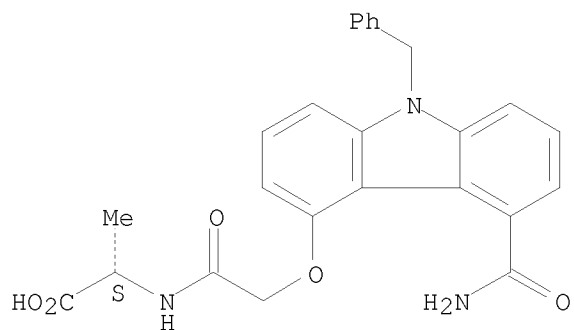
CN Glycine, N-[[[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]acetyl]- (9CI) (CA INDEX NAME)



RN 346713-02-8 CAPLUS

CN L-Alanine, N-[[[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]acetyl]- (9CI) (CA INDEX NAME)

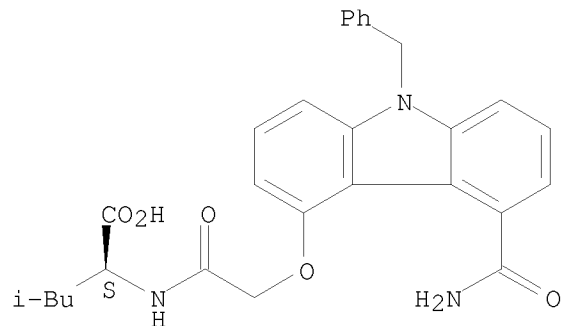
Absolute stereochemistry.



RN 346713-03-9 CAPLUS

CN L-Leucine, N-[[[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]acetyl]- (9CI) (CA INDEX NAME)

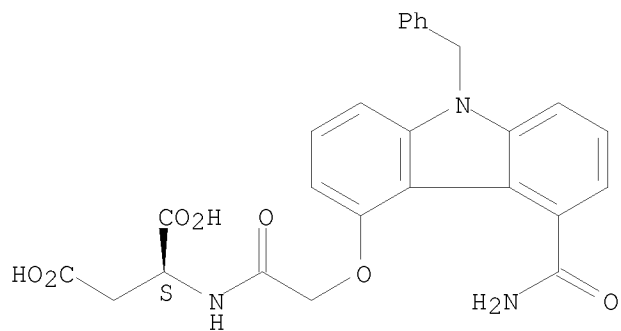
Absolute stereochemistry.



RN 346713-04-0 CAPLUS

CN L-Aspartic acid, N-[[[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]acetyl]- (9CI) (CA INDEX NAME)

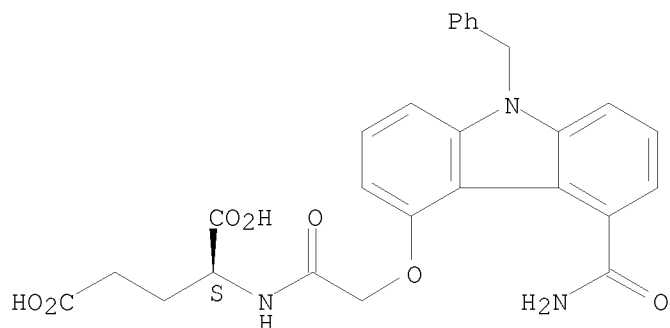
Absolute stereochemistry.



RN 346713-05-1 CAPLUS

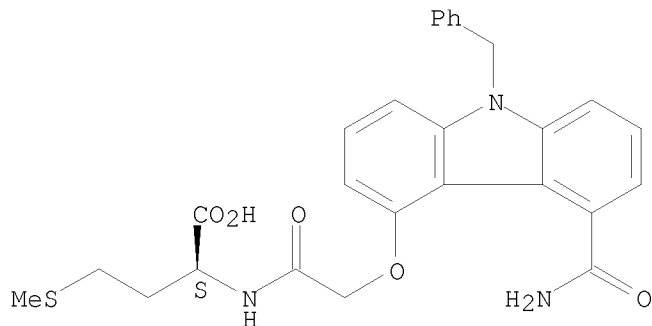
CN L-Glutamic acid, N-[[[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



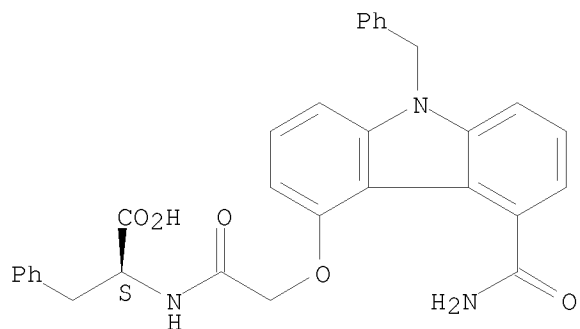
RN 346713-06-2 CAPLUS  
 CN L-Methionine, N-[[[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

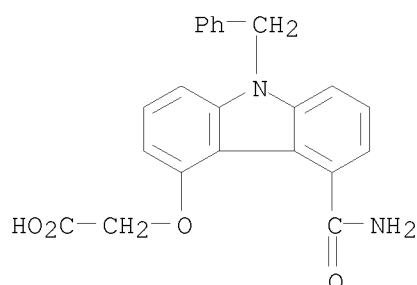


RN 346713-07-3 CAPLUS  
 CN L-Phenylalanine, N-[[[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 207340-86-1  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of carbazole amino acid derivs. as secretory phospholipase A2  
 (sPLA2) inhibitors)  
 RN 207340-86-1 CAPLUS  
 CN Acetic acid, 2-[[[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-  
 (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD  
(2 CITINGS)  
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 35 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2001:507563 CAPLUS

DOCUMENT NUMBER: 135:87174

TITLE: Combination therapy using a neutrophil elastase inhibitor and an secretory phospholipase A2 inhibitor for the treatment of inflammatory and respiratory diseases

INVENTOR(S): Macias, William Louis

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 263 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE       |
|---|------|----------|-----------------|------------|
| WO 2001049323   | A1   | 20010712 | WO 2000-US34262 | 20001222   |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW |      |          |                 |            |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |            |
| EP 1259260  | A1   | 20021127 | EP 2000-990230  | 20001222   |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR   |      |          |                 |            |
| JP 2003519198   | T    | 20030617 | JP 2001-549689  | 20001222   |
| US 20030092767  | A1   | 20030515 | US 2002-149365  | 20020607   |
| PRIORITY APPLN. INFO.:  |      |          | US 2000-174723P | P 20000106 |
|   |      |          | WO 2000-US34262 | W 20001222 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 135:87174

AB A pharmaceutical composition for the treatment of an inflammatory disease or a respiratory disease in mammals comprises, as active ingredients, a neutrophil elastase inhibitor and an sPLA2 inhibitor. Preparation of [(3-(2-amino-1,2-dioxoethyl)-2-ethyl-1-(phenylmethyl)-1H-indole-4-yl)oxy]acetic acid is described.

IT 207340-74-7 207340-74-7D, isomers and prodrug

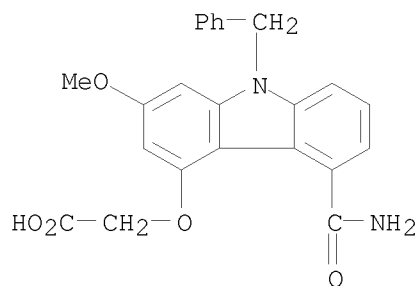
derivs. 207340-75-8 207340-75-8D, isomers and  
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 and prodrug derivs. 220862-21-5 220862-21-5D,  
 isomers and prodrug derivs. 220862-22-6 220862-22-6D  
 , isomers and prodrug derivs. 220862-23-7  
 220862-23-7D, isomers and prodrug derivs. 220862-24-8  
 220862-24-8D, isomers and prodrug derivs. 220862-26-0  
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 220862-76-0 220862-76-0D, isomers and prodrug derivs.  
 220862-84-0 225653-40-7 225653-40-7D,  
 isomers and prodrug derivs.

RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
 study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES  
 (Uses)

(neutrophil elastase inhibitor-secretory phospholipase A2 inhibitor  
 combination therapy for inflammatory and respiratory diseases)

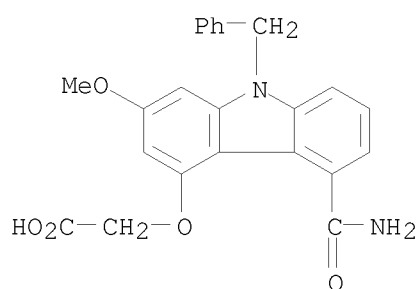
RN 207340-74-7 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-methoxy-9-(phenylmethyl)-9H-carbazol-  
 4-yl]oxy]- (CA INDEX NAME)



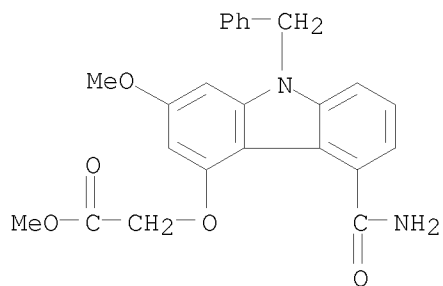
RN 207340-74-7 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-methoxy-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



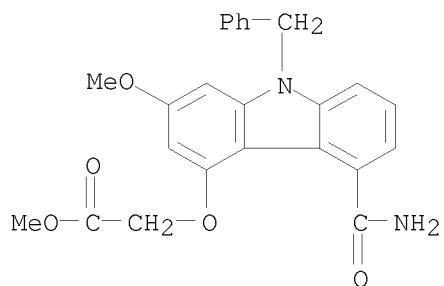
RN 207340-75-8 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-methoxy-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



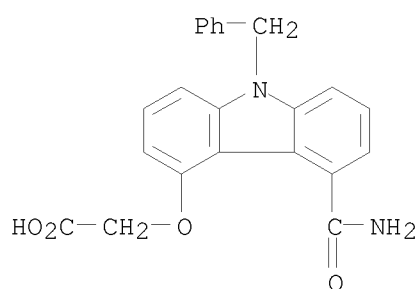
RN 207340-75-8 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-methoxy-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



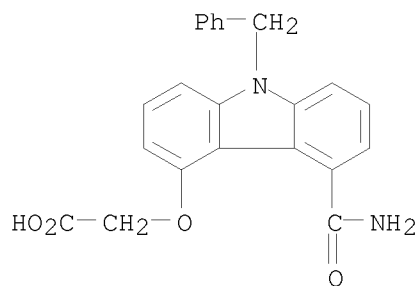
RN 207340-86-1 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-  
(CA INDEX NAME)



RN 207340-86-1 CAPLUS

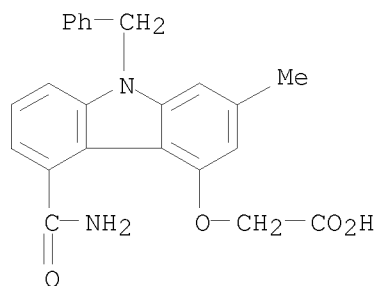
CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-  
(CA INDEX NAME)



RN 220862-21-5 CAPLUS

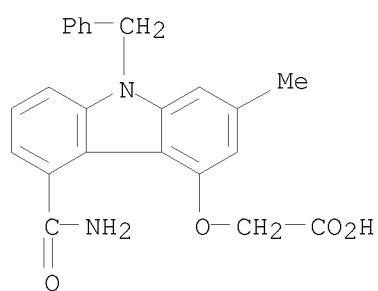
CN Acetic acid, 2-[[5-(aminocarbonyl)-2-methyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-  
(CA INDEX NAME)





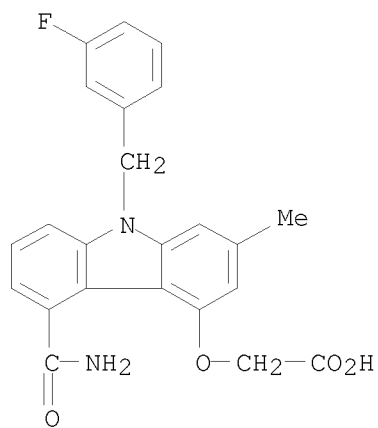
RN 220862-21-5 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-methyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



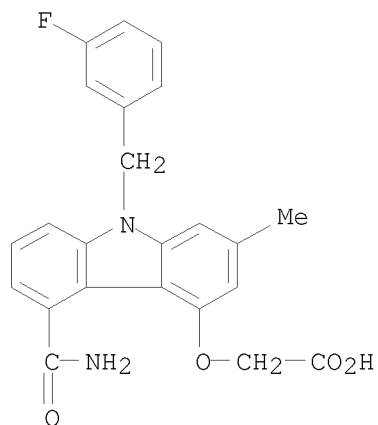
RN 220862-22-6 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3-fluorophenyl)methyl]-2-methyl-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



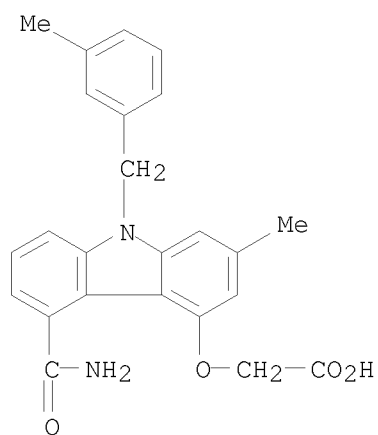
RN 220862-22-6 CAPLUS

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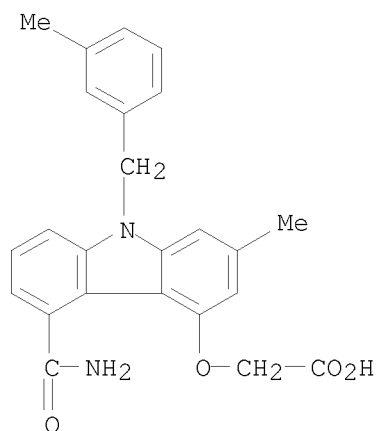
RN 220862-23-7 CAPLUS

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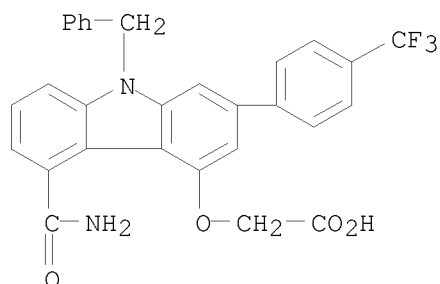
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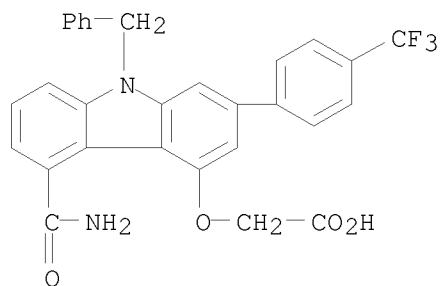
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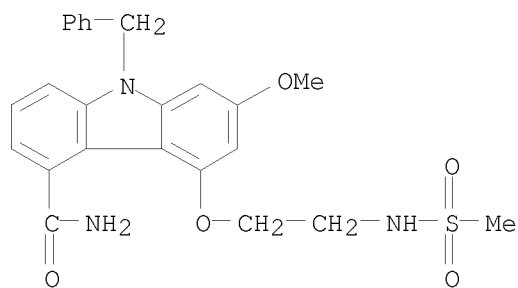
RN 220862-24-8 CAPLUS

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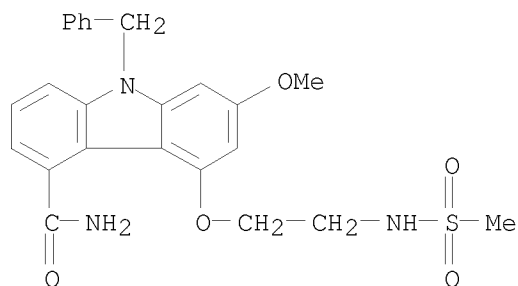
RN 220862-26-0 CAPLUS

CN 9H-Carbazole-4-carboxamide, 7-methoxy-5-[2-[(methylsulfonyl)amino]ethoxy]-9-(phenylmethyl)- (CA INDEX NAME)



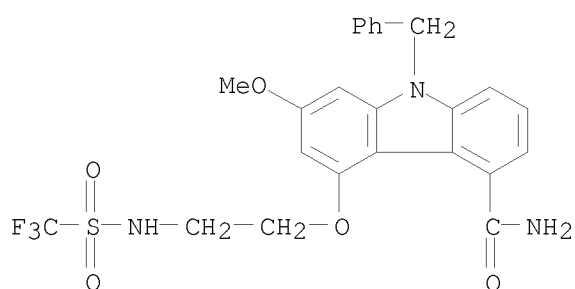
RN 220862-26-0 CAPLUS

CN 9H-Carbazole-4-carboxamide, 7-methoxy-5-[2-[(methylsulfonyl)amino]ethoxy]-9-(phenylmethyl)- (CA INDEX NAME)



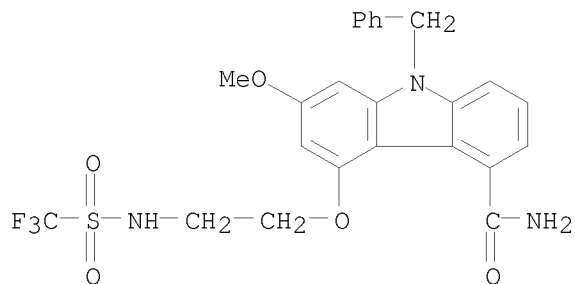
RN 220862-27-1 CAPLUS

CN 9H-Carbazole-4-carboxamide, 7-methoxy-9-(phenylmethyl)-5-[2-  
[[ (trifluoromethyl)sulfonyl]amino]ethoxy]- (CA INDEX NAME)



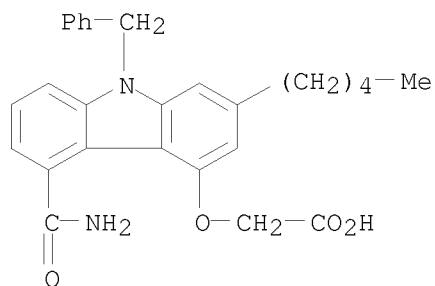
RN 220862-27-1 CAPLUS

CN 9H-Carbazole-4-carboxamide, 7-methoxy-9-(phenylmethyl)-5-[2-  
[[ (trifluoromethyl)sulfonyl]amino]ethoxy]- (CA INDEX NAME)



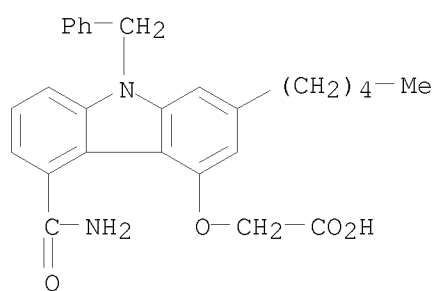
RN 220862-30-6 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-pentyl-9-(phenylmethyl)-9H-carbazol-4-  
yl]oxy]- (CA INDEX NAME)



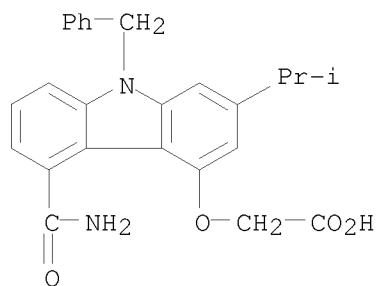
RN 220862-30-6 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-pentyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



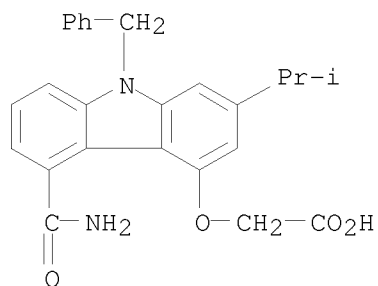
RN 220862-31-7 CAPLUS

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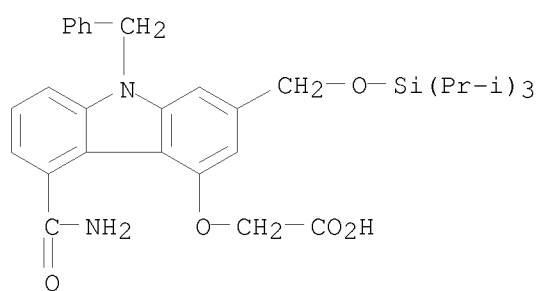
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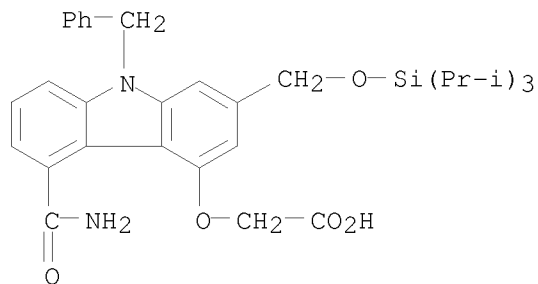
RN 220862-32-8 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-2-[[[tris(1-methylethyl)silyl]oxy]methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



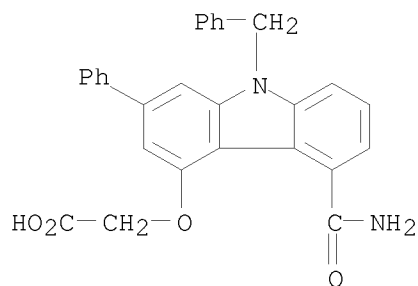
RN 220862-32-8 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-2-[[[tris(1-methylethyl)silyl]oxy]methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



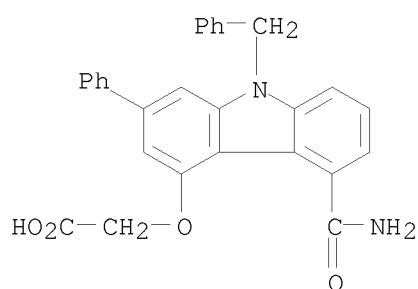
RN 220862-33-9 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-phenyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



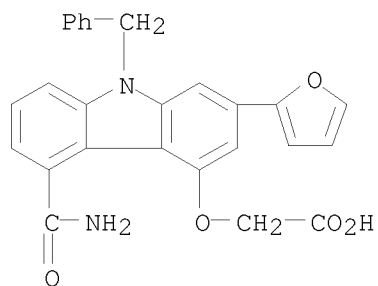
RN 220862-33-9 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-phenyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



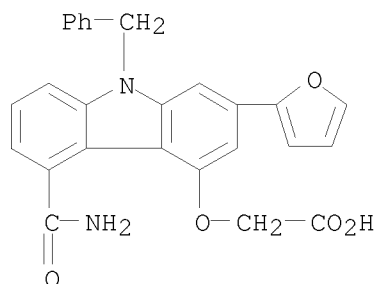
RN 220862-34-0 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-(2-furanyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

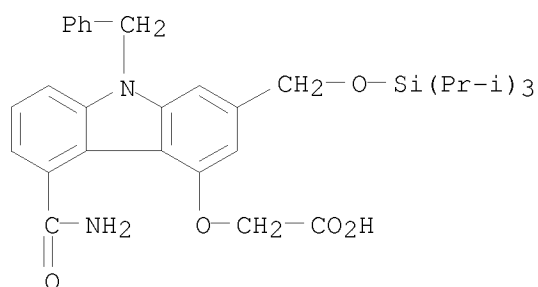


RN 220862-34-0 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-(2-furanyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

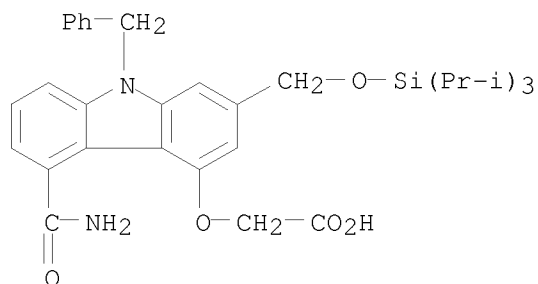


RN 220862-35-1 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-2-[[[tris(1-methylethyl)silyl]oxy]methyl]-9H-carbazol-4-yl]oxy]-, lithium salt (1:1)  
 (CA INDEX NAME)



● Li

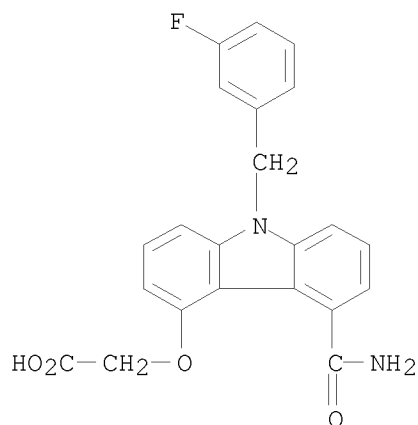
RN 220862-35-1 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-2-[[[tris(1-methylethyl)silyl]oxy]methyl]-9H-carbazol-4-yl]oxy]-, lithium salt (1:1)  
 (CA INDEX NAME)



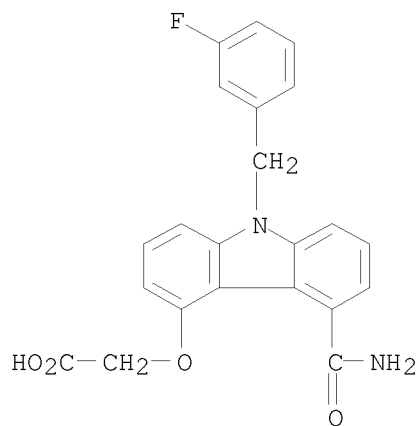
● Li

RN 220862-36-2 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3-fluorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

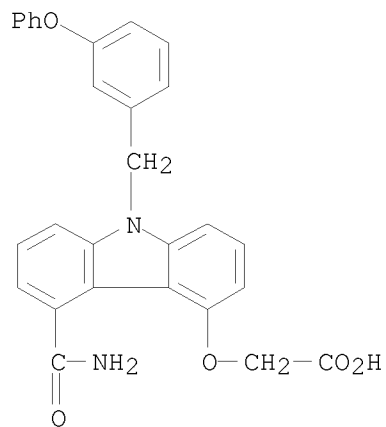




RN 220862-36-2 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3-fluorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

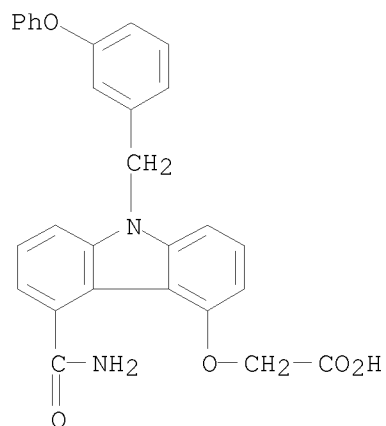


RN 220862-37-3 CAPLUS  
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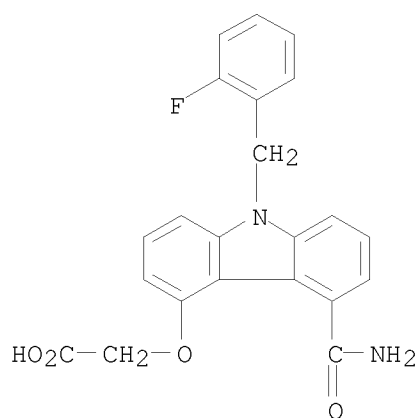
RN 220862-37-3 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3-phenoxyphenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



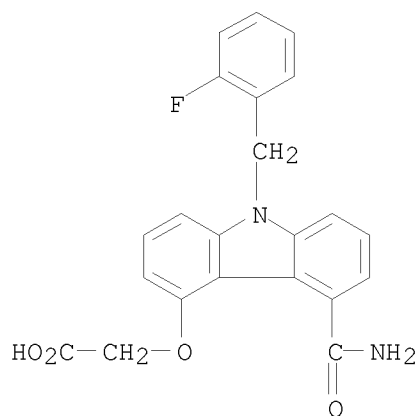
RN 220862-38-4 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2-fluorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



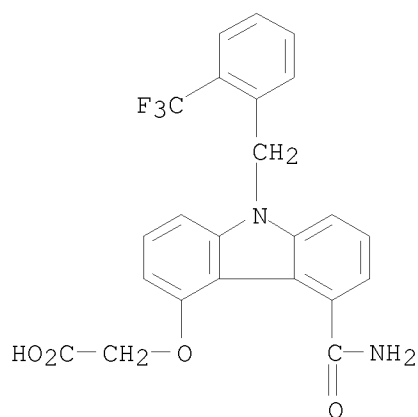
RN 220862-38-4 CAPLUS

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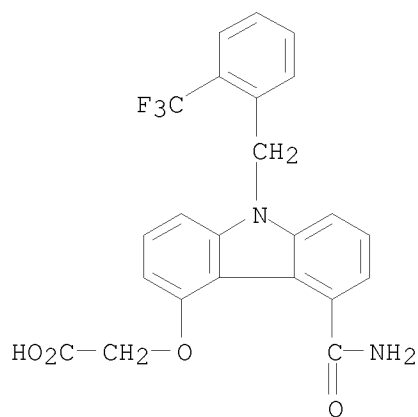
RN 220862-39-5 CAPLUS

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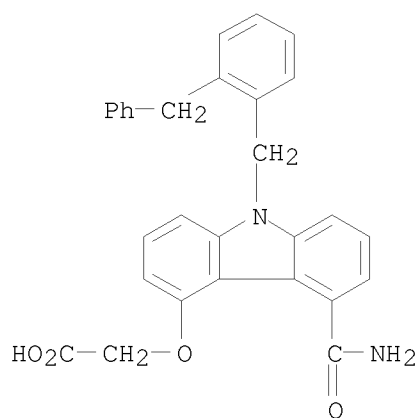


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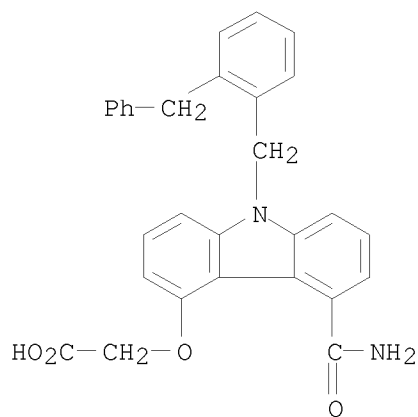
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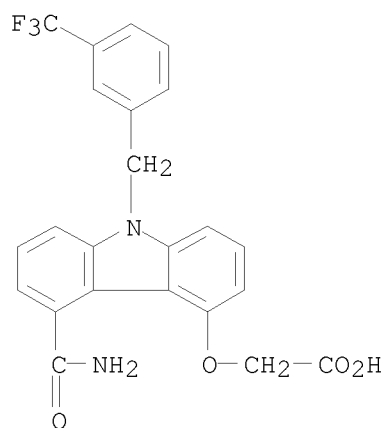
RN 220862-40-8 CAPLUS  
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RN 220862-40-8 CAPLUS  
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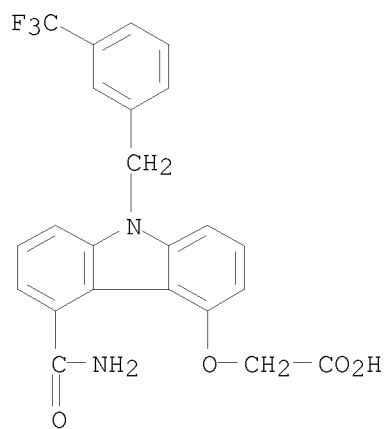


RN 220862-41-9 CAPLUS  
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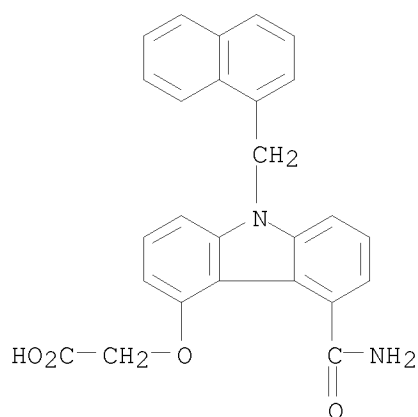
RN 220862-41-9 CAPLUS

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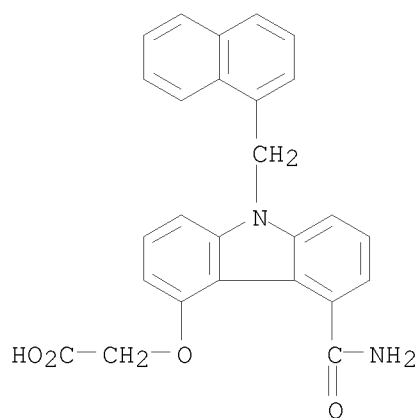


RN 220862-42-0 CAPLUS

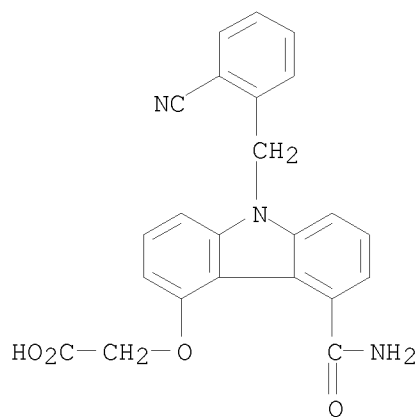
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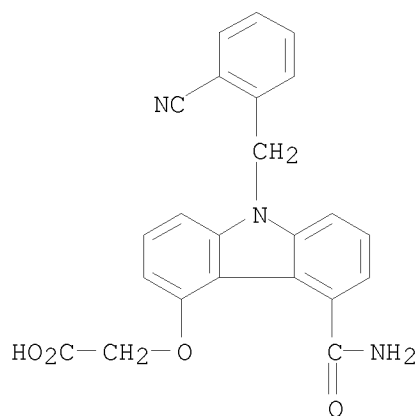
RN 220862-42-0 CAPLUS  
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RN 220862-43-1 CAPLUS  
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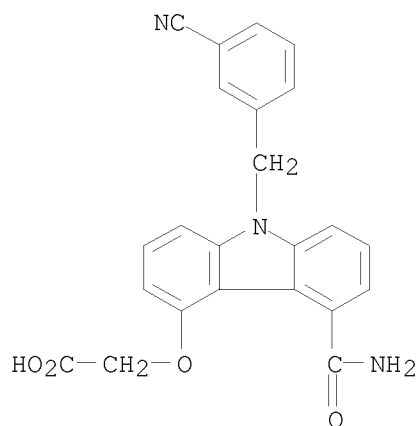


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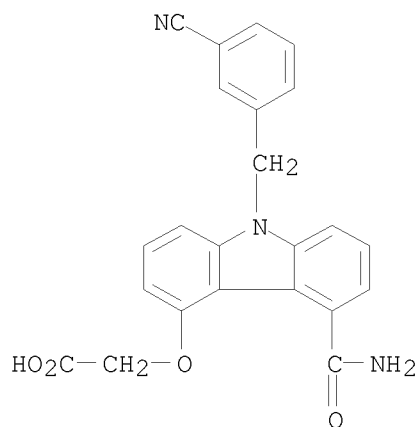
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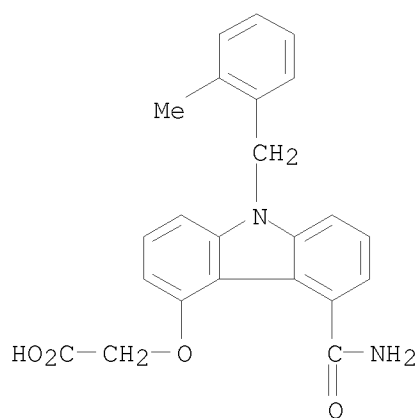


RN 220862-44-2 CAPLUS

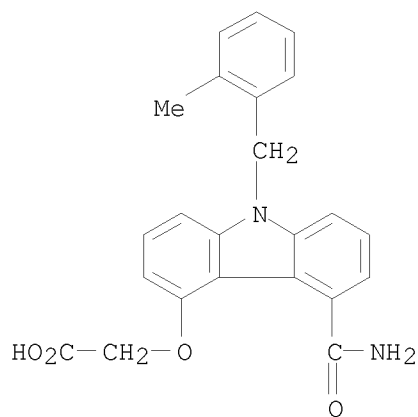
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RN 220862-45-3 CAPLUS  
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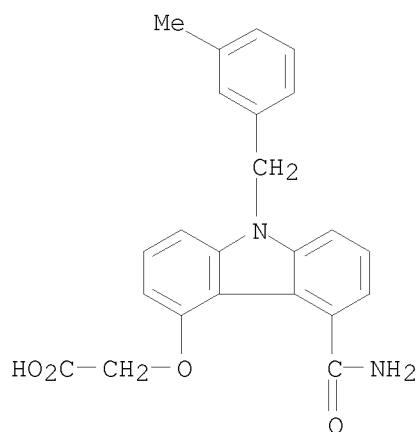


RN 220862-45-3 CAPLUS  
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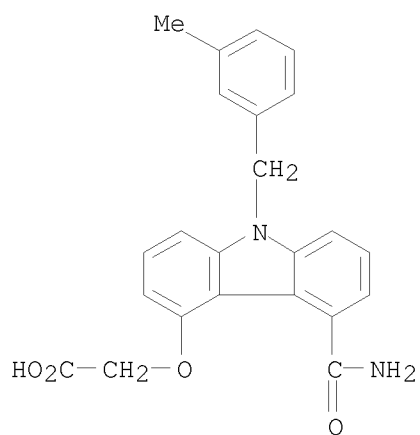
RN 220862-46-4 CAPLUS  
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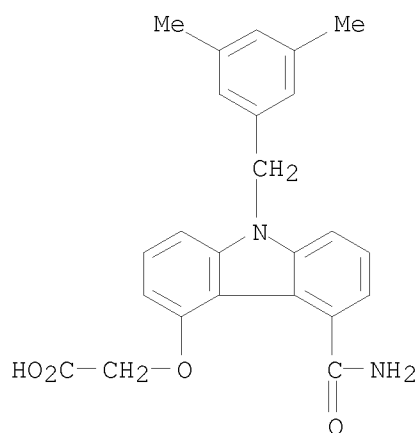
RN 220862-46-4 CAPLUS

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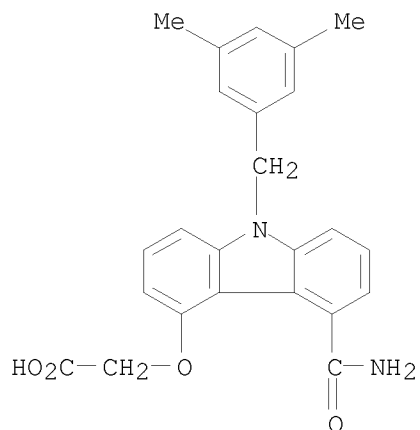


RN 220862-47-5 CAPLUS

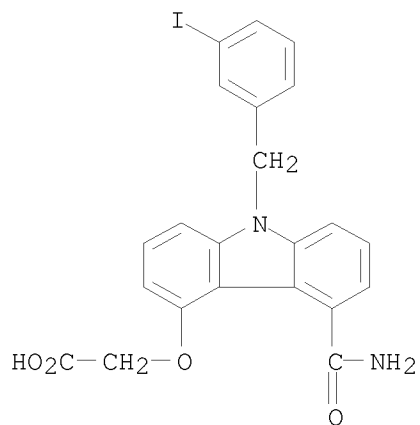
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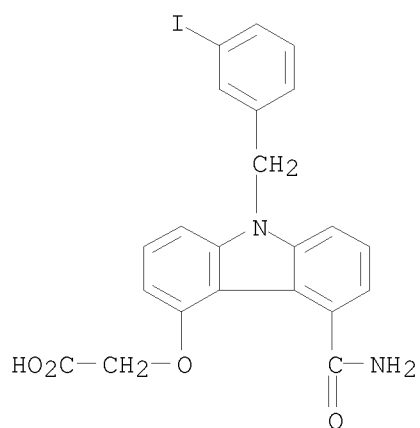
RN 220862-47-5 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3,5-dimethylphenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-48-6 CAPLUS  
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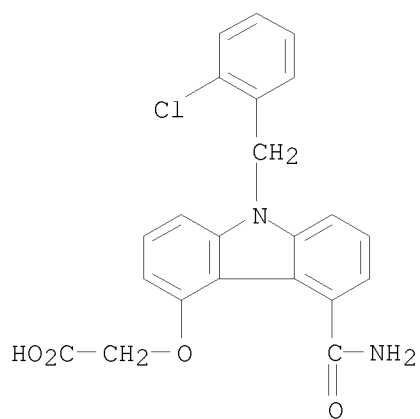


RN 220862-48-6 CAPLUS  
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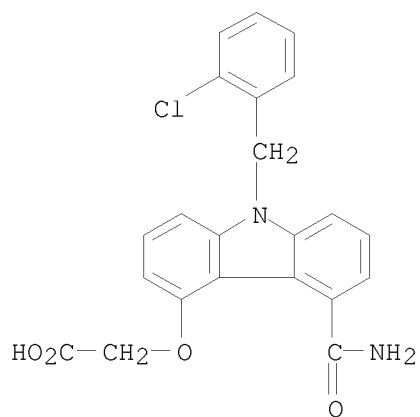
RN 220862-49-7 CAPLUS

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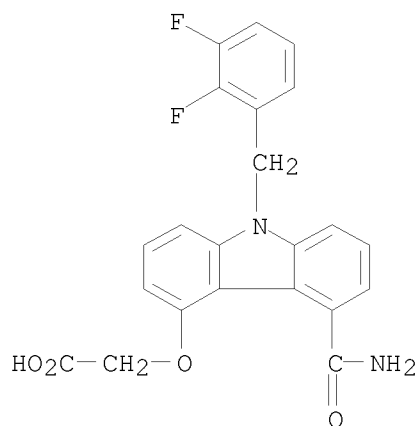


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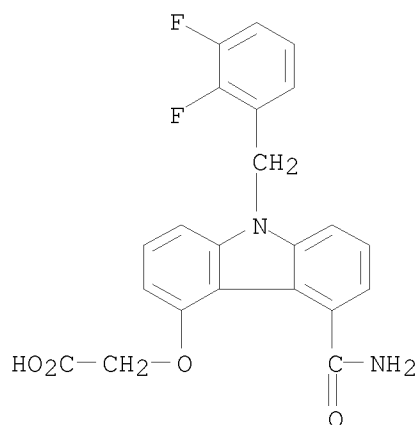
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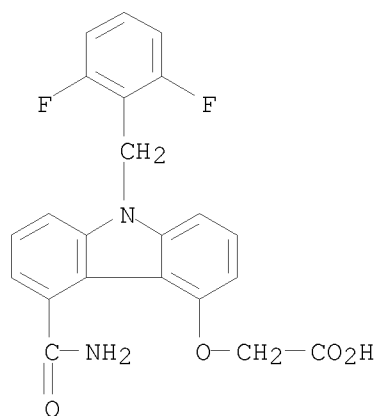
RN 220862-50-0 CAPLUS  
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RN 220862-50-0 CAPLUS  
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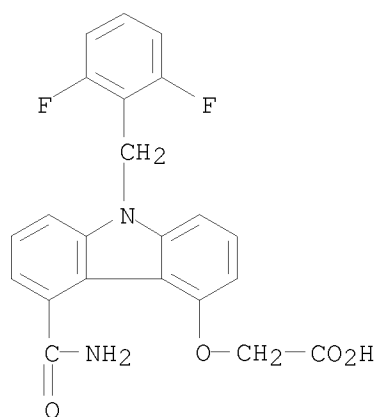


RN 220862-51-1 CAPLUS  
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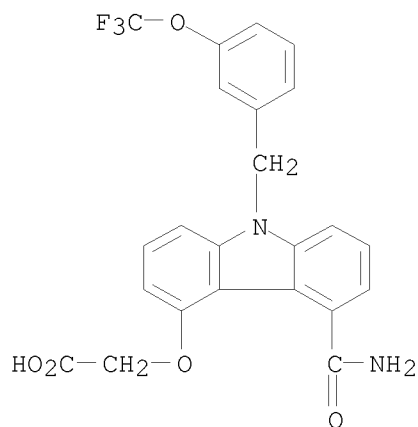
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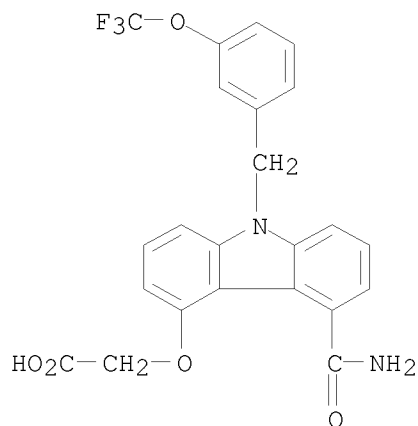


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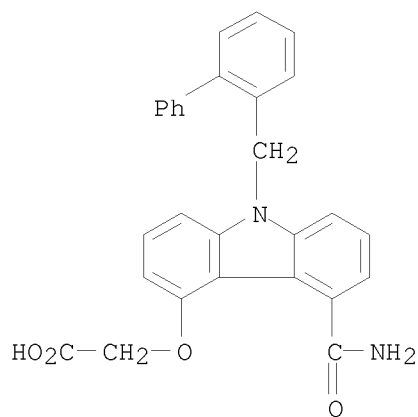
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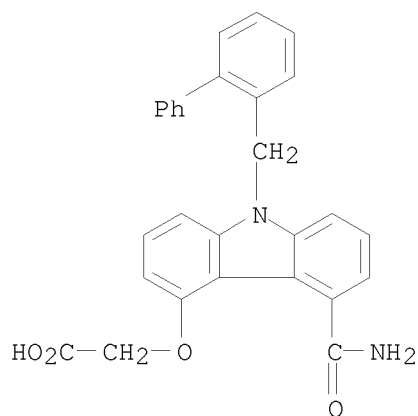
RN 220862-54-4 CAPLUS  
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RN 220862-55-5 CAPLUS  
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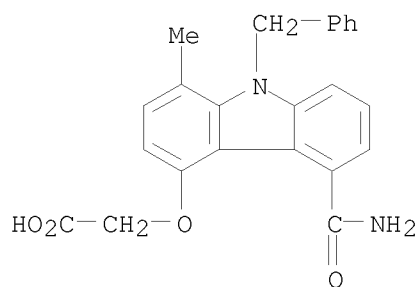


RN 220862-55-5 CAPLUS  
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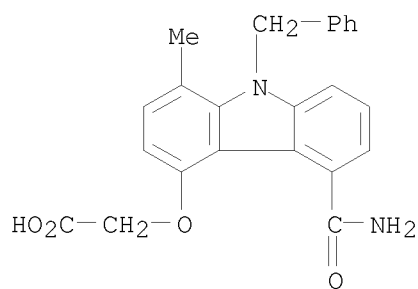
RN 220862-59-9 CAPLUS

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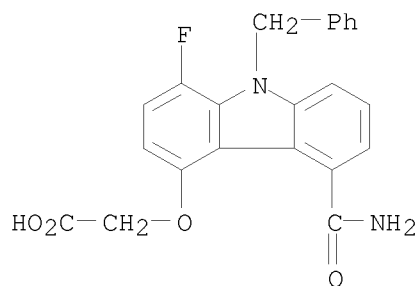
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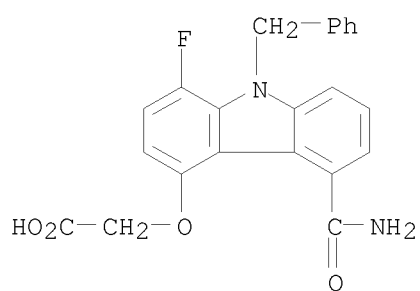
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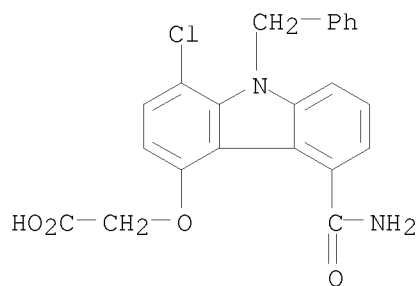
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RN 220862-63-5 CAPLUS

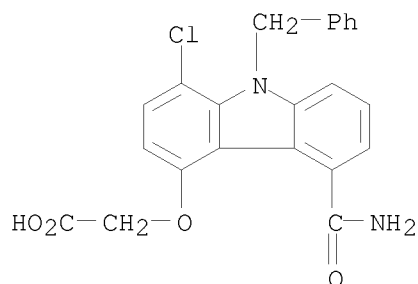
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RN 220862-63-5 CAPLUS

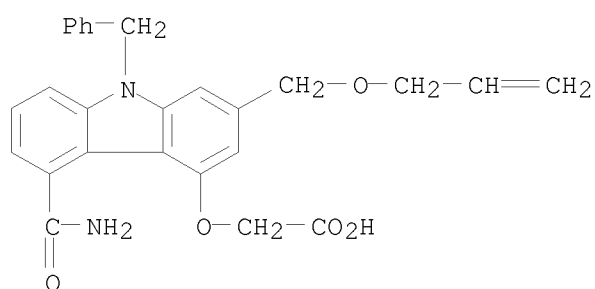
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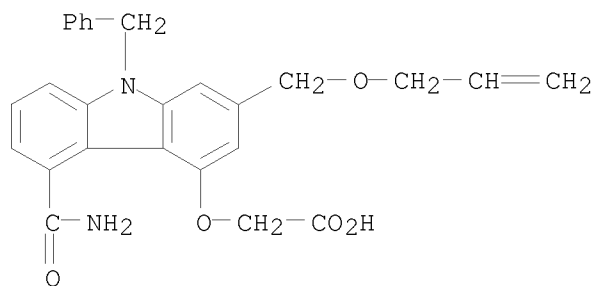
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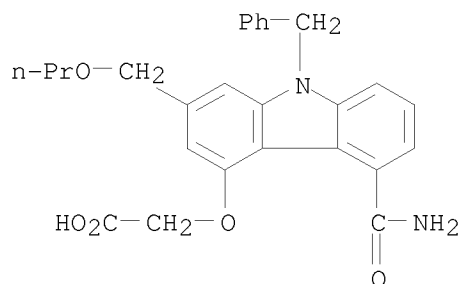
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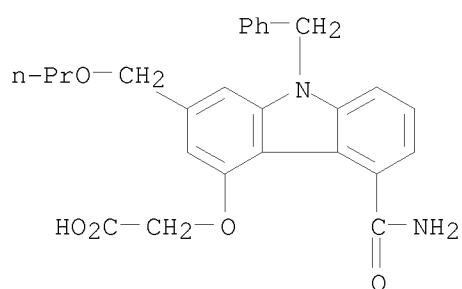
RN 220862-68-0 CAPLUS

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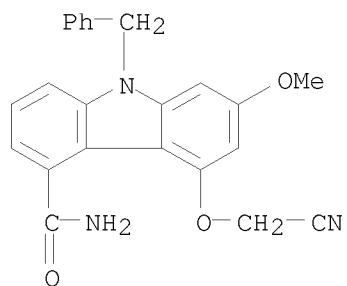
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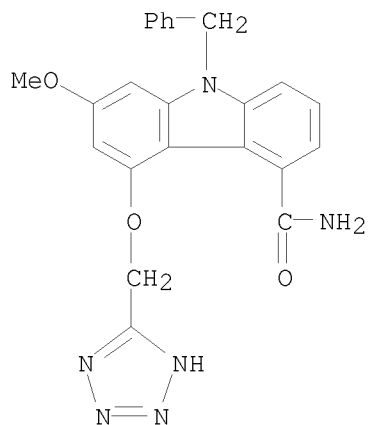
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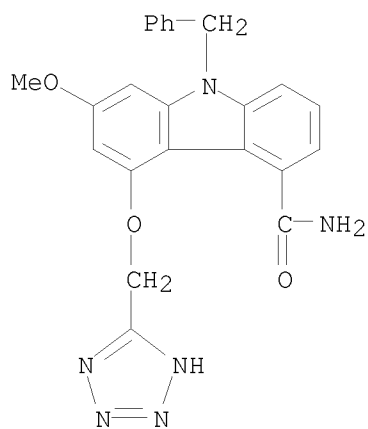
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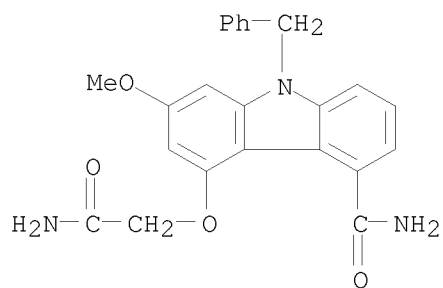
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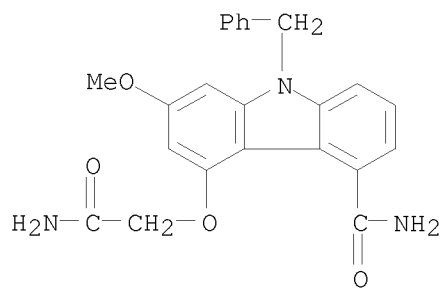
RN 220862-76-0 CAPLUS

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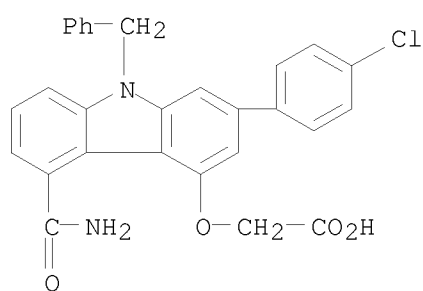
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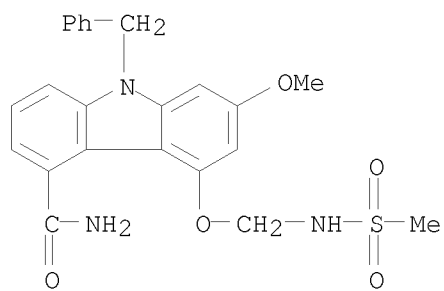
RN 220862-84-0 CAPLUS

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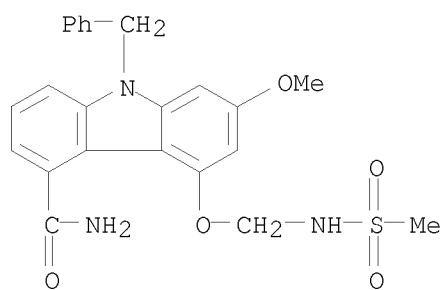
RN 225653-40-7 CAPLUS

CN 9H-Carbazole-4-carboxamide, 7-methoxy-5-[[[(methylsulfonyl)amino]methoxy]-9-(phenylmethyl)- (CA INDEX NAME)



RN 225653-40-7 CAPLUS

CN 9H-Carbazole-4-carboxamide, 7-methoxy-5-[[[(methylsulfonyl)amino]methoxy]-9-(phenylmethyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
(2 CITINGS)  
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 36 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2001:478039 CAPLUS

DOCUMENT NUMBER: 135:242095

TITLE: Efficient synthesis of 3-substituted 2-arylindoles via Suzuki coupling reactions on a solid phase

AUTHOR(S): Zhang, H.-C.; Ye, H.; White, K. B.; Maryanoff, B. E.

CORPORATE SOURCE: Drug Discovery, The R. W. Johnson Pharmaceutical Research Institute, Spring House, PA, 19477-0776, USA

SOURCE: Tetrahedron Letters (2001), 42(29), 4751-4754

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 135:242095

AB 2-Aryl-3-alkylindoles were prepared on solid phase via palladium-mediated heteroannulation of 1-alkyl-2-(trimethylsilyl)acetylenes with amide resin-bound o-iodoaniline, followed by transformation of trimethylsilyl to iodide and then Suzuki coupling reactions. Traceless synthesis of sym. and unsym. 2,3-diarylindoles was achieved via palladium-mediated one-pot coupling/intramol. indole cyclization of aryl-substituted terminal alkynes with sulfonyl resin-bound o-iodoaniline, followed by regioselective bromination and Suzuki coupling reactions.

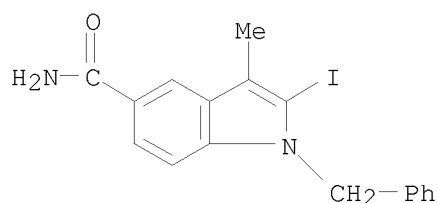
IT 361161-30-0DP, resin-bound 361161-31-1DP,

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(efficient synthesis of 3-substituted 2-arylindoles via Suzuki coupling reactions on a solid phase)

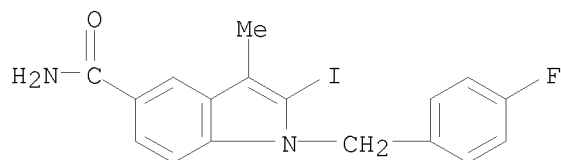
RN 361161-30-0 CAPLUS

CN 1H-Indole-5-carboxamide, 2-iodo-3-methyl-1-(phenylmethyl)- (CA INDEX NAME)



RN 361161-31-1 CAPLUS

CN 1H-Indole-5-carboxamide, 1-[(4-fluorophenyl)methyl]-2-iodo-3-methyl- (CA INDEX NAME)

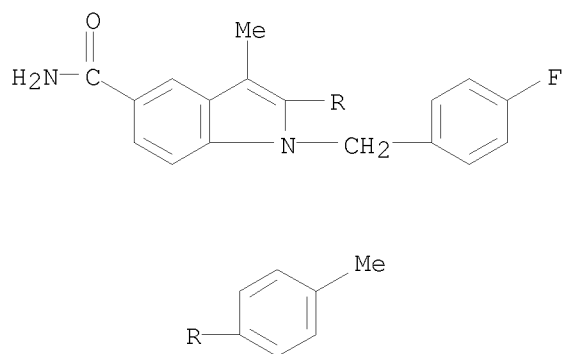


IT 361161-35-5P 361161-36-6P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(efficient synthesis of 3-substituted 2-arylindoles via Suzuki coupling reactions on a solid phase)

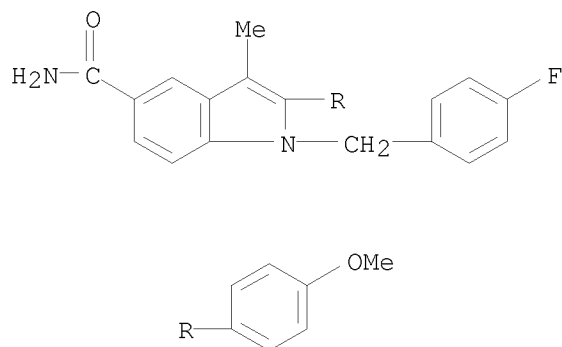
RN 361161-35-5 CAPLUS

CN 1H-Indole-5-carboxamide, 1-[(4-fluorophenyl)methyl]-3-methyl-2-(4-methylphenyl)- (CA INDEX NAME)



RN 361161-36-6 CAPLUS

CN 1H-Indole-5-carboxamide, 1-[(4-fluorophenyl)methyl]-2-(4-methoxyphenyl)-3-methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 47 THERE ARE 47 CAPLUS RECORDS THAT CITE THIS RECORD (51 CITINGS)  
REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 37 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2001:283786 CAPLUS

DOCUMENT NUMBER: 134:290409

TITLE: Preparation of V type and/or X type sPLA2 inhibitors

INVENTOR(S): Ono, Takashi; Ueno, Masahiko; Hanasaki, Kohji

PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan

SOURCE: PCT Int. Appl., 58 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

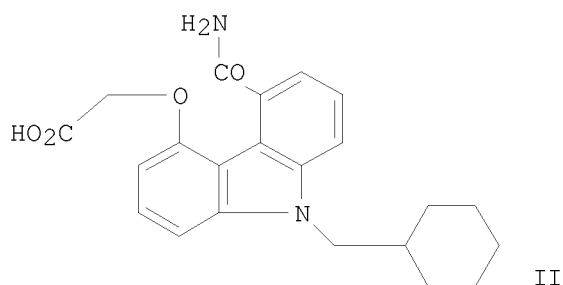
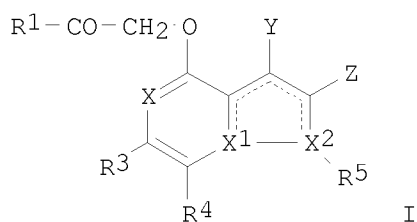
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE  | APPLICATION NO. | DATE  |
|------------|------|-------|-----------------|-------|
| -----      | ---- | ----- | -----           | ----- |

WO 2001026653 A1 20010419 WO 2000-JP7024 20001010  
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,  
CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,  
HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU,  
LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD,  
SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU,  
ZA, ZW  
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,  
CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 1999-293273 A 19991015  
OTHER SOURCE(S): MARPAT 134:290409  
GI



AB V type and/or X type sPLA2 inhibitors which contain as the active ingredient compds. represented by general formulas [I; X = CHR2, N; X1 = C, N; X2 = C, N; Y = R6; Z = R7; YZ = C(CONH2):CHCH:CH; R1 = OH, NHSO2C6H5; R2, R3, R4 independently = H, CH3, C6H5, F; ; R5 = 4-C6H5C6H4CH2, C6H5CH2, cyclohexylmethyl, 2-cyclopentylphenyl; R6 = H, C1-3 alkyl; R7 = COCONH2, CH2CONH2; dotted bond = single, double], prodrugs thereof, and pharmaceutically acceptable salts of the same or solvates of the same are prepared as V type and/or X type sPLA2 inhibitors. Thus, the title compound II was prepared and tested for X type sPLA2 inhibition with an IC50 of 3 nM.

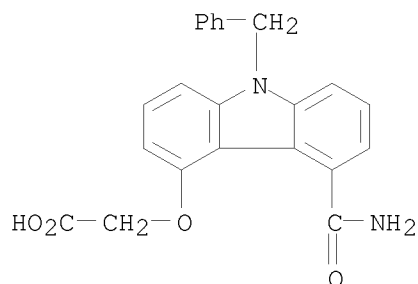
IT 207340-86-1P 220862-34-0P 220862-37-3P  
220862-61-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of V type and/or X type sPLA2 inhibitors)

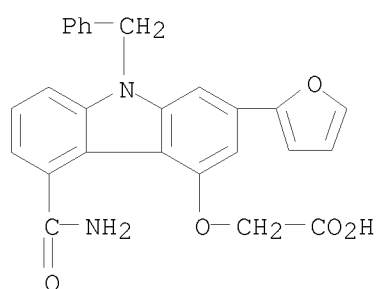
RN 207340-86-1 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-  
(CA INDEX NAME)



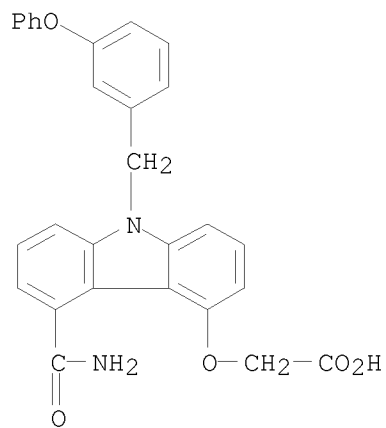
RN 220862-34-0 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-(2-furanyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-37-3 CAPLUS

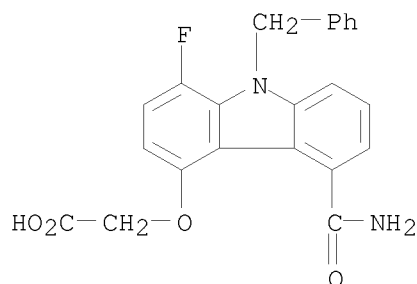
CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3-phenoxyphenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-61-3 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-1-fluoro-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)





OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
(1 CITINGS)  
REFERENCE COUNT: 64 THERE ARE 64 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 38 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2001:57225 CAPLUS

DOCUMENT NUMBER: 134:131518

TITLE: Preparation of substituted carbazoles and analogous  
tricyclics as secretory phospholipase A2 (sPLA2)  
inhibitors

INVENTOR(S): Bach, Nicholas James; Draheim, Susan Elizabeth;  
Dillard, Robert Delane; Mihelich, Edward David;  
Sawyer, Jason Scott; Beight, Douglas Wade; Phillips,  
Michael Leroy; Suarez, Tulio; Sall, Daniel Jon;  
Bastian, Jolie Anne; Denney, Michael Lyle; Hite, Gary  
Alan; Kinnick, Michael Dean; Vasileff, Robert  
Theodore; Morin, John Michael, Jr.; Lin, Ho-Shen;  
Richett, Michael Enrico; Harper, Richard Waltz;  
McGill, John McNeill, III; Anderson, Benjamin Alan;  
Harn, Nancy Kay; Loncharich, Richard James; Schevitz,  
Richard Walter

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: U.S., 174 pp., Cont.-in-part of U.S. Ser. No. 959,477.  
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

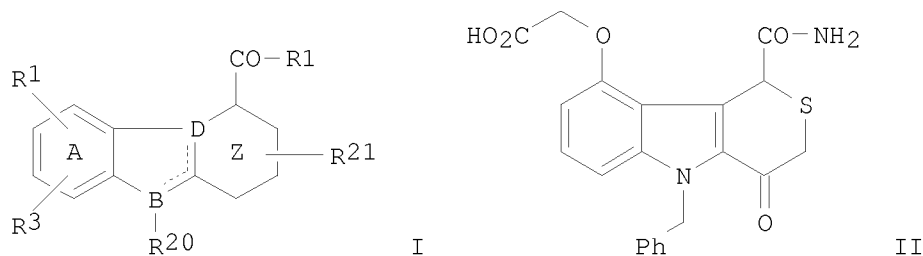
PATENT INFORMATION:

| PATENT NO.             | KIND | DATE     | APPLICATION NO. | DATE        |
|------------------------|------|----------|-----------------|-------------|
| US 6177440             | B1   | 20010123 | US 1998-63066   | 19980421    |
| HU 9903545             | A2   | 20000228 | HU 1999-3545    | 19971023    |
| HU 9903545             | A3   | 20010528 |                 |             |
| US 6713645             | B1   | 20040330 | US 2000-688106  | 20001013    |
| PRIORITY APPLN. INFO.: |      |          | US 1996-29849P  | P 19961030  |
|                        |      |          | US 1997-959477  | A2 19971028 |
|                        |      |          | US 1998-63066   | A3 19980421 |
|                        |      |          | US 2000-688106  | A 20001013  |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 134:131518

GI



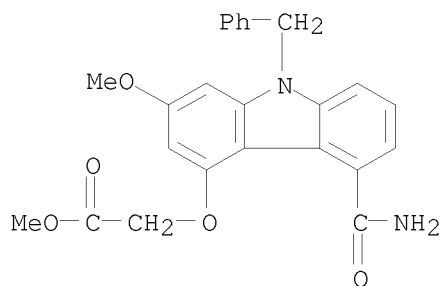
AB Carbazole, thiocarbazole, pyridoindole, azacarbazole, (thio)pyranoindole, and carboline derivs. I are disclosed [wherein: A = Ph or pyridyl; B or D = N and the other is C; Z = cyclohexenyl, Ph, pyridyl, or a heterocyclic ring with one S, O, or N atom; R20 = (un)substituted alkyl, alkenyl, alkynyl, carbo- or heterocyclic radical, or L-R80; L = linking group of 1-12 C, H, O, N, and/or S atoms; R80 = (un)substituted alkyl, alkenyl, alkynyl, carbo- or heterocyclic radical; R21 = non-interfering substituent; R1 = NHNH2, NH2, or CONH2; R2 = OH or (un)substituted alkoxy; R3 = non-interfering substituent, (un)substituted carbo- or heterocyclic radical; with provisos]. I are inhibitors of human non-pancreatic secretory phospholipase A2 (sPLA2). I suppress sPLA2-mediated release of fatty acids, thereby inhibiting the arachidonic acid cascade, and are useful in the treatment of septic shock and a variety of other sPLA2 related diseases, such as arthritis. Over 70 examples were synthesized. For instance, the thiocarbazole II was prepared in a nine-step synthesis. 4-Methoxyindole was N-benzylated and then acylated in the 3-position with Me oxalyl chloride. The resulting ketone was reduced to the alc. with NaBH4, to form Me (1-benzyl-4-methoxyindol-3-yl)hydroxyacetate. The alc. was mesylated and displaced by mercaptoacetic acid, and the thio ether cyclized to afford the 3-thia-1,2,3,4-tetrahydrocarbazole nucleus. The ester was hydrolyzed and converted to the carboxamide. Finally, the Me ether was cleaved to give the alc., followed by etherification with Et bromoacetate, and hydrolysis to yield II. I were effective inhibitors of recombinant human sPLA2 at concns. of < 100  $\mu$ M in a chromogenic assay. I also suppressed contractile response of guinea pig dorsal pleural strips to sPLA2 at concns. < 20  $\mu$ M. I reduced sPLA2 catalytic activity in the serum of transgenic mice (no data).

IT 207340-75-8P, Methyl [(9-benzyl-4-carbamoyl-7-methoxycarbazol-5-yl)oxy]acetate 207340-86-1P, [[9-[(Phenyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic acid 220862-55-5P, [[9-[(2-Biphenyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic acid 220862-72-6P, 9-Benzyl-7-methoxy-5-(cyanomethyloxy)carbazole-4-carboxamide  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of substituted carbazoles and analogous tricyclics as secretory phospholipase A2 (sPLA2) inhibitors)

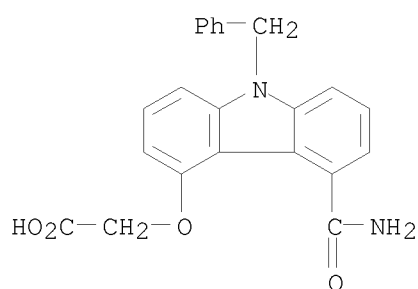
RN 207340-75-8 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-methoxy-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



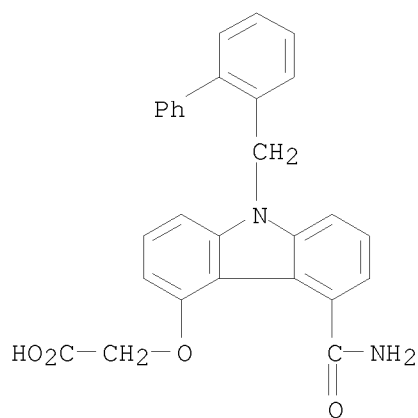
RN 207340-86-1 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-  
(CA INDEX NAME)



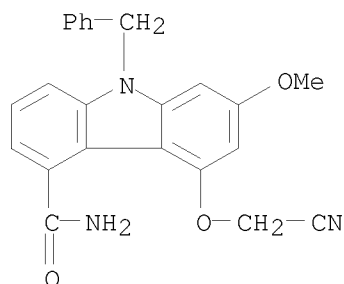
RN 220862-55-5 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-([1,1'-biphenyl]-2-ylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-72-6 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-(cyanomethoxy)-7-methoxy-9-(phenylmethyl)-  
(CA INDEX NAME)



IT 207340-74-7P, [(9-Benzyl-4-carbamoyl-7-methoxycarbazol-5-yl)oxy]acetic acid 207340-76-9P, [(9-Benzyl-4-carbamoyl-7-methoxycarbazol-5-yl)oxy]acetic acid sodium salt 220862-21-5P, [[9-(Phenylmethyl)-5-carbamoyl-2-methylcarbazol-4-yl]oxy]acetic acid 220862-22-6P, [[9-[(3-Fluorophenyl)methyl]-5-carbamoyl-2-methylcarbazol-4-yl]oxy]acetic acid 220862-23-7P, [[9-[(3-Methylphenyl)methyl]-5-carbamoyl-2-methylcarbazol-4-yl]oxy]acetic acid 220862-24-8P, [[9-[(Phenyl)methyl]-5-carbamoyl-2-(4-trifluoromethylphenyl)carbazol-4-yl]oxy]acetic acid 220862-26-0P, 9-Benzyl-4-[[2-(methanesulfonamido)ethyl]oxy]-2-methoxycarbazole-5-carboxamide 220862-27-1P, 9-Benzyl-4-[[2-(trifluoromethanesulfonamido)ethyl]oxy]-2-methoxycarbazole-5-carboxamide 220862-30-6P, [[5-Carbamoyl-2-pentyl-9-(phenylmethyl)carbazol-4-yl]oxy]acetic acid 220862-31-7P, [[5-Carbamoyl-2-(1-methylethyl)-9-(phenylmethyl)carbazol-4-yl]oxy]acetic acid 220862-32-8P, [[5-Carbamoyl-9-(phenylmethyl)-2-[[[tris(1-methylethyl)silyl]oxy]methyl]carbazol-4-yl]oxy]acetic acid 220862-33-9P, [[5-Carbamoyl-2-phenyl-9-(phenylmethyl)carbazol-4-yl]oxy]acetic acid 220862-34-0P, [[5-Carbamoyl-2-(2-furyl)-9-(phenylmethyl)carbazol-4-yl]oxy]acetic acid 220862-35-1P, [[5-Carbamoyl-9-(phenylmethyl)-2-[[[tris(1-methylethyl)silyl]oxy]methyl]carbazol-4-yl]oxy]acetic acid lithium salt 220862-36-2P, [[9-[(3-Fluorophenyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic acid 220862-37-3P, [[9-[(3-Phenoxyphenyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic acid 220862-38-4P, [[9-[(2-Fluorophenyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic acid 220862-39-5P, [[9-[(2-Trifluoromethylphenyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic acid 220862-40-8P, [[9-[(2-Benzylphenyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic acid 220862-41-9P, [[9-[(3-Trifluoromethylphenyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic acid 220862-42-0P, [[9-[(1-Naphthyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic acid 220862-43-1P, [[9-[(2-Cyanophenyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic acid 220862-44-2P, [[9-[(3-Cyanophenyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic acid 220862-45-3P, [[9-[(2-Methylphenyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic acid 220862-46-4P, [[9-[(3-Methylphenyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic acid 220862-47-5P, [[9-[(3,5-Dimethylphenyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic acid 220862-48-6P, [[9-[(3-Iodophenyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic acid 220862-49-7P, [[9-[(2-Chlorophenyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic acid 220862-50-0P, [[9-[(2,3-Difluorophenyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic acid 220862-51-1P, [[9-[(2,6-Difluorophenyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic acid 220862-53-3P, [[9-[(2,6-Dichlorophenyl)methyl]-5-carbamoylcarbazol-

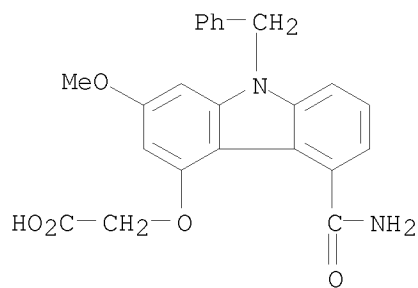
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 220862-63-5P, [(9-Benzyl-5-carbamoyl-1-chlorocarbazol-4-  
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 [[5-Carbamoyl-9-(phenylmethyl)-2-[[ (prop-1-en-3-yl)oxy]methyl]carbazol-4-  
 yl]oxy]acetic acid 220862-68-0P,  
 [[5-Carbamoyl-9-(phenylmethyl)-2-[(propyloxy)methyl]carbazol-4-  
 yl]oxy]acetic acid 220862-74-8P,  
 9-Benzyl-7-methoxy-5-[(1H-tetrazol-5-ylmethyl)oxy]carbazole-4-carboxamide  
 220862-76-0P, 9-Benzyl-7-methoxy-5-[(carbamoylmethyl)oxy]carbazole-  
 4-carboxamide 220862-84-0P,  
 [[5-Carbamoyl-2-(4-chlorophenyl)-9-(phenylmethyl)carbazol-4-yl]oxy]acetic  
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 246513-36-0P, [[9-[(3-Trifluoromethylphenyl)methyl]-5-  
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 , [[9-[(2-Methylphenyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic acid  
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 2-[(5-Carbamoyl-9-benzyl-9H-pyrido[3,4-b]indol-4-yl)oxy]acetic acid  
 hydrochloride 247903-77-1P,  
 [[9-[(2-Biphenyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic acid methyl  
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 [[5-Carbamoyl-9-(phenylmethyl)-2-(2-thienyl)carbazol-4-yl]oxy]acetic acid  
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 carbamoylcarbazol-4-yl]oxy]acetic acid sodium salt 321858-11-1P  
 , 9-Benzyl-4-(methanesulfonamidomethyloxy)carbazole-5-carboxamide  
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 , [[9-[(3,5-Dimethylphenyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic  
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 , [[9-[(2,6-Difluorophenyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic  
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 sodium salt

RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
 study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);  
 BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of substituted carbazoles and analogous  
 tricyclics as secretory phospholipase A2 (sPLA2) inhibitors)

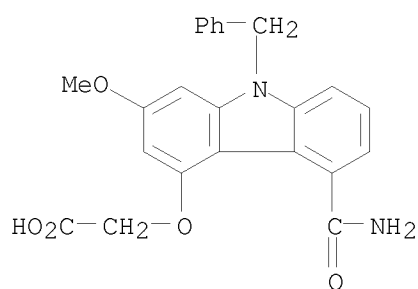
RN 207340-74-7 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-methoxy-9-(phenylmethyl)-9H-carbazol-  
 4-yl]oxy]- (CA INDEX NAME)



RN 207340-76-9 CAPLUS

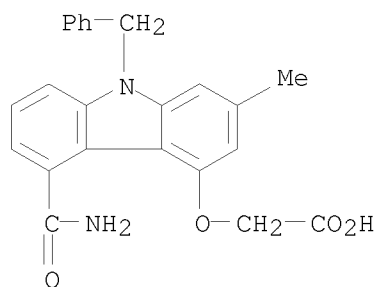
CN Acetic acid, 2-[[5-(aminocarbonyl)-2-methoxy-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, sodium salt (1:1) (CA INDEX NAME)



● Na

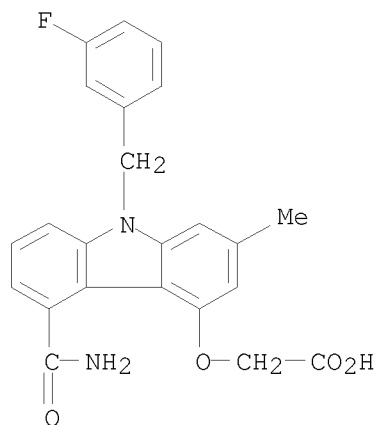
RN 220862-21-5 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-methyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



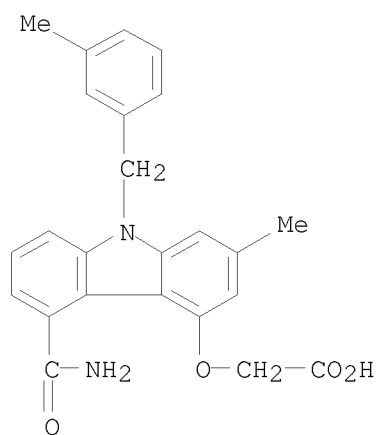
RN 220862-22-6 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3-fluorophenyl)methyl]-2-methyl-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



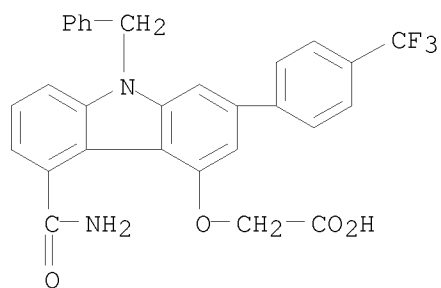
RN 220862-23-7 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-methyl-9-[(3-methylphenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



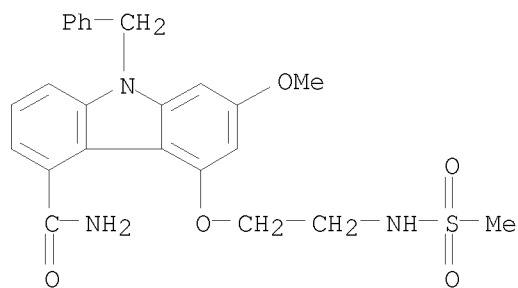
RN 220862-24-8 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-2-[4-(trifluoromethyl)phenyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



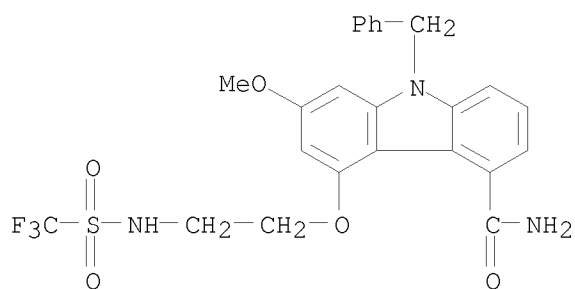
RN 220862-26-0 CAPLUS

CN 9H-Carbazole-4-carboxamide, 7-methoxy-5-[2-[(methylsulfonyl)amino]ethoxy]-9-(phenylmethyl)- (CA INDEX NAME)



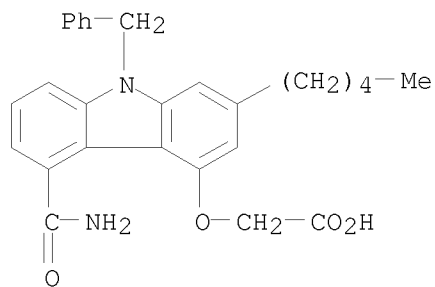
RN 220862-27-1 CAPLUS

CN 9H-Carbazole-4-carboxamide, 7-methoxy-9-(phenylmethyl)-5-[2-[[trifluoromethyl)sulfonyl]amino]ethoxy]- (CA INDEX NAME)



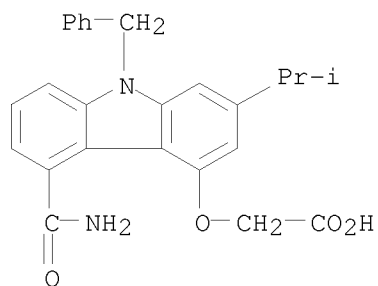
RN 220862-30-6 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-pentyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



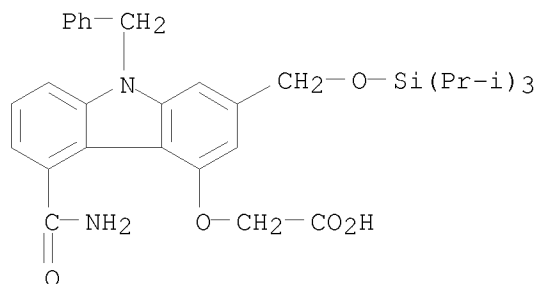
RN 220862-31-7 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-(1-methylethyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

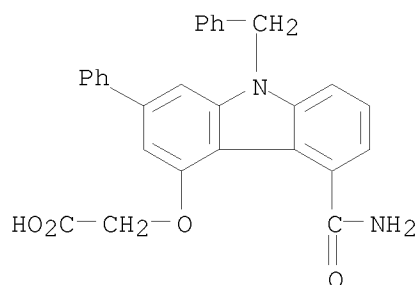




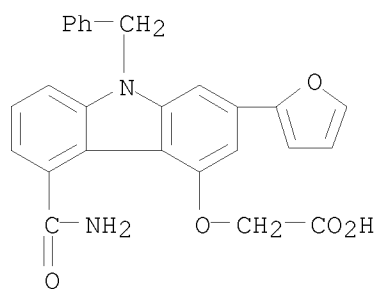
RN 220862-32-8 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-2-[[[tris(1-methylethyl)silyl]oxy]methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



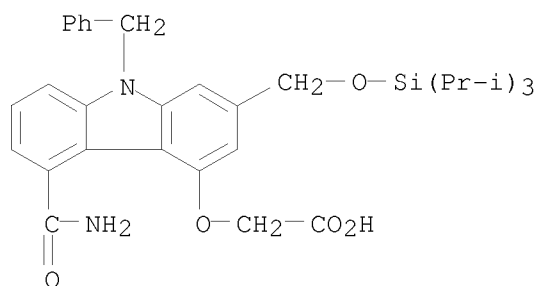
RN 220862-33-9 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-2-phenyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-34-0 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-2-(2-furanyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

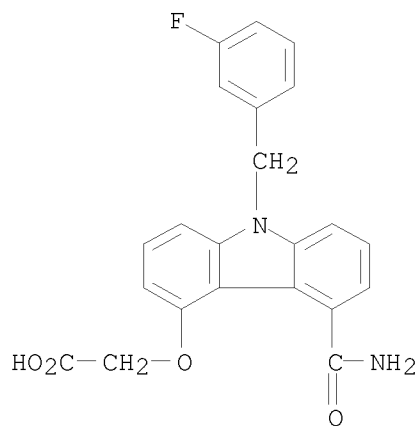


RN 220862-35-1 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-2-[[[tris(1-methylethyl)silyl]oxy]methyl]-9H-carbazol-4-yl]oxy]-, lithium salt (1:1) (CA INDEX NAME)

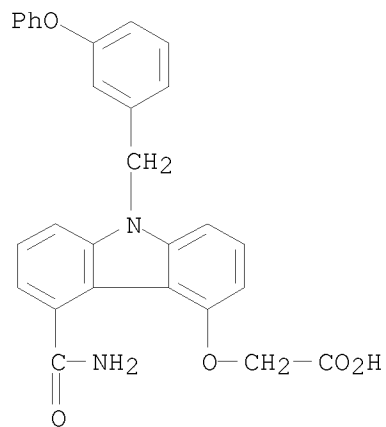


● Li

RN 220862-36-2 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3-fluorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

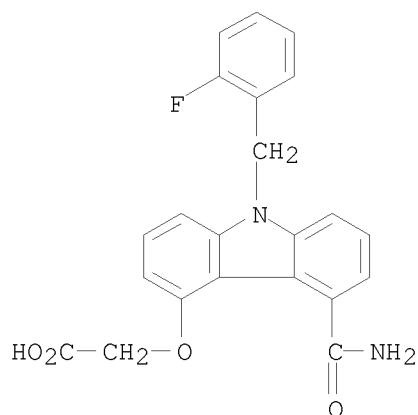


RN 220862-37-3 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3-phenoxyphenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



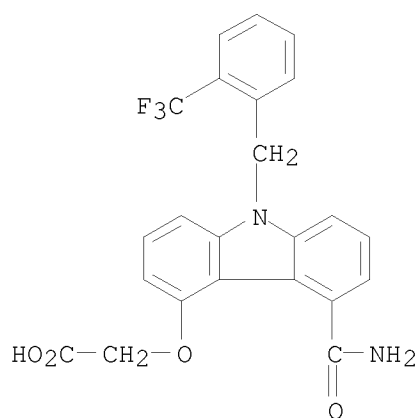
RN 220862-38-4 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2-fluorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



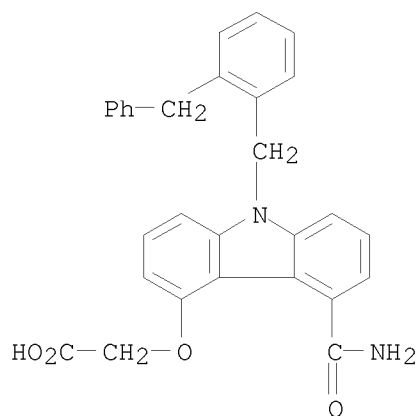
RN 220862-39-5 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[[2-(trifluoromethyl)phenyl]methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



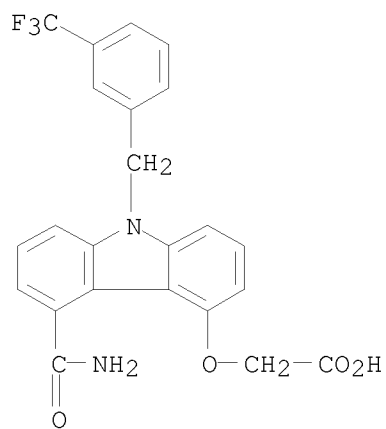
RN 220862-40-8 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[[2-(phenylmethyl)phenyl]methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



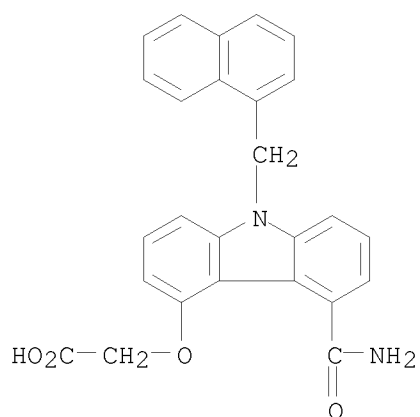
RN 220862-41-9 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[[3-(trifluoromethyl)phenyl]methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

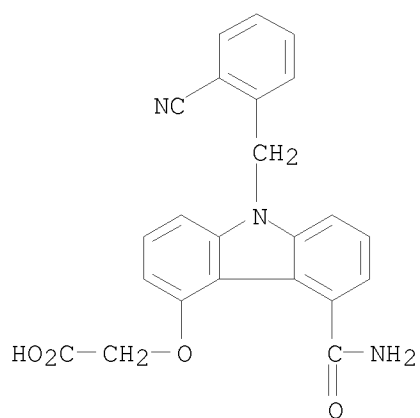


RN 220862-42-0 CAPLUS

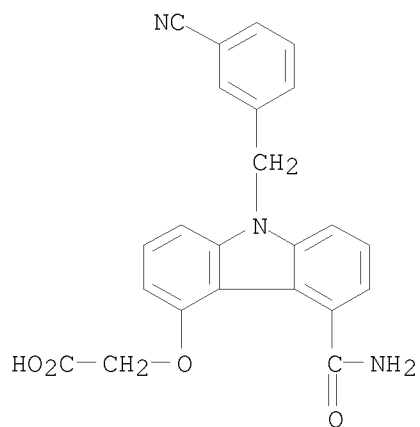
CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(1-naphthalenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



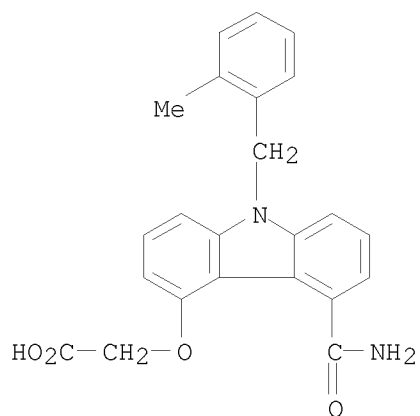
RN 220862-43-1 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2-cyanophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-44-2 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3-cyanophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

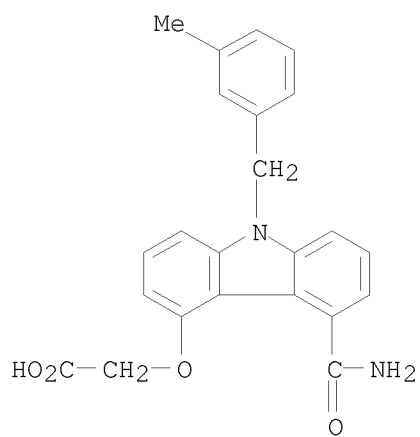


RN 220862-45-3 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2-methylphenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



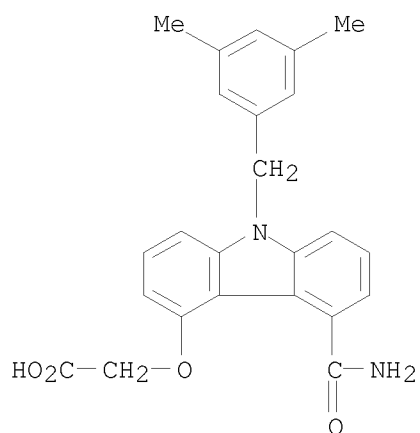
RN 220862-46-4 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3-methylphenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

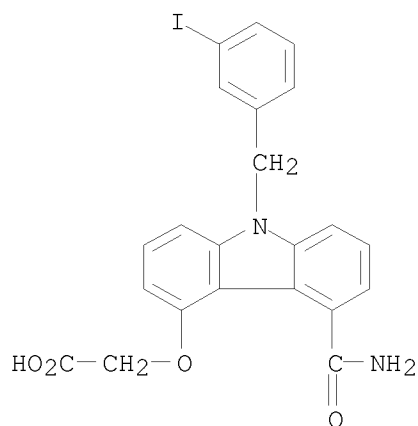


RN 220862-47-5 CAPLUS

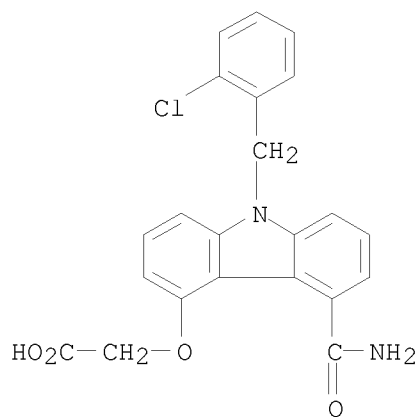
CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3,5-dimethylphenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



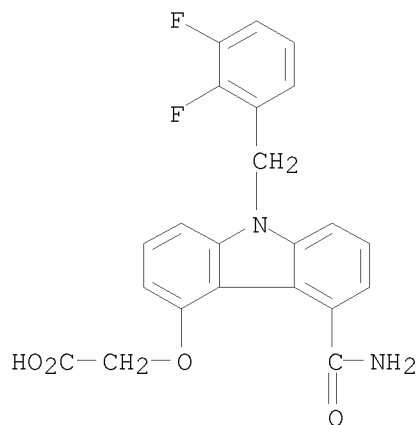
RN 220862-48-6 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3-iodophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-49-7 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2-chlorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

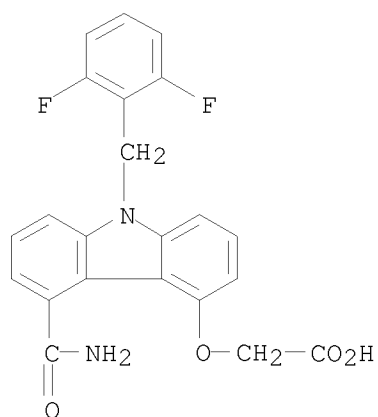


RN 220862-50-0 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2,3-difluorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



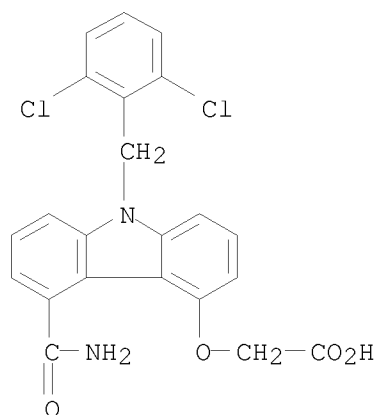
RN 220862-51-1 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2,6-difluorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



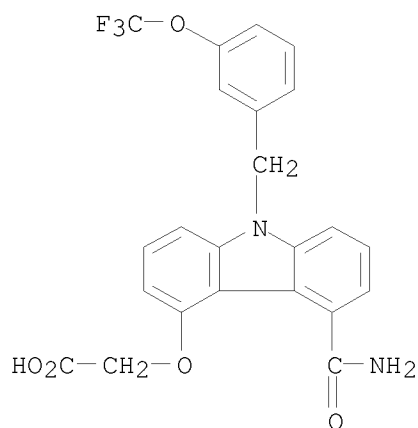
RN 220862-53-3 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2,6-dichlorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

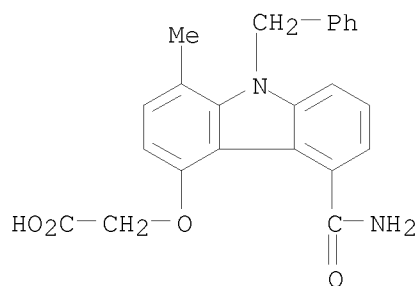




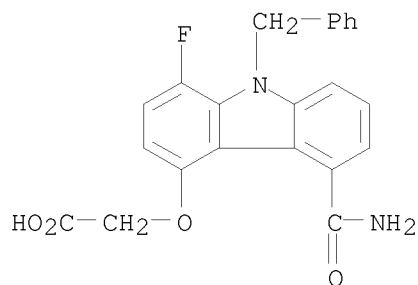
RN 220862-54-4 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[[3-(trifluoromethoxy)phenyl]methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



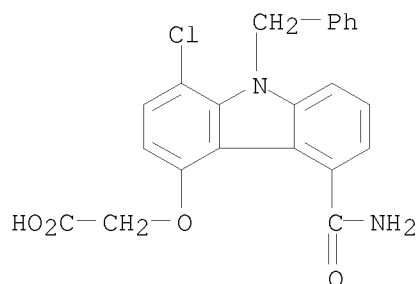
RN 220862-59-9 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-1-methyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-61-3 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-1-fluoro-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

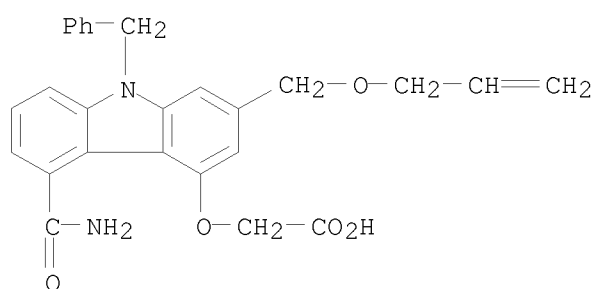


RN 220862-63-5 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-1-chloro-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



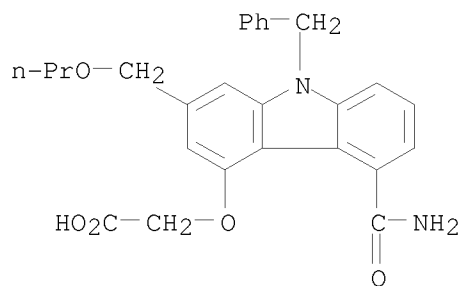
RN 220862-66-8 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-2-[(2-propen-1-yloxy)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



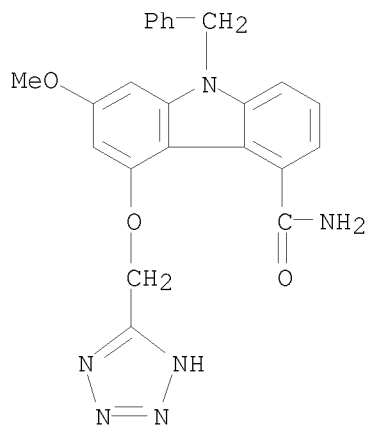
RN 220862-68-0 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-2-(propoxymethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



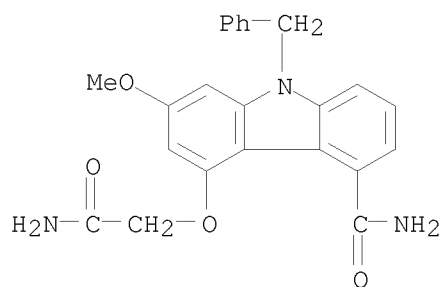
RN 220862-74-8 CAPLUS

CN 9H-Carbazole-4-carboxamide, 7-methoxy-9-(phenylmethyl)-5-(2H-tetrazol-5-ylmethoxy)- (CA INDEX NAME)



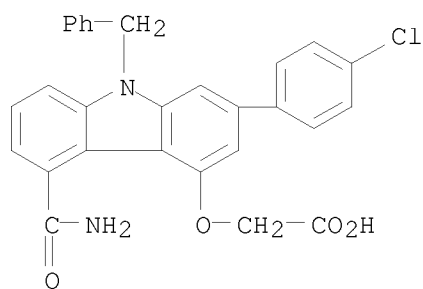
RN 220862-76-0 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-(2-amino-2-oxoethoxy)-7-methoxy-9-(phenylmethyl)- (CA INDEX NAME)



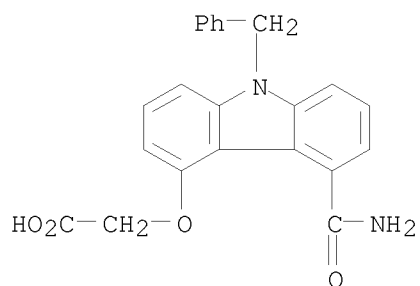
RN 220862-84-0 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-(4-chlorophenyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



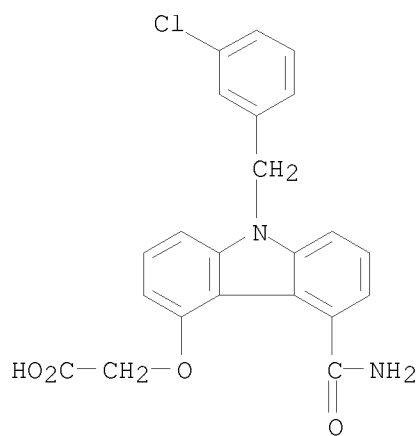
RN 246513-34-8 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, sodium salt (1:1) (CA INDEX NAME)

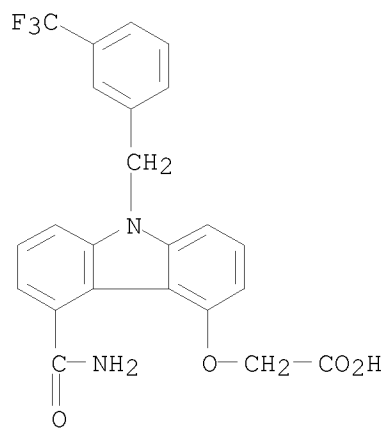


● Na

RN 246513-35-9 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3-chlorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



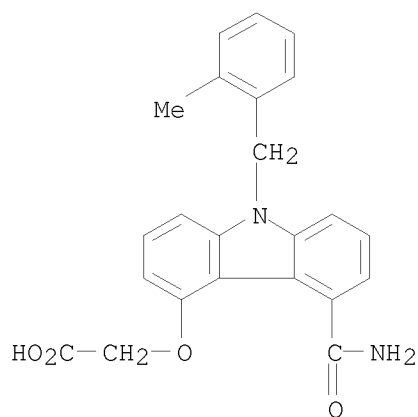
RN 246513-36-0 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[[3-(trifluoromethyl)phenyl]methyl]-9H-carbazol-4-yl]oxy]-, sodium salt (1:1) (CA INDEX NAME)



● Na

RN 246513-37-1 CAPLUS

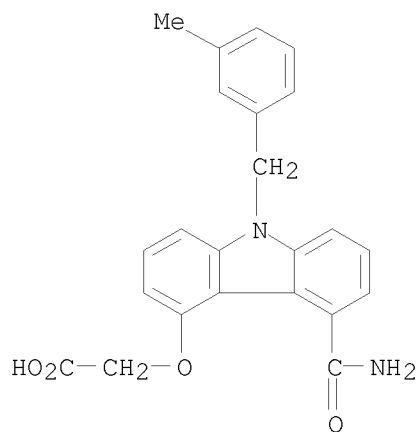
CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2-methylphenyl)methyl]-9H-carbazol-4-yl]oxy]-, sodium salt (1:1) (CA INDEX NAME)



● Na

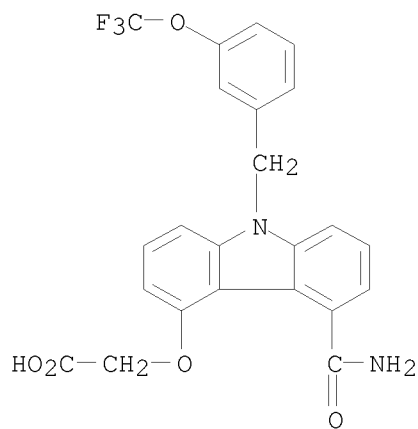
RN 246513-39-3 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3-methylphenyl)methyl]-9H-carbazol-4-yl]oxy]-, sodium salt (1:1) (CA INDEX NAME)



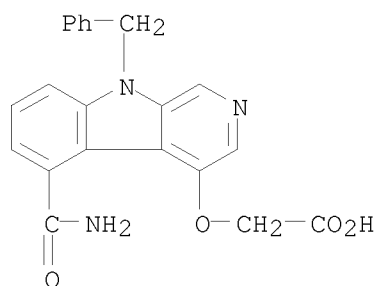
● Na

RN 246513-40-6 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[[3-(trifluoromethoxy)phenyl]methyl]-9H-carbazol-4-yl]oxy]-, sodium salt (1:1) (CA INDEX NAME)



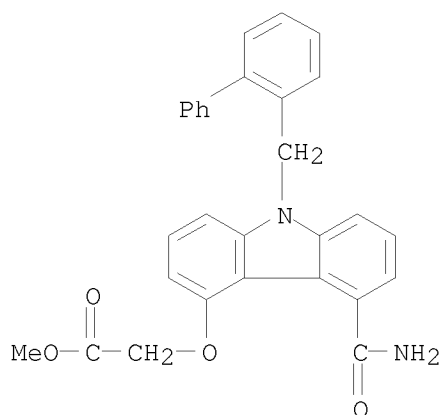
● Na

RN 246868-00-8 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-9H-pyrido[3,4-b]indol-4-yl]oxy]-, hydrochloride (1:1) (CA INDEX NAME)

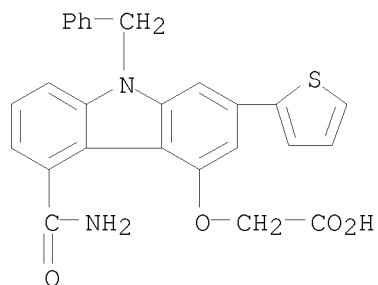


● HCl

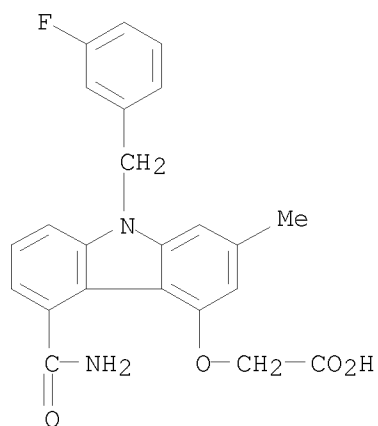
RN 247903-77-1 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-([1,1'-biphenyl]-2-ylmethyl)-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



RN 247904-05-8 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-2-(2-thienyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

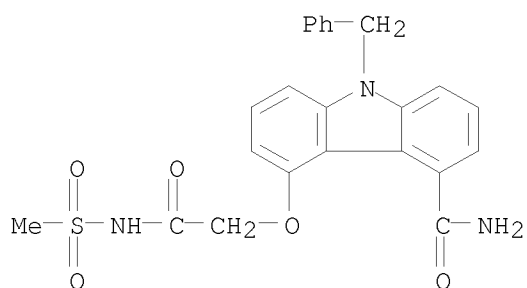


RN 247904-07-0 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3-fluorophenyl)methyl]-2-methyl-9H-carbazol-4-yl]oxy]-, sodium salt (1:1) (CA INDEX NAME)

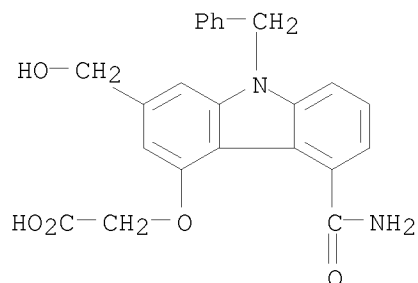


● Na

RN 321858-11-1 CAPLUS  
 CN 9H-Carbazole-4-carboxamide, 5-[2-[(methanolsulfonyl)amino]-2-oxoethoxy]-9-(phenylmethyl)- (CA INDEX NAME)

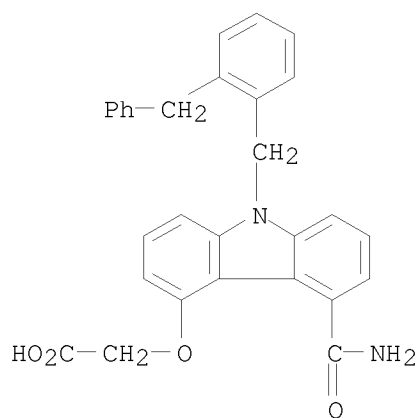


RN 321858-12-2 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-2-(hydroxymethyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



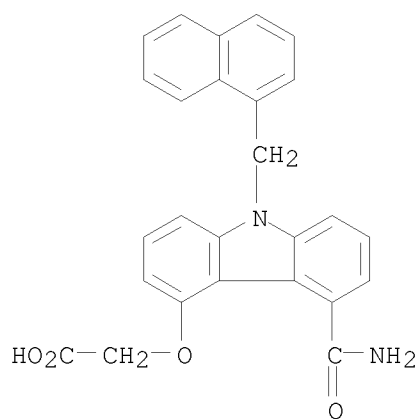
RN 321858-13-3 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[[2-(phenylmethyl)phenyl]methyl]-9H-carbazol-4-yl]oxy]-, sodium salt (1:1) (CA INDEX NAME)





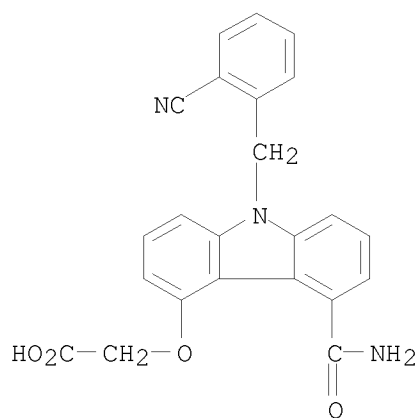
● Na

RN 321858-14-4 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(1-naphthalenylmethyl)-9H-carbazol-4-yl]oxy]-, sodium salt (1:1) (CA INDEX NAME)



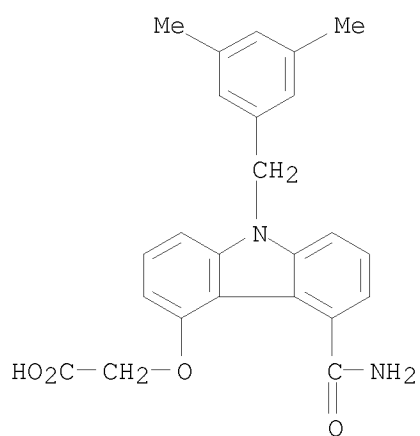
● Na

RN 321858-15-5 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2-cyanophenyl)methyl]-9H-carbazol-4-yl]oxy]-, sodium salt (1:1) (CA INDEX NAME)



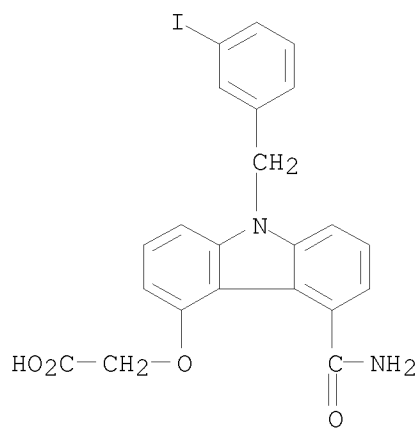
● Na

RN 321858-16-6 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3,5-dimethylphenyl)methyl]-9H-carbazol-4-yl]oxy]-, sodium salt (1:1) (CA INDEX NAME)



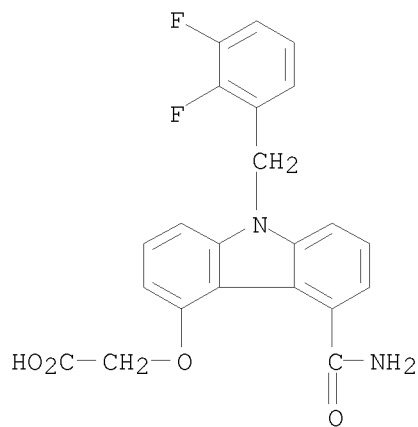
● Na

RN 321858-17-7 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3-iodophenyl)methyl]-9H-carbazol-4-yl]oxy]-, sodium salt (1:1) (CA INDEX NAME)



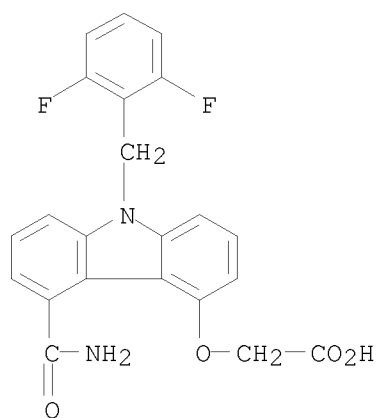
● Na

RN 321858-18-8 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2,3-difluorophenyl)methyl]-9H-carbazol-4-yl]oxy]-, sodium salt (1:1) (CA INDEX NAME)



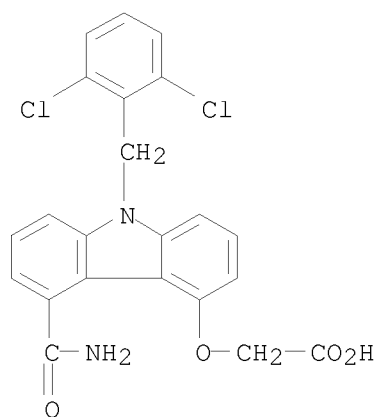
● Na

RN 321858-19-9 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2,6-difluorophenyl)methyl]-9H-carbazol-4-yl]oxy]-, sodium salt (1:1) (CA INDEX NAME)



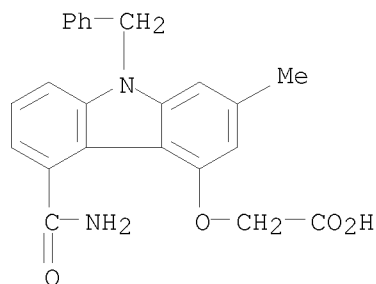
● Na

RN 321858-20-2 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2,6-dichlorophenyl)methyl]-9H-carbazol-4-yl]oxy]-, sodium salt (1:1) (CA INDEX NAME)



● Na

RN 321858-27-9 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-2-methyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, sodium salt (1:1) (CA INDEX NAME)



● Na

IT 207341-24-0P, 9-Benzyl-4-carbamoyl-5,7-dimethoxycarbazole  
 207341-25-1P, 9-Benzyl-4-carbamoyl-5-hydroxy-7-methoxycarbazole  
 246513-45-1P, 9-[(Phenyl)methyl]-4-hydroxy-5-carbamoylcarbazole  
 246513-46-2P, [[9-[(Phenyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic acid methyl ester 246513-52-0P,  
 9-Benzyl-5-carbamoyl-4-methoxy-1-fluorocarbazole 246513-53-1P,  
 [(9-Benzyl-5-carbamoyl-1-fluorocarbazol-4-yl)oxy]acetic acid methyl ester  
 246513-56-4P, 9-[(3-Fluorophenyl)methyl]-4-hydroxy-5-carbamoylcarbazole 246513-57-5P,  
 [[9-[(3-Fluorophenyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic acid  
 tert-butyl ester 246513-60-0P,  
 9-[(3-Chlorophenyl)methyl]-4-hydroxy-5-carbamoylcarbazole  
 246513-61-1P, [[9-[(3-Chlorophenyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic acid tert-butyl ester 246513-64-4P,  
 9-[(3-Trifluoromethylphenyl)methyl]-4-hydroxy-5-carbamoylcarbazole  
 246513-65-5P, [[9-[(3-Trifluoromethylphenyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic acid methyl ester 246513-68-8P  
 , 9-[(2-Methylphenyl)methyl]-4-hydroxy-5-carbamoylcarbazole  
 246513-69-9P, [[9-[(2-Methylphenyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic acid methyl ester 246513-72-4P,  
 9-[(3-Methylphenyl)methyl]-4-hydroxy-5-carbamoylcarbazole  
 246513-73-5P, [[9-[(3-Methylphenyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic acid methyl ester 246513-76-8P,  
 9-[(3-Trifluoromethoxyphenyl)methyl]-4-hydroxy-5-carbamoylcarbazole  
 246513-77-9P, [[9-[(3-Trifluoromethoxyphenyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic acid methyl ester 246513-79-1P  
 , 9-Benzyl-5-carbamoyl-4-methoxy-1-methylcarbazole 246513-80-4P  
 , [(9-Benzyl-5-carbamoyl-1-methylcarbazol-4-yl)oxy]acetic acid methyl  
 ester 246513-84-8P, [(9-Benzyl-5-carbamoyl-1-chlorocarbazol-4-yl)oxy]acetic acid methyl ester 246868-15-5P,  
 4-Hydroxy-5-carbamoyl-9-benzyl-9H-pyrido[3,4-b]indole  
 247902-64-3P, 9-[(Phenyl)methyl]-2-methyl-4-hydroxy-5-carbamoylcarbazole 247902-65-4P,  
 [[9-[(Phenyl)methyl]-2-methyl-5-carbamoylcarbazol-4-yl]oxy]acetic acid  
 methyl ester 247902-68-7P,  
 9-[(3-Fluorophenyl)methyl]-2-methyl-4-hydroxy-5-carbamoylcarbazole  
 247902-69-8P, [[9-[(3-Fluorophenyl)methyl]-2-methyl-5-carbamoylcarbazol-4-yl]oxy]acetic acid methyl ester 247902-72-3P  
 , 9-[(3-Methylphenyl)methyl]-2-methyl-4-hydroxy-5-carbamoylcarbazole  
 247902-73-4P, [[9-[(3-Methylphenyl)methyl]-2-methyl-5-carbamoylcarbazol-4-yl]oxy]acetic acid methyl ester 247902-78-9P  
 , 9-[(Phenyl)methyl]-2-(4-trifluoromethylphenyl)-4-hydroxy-5-carbamoylcarbazole 247902-79-0P,  
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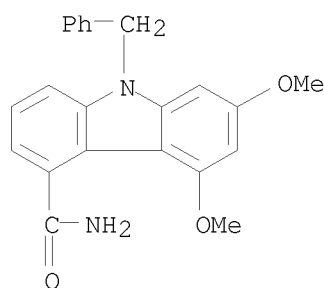
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 5-Carbamoyl-4-hydroxy-2-(1-methylethyl)-9-(phenylmethyl)carbazole  
 247902-91-6P, [[5-Carbamoyl-2-(1-methylethyl)-9-(phenylmethyl)carbazol-4-yl]oxy]acetic acid methyl ester  
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 247903-00-0P, 5-Carbamoyl-4-hydroxy-2-phenyl-9-(phenylmethyl)carbazole 247903-01-1P,  
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 5-Carbamoyl-2-(4-chlorophenyl)-4-hydroxy-9-(phenylmethyl)carbazole  
 247903-07-7P, [[5-Carbamoyl-2-(4-chlorophenyl)-9-(phenylmethyl)carbazol-4-yl]oxy]acetic acid methyl ester  
 247903-12-4P, 5-Carbamoyl-2-(2-furyl)-4-hydroxy-9-(phenylmethyl)carbazole 247903-13-5P,  
 [[5-Carbamoyl-2-(2-furyl)-9-(phenylmethyl)carbazol-4-yl]oxy]acetic acid methyl ester 247903-16-8P,  
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 9-[(3-Phenoxyphenyl)methyl]-4-hydroxy-5-carbamoylcarbazole  
 247903-21-5P, [[9-[(3-Phenoxyphenyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic acid tert-butyl ester 247903-25-9P,  
 9-[(2-Fluorophenyl)methyl]-4-hydroxy-5-carbamoylcarbazole  
 247903-26-0P, [[9-[(2-Fluorophenyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic acid methyl ester 247903-29-3P,  
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 247903-34-0P, [[9-[(2-Benzylphenyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic acid methyl ester 247903-37-3P,  
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 247903-38-4P, [[9-[(1-Naphthyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic acid methyl ester 247903-41-9P,  
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 247903-42-0P, [[9-[(2-Cyanophenyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic acid methyl ester 247903-45-3P,  
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 247903-46-4P, [[9-[(3-Cyanophenyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic acid tert-butyl ester 247903-49-7P,  
 9-[(3,5-Dimethylphenyl)methyl]-4-hydroxy-5-carbamoylcarbazole  
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 247903-54-4P, [[9-[(3-Iodophenyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic acid methyl ester 247903-57-7P,  
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 247903-58-8P, [[9-[(2-Chlorophenyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic acid tert-butyl ester 247903-61-3P,  
 9-[(2,3-Difluorophenyl)methyl]-4-hydroxy-5-carbamoylcarbazole  
 247903-62-4P, [[9-[(2,3-Difluorophenyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic acid methyl ester 247903-65-7P,  
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 9-[(2-Biphenyl)methyl]-4-hydroxy-5-carbamoylcarbazole  
 247903-76-0P, [[9-[(2-Biphenyl)methyl]-5-carbamoylcarbazol-4-

yl]oxy]acetic acid tert-butyl ester 247903-95-3P,  
 9-Benzyl-5-carbamoyl-4-hydroxy-1-methylcarbazole 247903-97-5P,  
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 9-Benzyl-5-carbamoyl-4-methoxy-1-chlorocarbazole 247904-09-2P,  
 4-[(2-Aminoethyl)oxy]-9-benzyl-2-methoxycarbazole-5-carboxamide  
 247904-15-0P, 5-Carbamoyl-4-hydroxy-9-(phenylmethyl)-2-(2-  
 thienyl)carbazole 247904-16-1P,  
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 methyl ester 247904-19-4P,  
 5-Carbamoyl-4-hydroxy-9-(phenylmethyl)-2-[[ (prop-1-en-3-  
 yl)oxy]methyl]carbazole 247904-20-7P,  
 [[5-Carbamoyl-9-(phenylmethyl)-2-[(propyloxy)methyl]carbazol-4-  
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 [[5-Carbamoyl-9-(phenylmethyl)-2-(hydroxymethyl)carbazol-4-yl]oxy]acetic  
 acid methyl ester 321859-15-8P,  
 [[5-Carbamoyl-9-(phenylmethyl)-2-[[ (prop-1-en-3-yl)oxy]methyl]carbazol-4-  
 yl]oxy]acetic acid methyl ester  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)

(intermediate; preparation of substituted carbazoles and analogous  
 tricyclics as secretory phospholipase A2 (sPLA2) inhibitors)

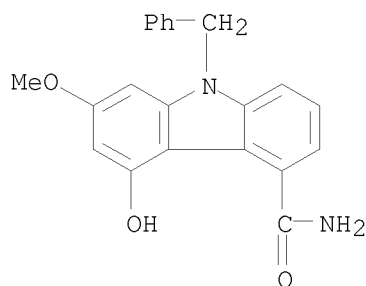
RN 207341-24-0 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5,7-dimethoxy-9-(phenylmethyl)- (CA INDEX  
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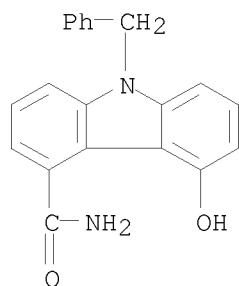
RN 207341-25-1 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-hydroxy-7-methoxy-9-(phenylmethyl)- (CA  
 INDEX NAME)



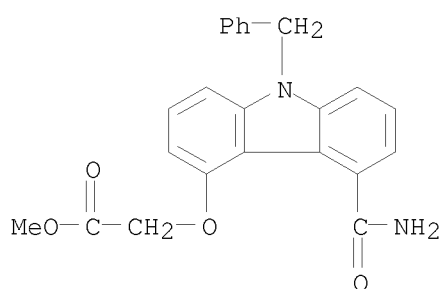
RN 246513-45-1 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-hydroxy-9-(phenylmethyl)- (CA INDEX NAME)



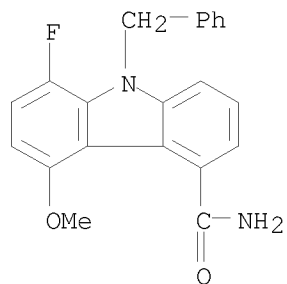
RN 246513-46-2 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



RN 246513-52-0 CAPLUS

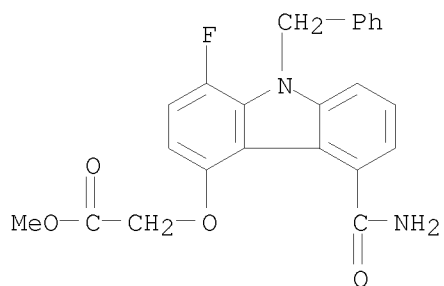
CN 9H-Carbazole-4-carboxamide, 8-fluoro-5-methoxy-9-(phenylmethyl)- (CA INDEX NAME)



RN 246513-53-1 CAPLUS

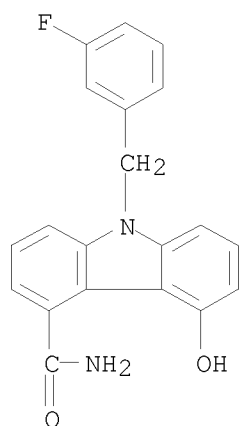
CN Acetic acid, 2-[[5-(aminocarbonyl)-1-fluoro-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)





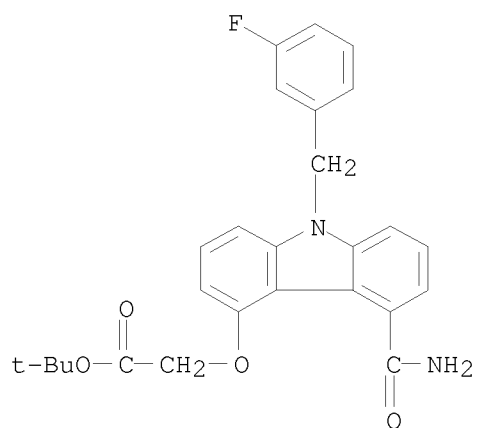
RN 246513-56-4 CAPLUS

CN 9H-Carbazole-4-carboxamide, 9-[(3-fluorophenyl)methyl]-5-hydroxy- (CA INDEX NAME)



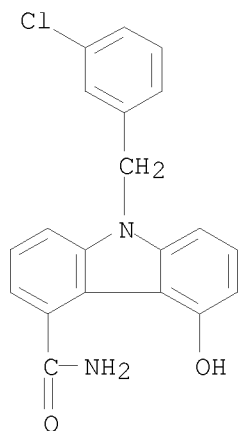
RN 246513-57-5 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3-fluorophenyl)methyl]-9H-carbazol-4-yl]oxy]-, 1,1-dimethylethyl ester (CA INDEX NAME)



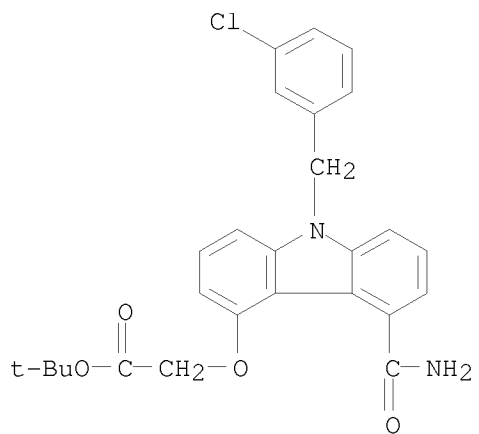
RN 246513-60-0 CAPLUS

CN 9H-Carbazole-4-carboxamide, 9-[(3-chlorophenyl)methyl]-5-hydroxy- (CA INDEX NAME)



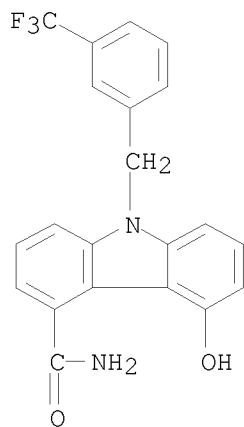
RN 246513-61-1 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3-chlorophenyl)methyl]-9H-carbazol-4-yl]oxy]-, 1,1-dimethylethyl ester (CA INDEX NAME)



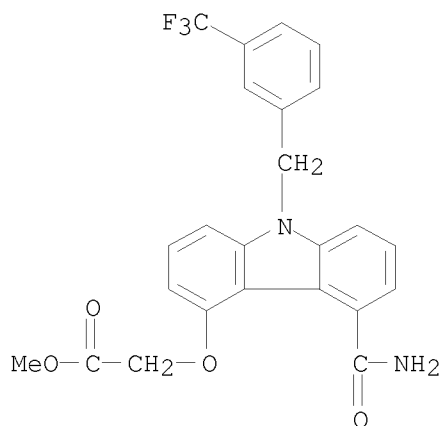
RN 246513-64-4 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-hydroxy-9-[[3-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)



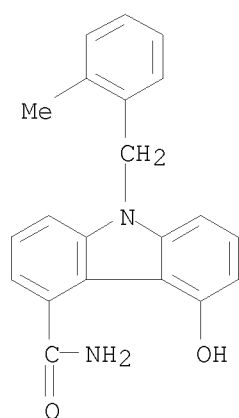
RN 246513-65-5 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[[3-(trifluoromethyl)phenyl]methyl]-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



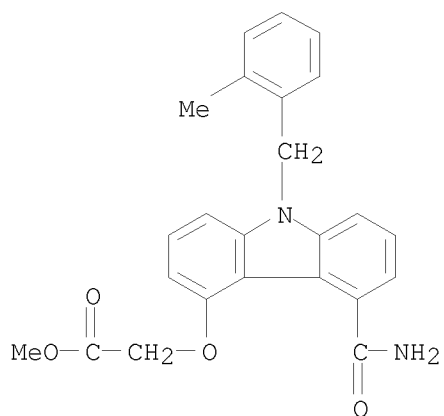
RN 246513-68-8 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-hydroxy-9-[(2-methylphenyl)methyl]- (CA INDEX NAME)



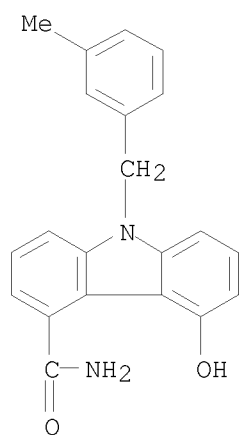
RN 246513-69-9 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2-methylphenyl)methyl]-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



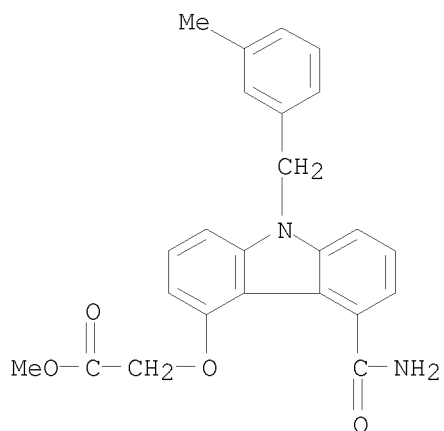
RN 246513-72-4 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-hydroxy-9-[(3-methylphenyl)methyl]- (CA INDEX NAME)



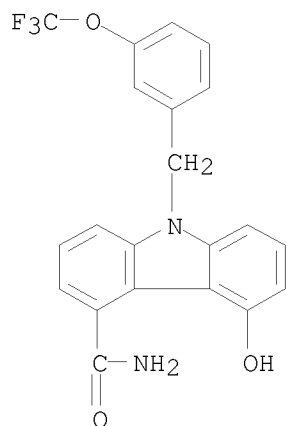
RN 246513-73-5 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3-methylphenyl)methyl]-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



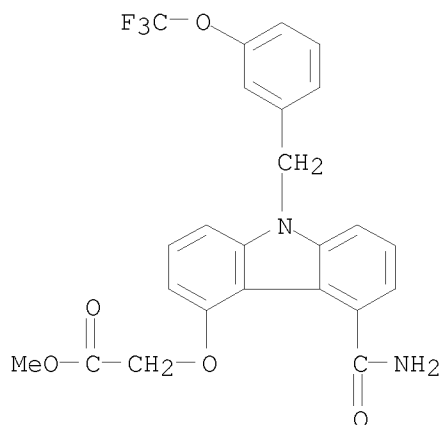
RN 246513-76-8 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-hydroxy-9-[[3-(trifluoromethoxy)phenyl]methyl]- (CA INDEX NAME)



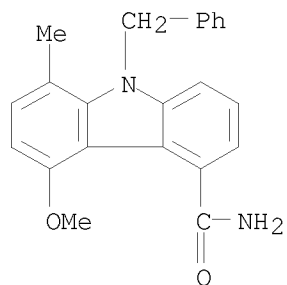
RN 246513-77-9 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[[3-(trifluoromethoxy)phenyl]methyl]-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



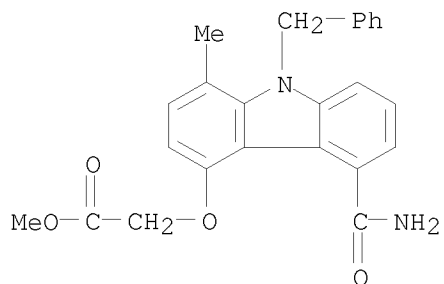
RN 246513-79-1 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-methoxy-8-methyl-9-(phenylmethyl)- (CA INDEX NAME)



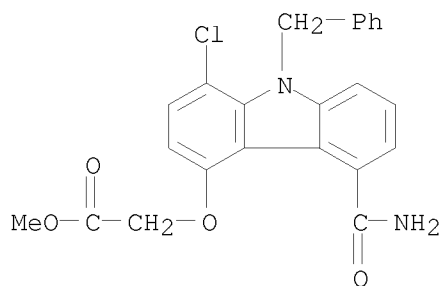
RN 246513-80-4 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-1-methyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



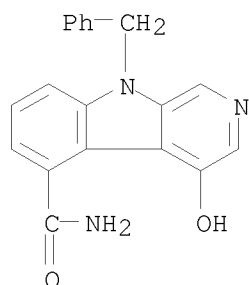
RN 246513-84-8 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-1-chloro-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



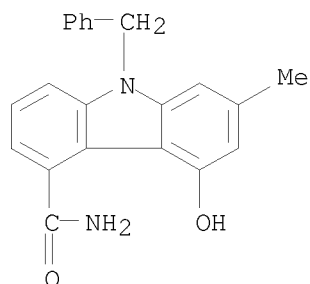
RN 246868-15-5 CAPLUS

CN 9H-Pyrido[3,4-b]indole-5-carboxamide, 4-hydroxy-9-(phenylmethyl)- (CA INDEX NAME)



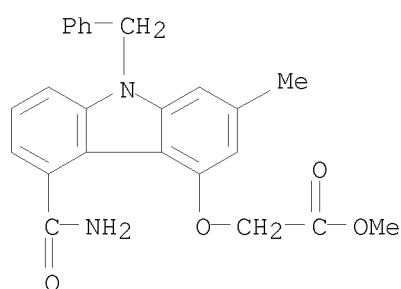
RN 247902-64-3 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-hydroxy-7-methyl-9-(phenylmethyl)- (CA INDEX NAME)



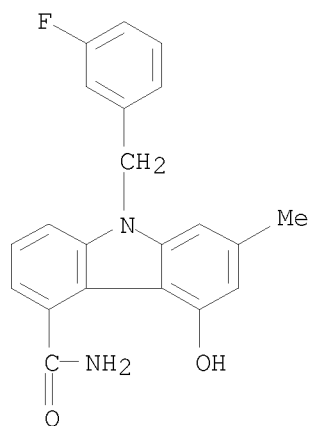
RN 247902-65-4 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-methyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



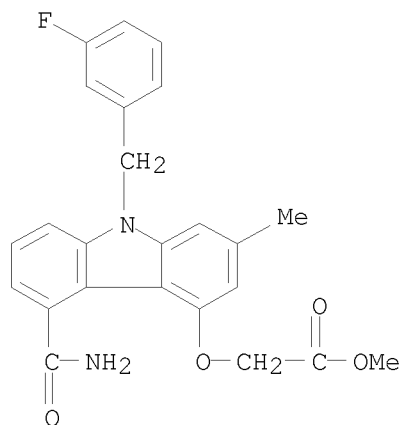
RN 247902-68-7 CAPLUS

CN 9H-Carbazole-4-carboxamide, 9-[(3-fluorophenyl)methyl]-5-hydroxy-7-methyl- (CA INDEX NAME)



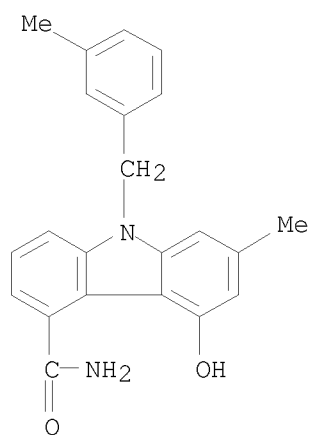
RN 247902-69-8 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3-fluorophenyl)methyl]-2-methyl-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



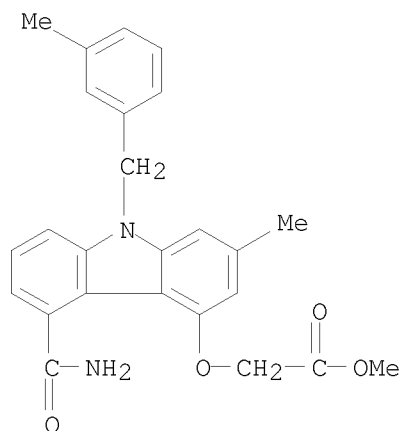
RN 247902-72-3 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-hydroxy-7-methyl-9-[(3-methylphenyl)methyl]-  
(CA INDEX NAME)



RN 247902-73-4 CAPLUS

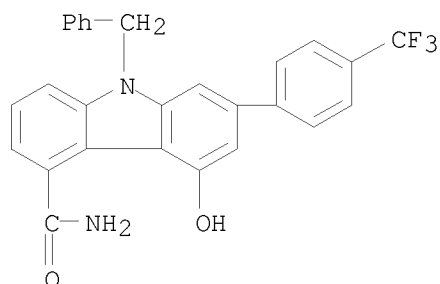
CN Acetic acid, 2-[[5-(aminocarbonyl)-2-methyl-9-[(3-methylphenyl)methyl]-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)





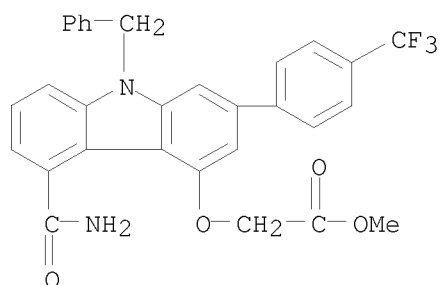
RN 247902-78-9 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-hydroxy-9-(phenylmethyl)-7-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



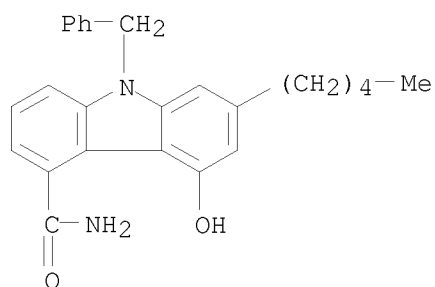
RN 247902-79-0 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-2-[4-(trifluoromethyl)phenyl]-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



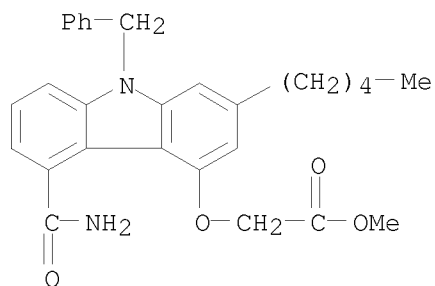
RN 247902-84-7 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-hydroxy-7-pentyl-9-(phenylmethyl)- (CA INDEX NAME)



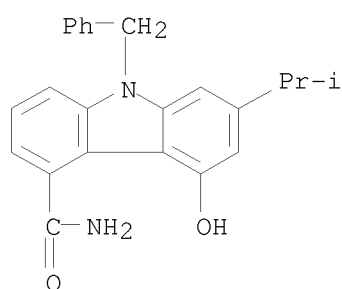
RN 247902-85-8 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-pentyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



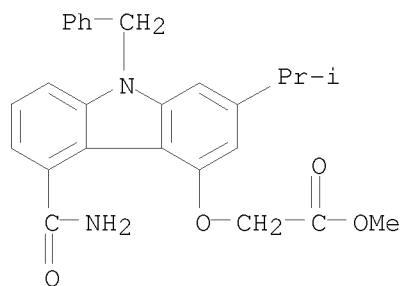
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CN 9H-Carbazole-4-carboxamide, 5-hydroxy-7-(1-methylethyl)-9-(phenylmethyl)-  
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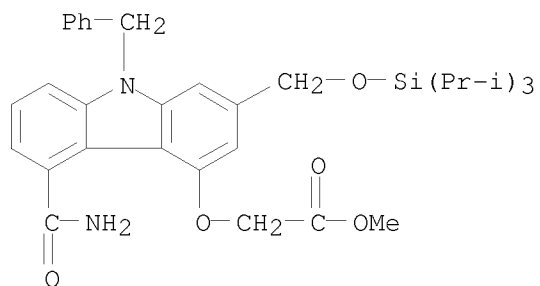
RN 247902-91-6 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-(1-methylethyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



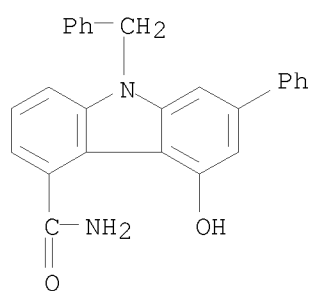
RN 247902-95-0 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-2-[[[tris(1-methylethyl)silyl]oxy]methyl]-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



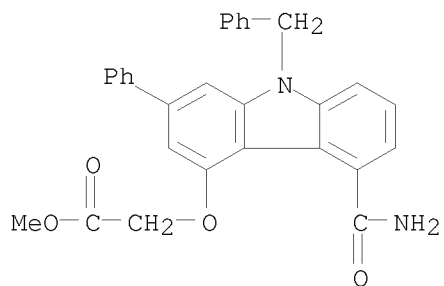
RN 247903-00-0 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-(2-(2-(tert-butyldimethylsilyloxyethyl)-2-phenyl-9-(phenylmethyl)-9H-carbazol-5-yl]oxy]-, methyl ester (CA INDEX NAME)



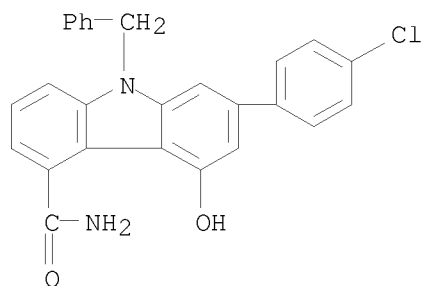
RN 247903-01-1 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-phenyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



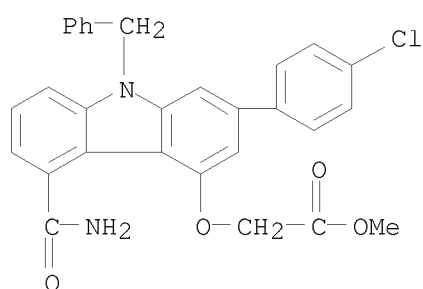
RN 247903-06-6 CAPLUS

CN 9H-Carbazole-4-carboxamide, 7-(4-chlorophenyl)-5-(2-(4-chlorophenyl)-2-phenyl-9-(phenylmethyl)-9H-carbazol-5-yl]oxy]-, methyl ester (CA INDEX NAME)



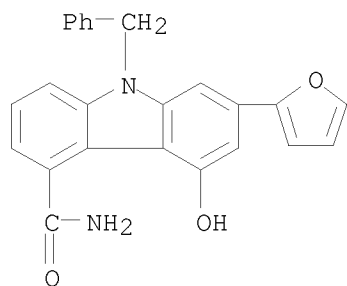
RN 247903-07-7 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-(4-chlorophenyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



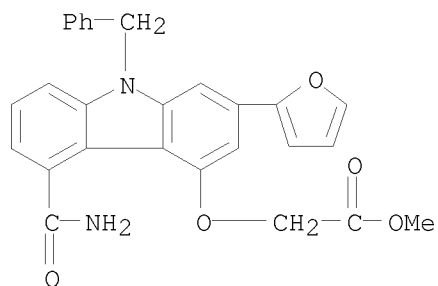
RN 247903-12-4 CAPLUS

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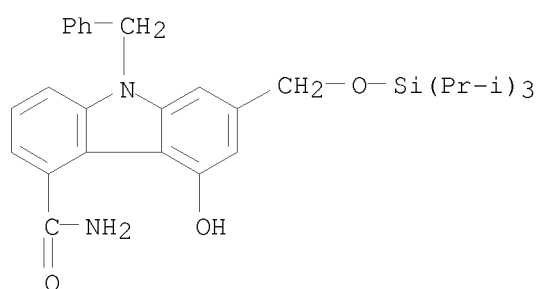
RN 247903-13-5 CAPLUS

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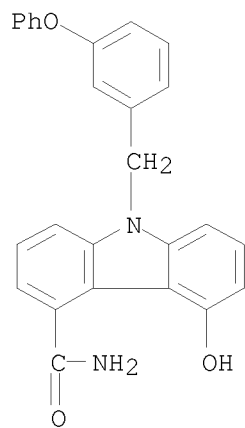
RN 247903-16-8 CAPLUS

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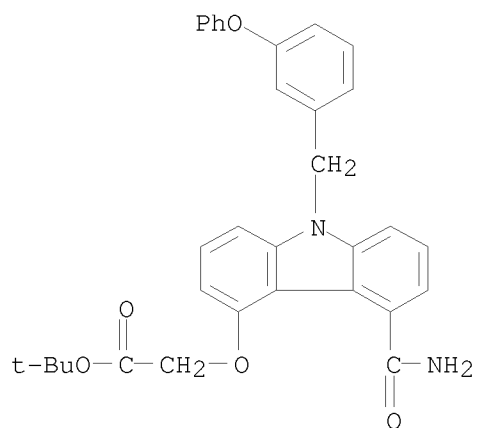
RN 247903-20-4 CAPLUS

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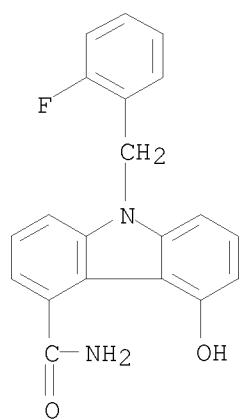
RN 247903-21-5 CAPLUS

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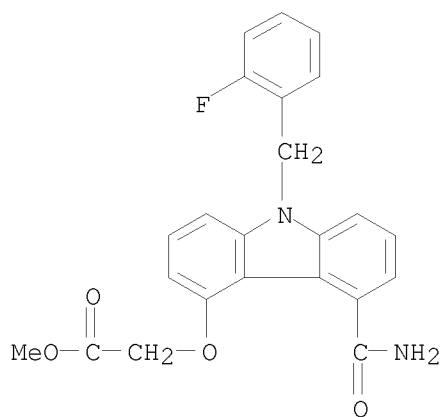
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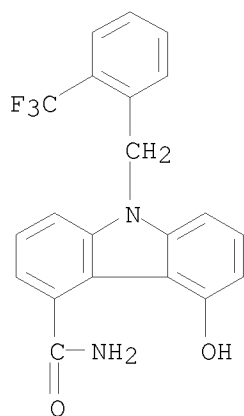
RN 247903-26-0 CAPLUS

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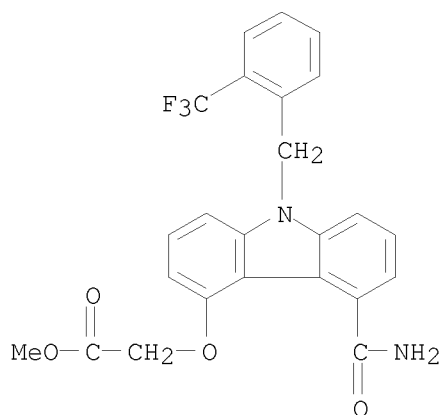
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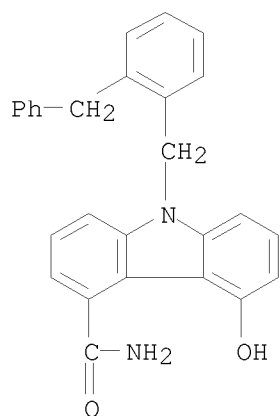
RN 247903-30-6 CAPLUS

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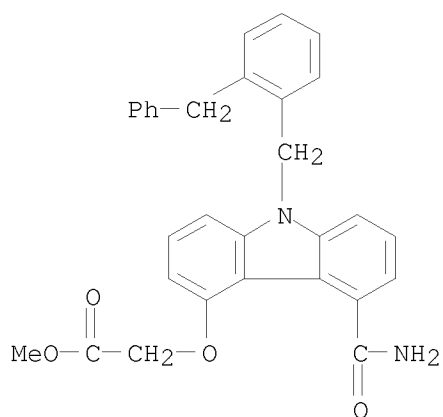
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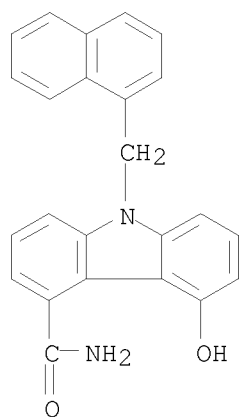
RN 247903-34-0 CAPLUS

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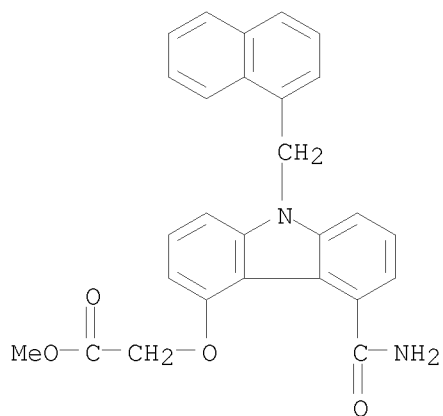
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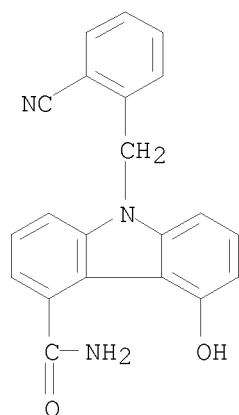




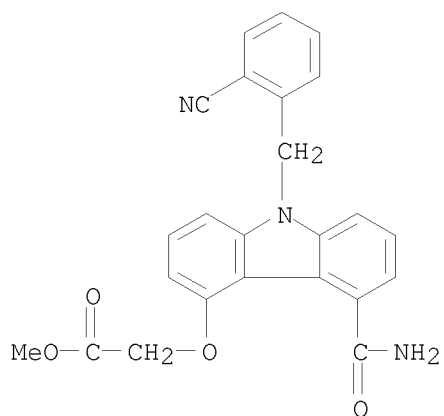
RN 247903-38-4 CAPLUS  
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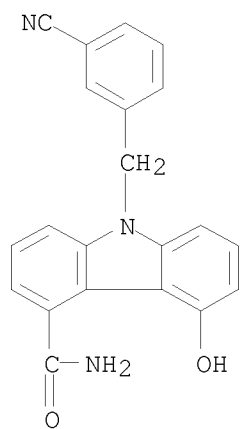


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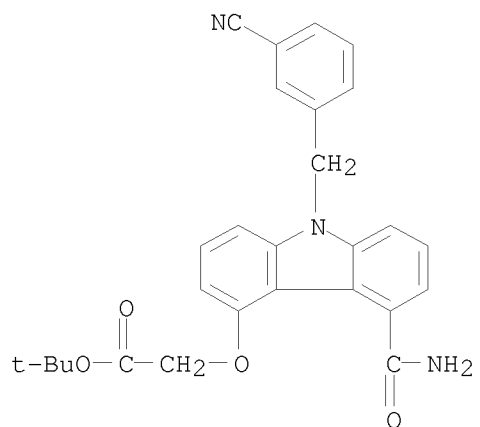
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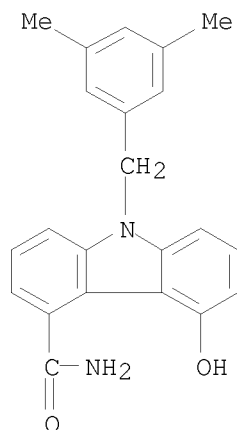
RN 247903-46-4 CAPLUS

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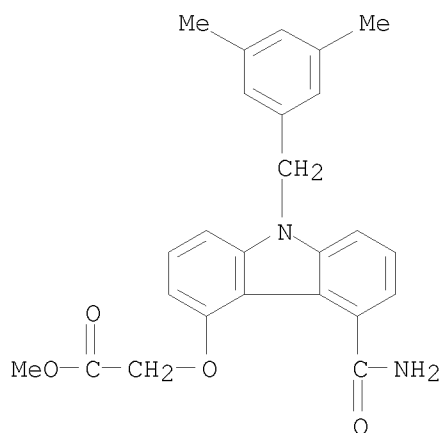
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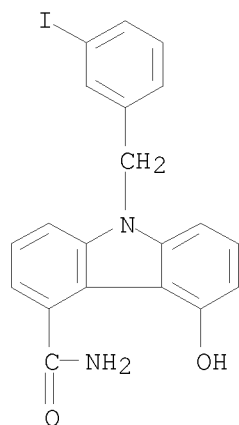
RN 247903-50-0 CAPLUS

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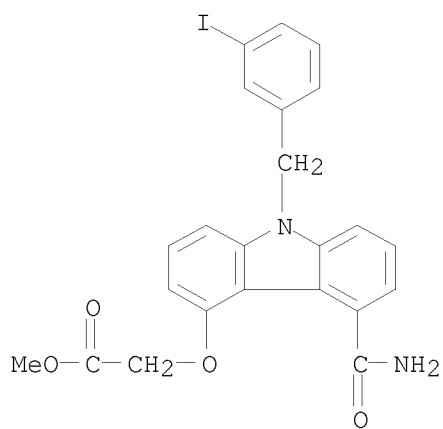
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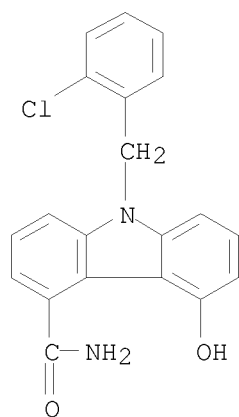
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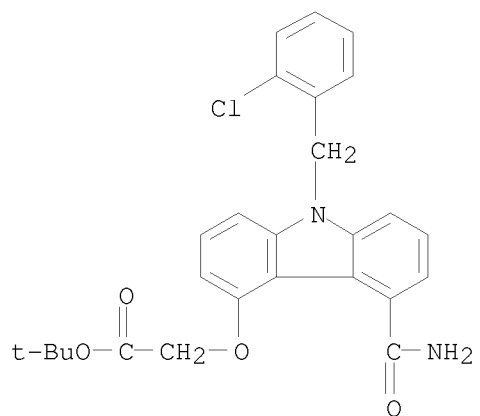


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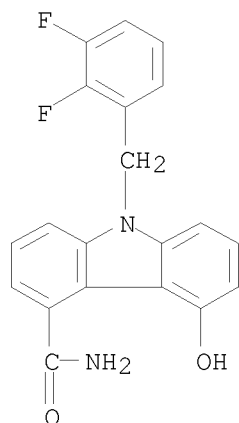
CN 9H-Carbazole-4-carboxamide, 9-[(2-chlorophenyl)methyl]-5-hydroxy- (CA INDEX NAME)



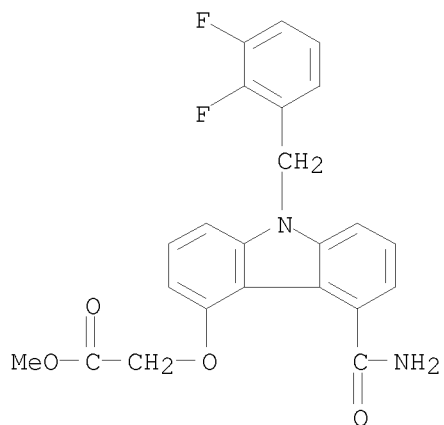
RN 247903-58-8 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2-chlorophenyl)methyl]-9H-carbazol-4-yl]oxy]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 247903-61-3 CAPLUS  
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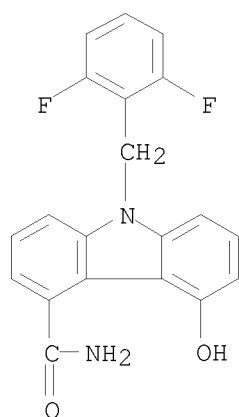


RN 247903-62-4 CAPLUS  
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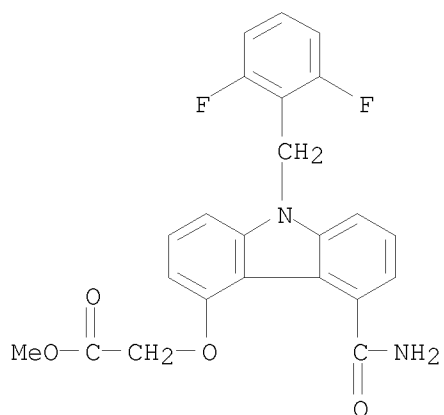
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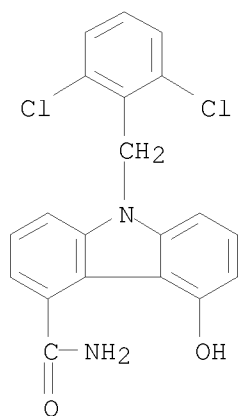
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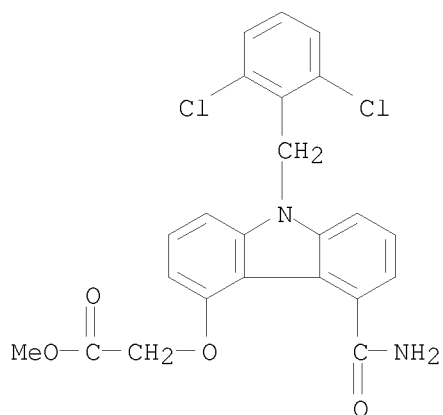
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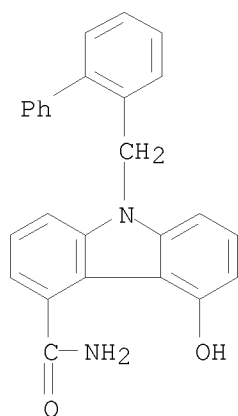
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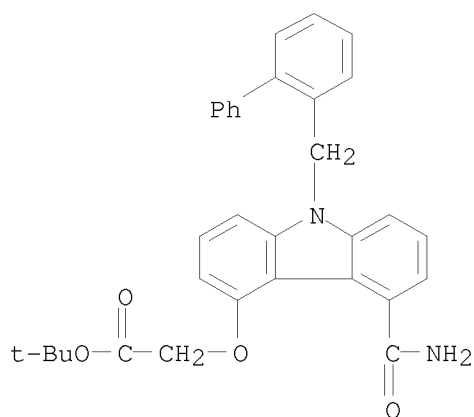


RN 247903-75-9 CAPLUS

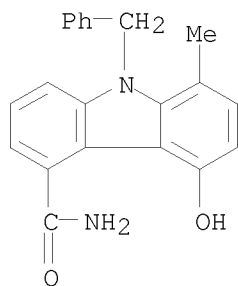
CN 9H-Carbazole-4-carboxamide, 9-([1,1'-biphenyl]-2-ylmethyl)-5-hydroxy- (CA INDEX NAME)



RN 247903-76-0 CAPLUS  
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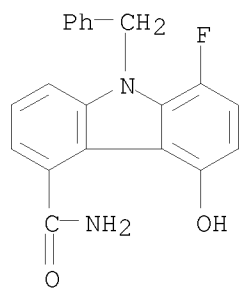


RN 247903-95-3 CAPLUS  
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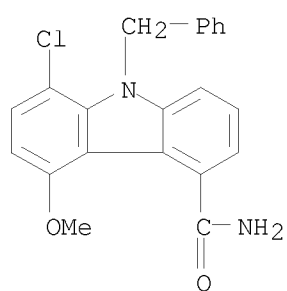
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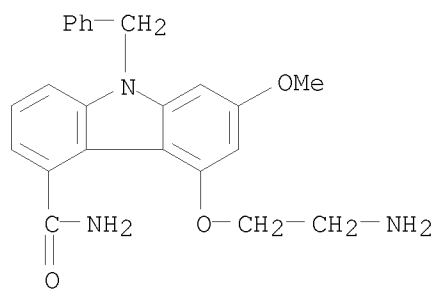
RN 247904-02-5 CAPLUS

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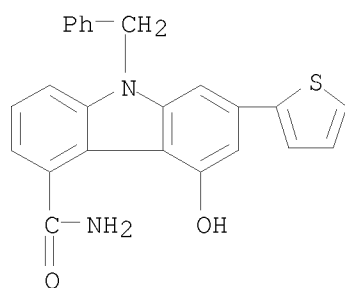
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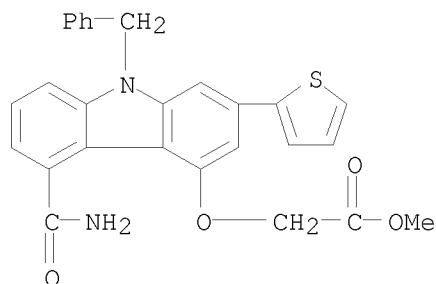
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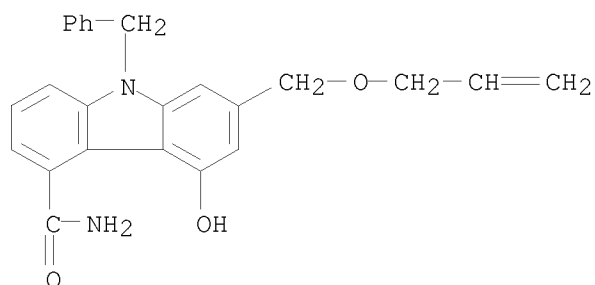
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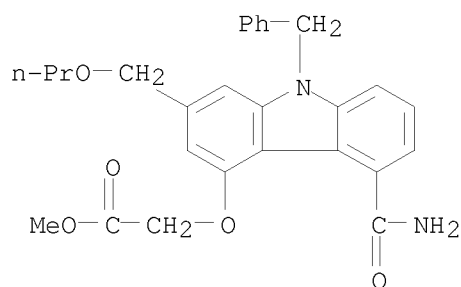
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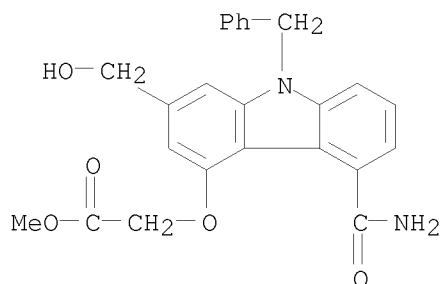
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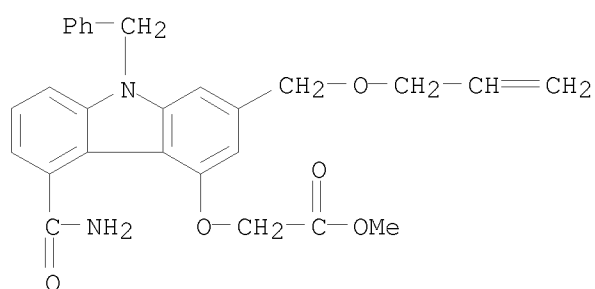


RN 321858-61-1 CAPLUS

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RN 321859-15-8 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-2-[(2-propen-1-yloxy)methyl]-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)  
 REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 39 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN  
 ACCESSION NUMBER: 2000:441578 CAPLUS  
 DOCUMENT NUMBER: 133:53700  
 TITLE: Combination therapy for the treatment of sepsis with activated protein C and a secretory phospholipase A2 (sPLA2) inhibitor  
 INVENTOR(S): Maciak, Ronald Steven  
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA  
 SOURCE: PCT Int. Appl., 279 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.    | KIND | DATE     | APPLICATION NO. | DATE     |
|---------------|------|----------|-----------------|----------|
| WO 2000037022 | A2   | 20000629 | WO 1999-US30433 | 19991220 |
| WO 2000037022 | A3   | 20020613 |                 |          |

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

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| PRIORITY APPLN. INFO.:   |    |          | US 1998-113124P | P 19981221 |
|  |    |          | WO 1999-US30433 | W 19991220 |

OTHER SOURCE(S): MARPAT 133:53700

AB The invention provides a method of prevention and treatment for sepsis for mammals. The treatment is a combination therapy of activated protein C and an sPLA2 inhibitor.

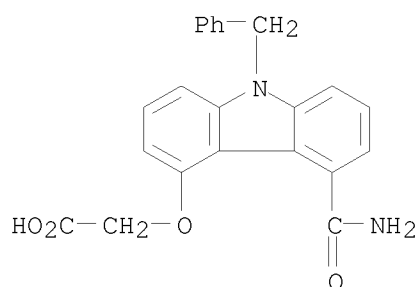
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(activated protein C-secretory phospholipase A2 inhibitor combination for sepsis treatment)

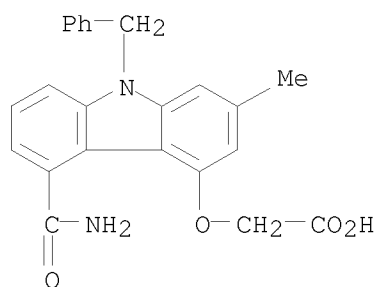
RN 207340-86-1 CAPLUS

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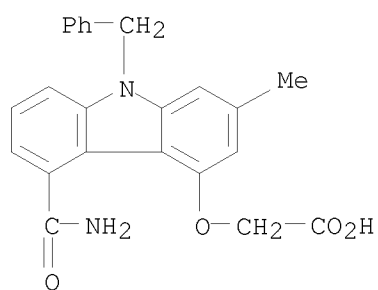
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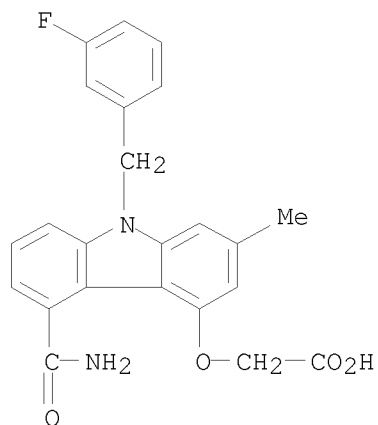
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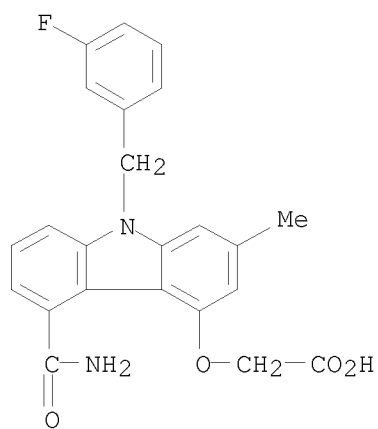
RN 220862-22-6 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3-fluorophenyl)methyl]-2-methyl-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



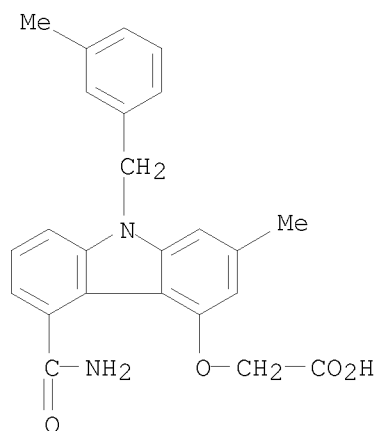
RN 220862-22-6 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3-fluorophenyl)methyl]-2-methyl-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



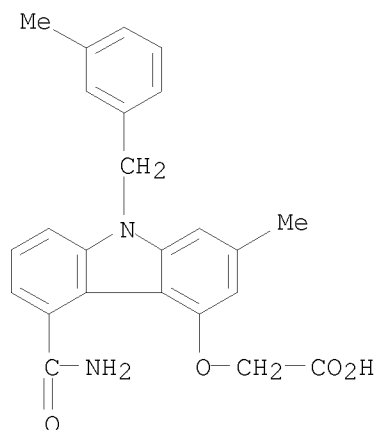
RN 220862-23-7 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-methyl-9-[(3-methylphenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



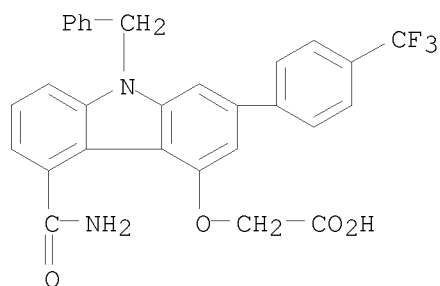
RN 220862-23-7 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-methyl-9-[(3-methylphenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



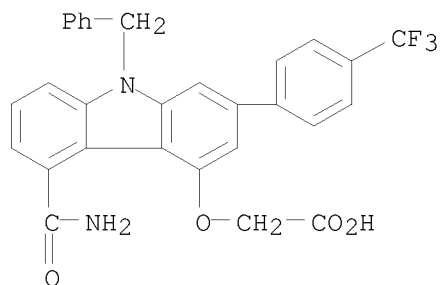
RN 220862-24-8 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-2-[4-(trifluoromethyl)phenyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



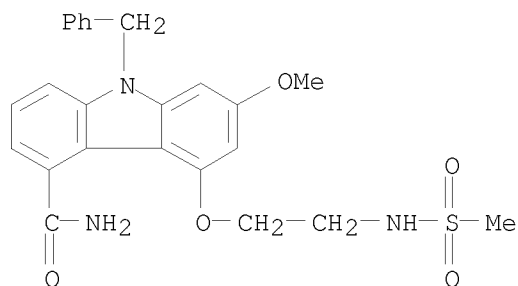
RN 220862-24-8 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-2-[4-(trifluoromethyl)phenyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



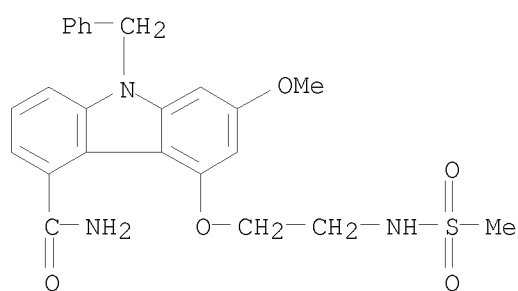
RN 220862-26-0 CAPLUS

CN 9H-Carbazole-4-carboxamide, 7-methoxy-5-[2-[(methylsulfonyl)amino]ethoxy]-9-(phenylmethyl)- (CA INDEX NAME)



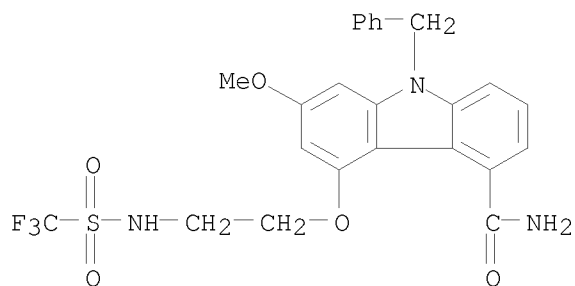
RN 220862-26-0 CAPLUS

CN 9H-Carbazole-4-carboxamide, 7-methoxy-5-[2-[(methylsulfonyl)amino]ethoxy]-9-(phenylmethyl)- (CA INDEX NAME)



RN 220862-27-1 CAPLUS

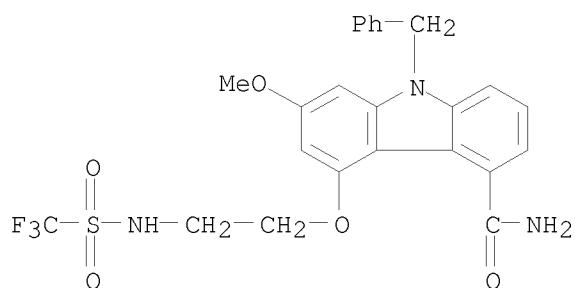
CN 9H-Carbazole-4-carboxamide, 7-methoxy-9-(phenylmethyl)-5-[2-[[trifluoromethyl)sulfonyl]amino]ethoxy]- (CA INDEX NAME)



RN 220862-27-1 CAPLUS

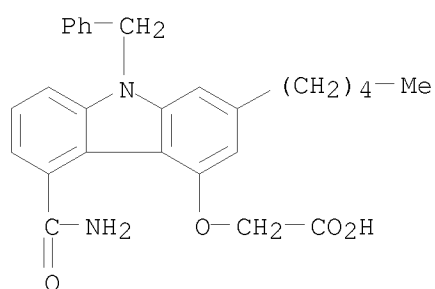
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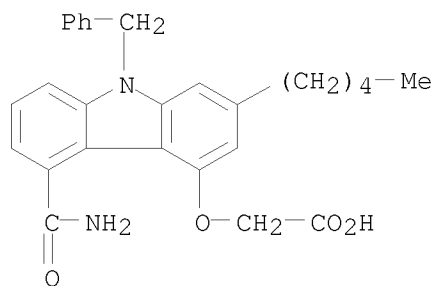
RN 220862-30-6 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-pentyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



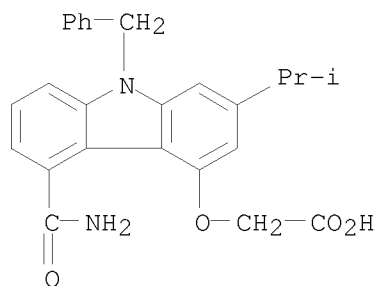
RN 220862-30-6 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-pentyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



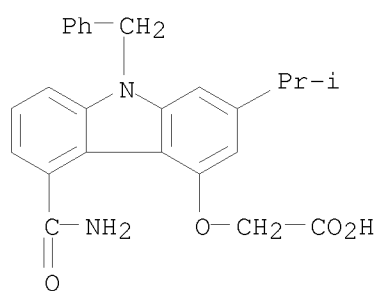
RN 220862-31-7 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-(1-methylethyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



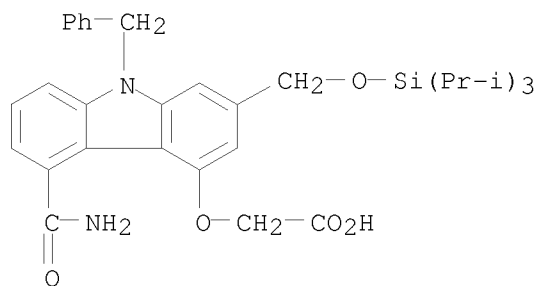
RN 220862-31-7 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-(1-methylethyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



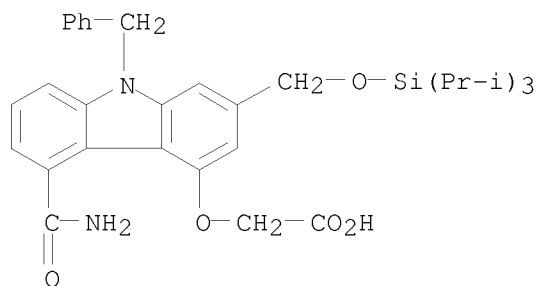
RN 220862-32-8 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-2-[[[tris(1-methylethyl)silyl]oxy]methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



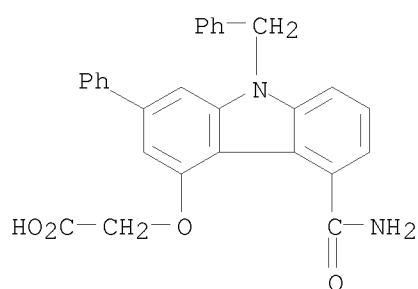
RN 220862-32-8 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-2-[[[tris(1-methylethyl)silyl]oxy]methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



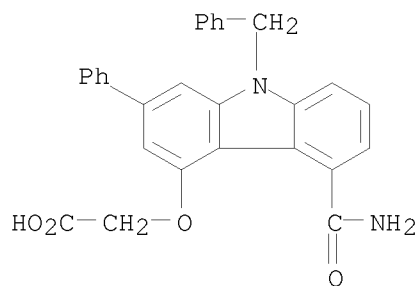
RN 220862-33-9 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-phenyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



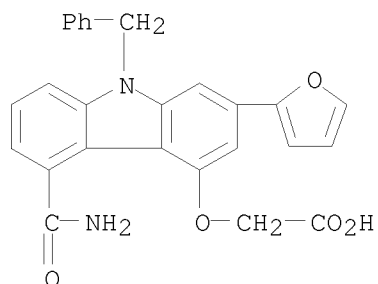
RN 220862-33-9 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-phenyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



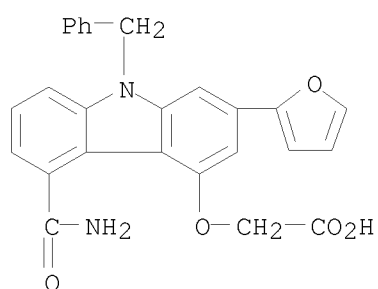
RN 220862-34-0 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-(2-furanyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



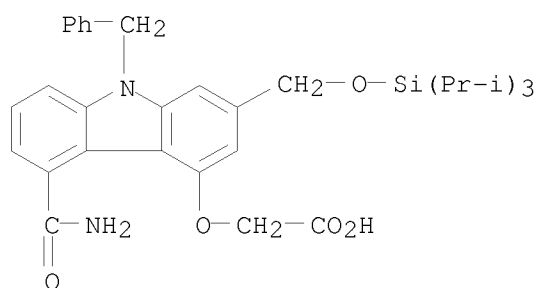
RN 220862-34-0 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-(2-furanyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-35-1 CAPLUS

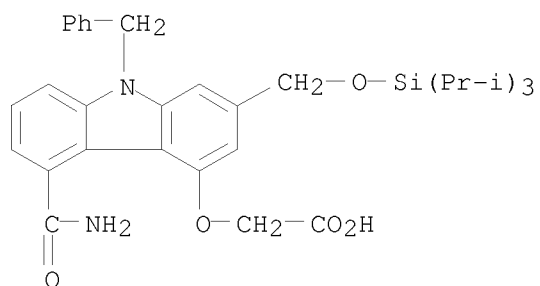
CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-2-[[[tris(1-methylethyl)silyl]oxy]methyl]-9H-carbazol-4-yl]oxy]-, lithium salt (1:1) (CA INDEX NAME)



● Li

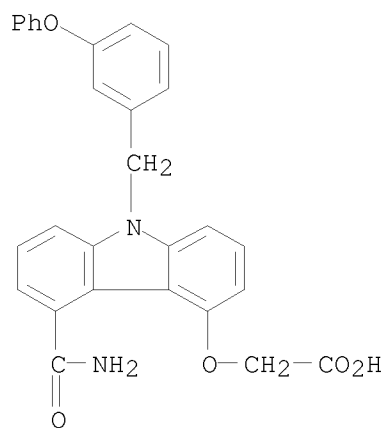
RN 220862-35-1 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-2-[[[tris(1-methylethyl)silyl]oxy]methyl]-9H-carbazol-4-yl]oxy]-, lithium salt (1:1) (CA INDEX NAME)

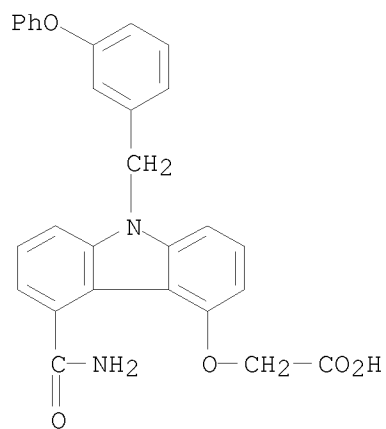


● Li

RN 220862-37-3 CAPLUS  
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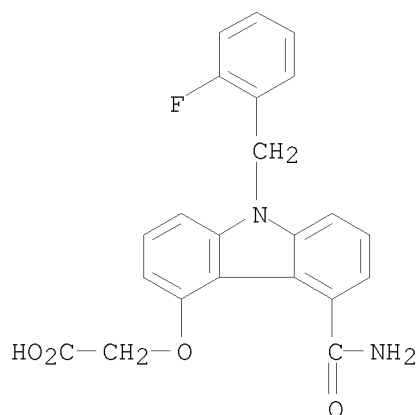


RN 220862-37-3 CAPLUS  
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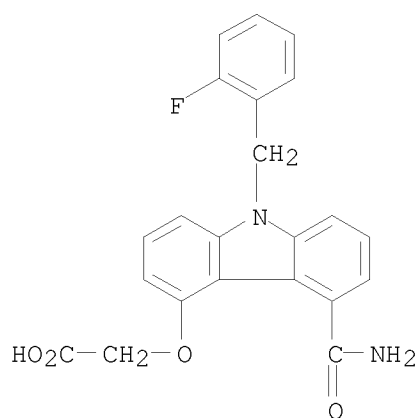
RN 220862-38-4 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2-fluorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



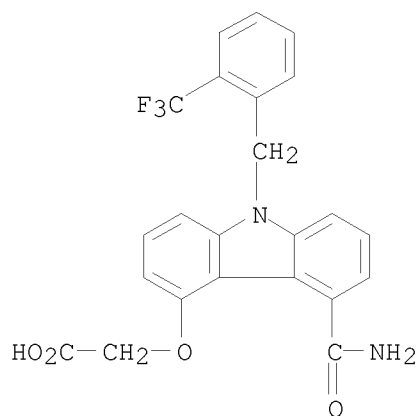
RN 220862-38-4 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2-fluorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



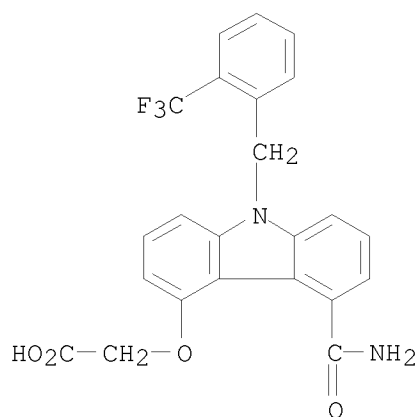
RN 220862-39-5 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[[2-(trifluoromethyl)phenyl]methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



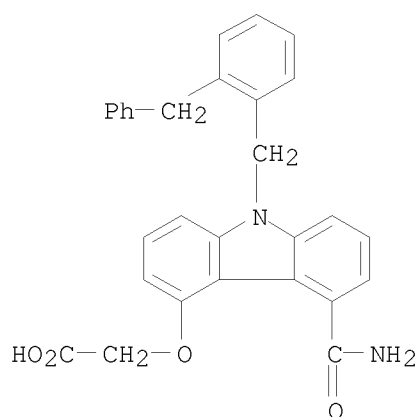
RN 220862-39-5 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[[2-(trifluoromethyl)phenyl]methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

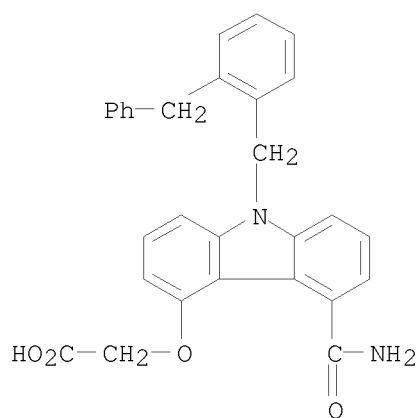


RN 220862-40-8 CAPLUS

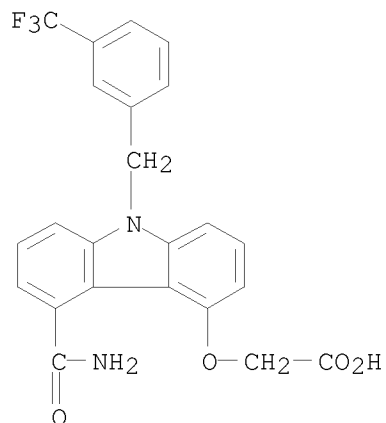
CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[[2-(phenylmethyl)phenyl]methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-40-8 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[[2-(phenylmethyl)phenyl]methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

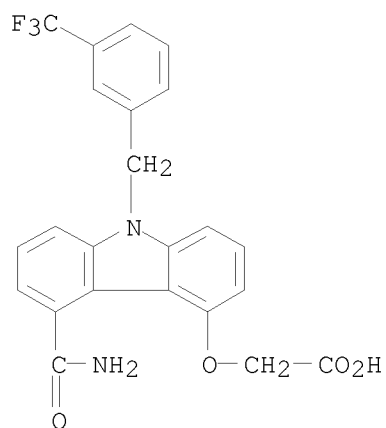


RN 220862-41-9 CAPLUS  
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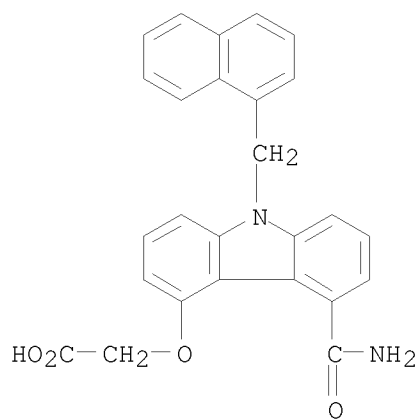
RN 220862-41-9 CAPLUS  
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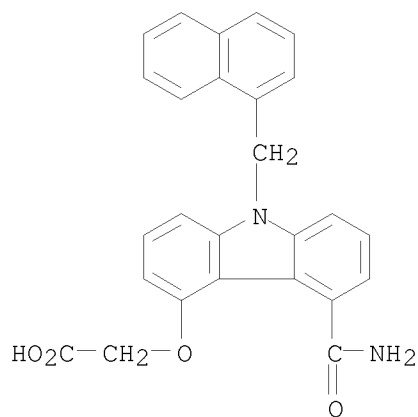
RN 220862-42-0 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(1-naphthalenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

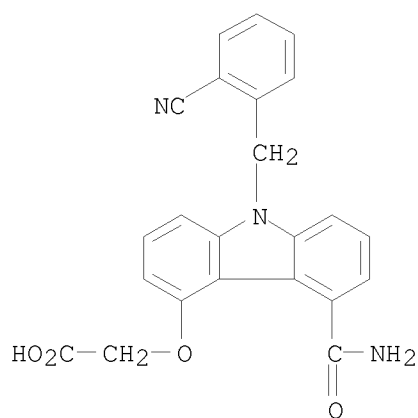


RN 220862-42-0 CAPLUS

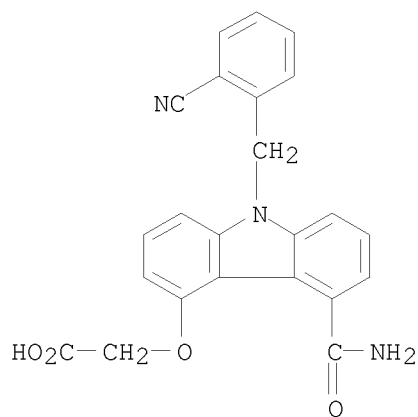
CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(1-naphthalenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



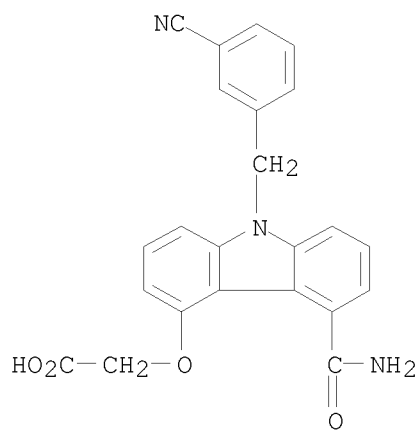
RN 220862-43-1 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2-cyanophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-43-1 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2-cyanophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

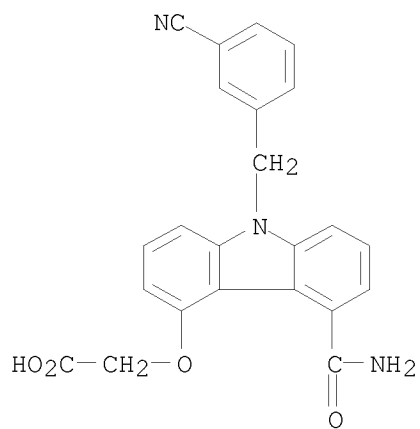


RN 220862-44-2 CAPLUS  
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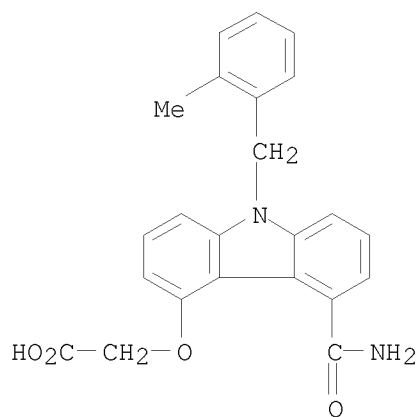
RN 220862-44-2 CAPLUS

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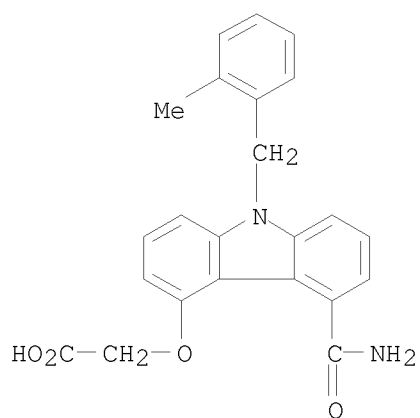


RN 220862-45-3 CAPLUS

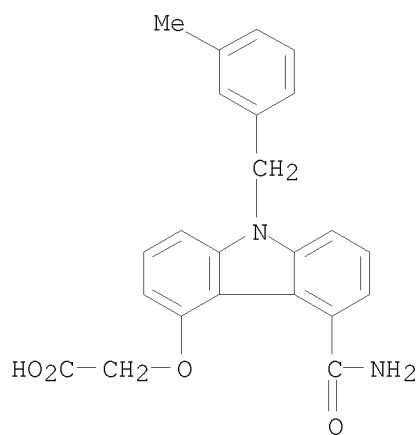
CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2-methylphenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



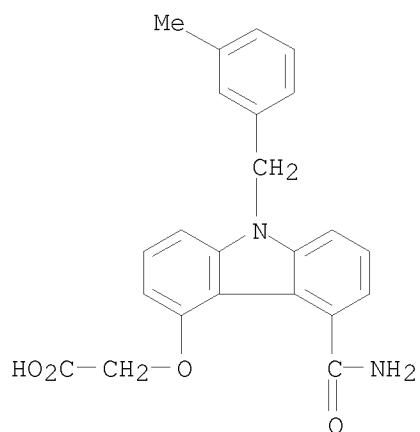
RN 220862-45-3 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2-methylphenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-46-4 CAPLUS  
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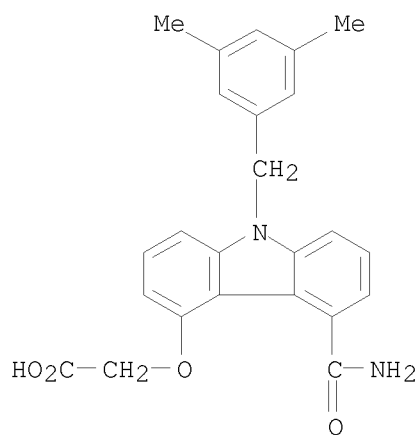


RN 220862-46-4 CAPLUS  
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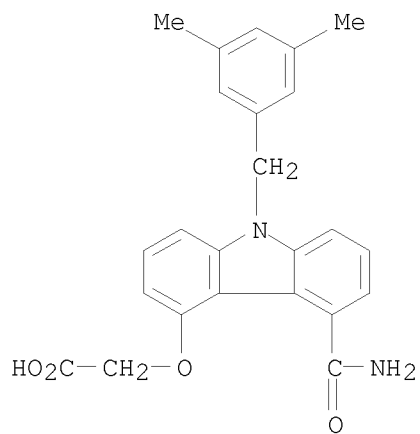
RN 220862-47-5 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3,5-dimethylphenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

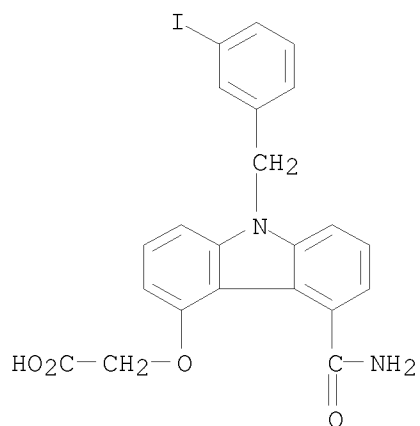


RN 220862-47-5 CAPLUS

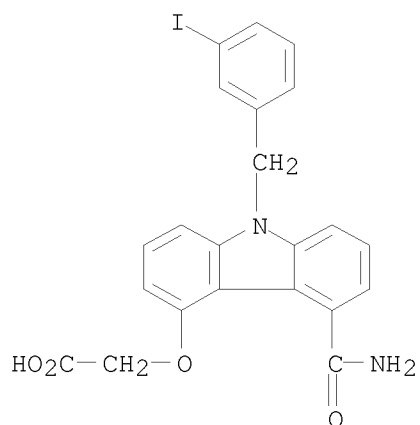
CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3,5-dimethylphenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



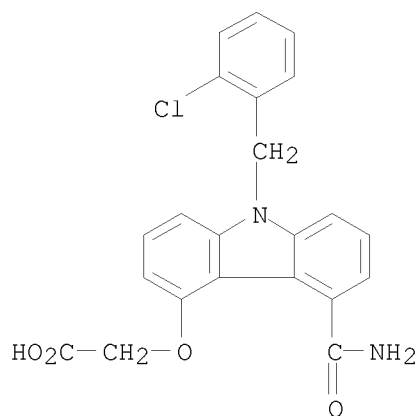
RN 220862-48-6 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3-iodophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-48-6 CAPLUS  
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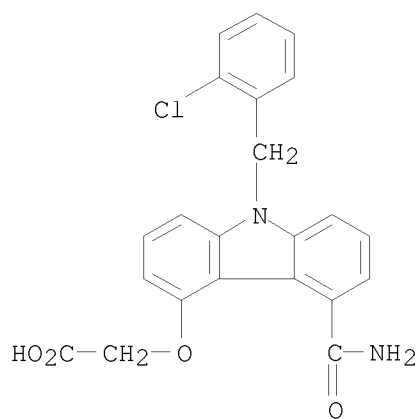


RN 220862-49-7 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2-chlorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



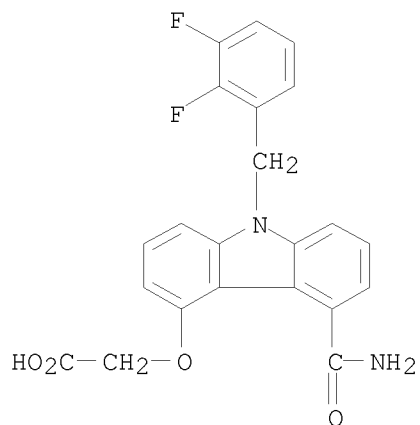
RN 220862-49-7 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2-chlorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



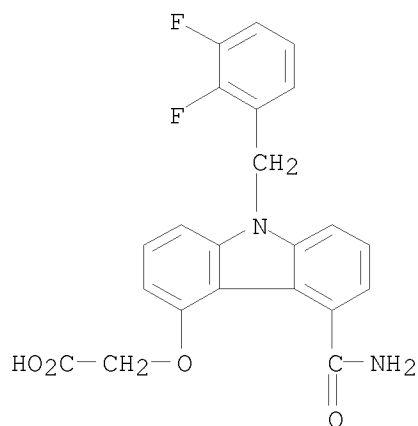
RN 220862-50-0 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2,3-difluorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



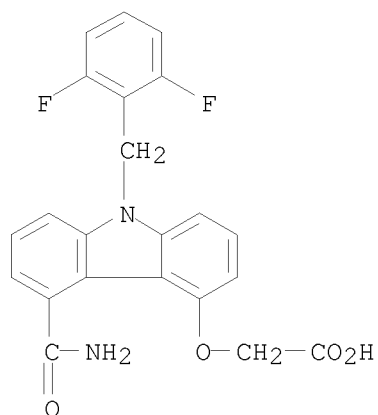
RN 220862-50-0 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2,3-difluorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-51-1 CAPLUS

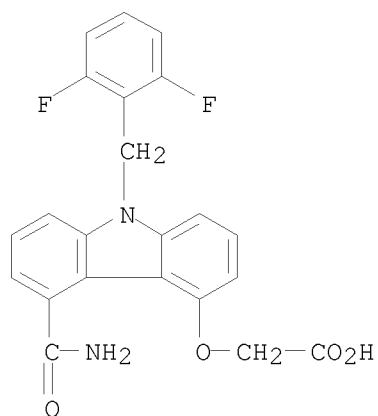
CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2,6-difluorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-51-1 CAPLUS

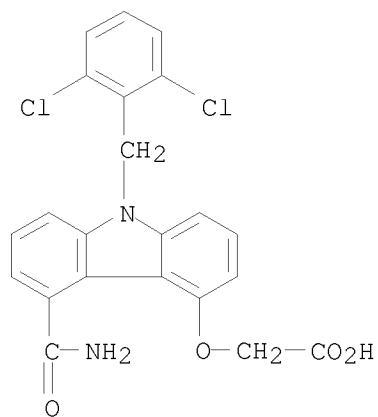
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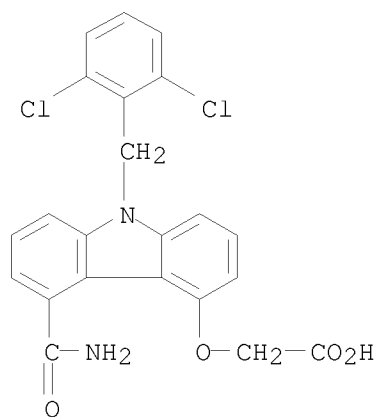
RN 220862-53-3 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2,6-dichlorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

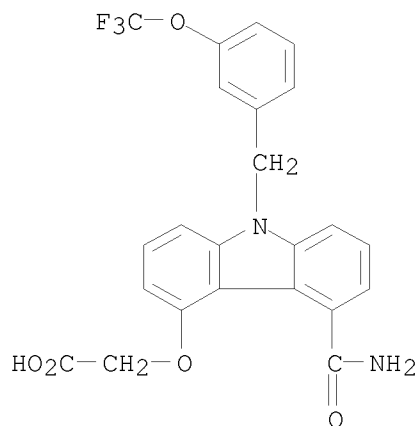


RN 220862-53-3 CAPLUS

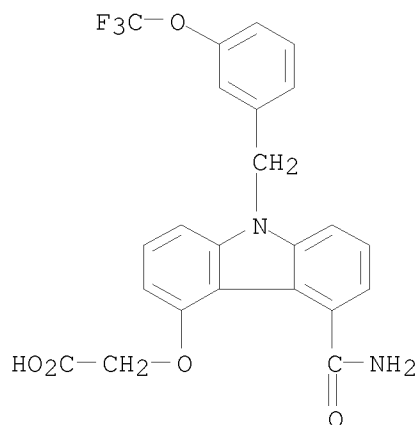
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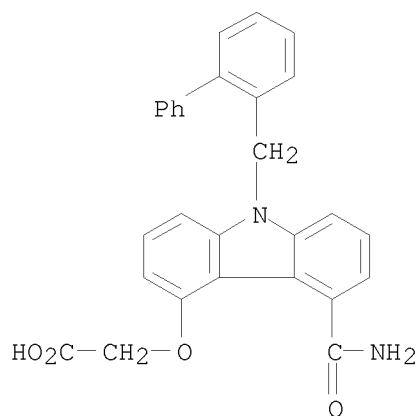
RN 220862-54-4 CAPLUS  
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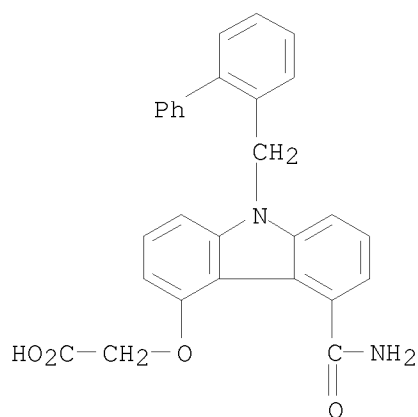
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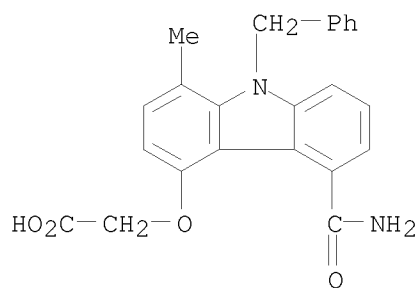
RN 220862-55-5 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-([1,1'-biphenyl]-2-ylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



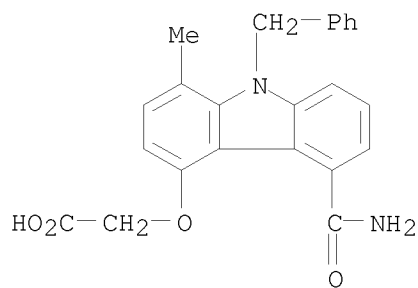
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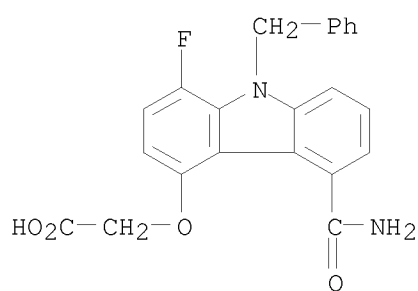
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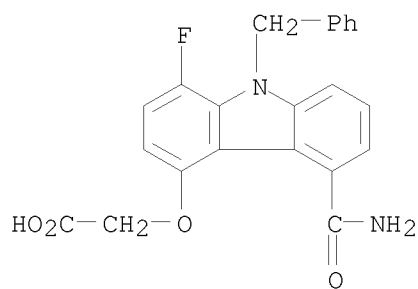
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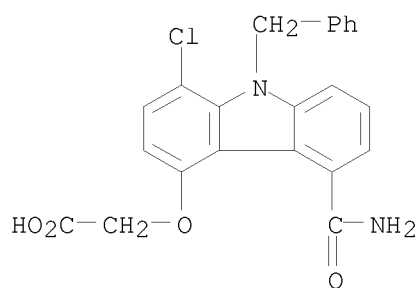
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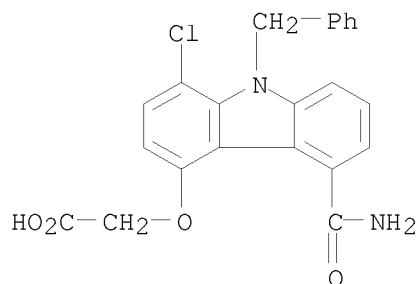
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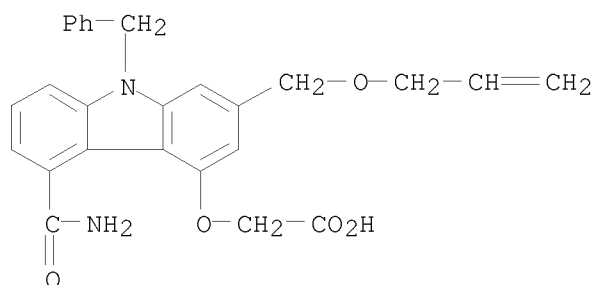
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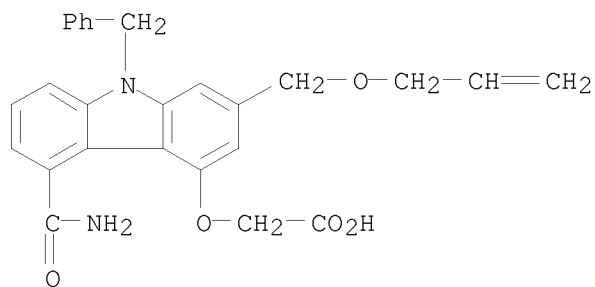
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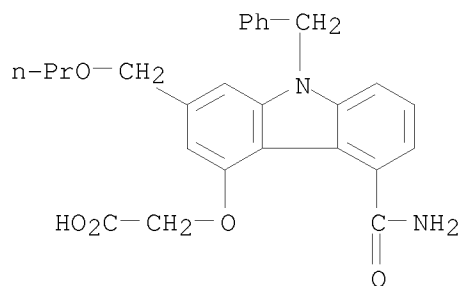
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RN 220862-66-8 CAPLUS  
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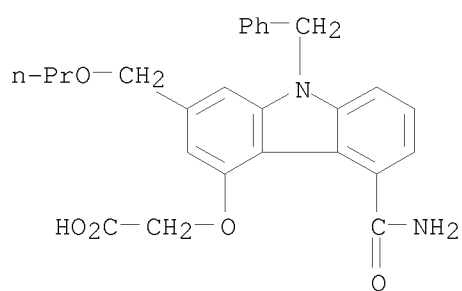


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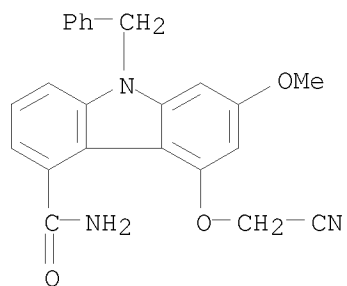
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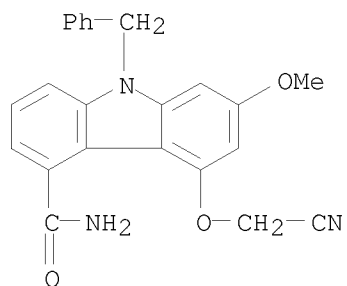
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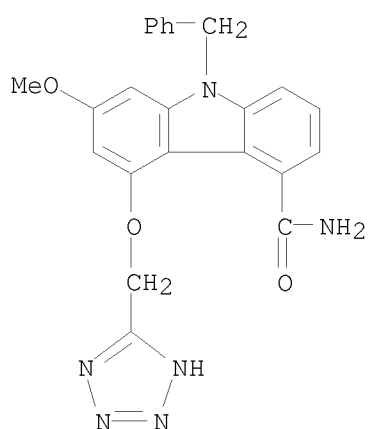
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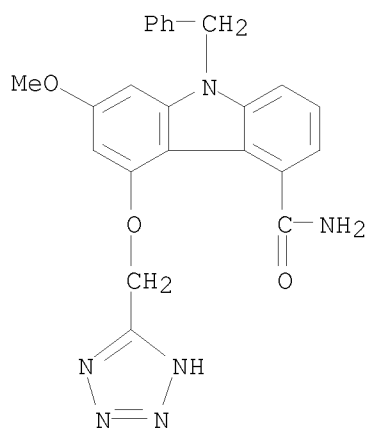
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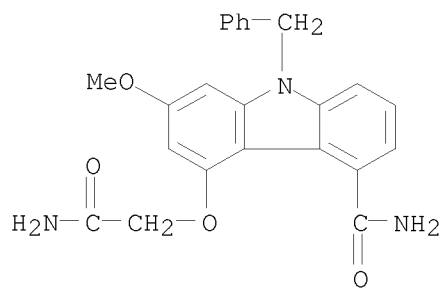
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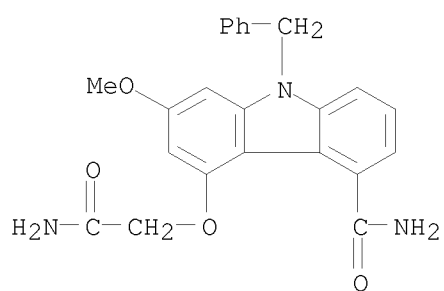


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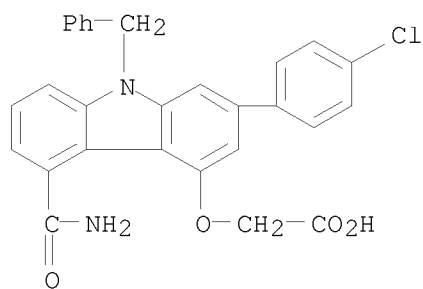
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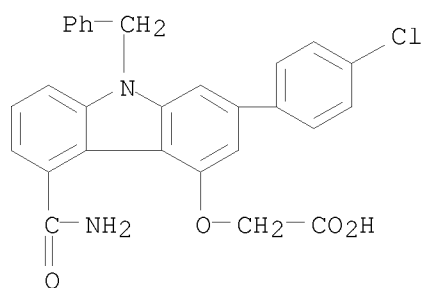
RN 220862-76-0 CAPLUS  
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RN 220862-84-0 CAPLUS  
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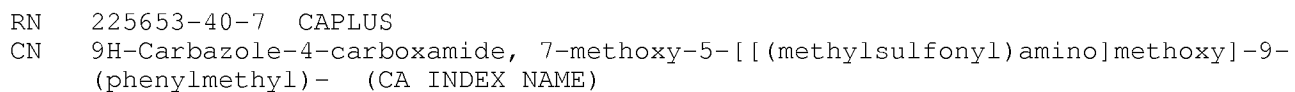


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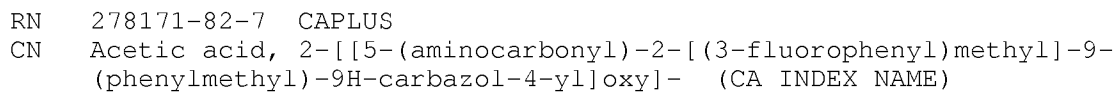




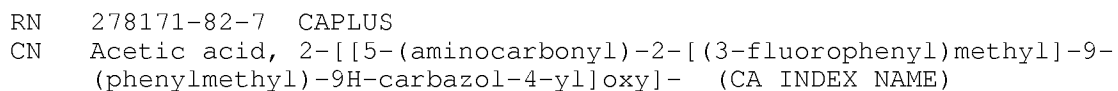
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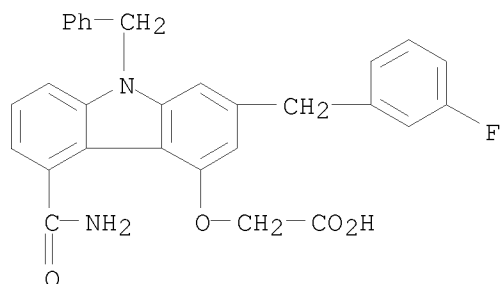
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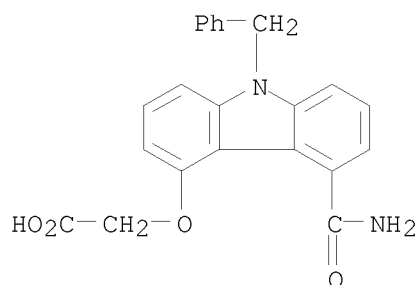
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|----|---|--------|
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| CN | Acetic acid, 2-[[5-(aminocarbonyl)-2-[(3-fluorophenyl)methyl]-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME) |        |



IT 207340-86-1  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (phospholipase A2 inhibitor combination for sepsis treatment)  
 RN 207340-86-1 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-  
 (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
 (1 CITINGS)  
 REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 40 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1999:690826 CAPLUS

DOCUMENT NUMBER: 131:310547

TITLE: Preparation of substituted carbazoles for use as  
 secretory phospholipase A2 (sPLA2) inhibitors

INVENTOR(S): Anderson, Benjamin Alan; Bach, Nicholas James;  
 Bastian, Jolie Anne; Harn, Nancy Kay; Harper, Richard  
 Waltz; Hite, Gary Alan; Kinnick, Michael Dean; Lin,  
 Ho-shen; Loncharich, Richard James; McGill, John  
 Mcneill; Mihelich, Edward David; Morin, John Michael,  
 Jr.; Phillips, Michael Leroy; Richett, Michael Enrico;  
 Sall, Daniel Jon; Sawyer, Jason Scott; Schevitz,  
 Richard Walter; Vasileff, Robert Theodore

PATENT ASSIGNEE(S): Eli Lilly and Co., USA

SOURCE: Eur. Pat. Appl., 244 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

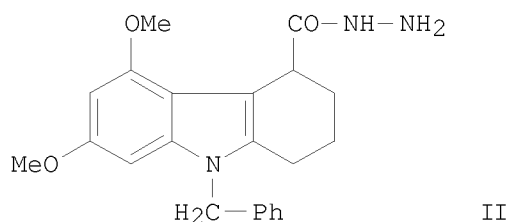
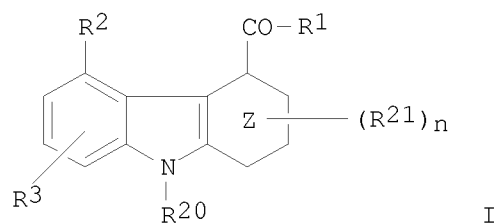
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| EP 952149   | B1     | 20040609   |                 |             |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO |        |            |                 |             |
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| NO 314400   | B1     | 20030317   |                 |             |
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| PRIORITY APPLN. INFO.:  |        |            | US 1998-62328   | A 19980417  |
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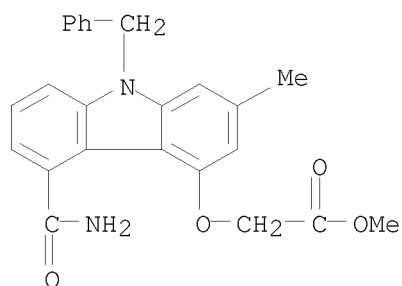


AB Substituted carbazoles (I) [where Z = cyclohexenyl or Ph; R1 = NHNH2, NH2, or CONH2; R2 = OH or (un)substituted alkoxy; R3 = non-interfering substituent or (un)substituted carbocyclic or heterocyclic; R21 = non-interfering substituent; n = 1-3] were prepared as inhibitors of human non-pancreatic secretory phospholipase A2 (sPLA2) for treatment of septic shock and other sPLA2 related diseases. For instance, a solution of 3,5-dimethoxyaniline and benzaldehyde in MeOH was cooled and treated with Na cyanoborohydride to form N-benzyl-3,5-dimethoxyaniline. The aniline was coupled with 2-carbethoxy-6-bromocyclohexanone in benzene and the residue treated with ZnCl2, followed by refluxing with hydrazine hydrate for 5 days to yield the carbazole (II). The claimed tricyclics suppress sPLA2 mediated release of fatty acids, thereby inhibiting the arachidonic acid cascade. Compds. of the invention were found to be effective inhibitors at concns. of < 100µM in an sPLA2 chromogenic assay, to suppress contractile response in dorsal pleural strips from male guinea pigs at concns. of < 20µM, and to be effective in reducing PLA2 catalytic activity in the serum of transgenic mice (no data).

IT 247904-06-9P 247904-07-0P 247904-09-2P  
 247904-15-0P 247904-16-1P 247904-19-4P  
 247904-20-7P  
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 (intermediate; preparation of substituted carbazoles for use as sPLA2 inhibitors)

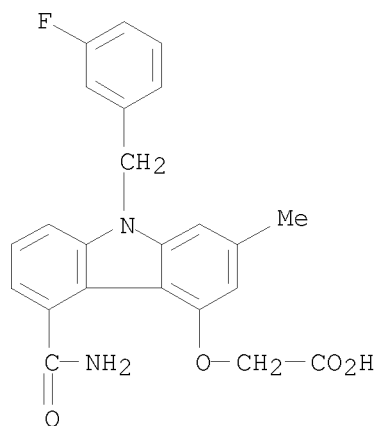
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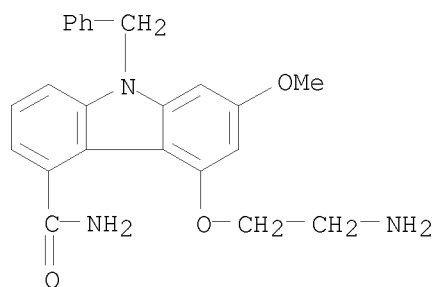
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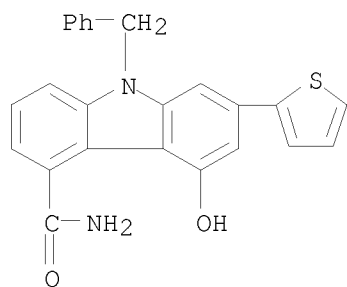
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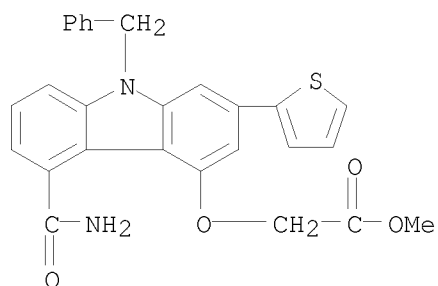
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INDEX NAME)



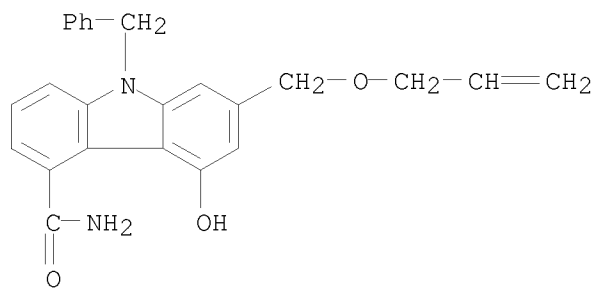
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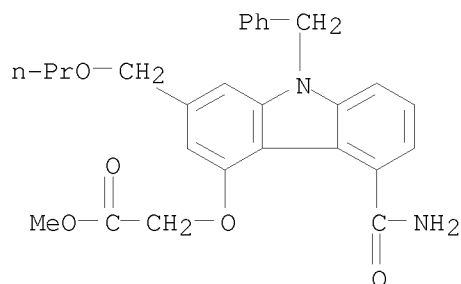
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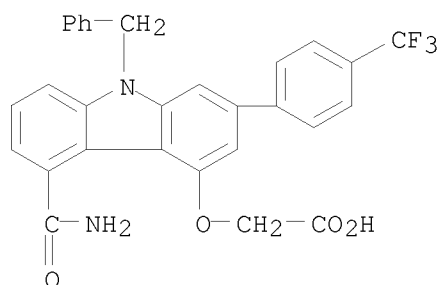


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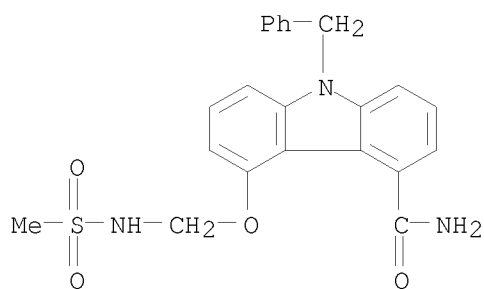
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IT 220862-24-8P 220862-29-3P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of substituted carbazoles for use as sPLA2 inhibitors)  
 RN 220862-24-8 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-2-[4-(trifluoromethyl)phenyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-29-3 CAPLUS  
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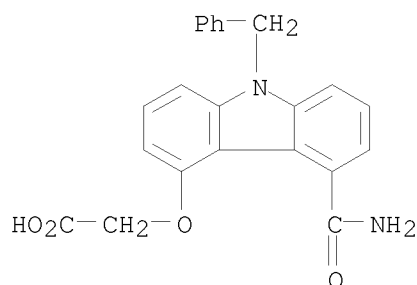
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| 247903-37-3P | 247903-38-4P | 247903-41-9P |
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| 247903-54-4P | 247903-57-7P | 247903-58-8P |
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| 247903-66-8P | 247903-69-1P | 247903-70-4P |
| 247903-75-9P | 247903-76-0P |              |

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(target compound; preparation of substituted carbazoles for use as sPLA2 inhibitors)

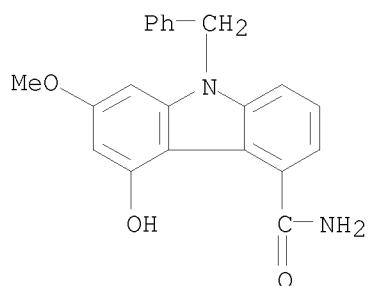
RN 207340-86-1 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-(CA INDEX NAME)



RN 207341-25-1 CAPLUS

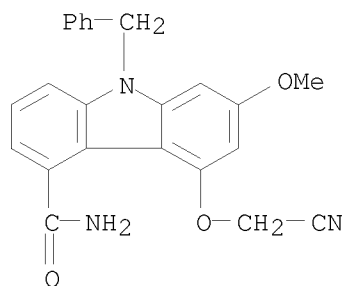
CN 9H-Carbazole-4-carboxamide, 5-hydroxy-7-methoxy-9-(phenylmethyl)- (CA INDEX NAME)



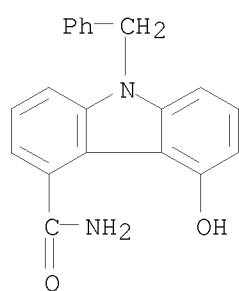
RN 220862-72-6 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-(cyanomethoxy)-7-methoxy-9-(phenylmethyl)- (CA INDEX NAME)

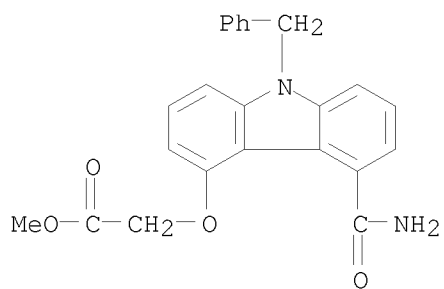




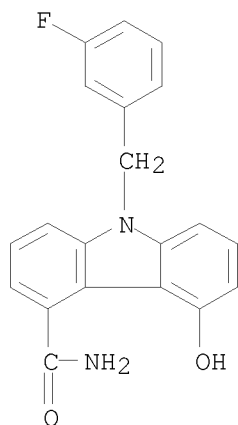
RN 246513-45-1 CAPLUS  
 CN 9H-Carbazole-4-carboxamide, 5-(2-cyanoethoxy)-9-(phenylmethyl)- (CA INDEX NAME)



RN 246513-46-2 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)

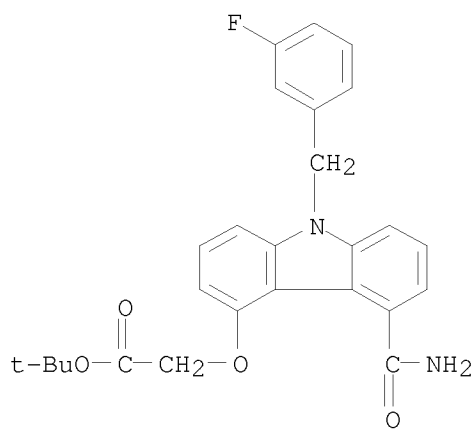


RN 246513-56-4 CAPLUS  
 CN 9H-Carbazole-4-carboxamide, 9-[(3-fluorophenyl)methyl]-5-hydroxy- (CA INDEX NAME)



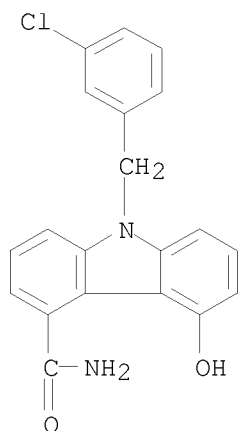
RN 246513-57-5 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3-fluorophenyl)methyl]-9H-carbazol-4-yl]oxy]-, 1,1-dimethylethyl ester (CA INDEX NAME)



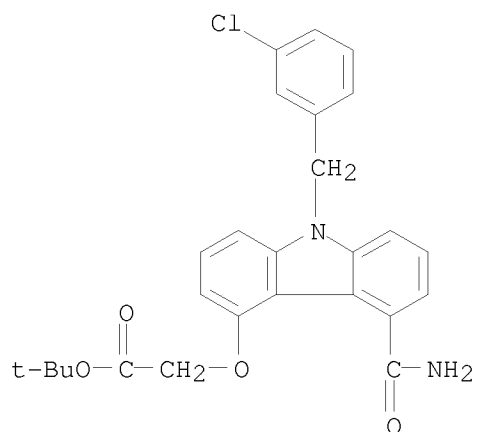
RN 246513-60-0 CAPLUS

CN 9H-Carbazole-4-carboxamide, 9-[(3-chlorophenyl)methyl]-5-hydroxy- (CA INDEX NAME)



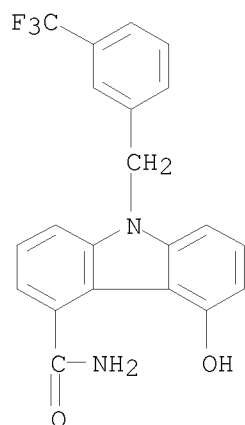
RN 246513-61-1 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3-chlorophenyl)methyl]-9H-carbazol-4-yl]oxy]-, 1,1-dimethylethyl ester (CA INDEX NAME)



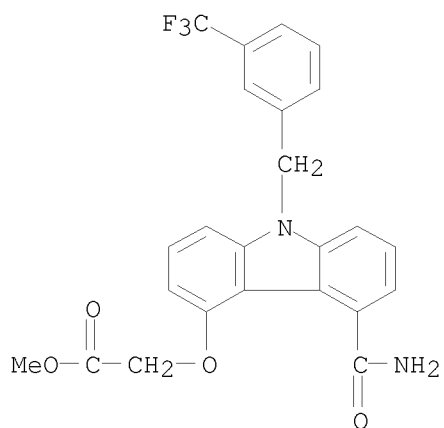
RN 246513-64-4 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-hydroxy-9-[[3-(trifluoromethyl)phenyl)methyl]- (CA INDEX NAME)



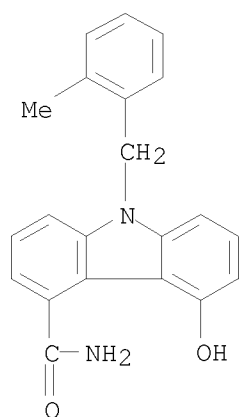
RN 246513-65-5 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[[3-(trifluoromethyl)phenyl)methyl]-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



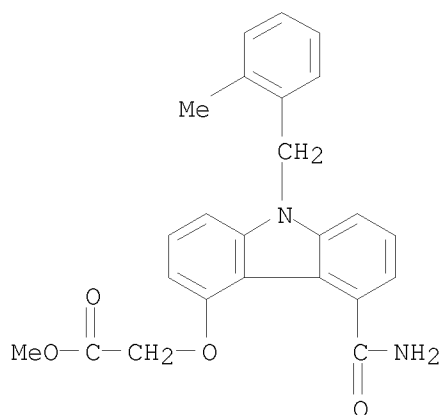
RN 246513-68-8 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-hydroxy-9-[(2-methylphenyl)methyl]- (CA INDEX NAME)



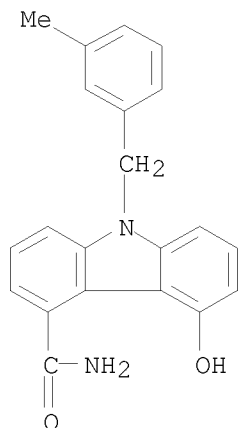
RN 246513-69-9 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2-methylphenyl)methyl]-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



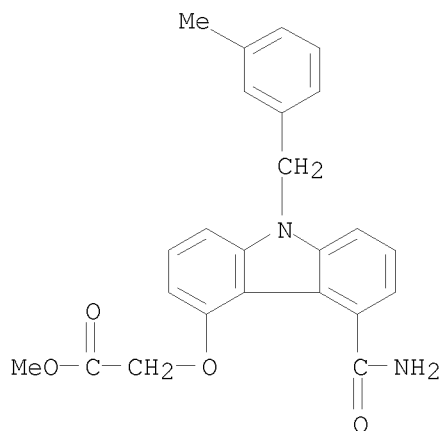
RN 246513-72-4 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-hydroxy-9-[(3-methylphenyl)methyl]- (CA INDEX NAME)



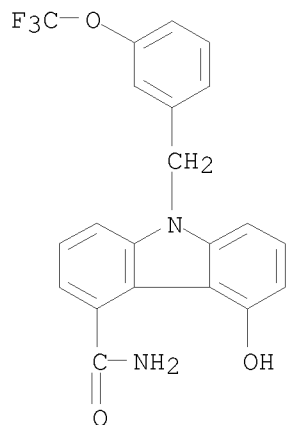
RN 246513-73-5 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3-methylphenyl)methyl]-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



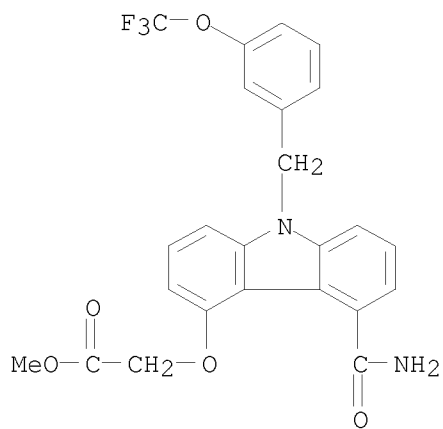
RN 246513-76-8 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-hydroxy-9-[[3-(trifluoromethoxy)phenyl]methyl]- (CA INDEX NAME)



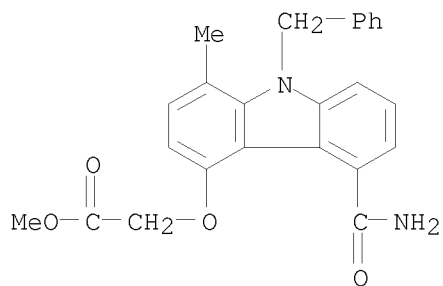
RN 246513-77-9 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[[3-(trifluoromethoxy)phenyl]methyl]-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



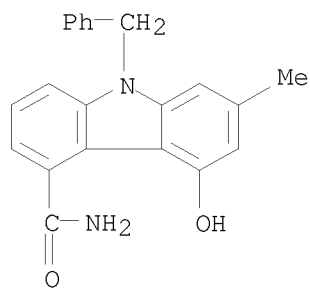
RN 246513-80-4 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-1-methyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



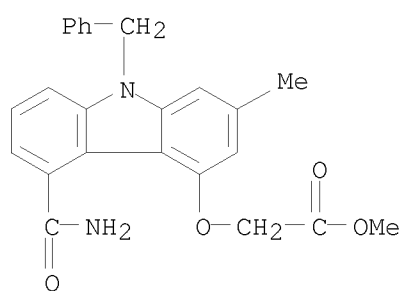
RN 247902-64-3 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-hydroxy-7-methyl-9-(phenylmethyl)- (CA INDEX NAME)



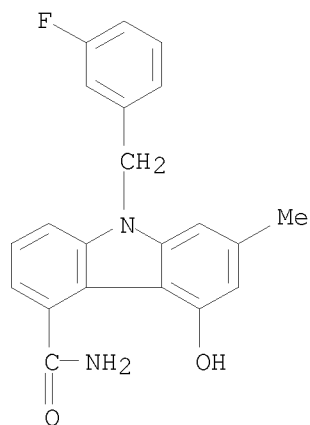
RN 247902-65-4 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-methyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



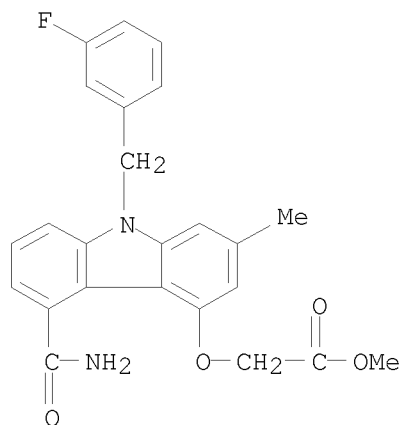
RN 247902-68-7 CAPLUS

CN 9H-Carbazole-4-carboxamide, 9-[(3-fluorophenyl)methyl]-5-hydroxy-7-methyl- (CA INDEX NAME)



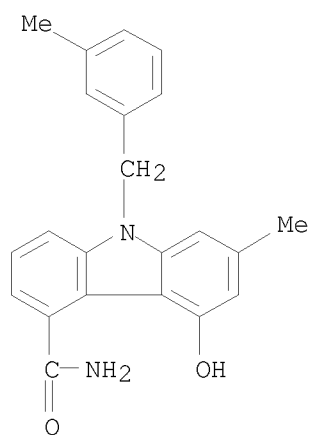
RN 247902-69-8 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3-fluorophenyl)methyl]-2-methyl-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



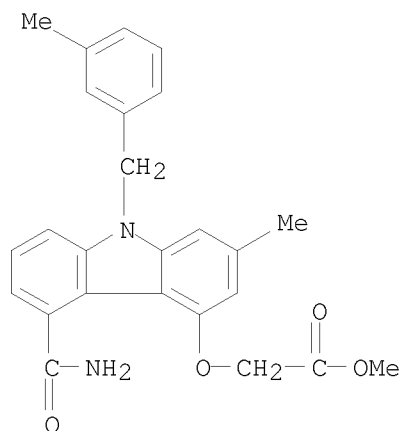
RN 247902-72-3 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-hydroxy-7-methyl-9-[(3-methylphenyl)methyl]-  
(CA INDEX NAME)



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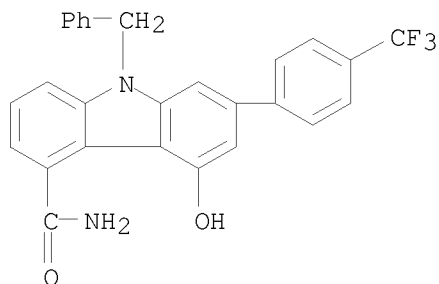
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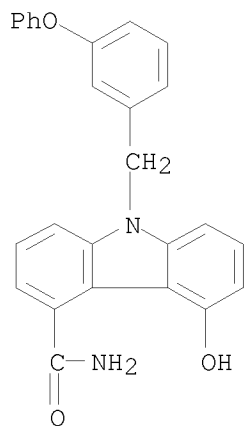
RN 247902-78-9 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-hydroxy-9-(phenylmethyl)-7-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



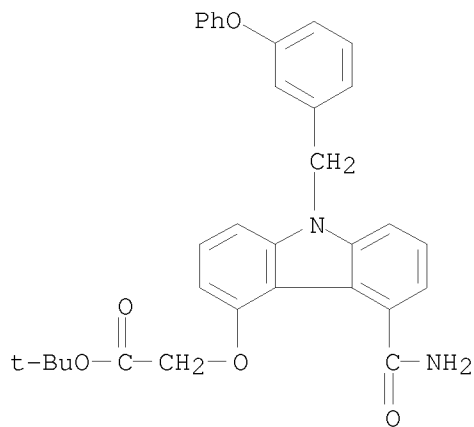
RN 247903-20-4 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-hydroxy-9-[(3-phenoxyphenyl)methyl]- (CA INDEX NAME)



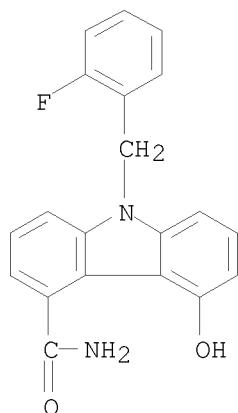
RN 247903-21-5 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3-phenoxyphenyl)methyl]-9H-carbazol-4-yl]oxy]-, 1,1-dimethylethyl ester (CA INDEX NAME)



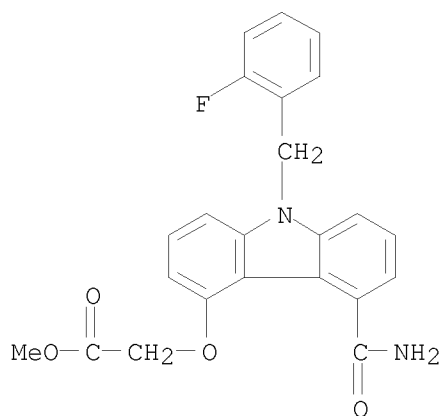
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CN 9H-Carbazole-4-carboxamide, 9-[(2-fluorophenyl)methyl]-5-hydroxy- (CA INDEX NAME)



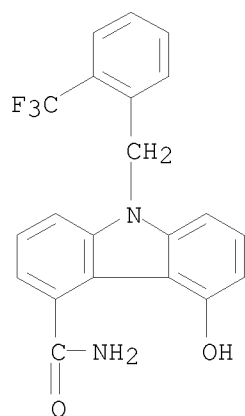
RN 247903-26-0 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2-fluorophenyl)methyl]-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



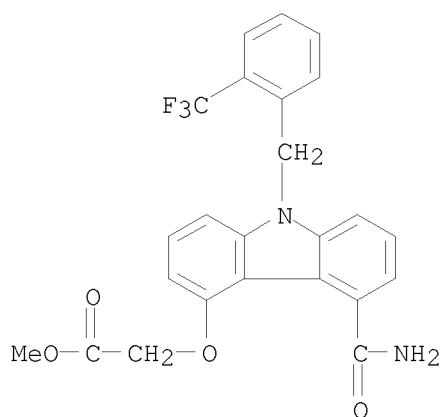
RN 247903-29-3 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-hydroxy-9-[[2-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)



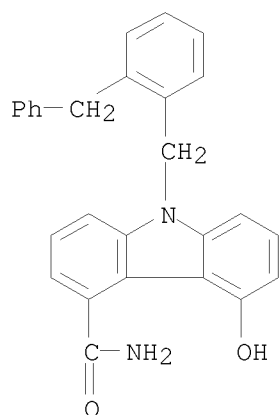
RN 247903-30-6 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[[2-(trifluoromethyl)phenyl]methyl]-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)

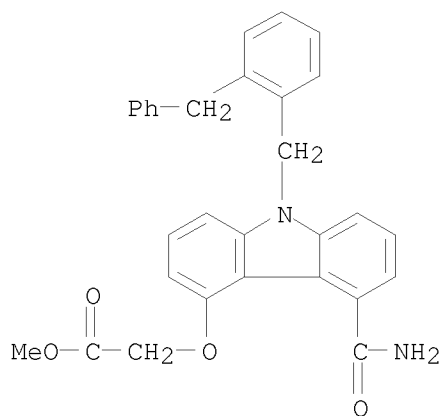


RN 247903-33-9 CAPLUS

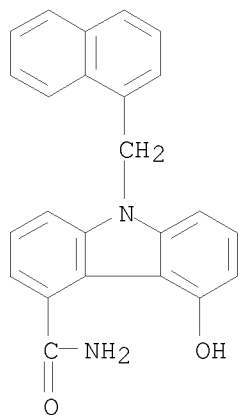
CN 9H-Carbazole-4-carboxamide, 5-hydroxy-9-[[2-(phenylmethyl)phenyl]methyl]- (CA INDEX NAME)



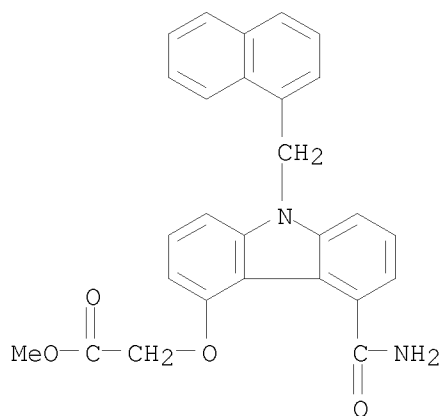
RN 247903-34-0 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[[2-(phenylmethyl)phenyl]methyl]-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



RN 247903-37-3 CAPLUS  
 CN 9H-Carbazole-4-carboxamide, 5-hydroxy-9-(1-naphthalenylmethyl)- (CA INDEX NAME)

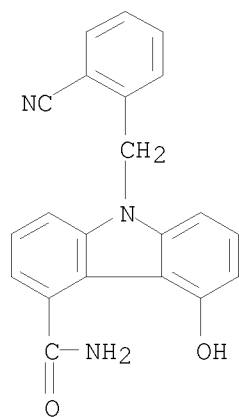


RN 247903-38-4 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(1-naphthalenylmethyl)-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



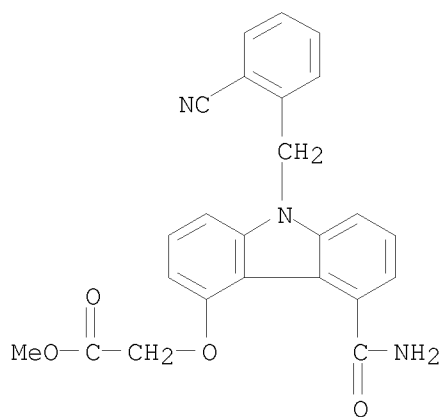
RN 247903-41-9 CAPLUS

CN 9H-Carbazole-4-carboxamide, 9-[(2-cyanophenyl)methyl]-5-hydroxy- (CA INDEX NAME)



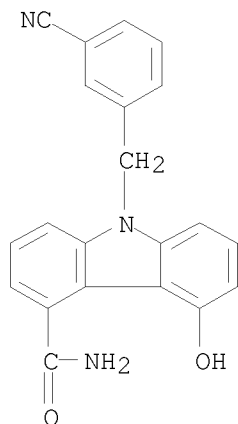
RN 247903-42-0 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2-cyanophenyl)methyl]-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



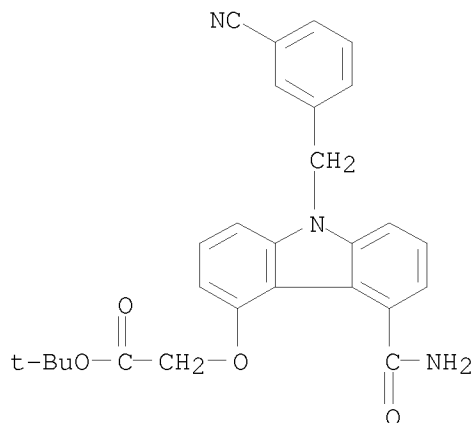
RN 247903-45-3 CAPLUS

CN 9H-Carbazole-4-carboxamide, 9-[(3-cyanophenyl)methyl]-5-hydroxy- (CA INDEX NAME)



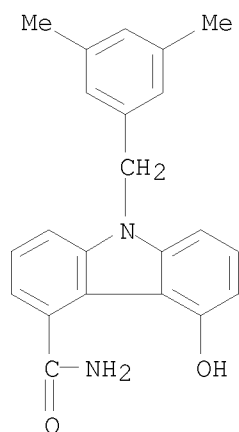
RN 247903-46-4 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3-cyanophenyl)methyl]-9H-carbazol-4-yl]oxy]-, 1,1-dimethylethyl ester (CA INDEX NAME)



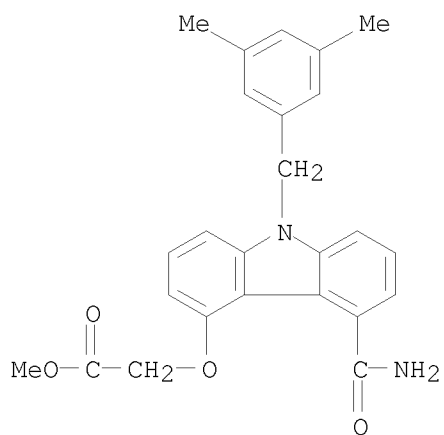
RN 247903-49-7 CAPLUS

CN 9H-Carbazole-4-carboxamide, 9-[(3,5-dimethylphenyl)methyl]-5-hydroxy- (CA INDEX NAME)



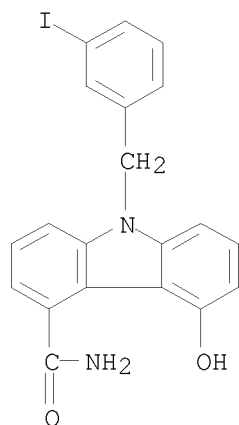
RN 247903-50-0 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3,5-dimethylphenyl)methyl]-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)

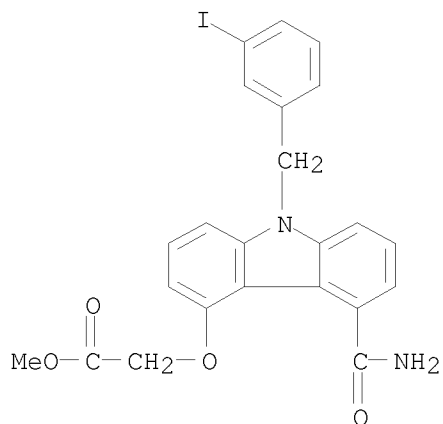


RN 247903-53-3 CAPLUS

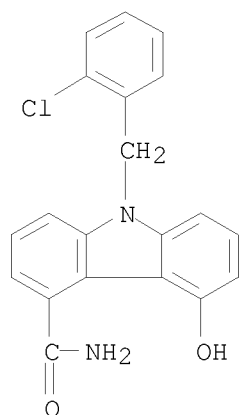
CN 9H-Carbazole-4-carboxamide, 5-hydroxy-9-[(3-iodophenyl)methyl]- (CA INDEX NAME)



RN 247903-54-4 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3-iodophenyl)methyl]-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)

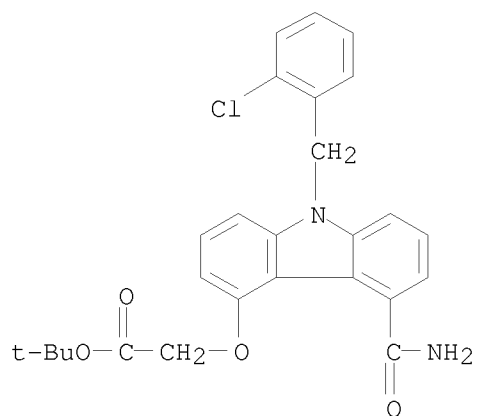


RN 247903-57-7 CAPLUS  
 CN 9H-Carbazole-4-carboxamide, 9-[(2-chlorophenyl)methyl]-5-hydroxy- (CA INDEX NAME)



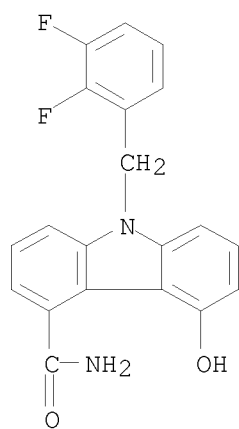
RN 247903-58-8 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2-chlorophenyl)methyl]-9H-carbazol-4-yl]oxy]-, 1,1-dimethylethyl ester (CA INDEX NAME)





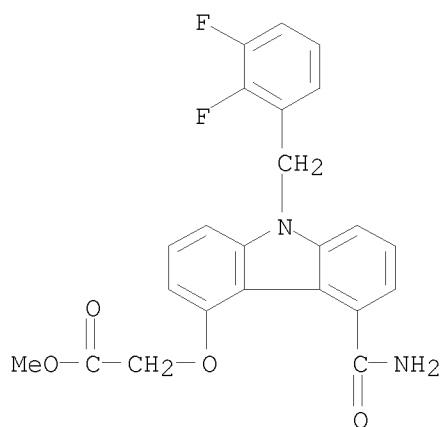
RN 247903-61-3 CAPLUS

CN 9H-Carbazole-4-carboxamide, 9-[(2,3-difluorophenyl)methyl]-5-hydroxy- (CA INDEX NAME)



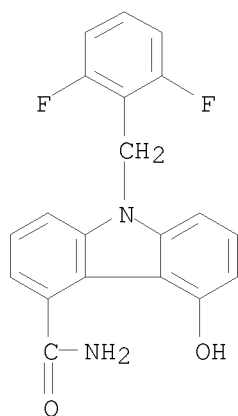
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CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2,3-difluorophenyl)methyl]-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



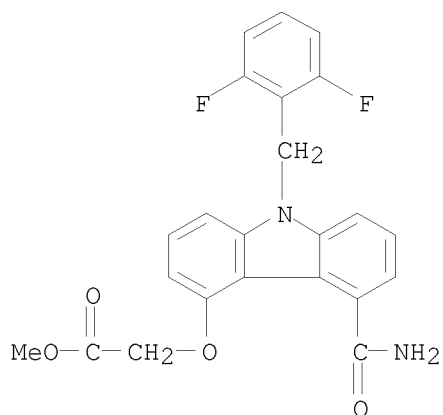
RN 247903-65-7 CAPLUS

CN 9H-Carbazole-4-carboxamide, 9-[(2,6-difluorophenyl)methyl]-5-hydroxy- (CA INDEX NAME)



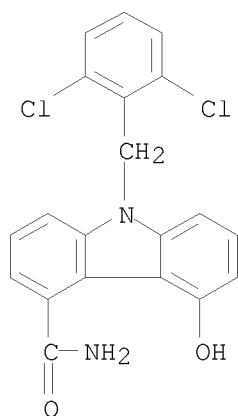
RN 247903-66-8 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2,6-difluorophenyl)methyl]-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



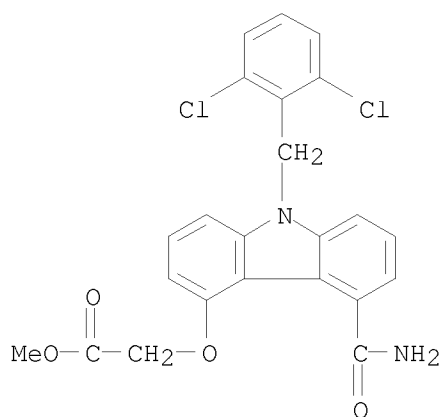
RN 247903-69-1 CAPLUS

CN 9H-Carbazole-4-carboxamide, 9-[(2,6-dichlorophenyl)methyl]-5-hydroxy- (CA INDEX NAME)



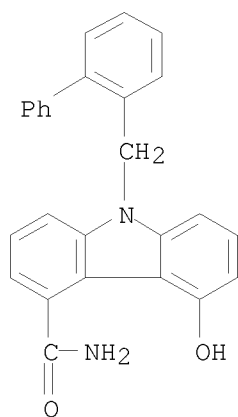
RN 247903-70-4 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2,6-dichlorophenyl)methyl]-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)

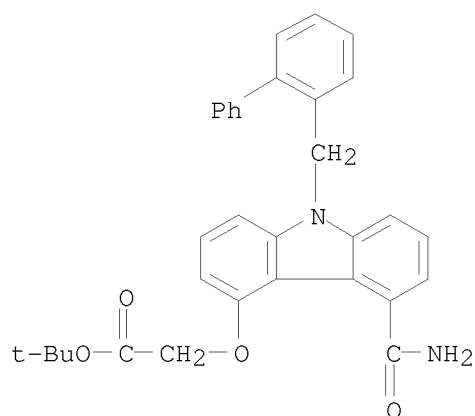


RN 247903-75-9 CAPLUS

CN 9H-Carbazole-4-carboxamide, 9-([1,1'-biphenyl]-2-ylmethyl)-5-hydroxy- (CA INDEX NAME)



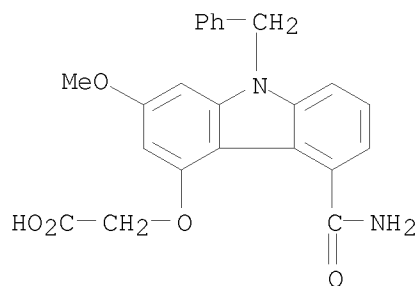
RN 247903-76-0 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-([1,1'-biphenyl]-2-ylmethyl)-9H-carbazol-4-yl]oxy]-, 1,1-dimethylethyl ester (CA INDEX NAME)



|    |              |              |              |
|----|--------------|--------------|--------------|
| IT | 207340-74-7P | 207340-75-8P | 207340-76-9P |
|    | 207341-24-0P | 220862-21-5P | 220862-22-6P |
|    | 220862-23-7P | 220862-26-0P | 220862-27-1P |
|    | 220862-30-6P | 220862-31-7P | 220862-32-8P |
|    | 220862-33-9P | 220862-34-0P | 220862-35-1P |
|    | 220862-36-2P | 220862-37-3P | 220862-38-4P |
|    | 220862-39-5P | 220862-40-8P | 220862-41-9P |
|    | 220862-42-0P | 220862-43-1P | 220862-44-2P |
|    | 220862-45-3P | 220862-46-4P | 220862-47-5P |
|    | 220862-48-6P | 220862-49-7P | 220862-50-0P |
|    | 220862-51-1P | 220862-53-3P | 220862-54-4P |
|    | 220862-55-5P | 220862-59-9P | 220862-61-3P |
|    | 220862-63-5P | 220862-66-8P | 220862-68-0P |
|    | 220862-74-8P | 220862-76-0P | 220862-84-0P |
|    | 246513-34-8P | 246513-52-0P | 246513-53-1P |
|    | 246513-79-1P | 246513-84-8P | 247902-79-0P |
|    | 247902-84-7P | 247902-85-8P | 247902-90-5P |
|    | 247902-91-6P | 247902-95-0P | 247903-00-0P |
|    | 247903-01-1P | 247903-06-6P | 247903-07-7P |
|    | 247903-12-4P | 247903-13-5P | 247903-16-8P |
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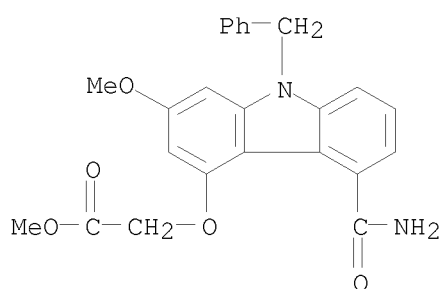
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (target compound; preparation of substituted carbazoles for use as sPLA2 inhibitors)

RN 207340-74-7 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-2-methoxy-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



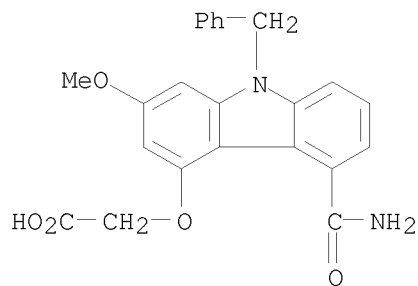
RN 207340-75-8 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-methoxy-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



RN 207340-76-9 CAPLUS

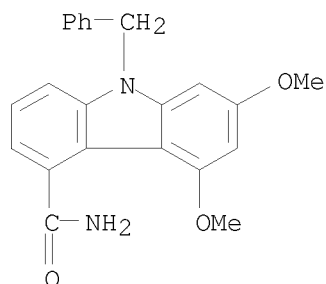
CN Acetic acid, 2-[[5-(aminocarbonyl)-2-methoxy-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, sodium salt (1:1) (CA INDEX NAME)



● Na

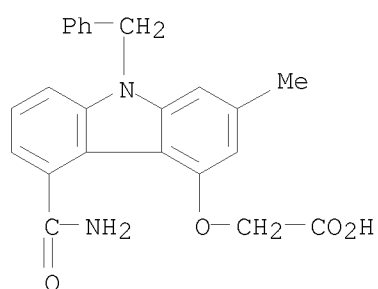
RN 207341-24-0 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5,7-dimethoxy-9-(phenylmethyl)- (CA INDEX NAME)



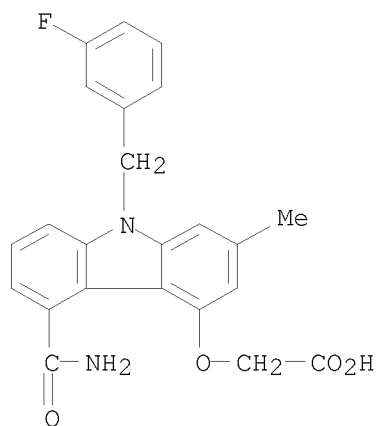
RN 220862-21-5 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-methyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



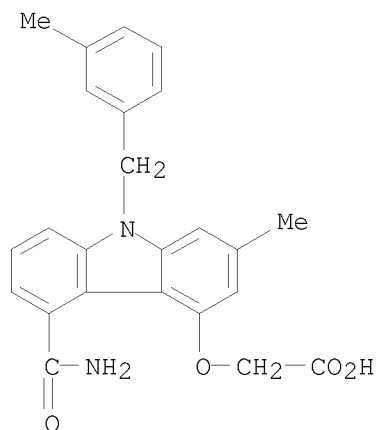
RN 220862-22-6 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3-fluorophenyl)methyl]-2-methyl-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



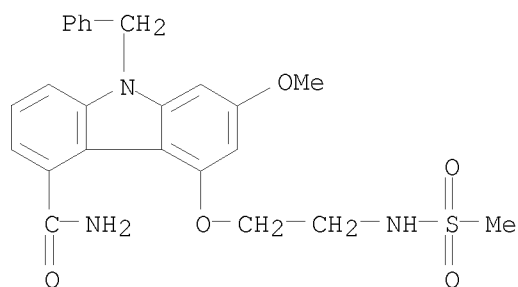
RN 220862-23-7 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-methyl-9-[(3-methylphenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



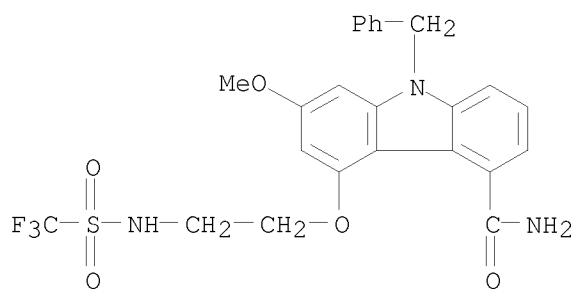
RN 220862-26-0 CAPLUS

CN 9H-Carbazole-4-carboxamide, 7-methoxy-5-[2-[(4-methylsulfonyl)amino]ethoxy]-9-(phenylmethyl)- (CA INDEX NAME)



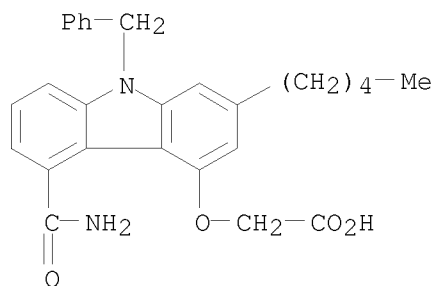
RN 220862-27-1 CAPLUS

CN 9H-Carbazole-4-carboxamide, 7-methoxy-9-(phenylmethyl)-5-[2-[[[(trifluoromethyl)sulfonyl]amino]ethoxy]- (CA INDEX NAME)



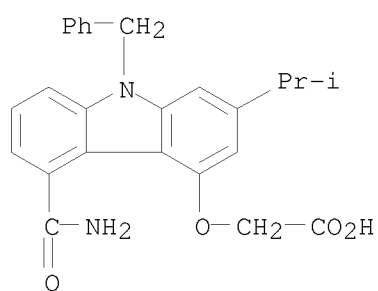
RN 220862-30-6 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-pentyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



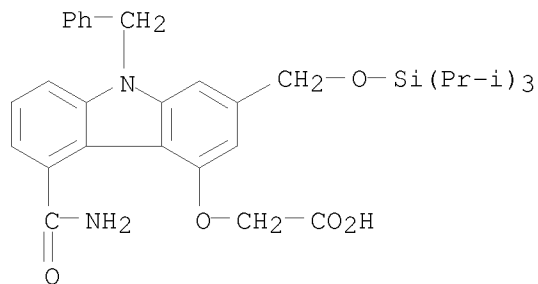
RN 220862-31-7 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-(1-methylethyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-32-8 CAPLUS

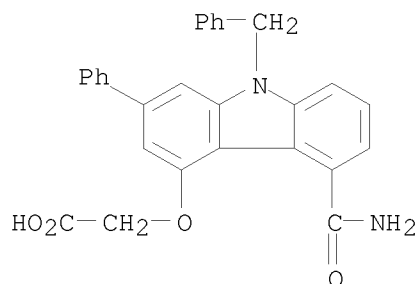
CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-2-[[[tris(1-methylethyl)silyl]oxy]methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-33-9 CAPLUS

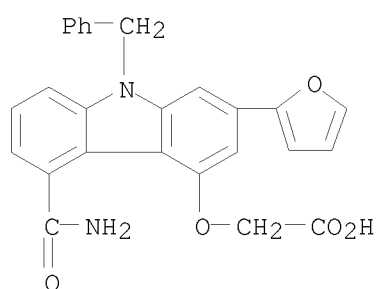
CN Acetic acid, 2-[[5-(aminocarbonyl)-2-phenyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)





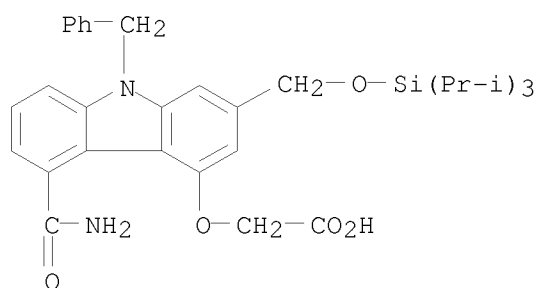
RN 220862-34-0 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-(2-furanyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-35-1 CAPLUS

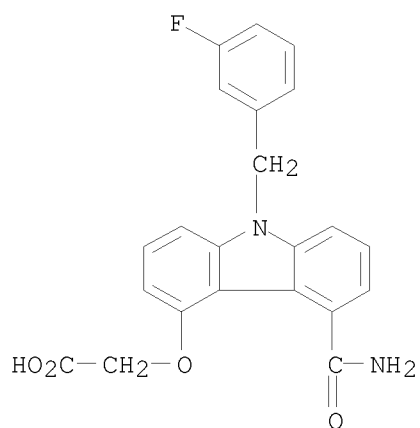
CN Acetic acid, 2-[[[5-(aminocarbonyl)-9-(phenylmethyl)-2-[[[tris(1-methylethyl)silyl]oxy]methyl]-9H-carbazol-4-yl]oxy]-, lithium salt (1:1) (CA INDEX NAME)



● Li

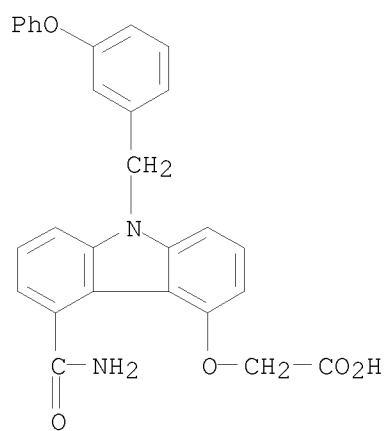
RN 220862-36-2 CAPLUS

CN Acetic acid, 2-[[[5-(aminocarbonyl)-9-[(3-fluorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



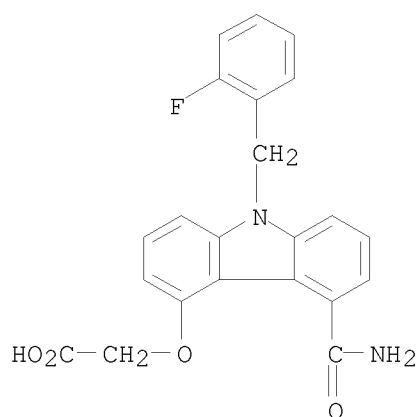
RN 220862-37-3 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3-phenoxyphenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

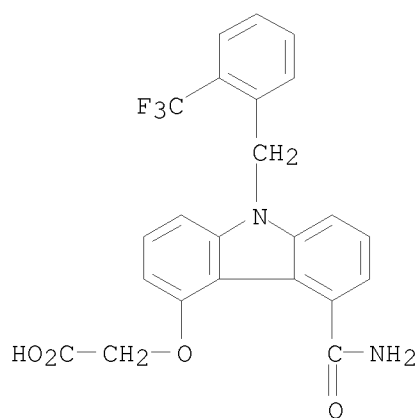


RN 220862-38-4 CAPLUS

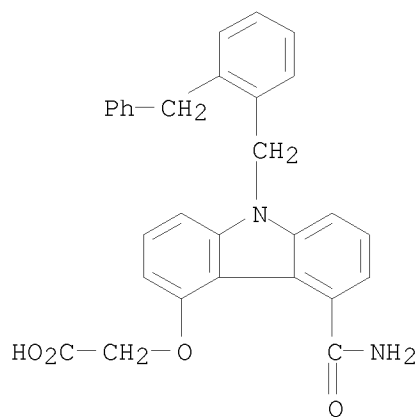
CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2-fluorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



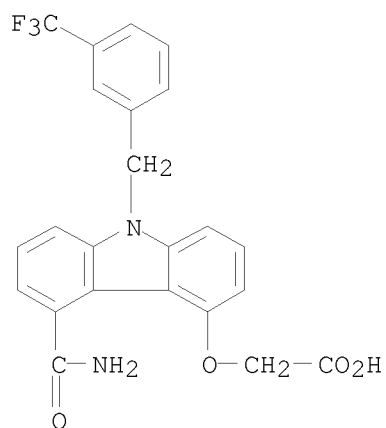
RN 220862-39-5 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[[2-(trifluoromethyl)phenyl]methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-40-8 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[[2-(phenylmethyl)phenyl]methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

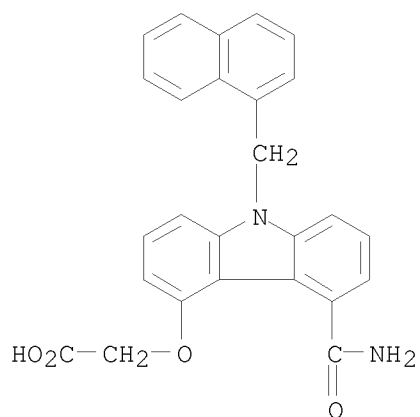


RN 220862-41-9 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[[3-(trifluoromethyl)phenyl]methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



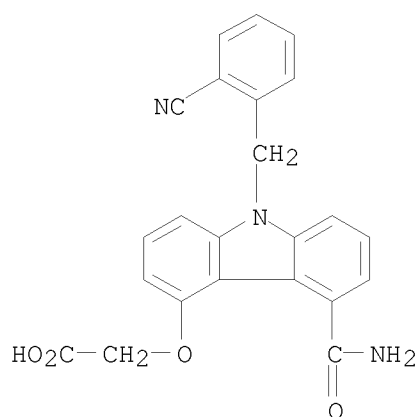
RN 220862-42-0 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(1-naphthalenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

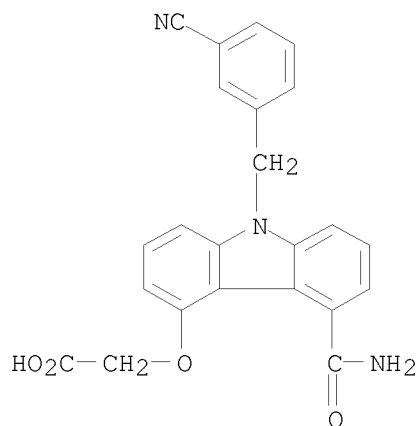


RN 220862-43-1 CAPLUS

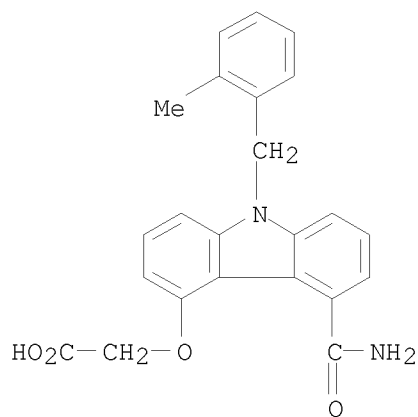
CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2-cyanophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



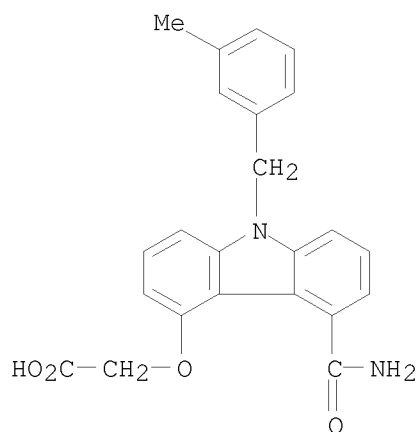
RN 220862-44-2 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3-cyanophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-45-3 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2-methylphenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

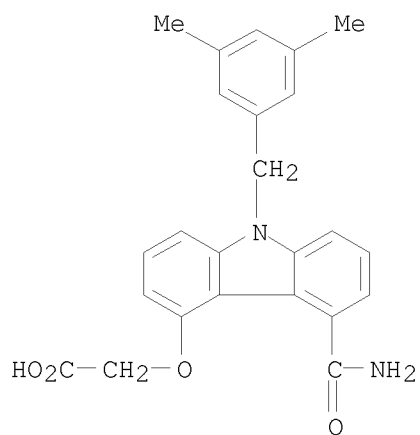


RN 220862-46-4 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3-methylphenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



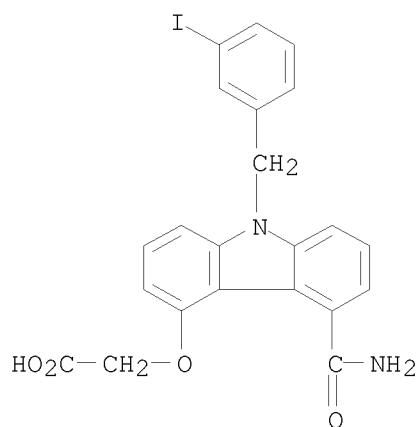
RN 220862-47-5 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3,5-dimethylphenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

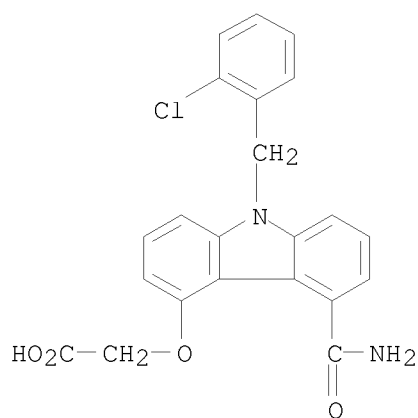


RN 220862-48-6 CAPLUS

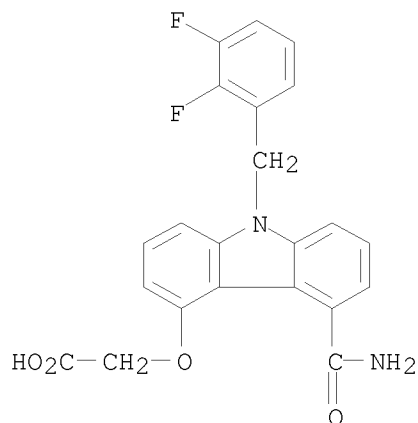
CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3-iodophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



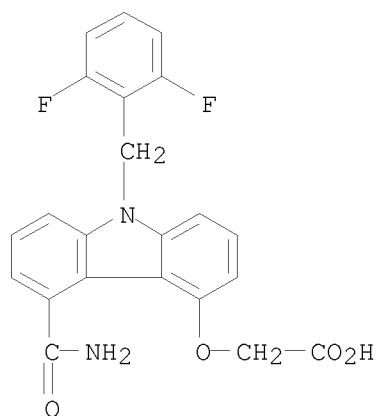
RN 220862-49-7 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2-chlorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-50-0 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2,3-difluorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

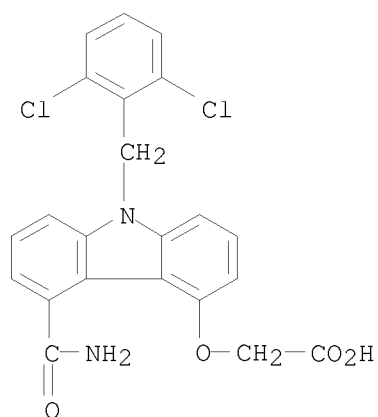


RN 220862-51-1 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2,6-difluorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



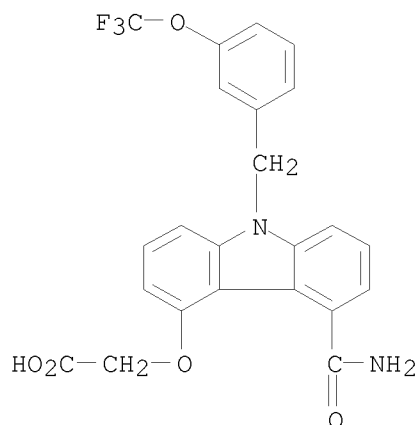
RN 220862-53-3 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2,6-dichlorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



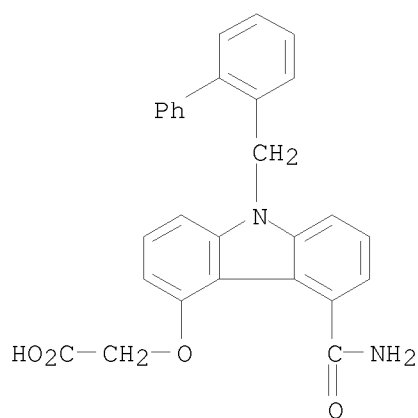
RN 220862-54-4 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[[3-(trifluoromethoxy)phenyl]methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

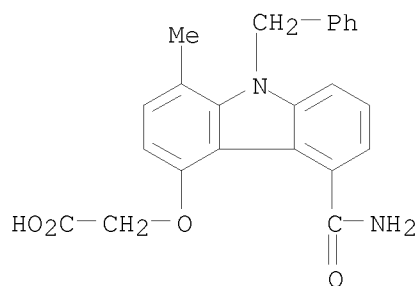




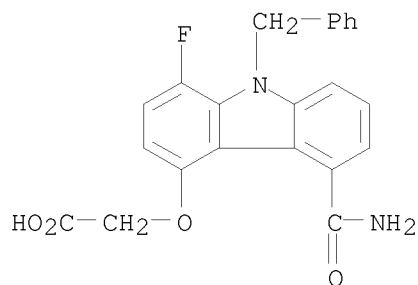
RN 220862-55-5 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-([1,1'-biphenyl]-2-ylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



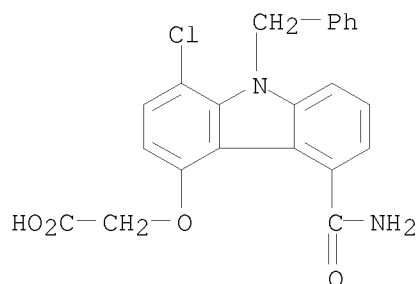
RN 220862-59-9 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-1-methyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-61-3 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-1-fluoro-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

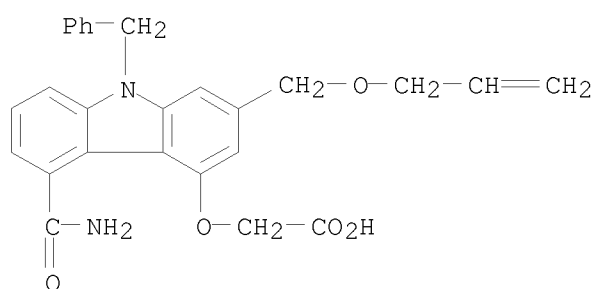


RN 220862-63-5 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-1-chloro-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



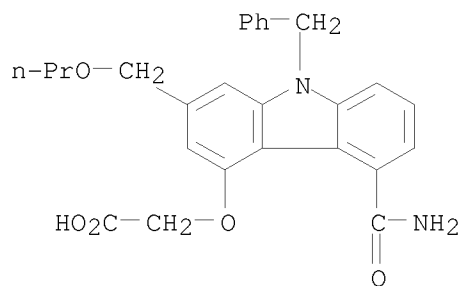
RN 220862-66-8 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-2-[(2-propen-1-yloxy)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



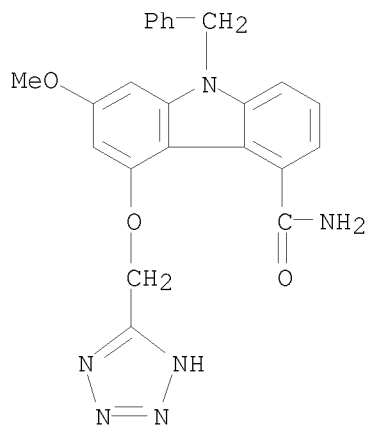
RN 220862-68-0 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-2-(propoxymethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



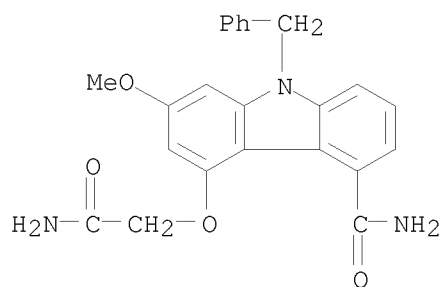
RN 220862-74-8 CAPLUS

CN 9H-Carbazole-4-carboxamide, 7-methoxy-9-(phenylmethyl)-5-(2H-tetrazol-5-ylmethoxy)- (CA INDEX NAME)



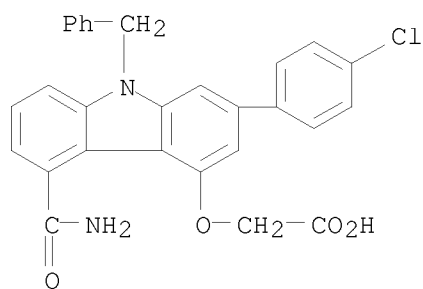
RN 220862-76-0 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-(2-amino-2-oxoethoxy)-7-methoxy-9-(phenylmethyl)- (CA INDEX NAME)



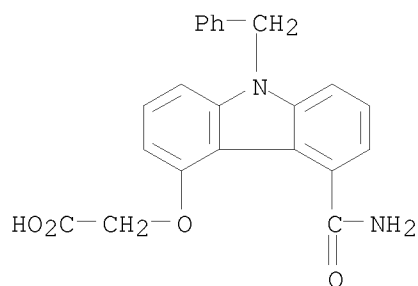
RN 220862-84-0 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-(4-chlorophenyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



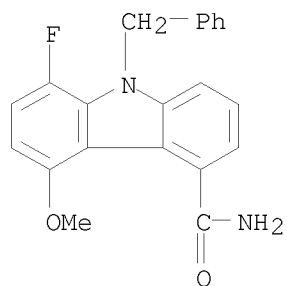
RN 246513-34-8 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, sodium salt (1:1) (CA INDEX NAME)

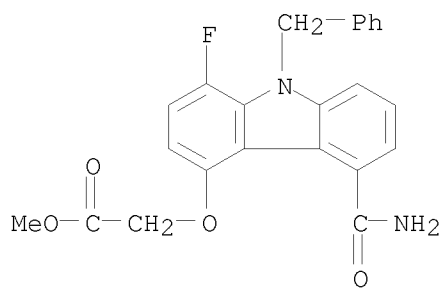


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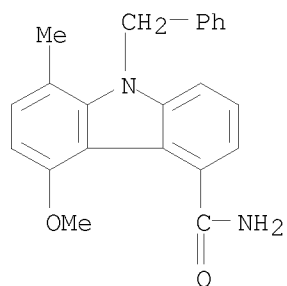
RN 246513-52-0 CAPLUS  
 CN 9H-Carbazole-4-carboxamide, 8-fluoro-5-methoxy-9-(phenylmethyl)- (CA INDEX NAME)



RN 246513-53-1 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-1-fluoro-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)

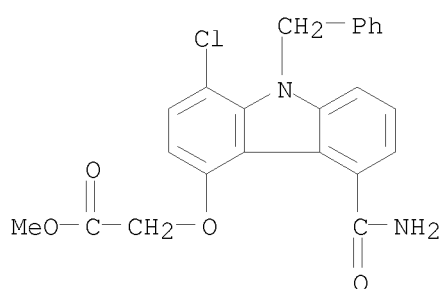


RN 246513-79-1 CAPLUS  
 CN 9H-Carbazole-4-carboxamide, 5-methoxy-8-methyl-9-(phenylmethyl)- (CA INDEX NAME)



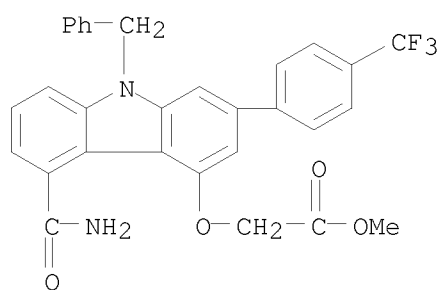
RN 246513-84-8 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-1-chloro-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



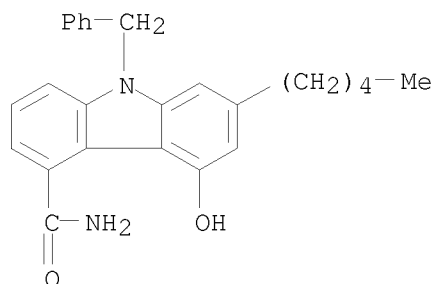
RN 247902-79-0 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-2-[4-(trifluoromethyl)phenyl]-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



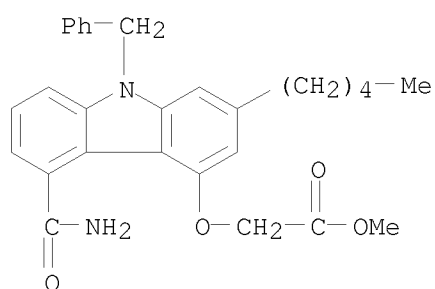
RN 247902-84-7 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-hydroxy-7-pentyl-9-(phenylmethyl)- (CA INDEX NAME)



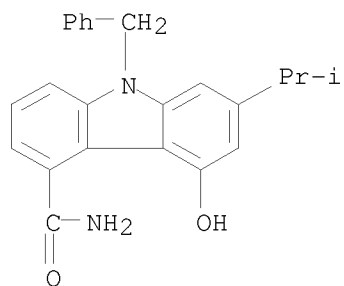
RN 247902-85-8 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-pentyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



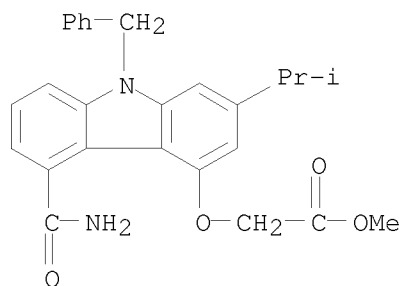
RN 247902-90-5 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-hydroxy-7-(1-methylethyl)-9-(phenylmethyl)- (CA INDEX NAME)



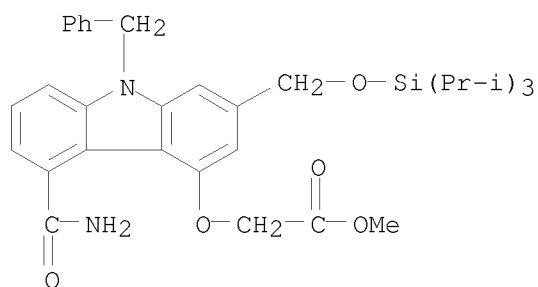
RN 247902-91-6 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-(1-methylethyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



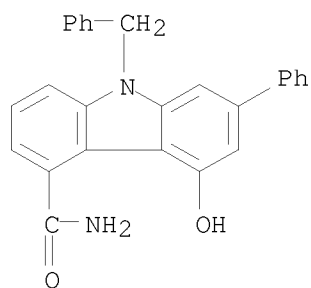
RN 247902-95-0 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-2-[[[tris(1-methylethyl)silyl]oxy]methyl]-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



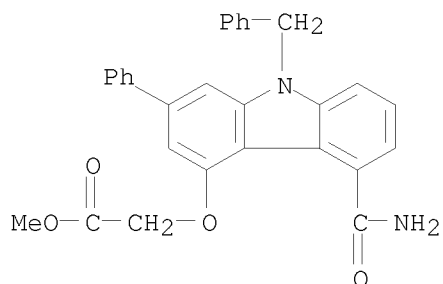
RN 247903-00-0 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-hydroxy-7-phenyl-9-(phenylmethyl)- (CA INDEX NAME)



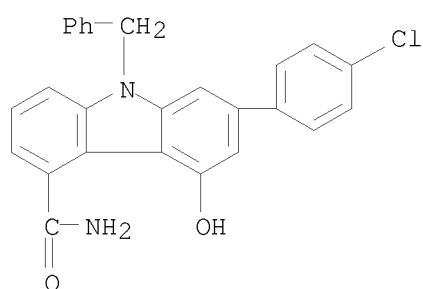
RN 247903-01-1 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-phenyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



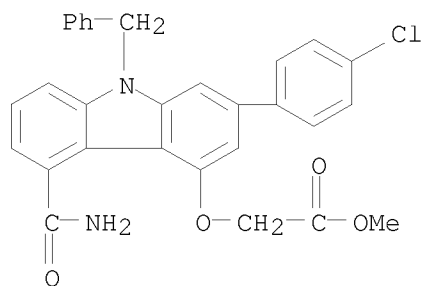
RN 247903-06-6 CAPLUS

CN 9H-Carbazole-4-carboxamide, 7-(4-chlorophenyl)-5-hydroxy-9-(phenylmethyl)-  
(CA INDEX NAME)



RN 247903-07-7 CAPLUS

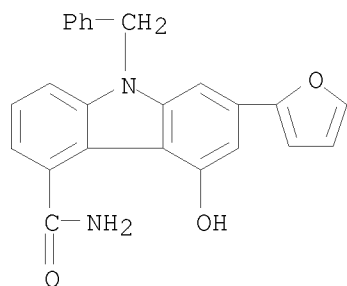
CN Acetic acid, 2-[[5-(aminocarbonyl)-2-(4-chlorophenyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



RN 247903-12-4 CAPLUS

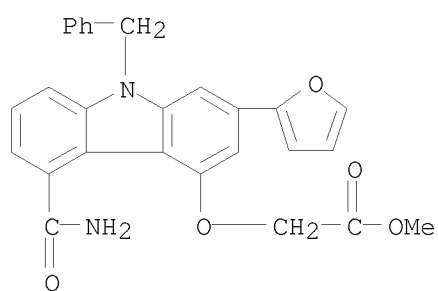
CN 9H-Carbazole-4-carboxamide, 7-(2-furanyl)-5-hydroxy-9-(phenylmethyl)- (CA INDEX NAME)





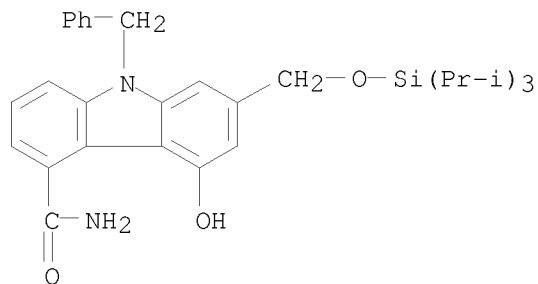
RN 247903-13-5 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-(2-furanyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



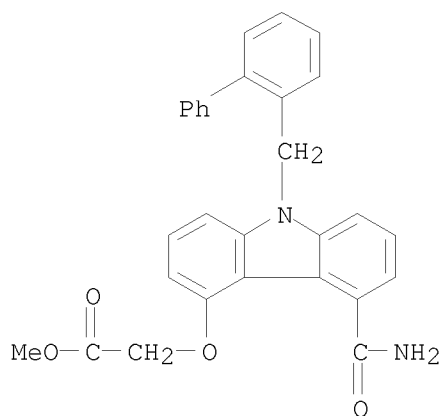
RN 247903-16-8 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-hydroxy-9-(phenylmethyl)-7-[[[tris(1-methylethyl)silyl]oxy]methyl]- (CA INDEX NAME)



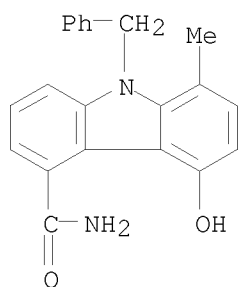
RN 247903-77-1 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-([1,1'-biphenyl]-2-ylmethyl)-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



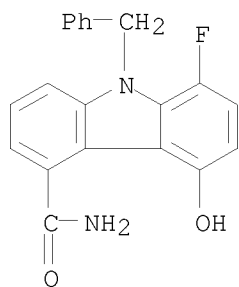
RN 247903-95-3 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-hydroxy-8-methyl-9-(phenylmethyl)- (CA INDEX NAME)



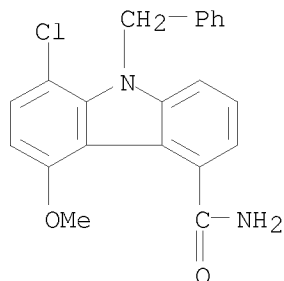
RN 247903-97-5 CAPLUS

CN 9H-Carbazole-4-carboxamide, 8-fluoro-5-hydroxy-9-(phenylmethyl)- (CA INDEX NAME)

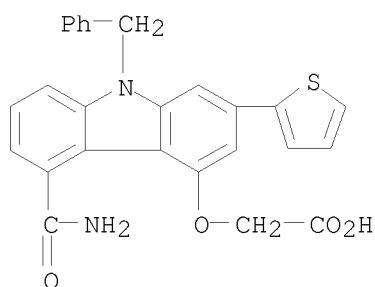


RN 247904-02-5 CAPLUS

CN 9H-Carbazole-4-carboxamide, 8-chloro-5-methoxy-9-(phenylmethyl)- (CA INDEX NAME)



RN 247904-05-8 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-2-(2-thienyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD  
 (3 CITINGS)

L12 ANSWER 41 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1999:672368 CAPLUS

DOCUMENT NUMBER: 131:286503

TITLE: Preparation of substituted tricyclics as secretory phospholipase A2 (sPLA2) inhibitors

INVENTOR(S): Bach, Nicholas James; Bastian, Jolie Anne; Beight, Douglas Wade; Kinnick, Michael Dean; Martinelli, Michael John; Mihelich, Edward David; Morin, John Michael, Jr.; Sall, Daniel Jon; Sawyer, Jason Scott; Smith, Edward C. R.; Suarez, Tulio; Wang, Qiuping; Wilson, Thomas Michael

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: Eur. Pat. Appl., 74 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| -----   | ---- | -----    | -----           | -----    |
| EP 950661   | A1   | 19991020 | EP 1999-302969  | 19990416 |
| EP 950661   | B1   | 20031112 |                 |          |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO |      |          |                 |          |
| CA 2269256  | A1   | 19991017 | CA 1999-2269256 | 19990416 |
| NO 9901823  | A    | 19991018 | NO 1999-1823    | 19990416 |
| AU 9923818  | A    | 19991028 | AU 1999-23818   | 19990416 |
| AU 757454   | B2   | 20030220 |                 |          |

|             |    |          |                |          |
|-------------|----|----------|----------------|----------|
| CN 1235968  | A  | 19991124 | CN 1999-108097 | 19990416 |
| JP 11322745 | A  | 19991124 | JP 1999-109656 | 19990416 |
| JP 4435325  | B2 | 20100317 |                |          |
| BR 9901275  | A  | 20000502 | BR 1999-1275   | 19990416 |
| MX 9903588  | A  | 20000731 | MX 1999-3588   | 19990416 |
| HU 9901219  | A1 | 20000828 | HU 1999-1219   | 19990416 |
| TR 9900842  | A2 | 20000921 | TR 1999-842    | 19990416 |
| NZ 335252   | A  | 20001124 | NZ 1999-335252 | 19990416 |
| EP 1156050  | A2 | 20011121 | EP 2001-203116 | 19990416 |
| EP 1156050  | A3 | 20011128 |                |          |
| EP 1156050  | B1 | 20040218 |                |          |

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO

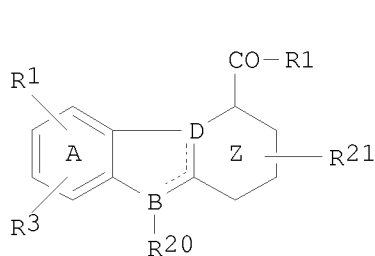
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| ZA 9902773     | A  | 20020716 | ZA 1999-2773   | 19990416 |
| TW 555760      | B  | 20031001 | TW 1999-106131 | 19990416 |
| AT 254128      | T  | 20031115 | AT 1999-302969 | 19990416 |
| AT 259818      | T  | 20040315 | AT 2001-203116 | 19990416 |
| ES 2210979     | T3 | 20040701 | ES 1999-302969 | 19990416 |
| ES 2213668     | T3 | 20040901 | ES 2001-203116 | 19990416 |
| SG 106035      | A1 | 20040930 | SG 1999-1844   | 19990416 |
| IN 1999CA00348 | A  | 20050311 | IN 1999-CA348  | 19990416 |

PRIORITY APPLN. INFO.:

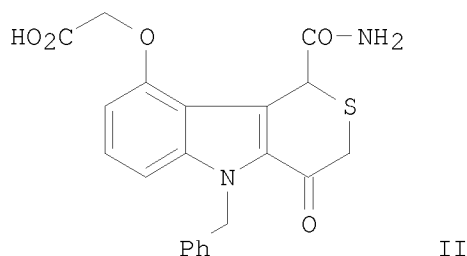
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| US 1998-62165  | A  | 19980417 |
| EP 1999-302969 | A3 | 19990416 |

OTHER SOURCE(S): MARPAT 131:286503

GI



I

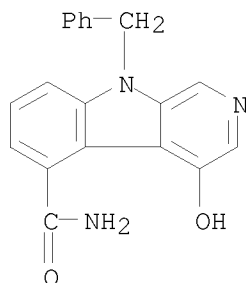


II

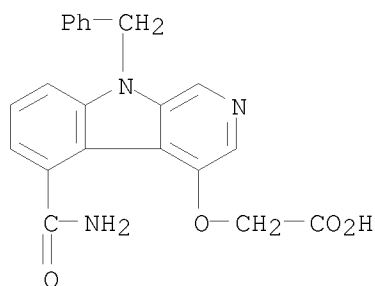
AB Thiacarbazole, pyridoindole, azacarbazole, (thio)pyranoindole, and carboline derivs. (I) [where A = Ph or pyridyl; B or D = N and the other is C; Z = cyclohexenyl, Ph, pyridyl, or a heterocyclic ring with one S or O; R20 = (un)substituted alkyl, alkenyl, alkynyl, carbo- or heterocyclic radical, or L-R80; L = linking group of 1-12 C, H, O, N, and/or S atoms; R80 = (un)substituted alkyl, alkenyl, alkynyl, carbo- or heterocyclic radical; R21 = non-interfering substituent; R1 = NHH2, NH2, or CONH2; R2 = OH or (un)substituted alkoxy; R3 = non-interfering substituent, (un)substituted carbo- or heterocyclic radical] were prepared as inhibitors of human non-pancreatic secretory phospholipase A2 (sPLA2). For instance, the thiacarbazole (II) was prepared in a nine step synthesis. 4-Methoxyindole was N-benzylated and then acylated with Me oxalyl chloride. The ketone was reduced to the alc. with NaBH4 to form Me (1-benzyl-4-methoxyindol-3-yl)hydroxyacetate. The alc. was displaced by mercaptoacetic acid and the thio ether cyclized to afford the 3-thia-1,2,3,4-tetrahydrocarbazol-5-ylcarboxylate. The ester was converted to the carboxamide. Finally, the Me ether was cleaved to give the alc., followed by O-acetylation with Et bromoacetate and deesterification to yield II. Compds. of the invention were found to be effective inhibitors at concns. of < 100  $\mu$ M in an sPLA2 chromogenic assay, to suppress contractile response in dorsal pleural strips from male guinea pigs at concns. < 20  $\mu$ M, and to be effective in reducing PLA2 catalytic activity in the serum of transgenic mice (no data). The claimed

tricyclics suppress sPLA2 mediated release of fatty acids, thereby inhibiting the arachidonic acid cascade, and are useful in the treatment of septic shock and other sPLA2 related diseases.

IT 246868-15-5P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (intermediate; preparation of substituted tricyclics as secretory phospholipase A2 (sPLA2) inhibitors)  
 RN 246868-15-5 CAPLUS  
 CN 9H-Pyrido[3,4-b]indole-5-carboxamide, 4-hydroxy-9-(phenylmethyl)- (CA INDEX NAME)



IT 246868-00-8P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (target compound; preparation of substituted tricyclics as secretory phospholipase A2 (sPLA2) inhibitors)  
 RN 246868-00-8 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-9H-pyrido[3,4-b]indol-4-yl]oxy]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)  
 REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

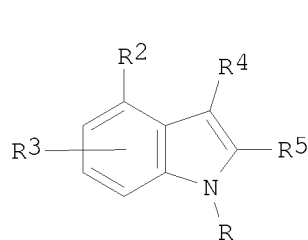
L12 ANSWER 42 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN  
 ACCESSION NUMBER: 1999:672362 CAPLUS  
 DOCUMENT NUMBER: 131:286402  
 TITLE: Preparation of carbazolecarboxamides as sPLA2 inhibitors

INVENTOR(S): Bach, Nicholas James; Bastian, Jolie Anne; Hite, Gary  
 Alan; Kinnick, Michael Dean; Mihelich, Edward David;  
 Morin, John Michael, Jr.; Sall, Daniel Jon; Vasileff,  
 Robert Theodore  
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA  
 SOURCE: Eur. Pat. Appl., 54 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

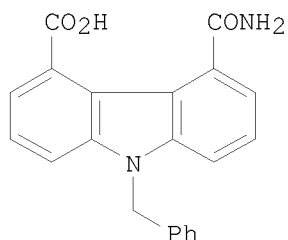
| PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE        |
|--|------|----------|-----------------|-------------|
| EP 950657  | A2   | 19991020 | EP 1999-302967  | 19990416    |
| EP 950657  | A3   | 20010816 |                 |             |
| EP 950657  | B1   | 20040714 |                 |             |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,<br>IE, SI, LT, LV, FI, RO |      |          |                 |             |
| CA 2269246   | A1   | 19991017 | CA 1999-2269246 | 19990416    |
| CA 2269246   | C    | 20090825 |                 |             |
| CA 2269262   | A1   | 19991017 | CA 1999-2269262 | 19990416    |
| NO 9901821   | A    | 19991018 | NO 1999-1821    | 19990416    |
| NO 314400  | B1   | 20030317 |                 |             |
| NO 9901822   | A    | 19991018 | NO 1999-1822    | 19990416    |
| NO 312240  | B1   | 20020415 |                 |             |
| AU 9923817   | A    | 19991028 | AU 1999-23817   | 19990416    |
| AU 753436  | B2   | 20021017 |                 |             |
| AU 9923819   | A    | 19991028 | AU 1999-23819   | 19990416    |
| AU 753547  | B2   | 20021024 |                 |             |
| TR 9900853   | A3   | 19991122 | TR 1999-853     | 19990416    |
| JP 11322713  | A    | 19991124 | JP 1999-109629  | 19990416    |
| CN 1240210   | A    | 20000105 | CN 1999-107687  | 19990416    |
| JP 2000026416  | A    | 20000125 | JP 1999-152400  | 19990416    |
| JP 4435330   | B2   | 20100317 |                 |             |
| TR 9900843   | A2   | 20000221 | TR 1999-843     | 19990416    |
| BR 9901279   | A    | 20000502 | BR 1999-1279    | 19990416    |
| CN 1253948   | A    | 20000524 | CN 1999-107957  | 19990416    |
| CN 1149193   | C    | 20040512 |                 |             |
| MX 9903587   | A    | 20000731 | MX 1999-3587    | 19990416    |
| MX 9903589   | A    | 20000731 | MX 1999-3589    | 19990416    |
| NZ 335251  | A    | 20001124 | NZ 1999-335251  | 19990416    |
| NZ 335253  | A    | 20001124 | NZ 1999-335253  | 19990416    |
| BR 9902365   | A    | 20010424 | BR 1999-2365    | 19990416    |
| SG 81976   | A1   | 20010724 | SG 1999-1681    | 19990416    |
| SG 81977   | A1   | 20010724 | SG 1999-1869    | 19990416    |
| HU 9901220   | A3   | 20011128 | HU 1999-1220    | 19990416    |
| HU 9901221   | A3   | 20011128 | HU 1999-1221    | 19990416    |
| ZA 9902771   | A    | 20020418 | ZA 1999-2771    | 19990416    |
| ZA 9902772   | A    | 20020716 | ZA 1999-2772    | 19990416    |
| NZ 507564  | A    | 20021025 | NZ 1999-507564  | 19990416    |
| NZ 518027  | A    | 20030429 | NZ 1999-518027  | 19990416    |
| AT 268756  | T    | 20040615 | AT 1999-302941  | 19990416    |
| AT 271037  | T    | 20040715 | AT 1999-302967  | 19990416    |
| PT 950657  | E    | 20041130 | PT 1999-302967  | 19990416    |
| ES 2222663   | T3   | 20050201 | ES 1999-302941  | 19990416    |
| ES 2226286   | T3   | 20050316 | ES 1999-302967  | 19990416    |
| TW 238160  | B    | 20050821 | TW 1999-106130  | 19990416    |
| IN 1999CA00346   | A    | 20051202 | IN 1999-CA346   | 19990416    |
| IN 240478  | A1   | 20100514 | IN 1999-CA347   | 19990416    |
| PRIORITY APPLN. INFO.:   |      |          | US 1998-62328   | A 19980417  |
|  |      |          | NZ 1999-507564  | A1 19990416 |

OTHER SOURCE(S):  
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MARPAT 131:286402



I



II

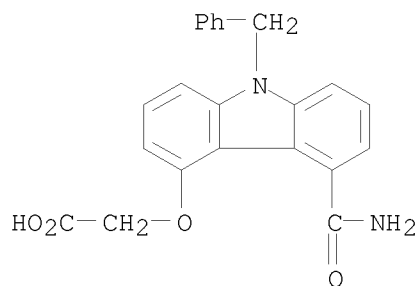
AB Title compds. [I; R = alk(en)yl, carbocyclic or heterocyclic radical (sic), etc.; R1 = OH or O(CH2)nR6; R3 = non-interfering substituent (sic), carbocyclic or heterocyclic radical (sic), etc.; R4R5 = (un)substituted CH(COR1)(CH2)3 or -C(COR1):CHCH:CH; R1 = NHNH2, NH2, CONH2; R6 = H, cyano, NH2, Ph, etc.; n = 1-5] were prepared. Thus, Me 3-amino-2-bromobenzoate (preparation given) was condensed with 1,3-cyclohexanedione and the product cyclized to give Me 1,2-dihydro-4(3H)-oxo-9H-carbazol-5-carboxylate which was converted in 5 steps to the Na salt of title compound II. Data for biol. activity of I were given.

IT 207340-86-1P 220862-36-2P 220862-59-9P  
220862-61-3P 220862-63-5P 246513-34-8P  
246513-35-9P 246513-36-0P 246513-37-1P  
246513-39-3P 246513-40-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of carbazolecarboxamides as sPLA2 inhibitors)

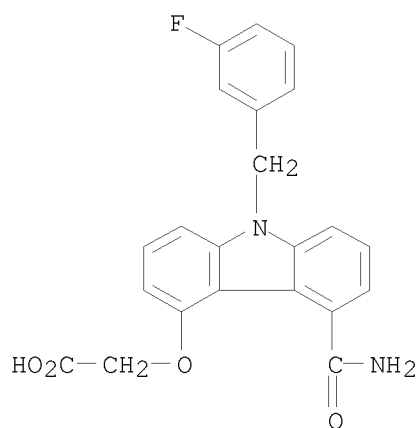
RN 207340-86-1 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-  
(CA INDEX NAME)



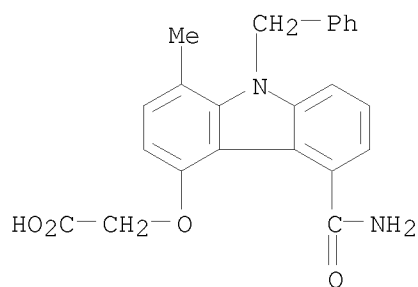
RN 220862-36-2 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3-fluorophenyl)methyl]-9H-carbazol-4-yl]oxy]-  
(CA INDEX NAME)



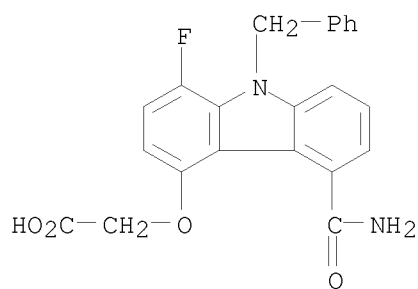
RN 220862-59-9 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-1-methyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-61-3 CAPLUS

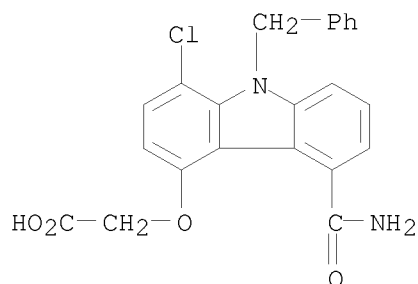
CN Acetic acid, 2-[[5-(aminocarbonyl)-1-fluoro-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-63-5 CAPLUS

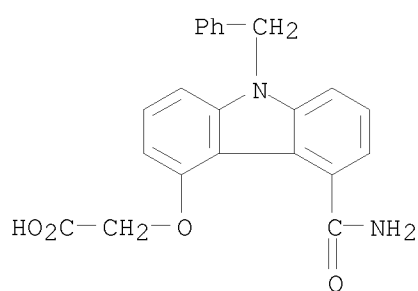
CN Acetic acid, 2-[[5-(aminocarbonyl)-1-chloro-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)





RN 246513-34-8 CAPLUS

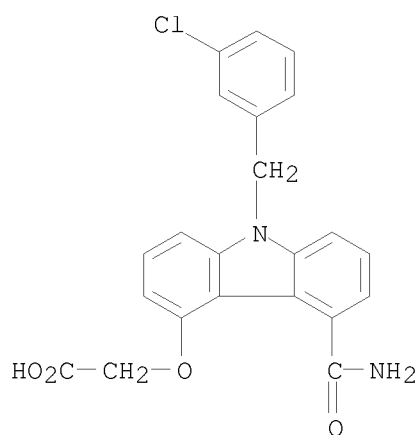
CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, sodium salt (1:1) (CA INDEX NAME)



● Na

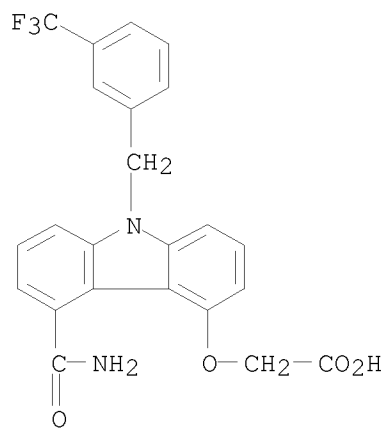
RN 246513-35-9 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3-chlorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 246513-36-0 CAPLUS

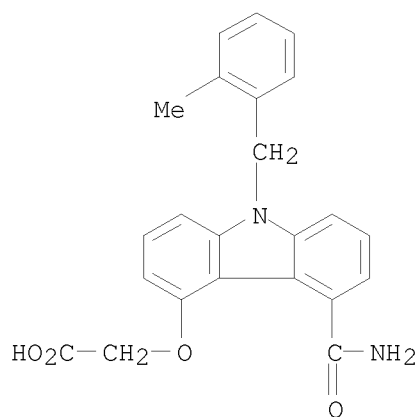
CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[[3-(trifluoromethyl)phenyl]methyl]-9H-carbazol-4-yl]oxy]-, sodium salt (1:1) (CA INDEX NAME)



● Na

RN 246513-37-1 CAPLUS

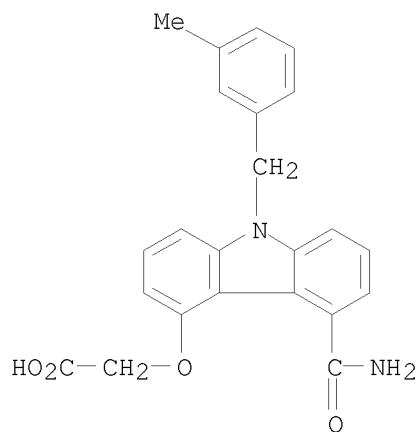
CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2-methylphenyl)methyl]-9H-carbazol-4-yl]oxy]-, sodium salt (1:1) (CA INDEX NAME)



● Na

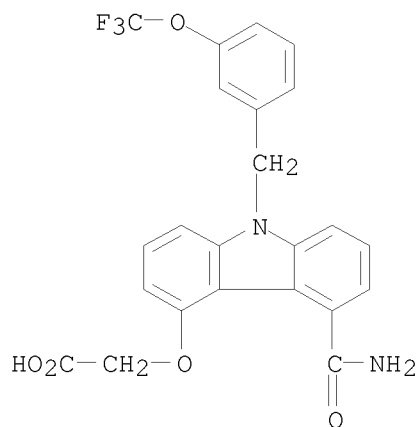
RN 246513-39-3 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3-methylphenyl)methyl]-9H-carbazol-4-yl]oxy]-, sodium salt (1:1) (CA INDEX NAME)



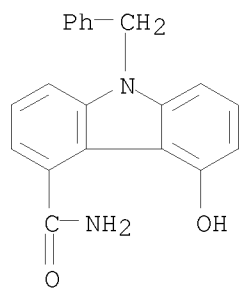
● Na

RN 246513-40-6 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[[3-(trifluoromethoxy)phenyl]methyl]-9H-carbazol-4-yl]oxy]-, sodium salt (1:1) (CA INDEX NAME)



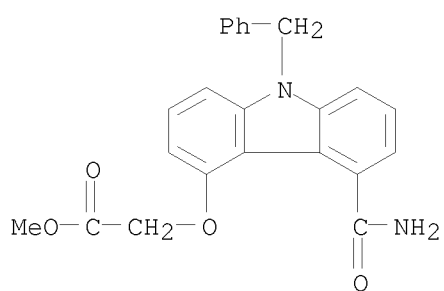
● Na

IT 246513-45-1P 246513-46-2P 246513-52-0P  
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 246513-65-5P 246513-68-8P 246513-69-9P  
 246513-72-4P 246513-73-5P 246513-76-8P  
 246513-77-9P 246513-79-1P 246513-80-4P  
 246513-84-8P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation of carbazolecarboxamides as sPLA2 inhibitors)  
 RN 246513-45-1 CAPLUS  
 CN 9H-Carbazole-4-carboxamide, 5-hydroxy-9-(phenylmethyl)- (CA INDEX NAME)



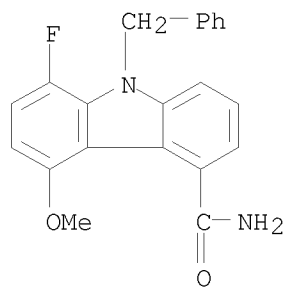
RN 246513-46-2 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



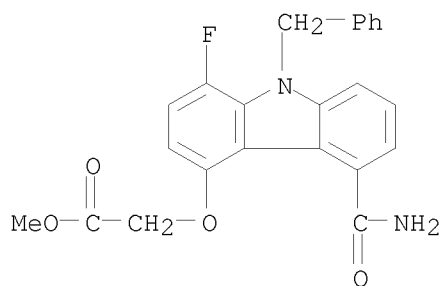
RN 246513-52-0 CAPLUS

CN 9H-Carbazole-4-carboxamide, 8-fluoro-5-methoxy-9-(phenylmethyl)- (CA INDEX NAME)

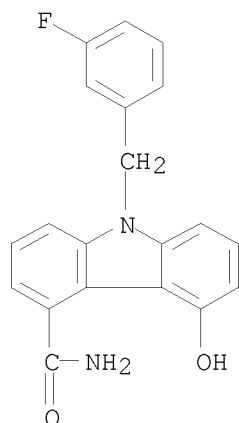


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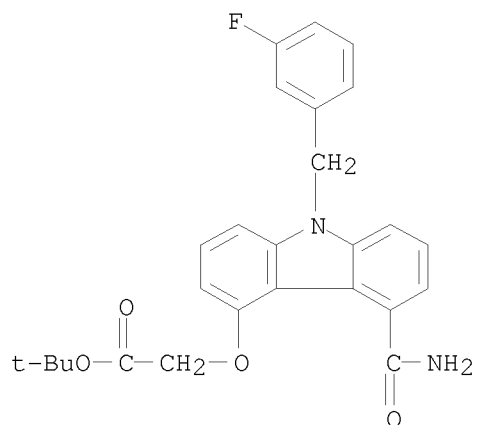
CN Acetic acid, 2-[[5-(aminocarbonyl)-1-fluoro-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



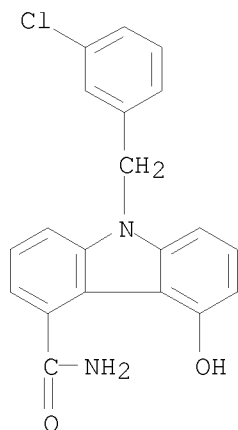
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 CN 9H-Carbazole-4-carboxamide, 9-[(3-fluorophenyl)methyl]-5-hydroxy- (CA  
 INDEX NAME)



RN 246513-57-5 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3-fluorophenyl)methyl]-9H-carbazol-4-yl]oxy]-, 1,1-dimethylethyl ester (CA INDEX NAME)

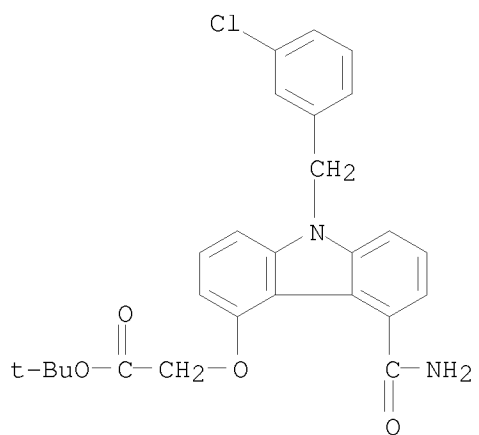


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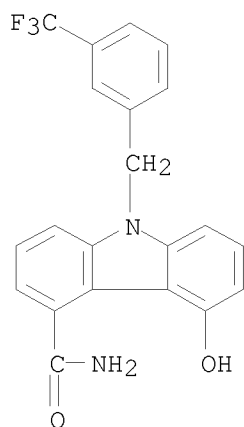
RN 246513-61-1 CAPLUS

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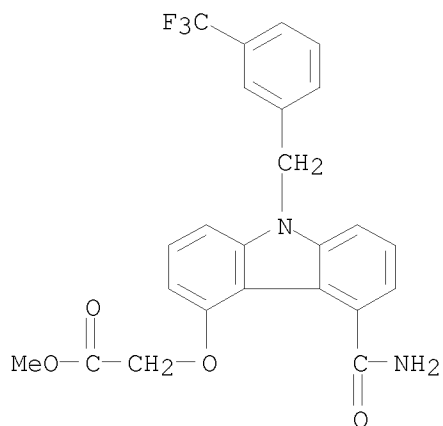


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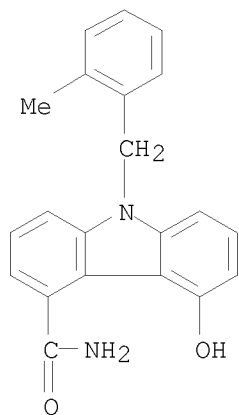
CN 9H-Carbazole-4-carboxamide, 5-hydroxy-9-[[3-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)



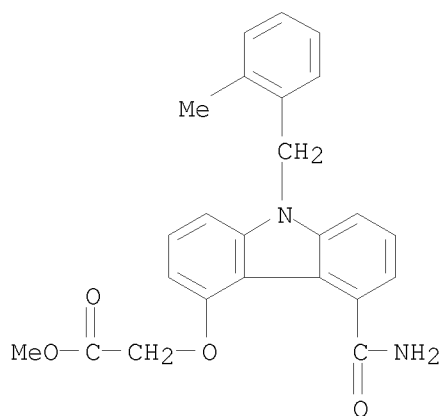
RN 246513-65-5 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[[3-(trifluoromethyl)phenyl]methyl]-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



RN 246513-68-8 CAPLUS  
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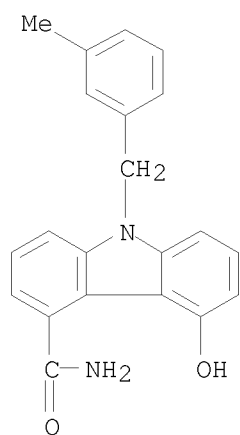


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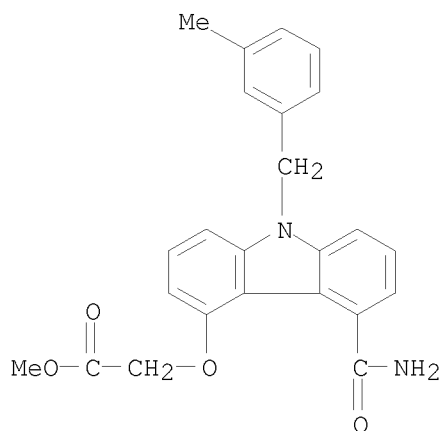
RN 246513-72-4 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-hydroxy-9-[(3-methylphenyl)methyl]- (CA INDEX NAME)



RN 246513-73-5 CAPLUS

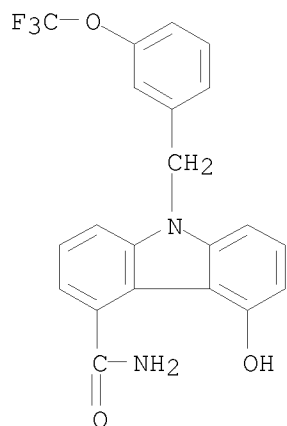
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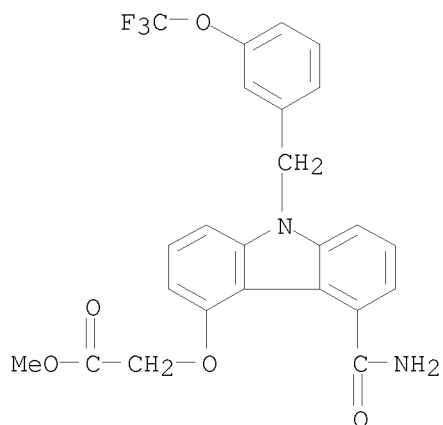
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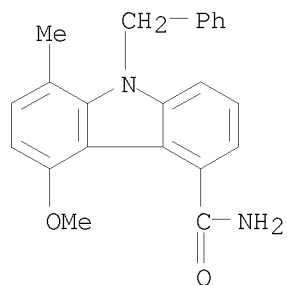
RN 246513-77-9 CAPLUS

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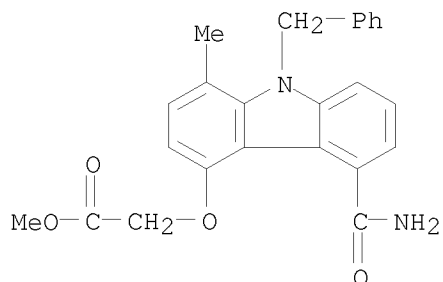
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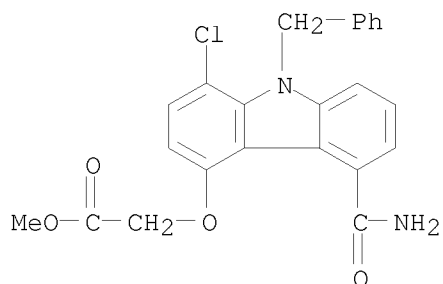
RN 246513-80-4 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-1-methyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



RN 246513-84-8 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-1-chloro-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (14 CITINGS)

L12 ANSWER 43 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1999:350594 CAPLUS

DOCUMENT NUMBER: 131:5186

TITLE: Preparation of (tetrahydro)carbazolecarboxylates as sPLA2 inhibitors

INVENTOR(S): Watanabe, August Masaru

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 96 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

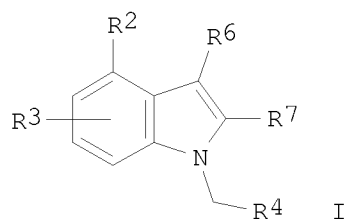
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND   | DATE     | APPLICATION NO. | DATE     |
|------------|--|----------|-----------------|----------|
| -----      | ----   | -----    | -----           | -----    |
| WO 9925340 | A1   | 19990527 | WO 1998-US24258 | 19981113 |
| W:         | AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW |          |                 |          |
| RW:        | GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG   |          |                 |          |
| CA 2310250 | A1   | 19990527 | CA 1998-2310250 | 19981113 |

|   |    |          |                 |            |
|---|----|----------|-----------------|------------|
| AU 9914073  | A  | 19990607 | AU 1999-14073   | 19981113   |
| EP 1043991  | A1 | 20001018 | EP 1998-957934  | 19981113   |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI |    |          |                 |            |
| JP 2001522884   | T  | 20011120 | JP 2000-520774  | 19981113   |
| US 6514984  | B1 | 20030204 | US 2000-529565  | 20000412   |
| PRIORITY APPLN. INFO.:  |    |          | US 1997-66035P  | P 19971114 |
|   |    |          | WO 1998-US24258 | W 19981113 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
 OTHER SOURCE(S):           MARPAT 131:5186  
 GI



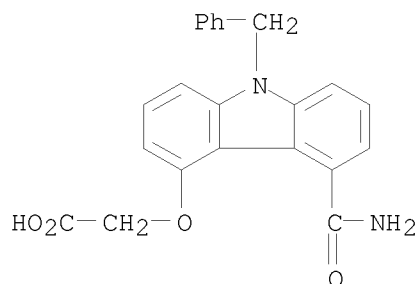
AB Title compds. [e.g., I; R6R7 = CH(COR1)(CH2)3 or C(COR1):CHCH:CH substituted by R21; R1 = NH2 or NHNH2; R2 = OH or O(CH2)mR5; R3 = H, halo, alkyl, alkoxy, etc.; R4 = H, (cyclo)alkyl, pyridyl, (un)substituted Ph; R5 = H, CO2H, alkoxycarbonyl, CONH2, tetrazolyl, etc.; R21 = a non-interfering substituent (sic); m = 1-3] were claimed as sPLA2 inhibitors (no data). Thus, 4-[(9-benzyl-4-carbamoyl-1,2,3,4-tetrahydro-6-carbazolyl)oxy]butyric acid was claimed.

IT 207340-86-1P      220862-21-5P      220862-22-6P  
 220862-23-7P      220862-24-8P      220862-26-0P  
 220862-27-1P      220862-30-6P      220862-31-7P  
 220862-32-8P      220862-33-9P      220862-34-0P  
 220862-35-1P      220862-36-2P      220862-37-3P  
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 220862-68-0P      220862-72-6P      220862-74-8P  
 220862-76-0P      220862-84-0P      225653-40-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of (tetrahydro)carbazolecarboxylates as sPLA2 inhibitors)

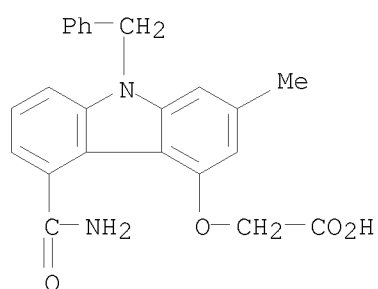
RN 207340-86-1 CAPLUS

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 (CA INDEX NAME)



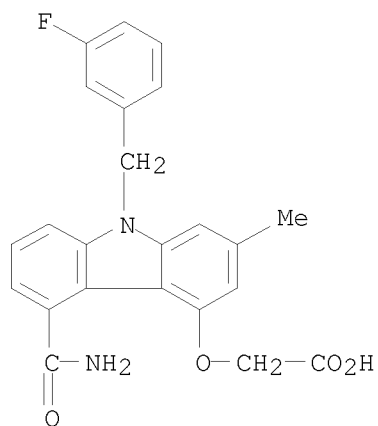
RN 220862-21-5 CAPLUS

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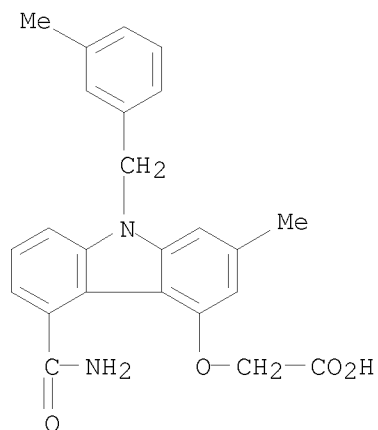
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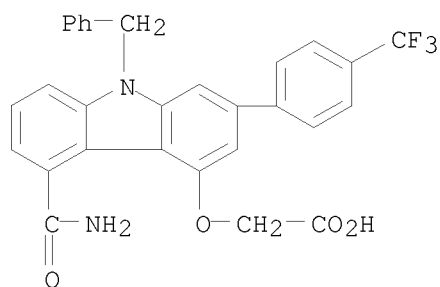
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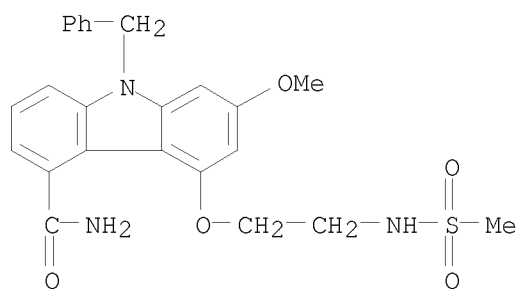
RN 220862-24-8 CAPLUS

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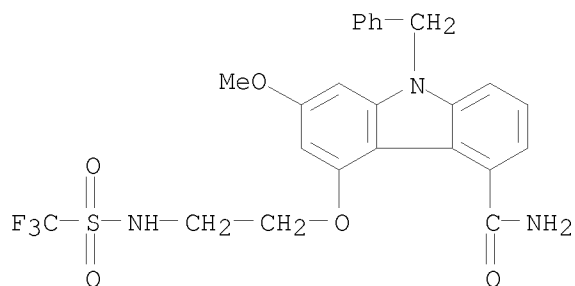
RN 220862-26-0 CAPLUS

CN 9H-Carbazole-4-carboxamide, 7-methoxy-5-[2-[(methylsulfonyl)amino]ethoxy]-9-(phenylmethyl)- (CA INDEX NAME)



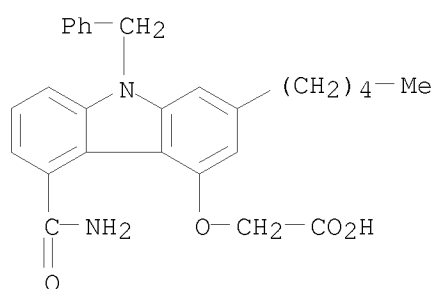
RN 220862-27-1 CAPLUS

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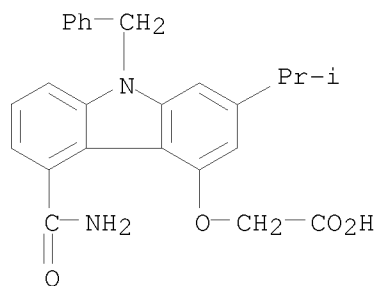
RN 220862-30-6 CAPLUS

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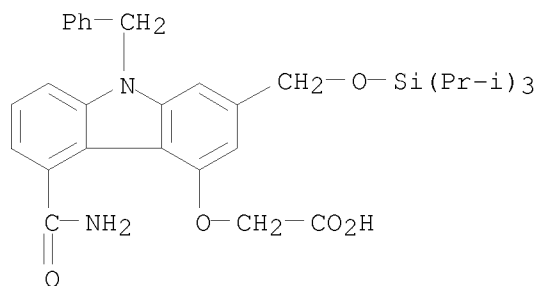
RN 220862-31-7 CAPLUS

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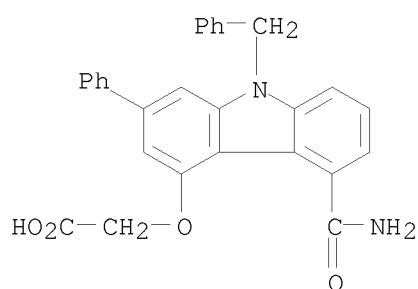
RN 220862-32-8 CAPLUS

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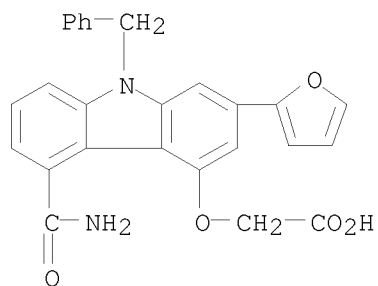
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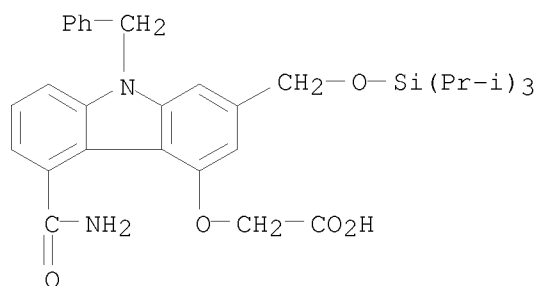
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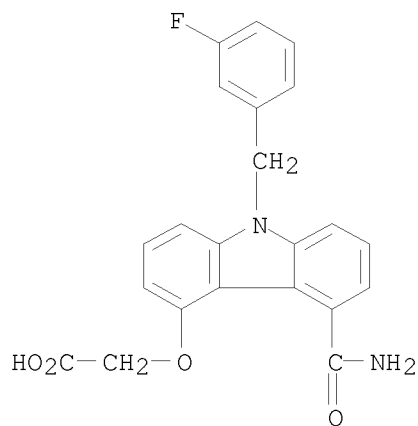


RN 220862-35-1 CAPLUS

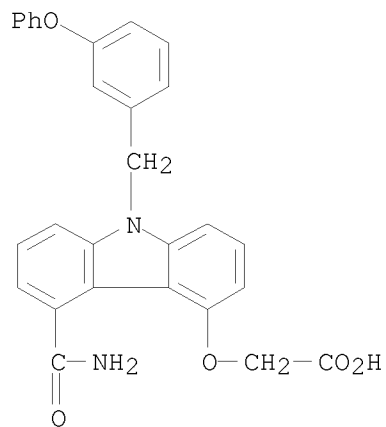
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RN 220862-36-2 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3-fluorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



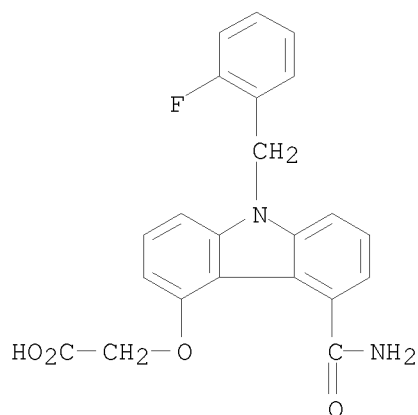
RN 220862-37-3 CAPLUS  
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RN 220862-38-4 CAPLUS

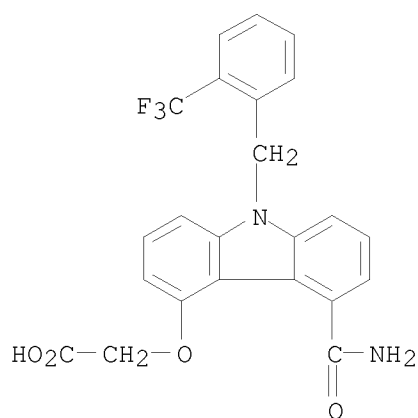


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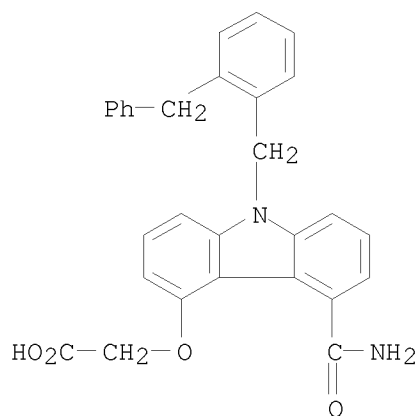
RN 220862-39-5 CAPLUS

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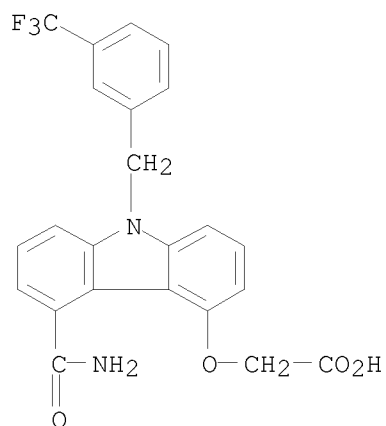
RN 220862-40-8 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[[2-(phenylmethyl)phenyl]methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



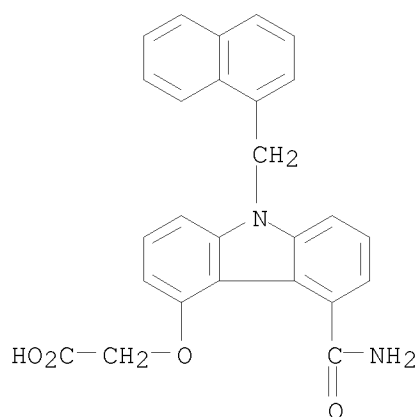
RN 220862-41-9 CAPLUS

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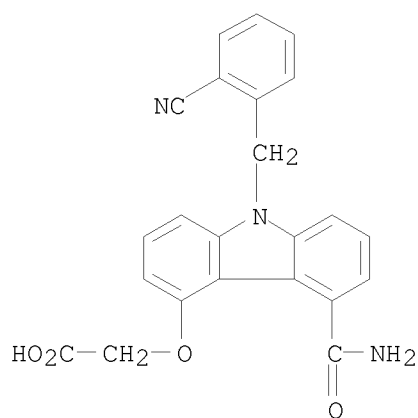


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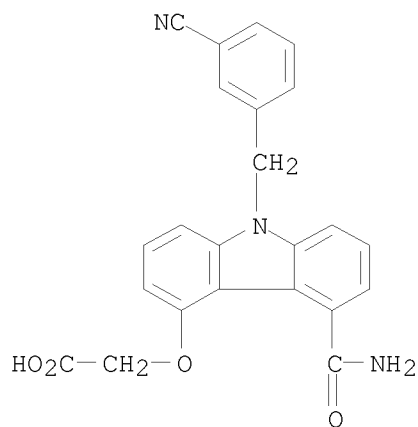
CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(1-naphthalenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



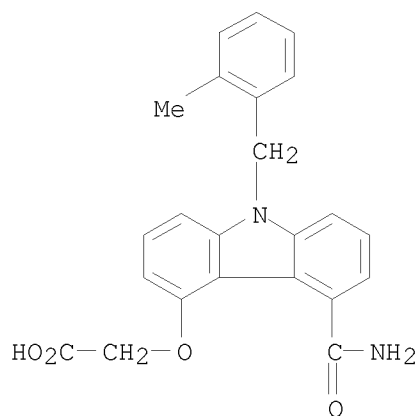
RN 220862-43-1 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2-cyanophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-44-2 CAPLUS  
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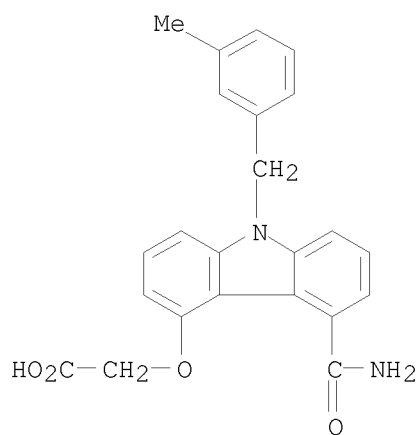


RN 220862-45-3 CAPLUS  
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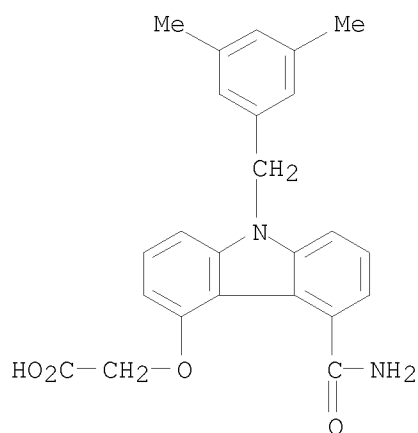
RN 220862-46-4 CAPLUS

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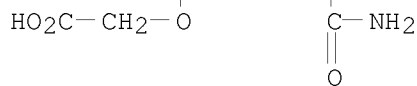
RN 220862-47-5 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3,5-dimethylphenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



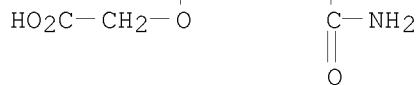
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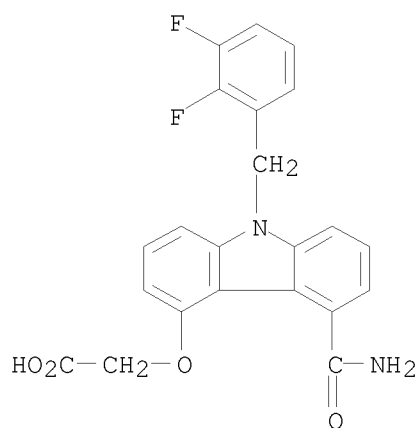
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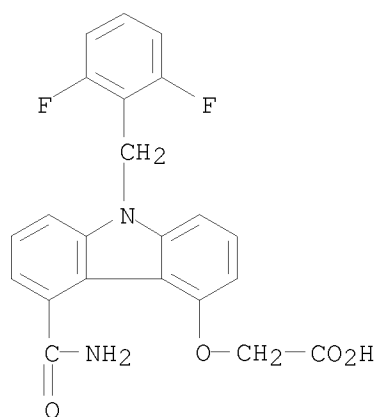
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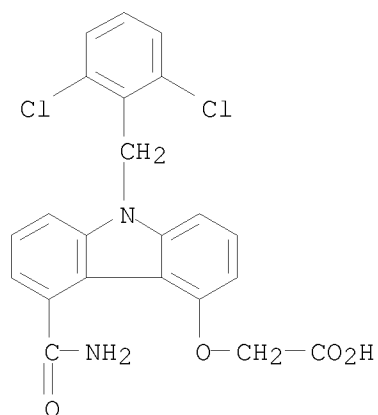
RN 220862-51-1 CAPLUS

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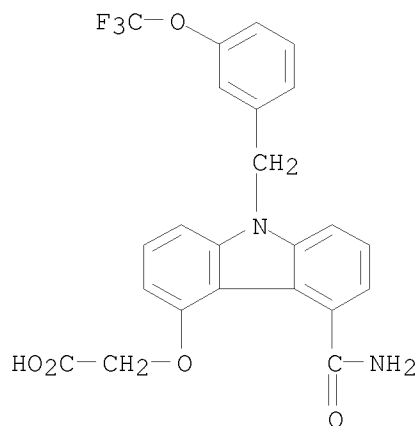


RN 220862-53-3 CAPLUS

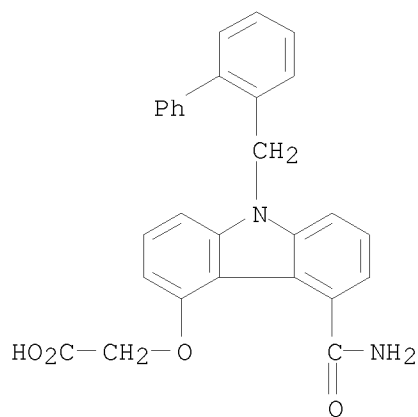
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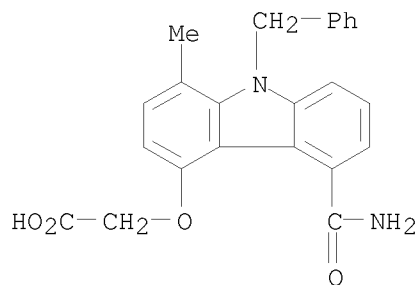
RN 220862-54-4 CAPLUS  
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RN 220862-55-5 CAPLUS  
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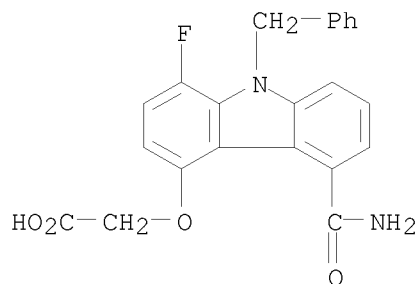


RN 220862-59-9 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-1-methyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



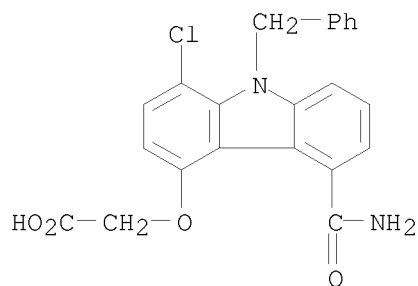
RN 220862-61-3 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-1-fluoro-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



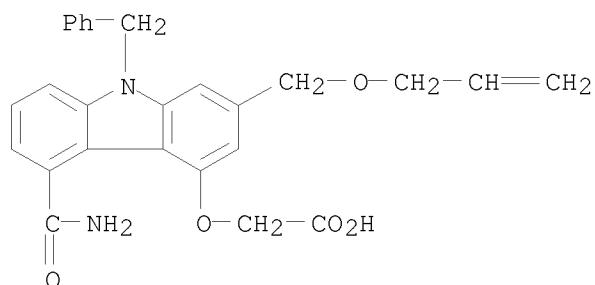
RN 220862-63-5 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-1-chloro-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-66-8 CAPLUS

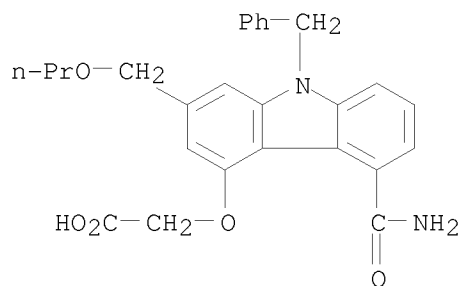
CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-2-[(2-propen-1-yloxy)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-68-0 CAPLUS

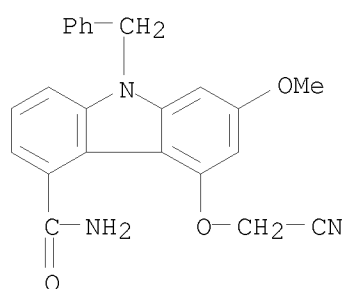
CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-2-(propoxymethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)





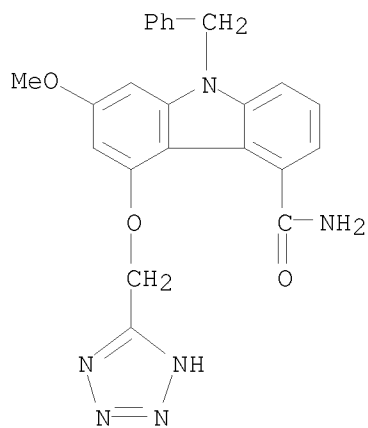
RN 220862-72-6 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-(cyanomethoxy)-7-methoxy-9-(phenylmethyl)-  
(CA INDEX NAME)



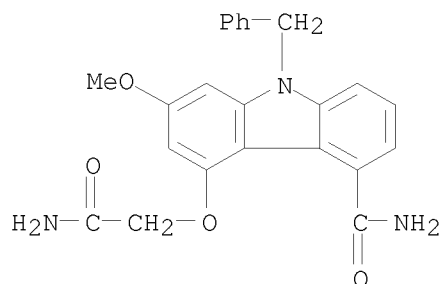
RN 220862-74-8 CAPLUS

CN 9H-Carbazole-4-carboxamide, 7-methoxy-9-(phenylmethyl)-5-(2H-tetrazol-5-ylmethoxy)-  
(CA INDEX NAME)



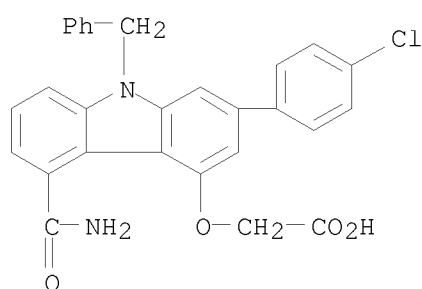
RN 220862-76-0 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-(2-amino-2-oxoethoxy)-7-methoxy-9-(phenylmethyl)-  
(CA INDEX NAME)



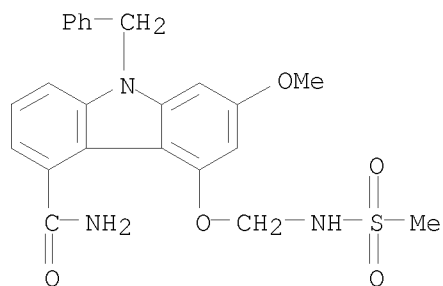
RN 220862-84-0 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-(4-chlorophenyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 225653-40-7 CAPLUS

CN 9H-Carbazole-4-carboxamide, 7-methoxy-5-[[[(methylsulfonyl)amino]methoxy]-9-(phenylmethyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 44 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1999:303240 CAPLUS

DOCUMENT NUMBER: 130:311699

TITLE: Preparation of tricyclic compounds as cGMP-PDE inhibitors

INVENTOR(S): Oku, Teruo; Sawada, Kozo; Kuroda, Akio; Ohne, Kazuhiko

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

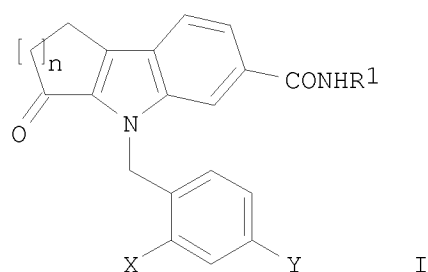
SOURCE: PCT Int. Appl., 26 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.   | KIND | DATE              | APPLICATION NO. | DATE       |
|--|------|-------------------|-----------------|------------|
| WO 9921831   | A1   | 19990506          | WO 1998-JP4429  | 19981001   |
| W: CA, CN, JP, KR, US  |      |                   |                 |            |
| RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE |      |                   |                 |            |
| JP 2002509553  | T    | 20020326          | JP 1999-523667  | 19981001   |
| PRIORITY APPLN. INFO.:   |      |                   | AU 1997-30      | A 19971027 |
|  |      |                   | AU 1998-2990    | A 19980416 |
|  |      |                   | WO 1998-JP4429  | W 19981001 |
| OTHER SOURCE(S):   |      | MARPAT 130:311699 |                 |            |
| GI   |      |                   |                 |            |

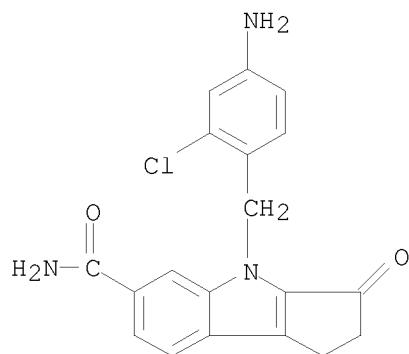


AB The title compds. [I; X = halo; Y = lower alkoxy, OH, NH<sub>2</sub>; R<sub>1</sub> = H, lower alkyl optionally substituted with a heterocyclyl or aryl; n = 1-2] and their salts, useful in the treatment and prevention of, for example, micturination disorder, or incontinence or storage of urine disorder, were prepared Thus, deprotection of 4-(4-tert-butoxycarbonylamino-2-chlorobenzyl)-3-oxo-1,2,3,4-tetrahydrocyclopent[b]indole-6-carboxamide (preparation given) with F<sub>3</sub>CCO<sub>2</sub>H in CH<sub>2</sub>Cl<sub>2</sub> afforded I [X = Cl; Y = NH<sub>2</sub>; R<sub>1</sub> = H; n = 1] which showed IC<sub>50</sub> of < 100 nM.

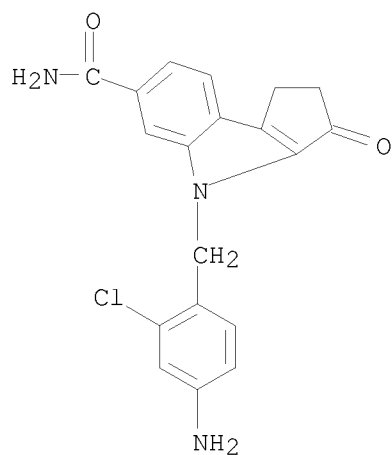
IT 223645-39-4P 223645-40-7P 223645-45-2P  
 223645-46-3P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of tricyclic compds. as cGMP-PDE inhibitors)

RN 223645-39-4 CAPLUS

CN Cyclopent[b]indole-6-carboxamide, 4-[(4-amino-2-chlorophenyl)methyl]-1,2,3,4-tetrahydro-3-oxo- (CA INDEX NAME)



RN 223645-40-7 CAPLUS  
 CN Cyclopent[b]indole-6-carboxamide, 4-[(4-amino-2-chlorophenyl)methyl]-  
 1,2,3,4-tetrahydro-3-oxo-, hydrochloride (1:1) (CA INDEX NAME)

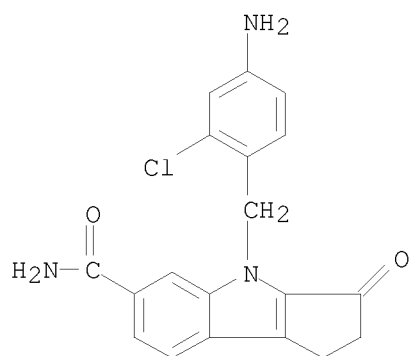


● HCl

RN 223645-45-2 CAPLUS  
 CN Cyclopent[b]indole-6-carboxamide, 4-[(4-amino-2-chlorophenyl)methyl]-  
 1,2,3,4-tetrahydro-3-oxo-, methanesulfonate (1:1) (CA INDEX NAME)

CM 1

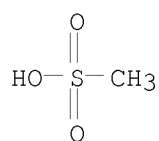
CRN 223645-39-4  
 CMF C19 H16 Cl N3 O2



CM 2

CRN 75-75-2

CMF C H4 O3 S



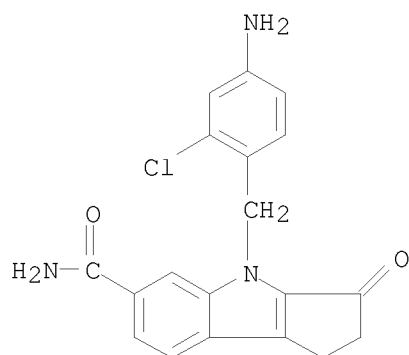
RN 223645-46-3 CAPLUS

CN Cyclopent[b]indole-6-carboxamide, 4-[(4-amino-2-chlorophenyl)methyl]-1,2,3,4-tetrahydro-3-oxo-, sulfate (2:1) (CA INDEX NAME)

CM 1

CRN 223645-39-4

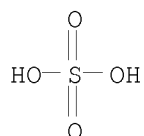
CMF C19 H16 Cl N3 O2



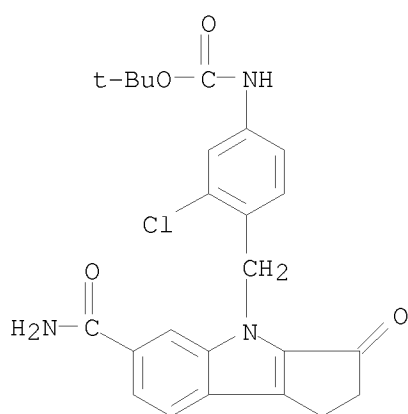
CM 2

CRN 7664-93-9

CMF H2 O4 S



IT 223645-38-3P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation of tricyclic compds. as cGMP-PDE inhibitors)  
 RN 223645-38-3 CAPLUS  
 CN Carbamic acid, [4-[[6-(aminocarbonyl)-2,3-dihydro-3-oxocyclopent[b]indol-  
 4(1H)-yl]methyl]-3-chlorophenyl]-, 1,1-dimethylethyl ester (9CI) (CA  
 INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD  
 (2 CITINGS)  
 REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 45 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN  
 ACCESSION NUMBER: 1999:233807 CAPLUS  
 DOCUMENT NUMBER: 130:267344  
 TITLE: Compounds for treatment of cystic fibrosis  
 INVENTOR(S): Macias, William Louis  
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA  
 SOURCE: PCT Int. Appl., 260 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO. | KIND   | DATE     | APPLICATION NO. | DATE     |
|------------|--|----------|-----------------|----------|
| WO 9916453 | A1   | 19990408 | WO 1998-US19906 | 19980923 |
| W:         | AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW |          |                 |          |
| RW:        | GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,  |          |                 |          |

CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

|   |    |          |                 |            |
|---|----|----------|-----------------|------------|
| CA 2304482  | A1 | 19990408 | CA 1998-2304482 | 19980923   |
| AU 9896641  | A  | 19990423 | AU 1998-96641   | 19980923   |
| EP 1007056  | A1 | 20000614 | EP 1998-950654  | 19980923   |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI |    |          |                 |            |
| JP 2001517707   | T  | 20011009 | JP 2000-513587  | 19980923   |
| US 6576654  | B1 | 20030610 | US 2000-508209  | 20000308   |
| PRIORITY APPLN. INFO.:  |    |          | US 1997-60128P  | P 19970926 |
|   |    |          | WO 1998-US19906 | W 19980923 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 130:267344

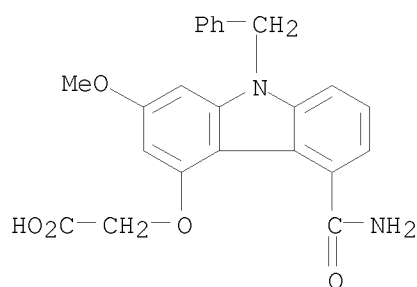
AB Title compds., sPLA2 inhibitors (no data), were selected from indoleglyoxylamides, -acetamides, -acetic acid hydrazides, etc. Preparation of [[3-(2-amino-1,2-dioxoethyl)-2-ethyl-1-phenylmethyl-1H-indol-4-yl]oxy]acetic acid was described.

IT 207340-74-7P 207340-75-8P 207340-86-1P  
 220862-21-5P 220862-22-6P 220862-23-7P  
 220862-24-8P 220862-26-0P 220862-27-1P  
 220862-30-6P 220862-31-7P 220862-32-8P  
 220862-33-9P 220862-34-0P 220862-35-1P  
 220862-36-2P 220862-37-3P 220862-38-4P  
 220862-39-5P 220862-40-8P 220862-41-9P  
 220862-42-0P 220862-43-1P 220862-44-2P  
 220862-45-3P 220862-46-4P 220862-47-5P  
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 220862-55-5P 220862-59-9P 220862-61-3P  
 220862-63-5P 220862-66-8P 220862-68-0P  
 220862-72-6P 220862-74-8P 220862-76-0P  
 220862-84-0P 222417-25-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (compds. for treatment of cystic fibrosis)

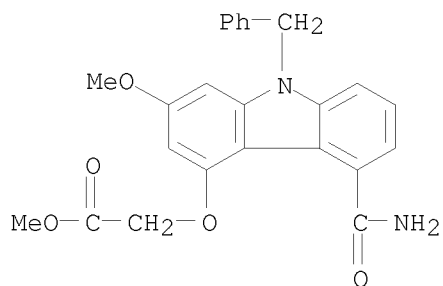
RN 207340-74-7 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-methoxy-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



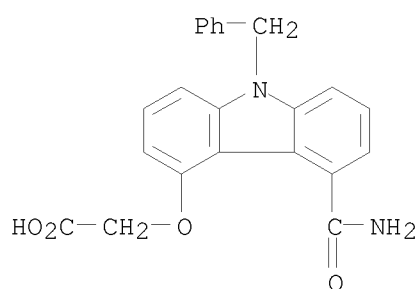
RN 207340-75-8 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-methoxy-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



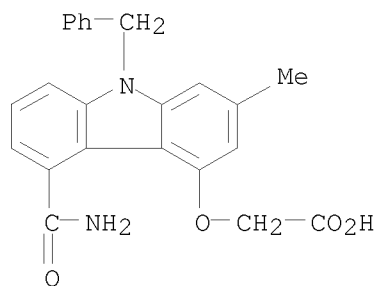
RN 207340-86-1 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-  
(CA INDEX NAME)



RN 220862-21-5 CAPLUS

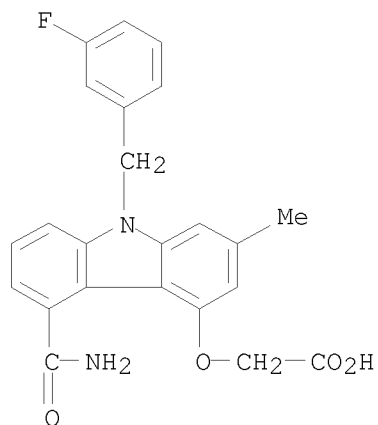
CN Acetic acid, 2-[[5-(aminocarbonyl)-2-methyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-  
(CA INDEX NAME)



RN 220862-22-6 CAPLUS

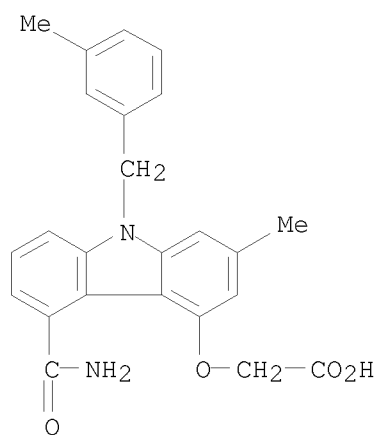
CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3-fluorophenyl)methyl]-2-methyl-9H-carbazol-4-yl]oxy]-  
(CA INDEX NAME)





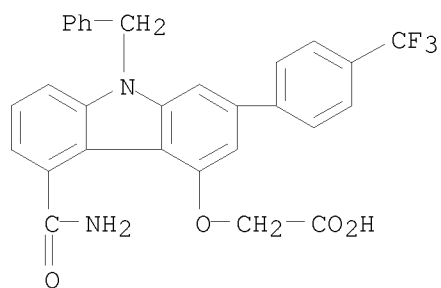
RN 220862-23-7 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-methyl-9-[(3-methylphenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



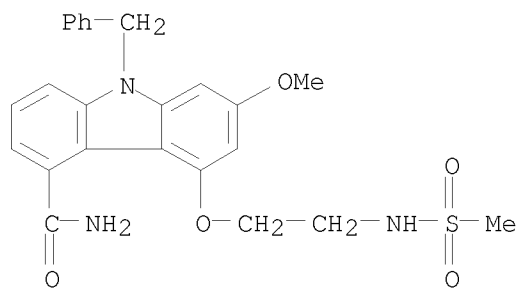
RN 220862-24-8 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-2-[4-(trifluoromethyl)phenyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



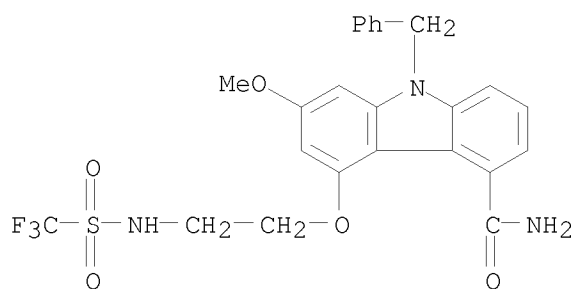
RN 220862-26-0 CAPLUS

CN 9H-Carbazole-4-carboxamide, 7-methoxy-5-[2-[(methylsulfonyl)amino]ethoxy]-9-(phenylmethyl)- (CA INDEX NAME)



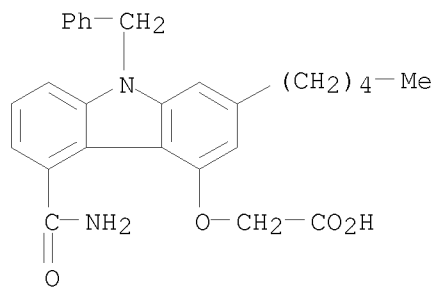
RN 220862-27-1 CAPLUS

CN 9H-Carbazole-4-carboxamide, 7-methoxy-9-(phenylmethyl)-5-[2-[[trifluoromethyl)sulfonyl]amino]ethoxy]- (CA INDEX NAME)



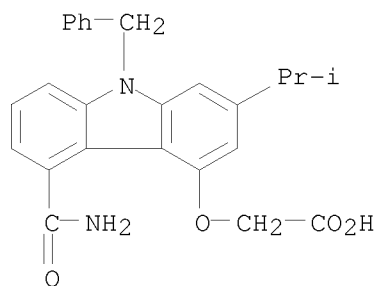
RN 220862-30-6 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-pentyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

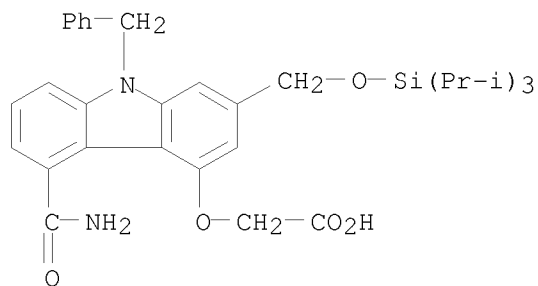


RN 220862-31-7 CAPLUS

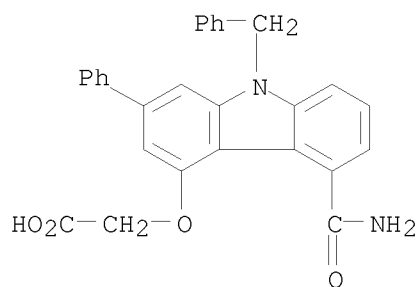
CN Acetic acid, 2-[[5-(aminocarbonyl)-2-(1-methylethyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



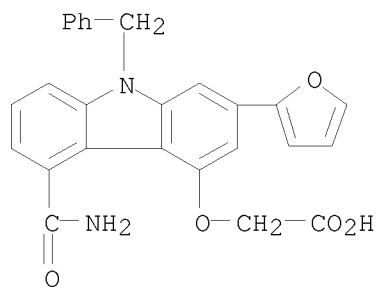
RN 220862-32-8 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-2-[[[tris(1-methylethyl)silyl]oxy]methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



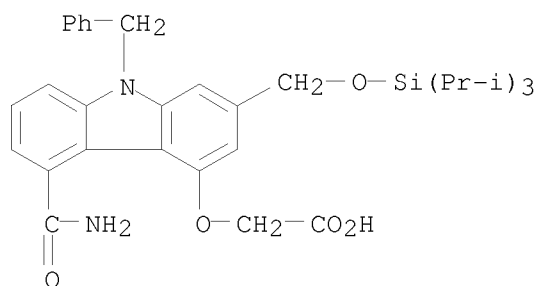
RN 220862-33-9 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-2-phenyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



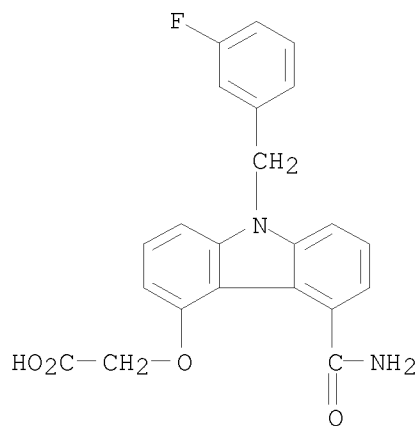
RN 220862-34-0 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-2-(2-furanyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



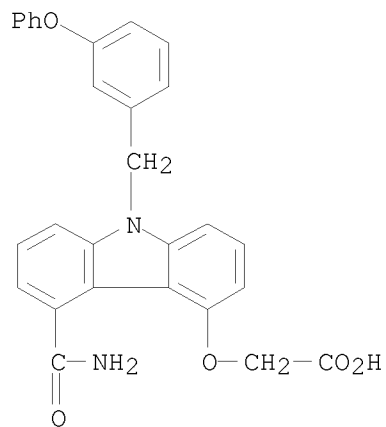
RN 220862-35-1 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-2-[[[tris(1-methylethyl)silyl]oxy]methyl]-9H-carbazol-4-yl]oxy]-, lithium salt (1:1) (CA INDEX NAME)



RN 220862-36-2 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3-fluorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

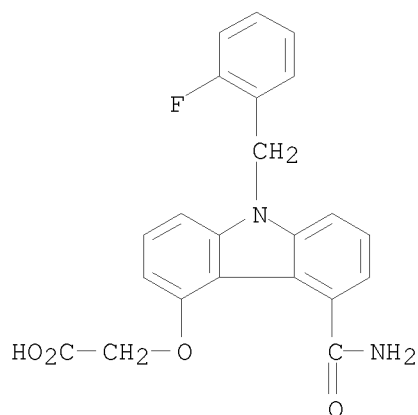


RN 220862-37-3 CAPLUS  
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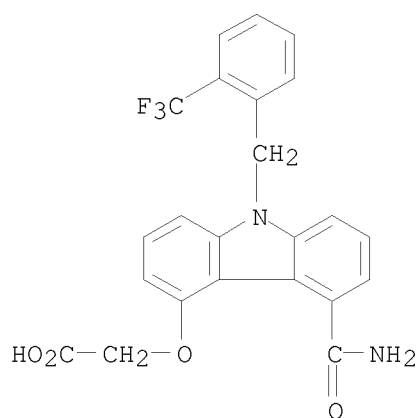
RN 220862-38-4 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2-fluorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



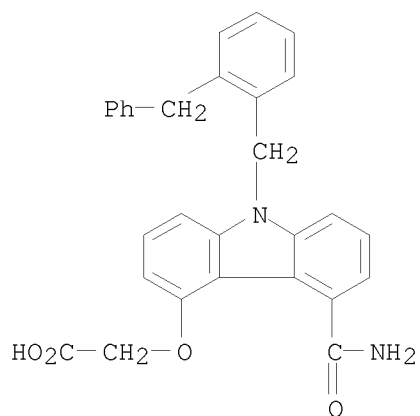
RN 220862-39-5 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[[2-(trifluoromethyl)phenyl]methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



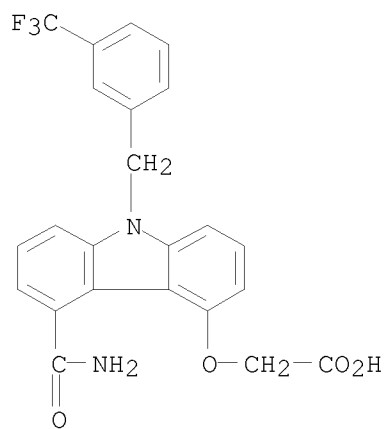
RN 220862-40-8 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[[2-(phenylmethyl)phenyl]methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



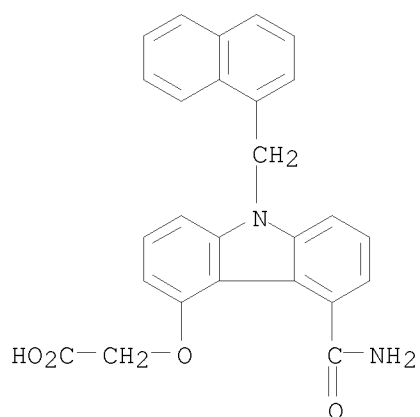
RN 220862-41-9 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[[3-(trifluoromethyl)phenyl]methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

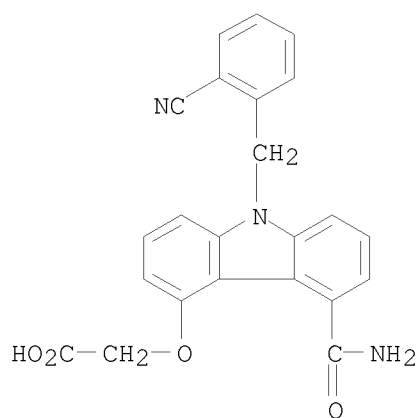


RN 220862-42-0 CAPLUS

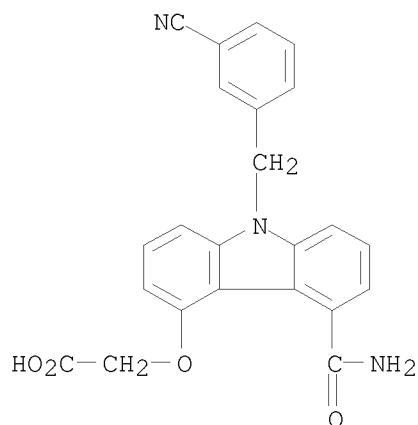
CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(1-naphthalenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



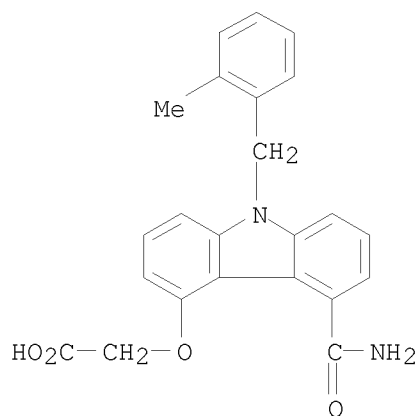
RN 220862-43-1 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2-cyanophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-44-2 CAPLUS  
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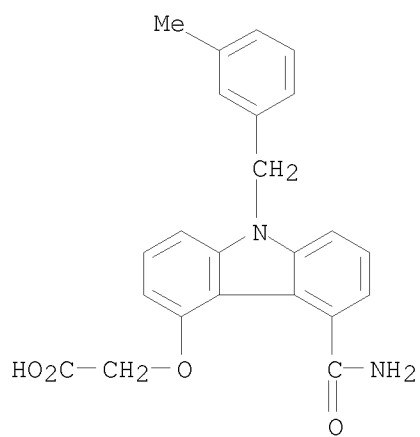


RN 220862-45-3 CAPLUS  
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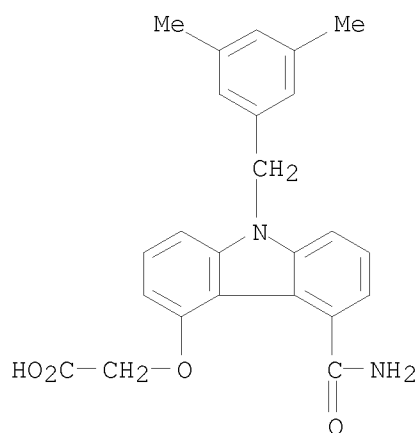
RN 220862-46-4 CAPLUS

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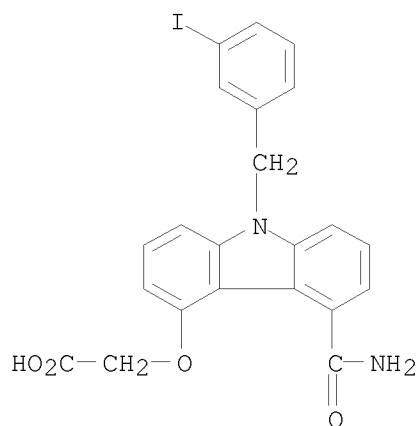
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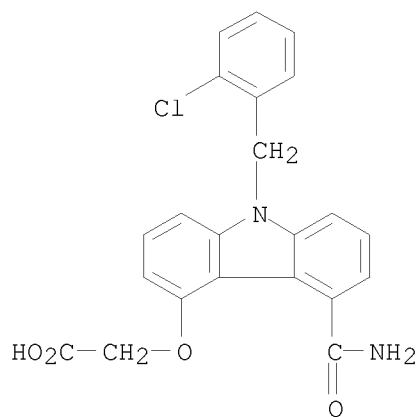




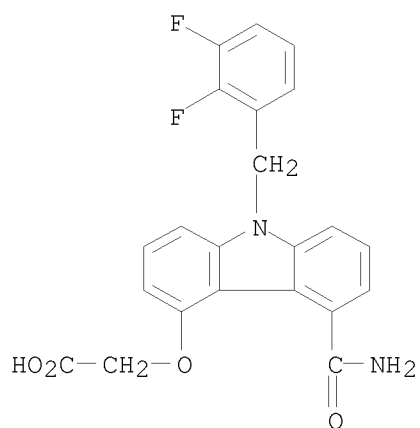
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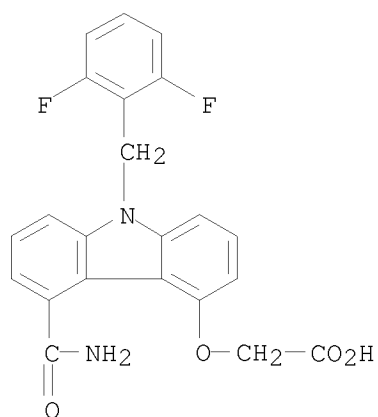


RN 220862-50-0 CAPLUS  
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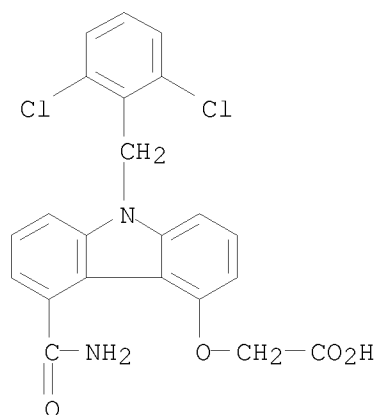
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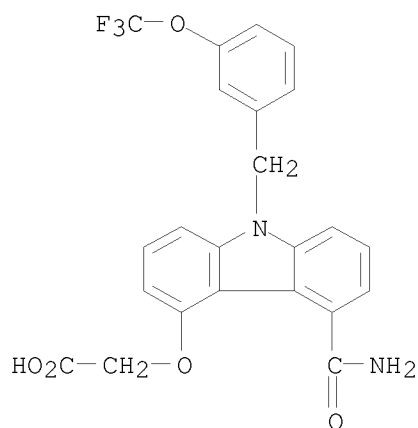


RN 220862-53-3 CAPLUS

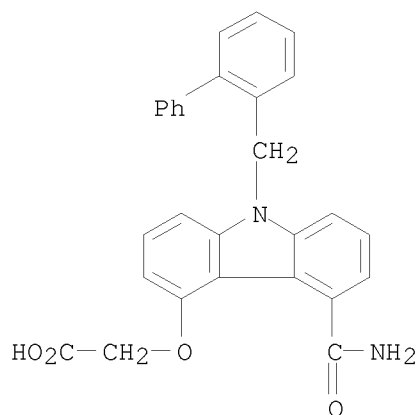
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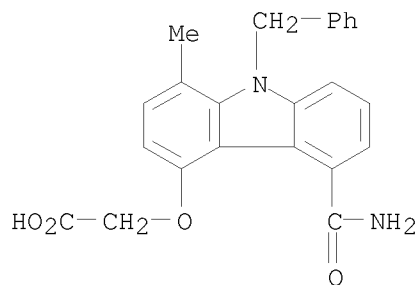
RN 220862-54-4 CAPLUS  
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RN 220862-55-5 CAPLUS  
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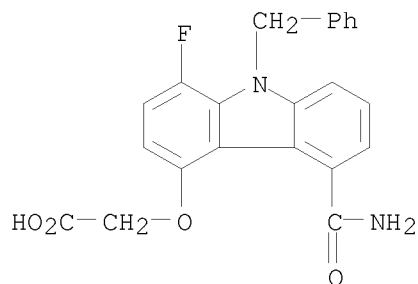


RN 220862-59-9 CAPLUS  
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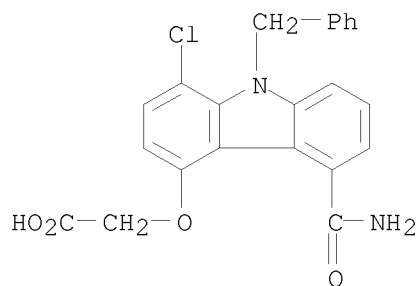
RN 220862-61-3 CAPLUS

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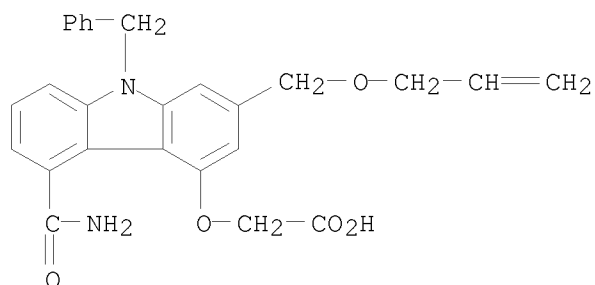
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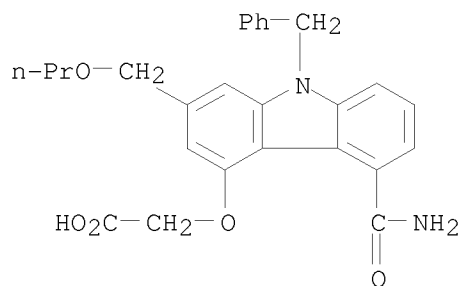
RN 220862-66-8 CAPLUS

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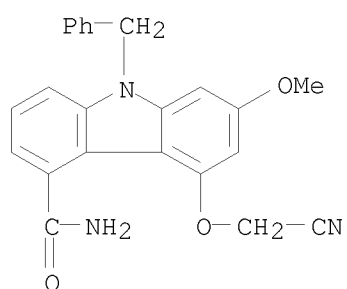
RN 220862-68-0 CAPLUS

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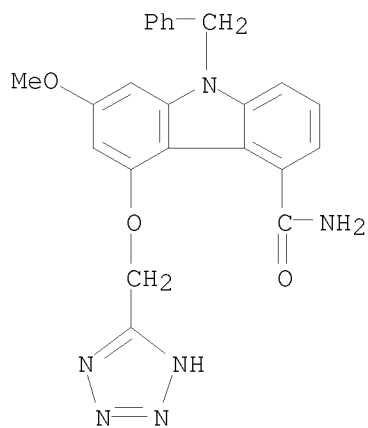
RN 220862-72-6 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-(cyanomethoxy)-7-methoxy-9-(phenylmethyl)-  
(CA INDEX NAME)



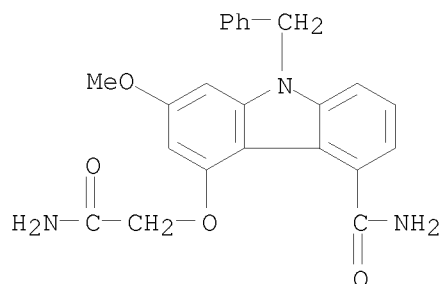
RN 220862-74-8 CAPLUS

CN 9H-Carbazole-4-carboxamide, 7-methoxy-9-(phenylmethyl)-5-(2H-tetrazol-5-ylmethoxy)-  
(CA INDEX NAME)



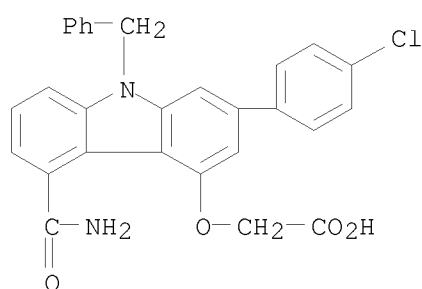
RN 220862-76-0 CAPLUS

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(CA INDEX NAME)



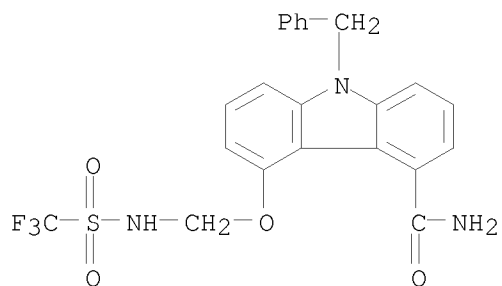
RN 220862-84-0 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-(4-chlorophenyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 222417-25-6 CAPLUS

CN 9H-Carbazole-4-carboxamide, 9-(phenylmethyl)-5-[[[(trifluoromethyl)sulfonyl]amino]methoxy]- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 46 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1999:172589 CAPLUS

DOCUMENT NUMBER: 130:196575

TITLE: Method for treatment of non-rheumatoid arthritis by administration of an sPLA2 inhibitor.

INVENTOR(S): Macias, William Louis

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

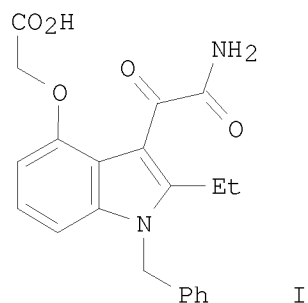
SOURCE: PCT Int. Appl., 273 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO.   | DATE       |
|---|------|----------|-------------------|------------|
| WO 9909978  | A1   | 19990304 | WO 1998-US17778   | 19980827   |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,<br>DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG,<br>KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,<br>NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,<br>UA, UG, US, UZ, VN, YU, ZW<br>RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,<br>FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,<br>CM, GA, GN, GW, ML, MR, NE, SN, TD, TG |      |          |                   |            |
| CA 2301586  | A1   | 19990304 | CA 1998-2301586   | 19980827   |
| AU 9891231  | A    | 19990316 | AU 1998-91231     | 19980827   |
| EP 1011670  | A1   | 20000628 | EP 1998-943430    | 19980827   |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,<br>SI, FI  |      |          |                   |            |
| JP 2001513555   | T    | 20010904 | JP 2000-507368    | 19980827   |
| ZA 9807867  | A    | 20000228 | ZA 1998-7867      | 19980828   |
| US 20030119860  | A1   | 20030626 | US 2000-486472    | 20000224   |
| US 6610728  | B2   | 20030826 |                   |            |
| PRIORITY APPLN. INFO.:  |      |          | US 1997-57726P    | P 19970828 |
|   |      |          | WO 1998-US17778   | W 19980827 |
| ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT   |      |          |                   |            |
| OTHER SOURCE(S):  |      |          | MARPAT 130:196575 |            |
| GI  |      |          |                   |            |



AB A method for treatment of non-rheumatoid arthritis by administration of of an sPLA2 inhibitor is claimed (no data). Thus, preferred compound (I) was prepared in 6 steps via 2-ethyl-4-methoxy-1H-indole.

|    |             |             |             |
|----|-------------|-------------|-------------|
| IT | 207340-74-7 | 207340-75-8 | 207340-86-1 |
|    | 220862-21-5 | 220862-22-6 | 220862-23-7 |
|    | 220862-24-8 | 220862-26-0 | 220862-27-1 |
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|    | 220862-32-8 | 220862-33-9 | 220862-34-0 |
|    | 220862-35-1 | 220862-36-2 | 220862-37-3 |
|    | 220862-38-4 | 220862-39-5 | 220862-40-8 |
|    | 220862-41-9 | 220862-42-0 | 220862-43-1 |
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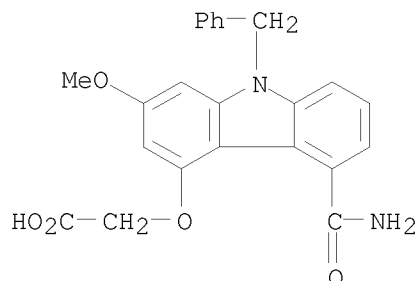
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 220862-76-0      220862-84-0

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(method for treatment of non-rheumatoid arthritis by administration of an sPLA2 inhibitor)

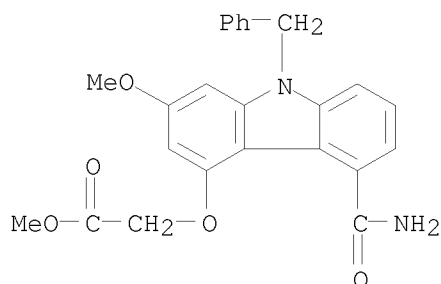
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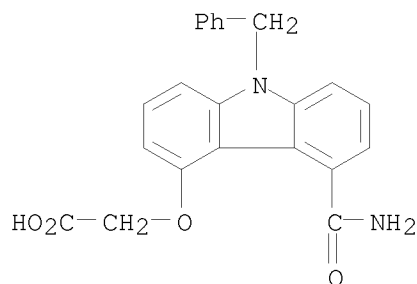
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RN 207340-86-1 CAPLUS

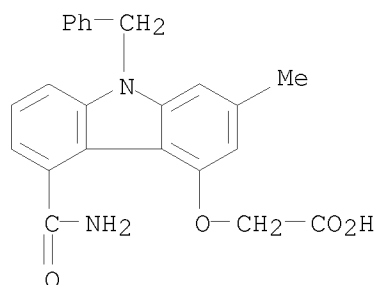
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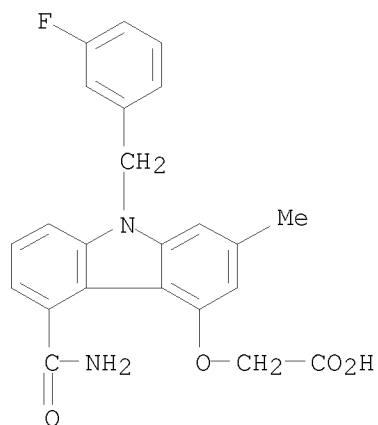
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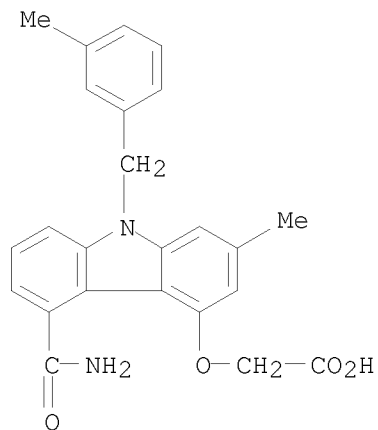
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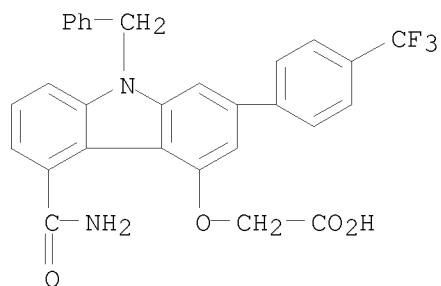
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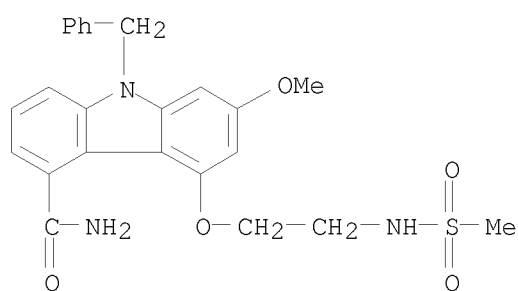
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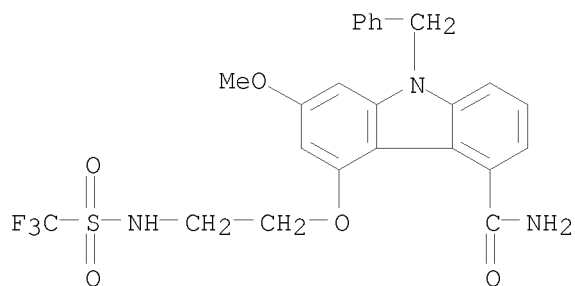
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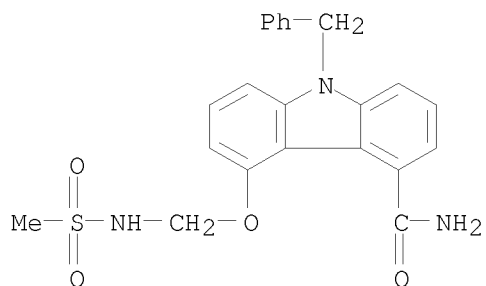
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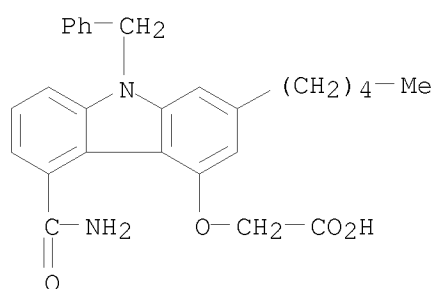
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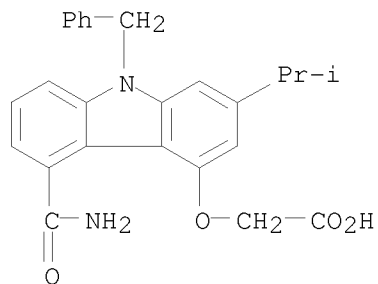
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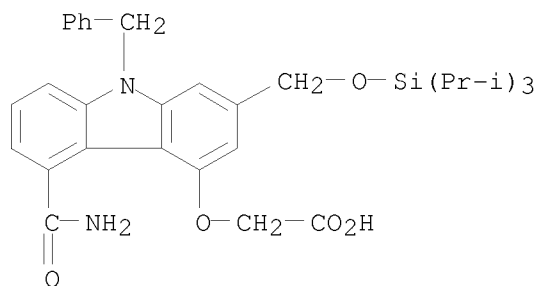
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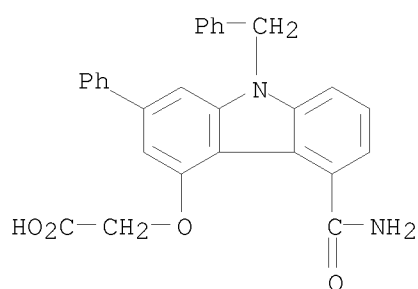
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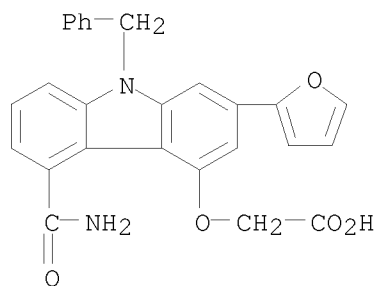
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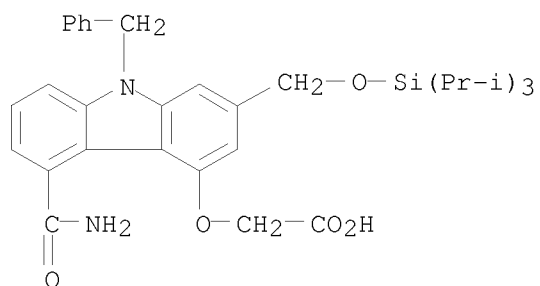
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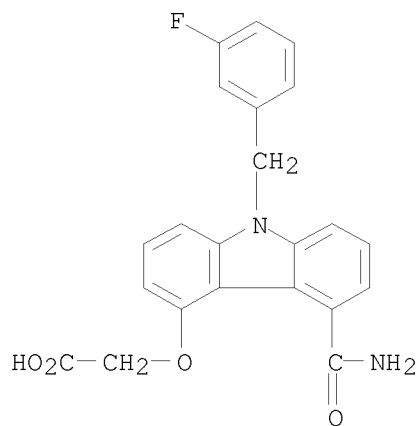


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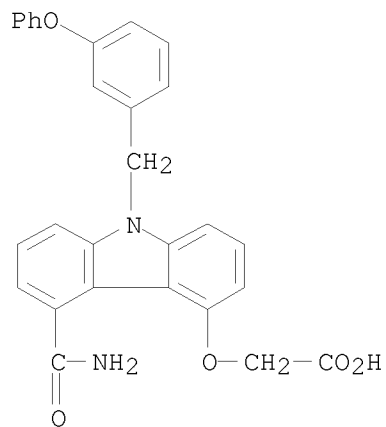
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RN 220862-36-2 CAPLUS  
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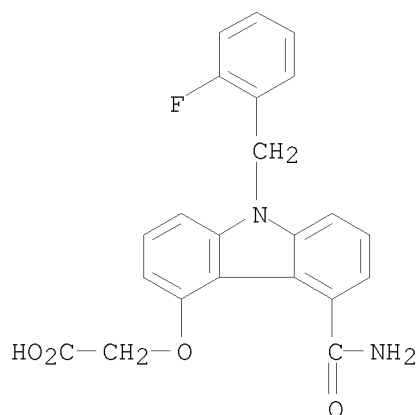


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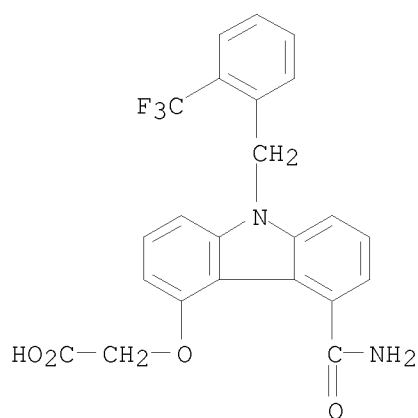


RN 220862-38-4 CAPLUS

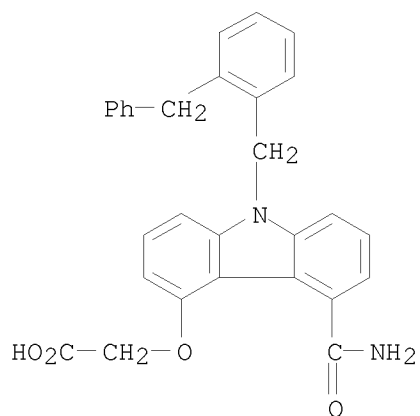
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RN 220862-39-5 CAPLUS  
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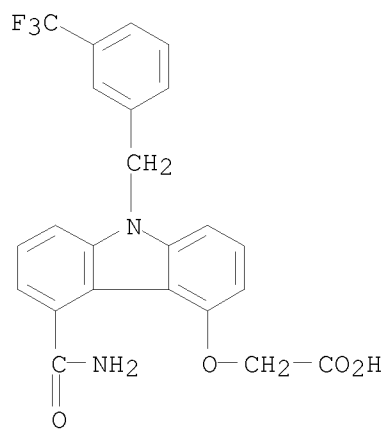


RN 220862-40-8 CAPLUS  
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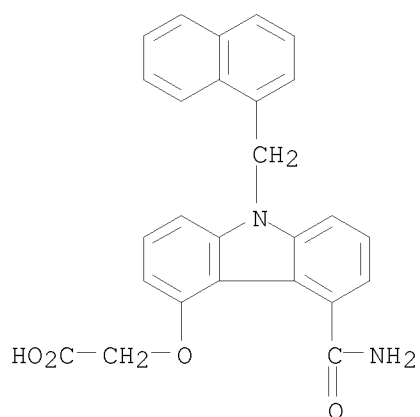
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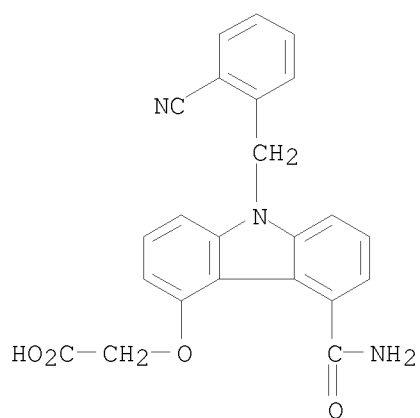


RN 220862-42-0 CAPLUS

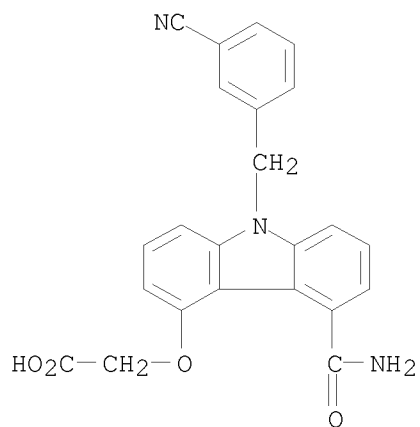
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RN 220862-43-1 CAPLUS  
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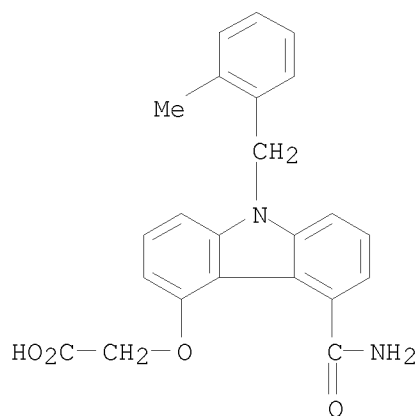


RN 220862-44-2 CAPLUS  
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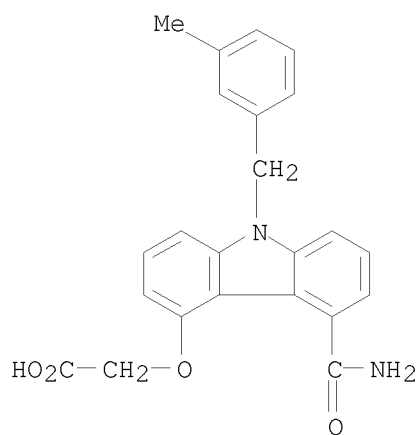
RN 220862-45-3 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2-methylphenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)





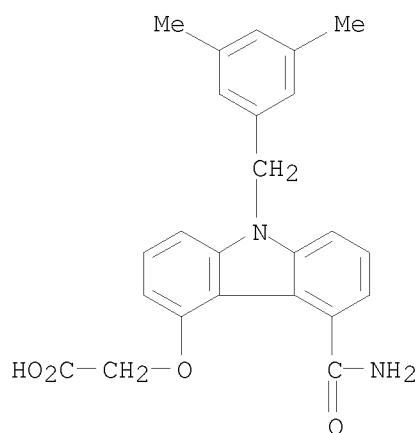
RN 220862-46-4 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3-methylphenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

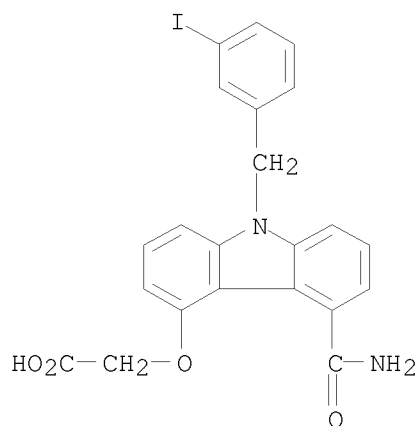


RN 220862-47-5 CAPLUS

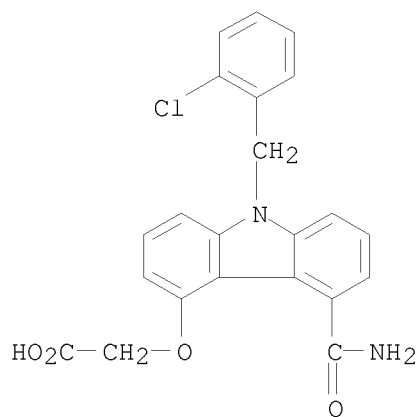
CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3,5-dimethylphenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



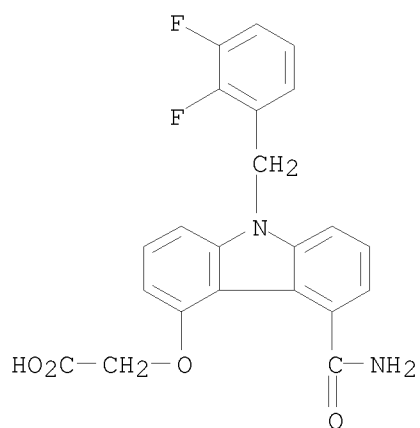
RN 220862-48-6 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3-iodophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-49-7 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2-chlorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

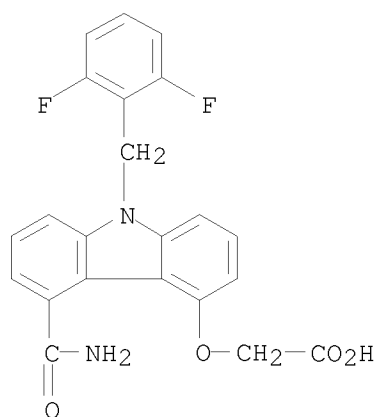


RN 220862-50-0 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2,3-difluorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



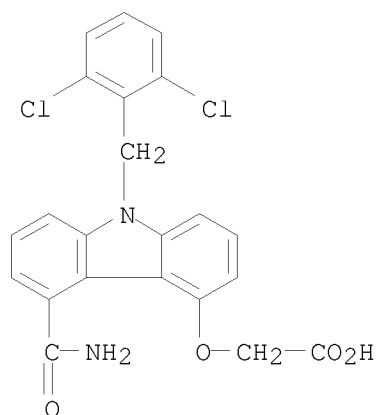
RN 220862-51-1 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2,6-difluorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

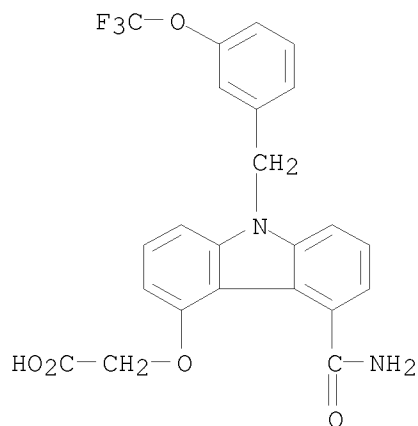


RN 220862-53-3 CAPLUS

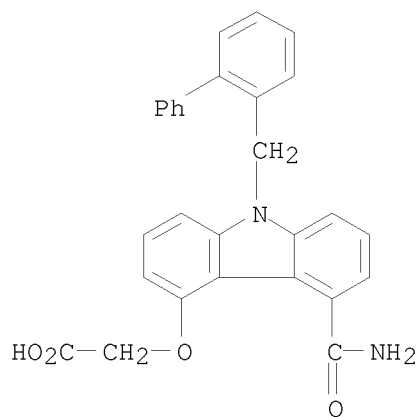
CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2,6-dichlorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



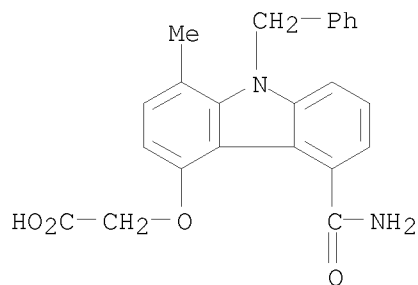
RN 220862-54-4 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[[3-(trifluoromethoxy)phenyl]methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-55-5 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-9-([1,1'-biphenyl]-2-ylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

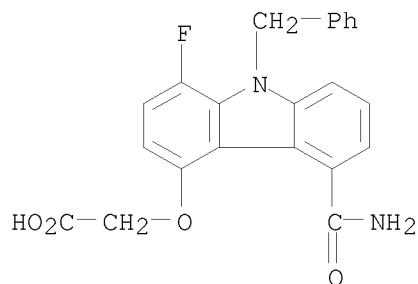


RN 220862-59-9 CAPLUS  
 CN Acetic acid, 2-[[5-(aminocarbonyl)-1-methyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



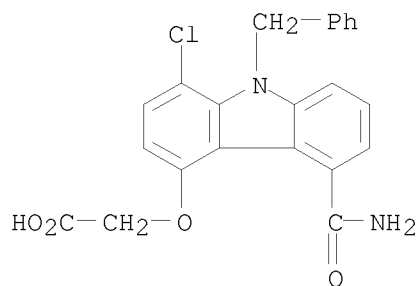
RN 220862-61-3 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-1-fluoro-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



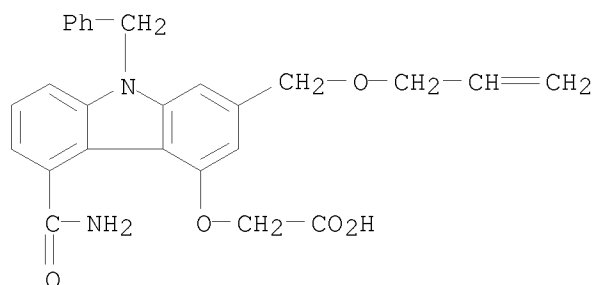
RN 220862-63-5 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-1-chloro-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



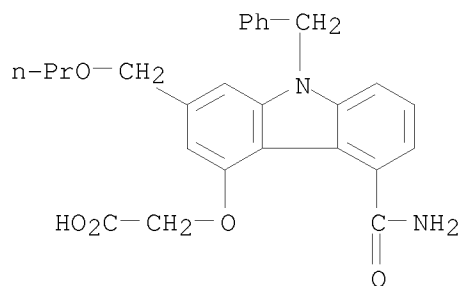
RN 220862-66-8 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-2-[(2-propen-1-yloxy)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



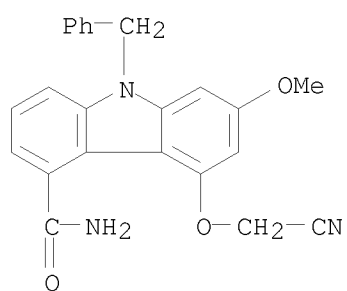
RN 220862-68-0 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-2-(propoxymethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



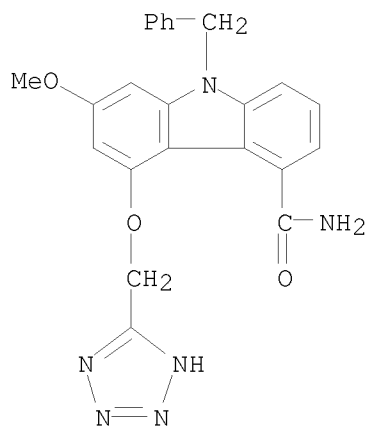
RN 220862-72-6 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-(cyanomethoxy)-7-methoxy-9-(phenylmethyl)-  
(CA INDEX NAME)



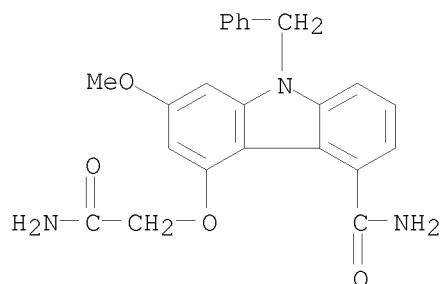
RN 220862-74-8 CAPLUS

CN 9H-Carbazole-4-carboxamide, 7-methoxy-9-(phenylmethyl)-5-(2H-tetrazol-5-ylmethoxy)-  
(CA INDEX NAME)



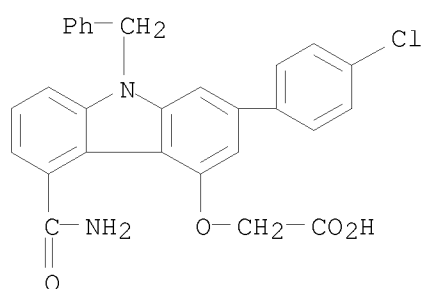
RN 220862-76-0 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-(2-amino-2-oxoethoxy)-7-methoxy-9-(phenylmethyl)-  
(CA INDEX NAME)



RN 220862-84-0 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-(4-chlorophenyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 47 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1998:358667 CAPLUS

DOCUMENT NUMBER: 129:108959

ORIGINAL REFERENCE NO.: 129:22389a,22392a

TITLE: Facile substitution of resin-bound indoles via the Mannich reaction

AUTHOR(S): Zhang, Han-Cheng; Brumfield, Kimberly K.; Jaroskova, Libuse; Maryanoff, Bruce E.

CORPORATE SOURCE: Drug Discovery, The R. W. Johnson Pharmaceutical Research Institute, Spring House, PA, 19477, USA

SOURCE: Tetrahedron Letters (1998), 39(25), 4449-4452

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 129:108959

AB Mannich reaction of resin-bound indoles provided 3-aminomethylindoles. Palladium-mediated heteroannulation of terminal alkynes with resin-bound o-iodosulfonanilide, followed by Mannich reaction, afforded 2-substituted 3-aminomethylindoles. Nucleophilic substitution of resin-bound 3-[(dimethylamino)methyl]indole with KCN or Et 2-nitroacetate gave 3-substituted indoles.

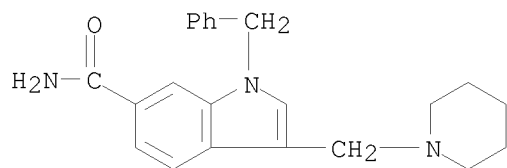
IT 210052-38-3P 210052-39-4P 210052-40-7P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(solid phase synthesis of (aminomethyl)indoles)

RN 210052-38-3 CAPLUS

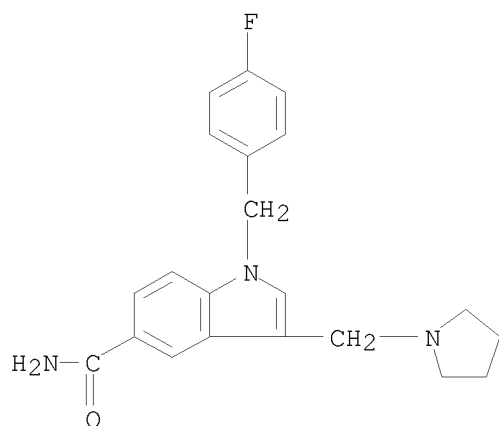
CN 1H-Indole-6-carboxamide, 1-(phenylmethyl)-3-(1-piperidinylmethyl)- (CA

INDEX NAME)



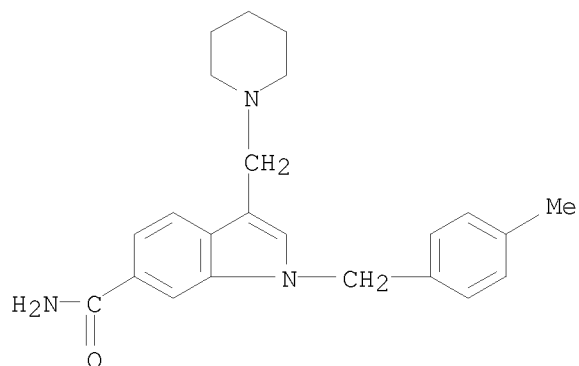
RN 210052-39-4 CAPLUS

CN 1H-Indole-5-carboxamide, 1-[(4-fluorophenyl)methyl]-3-(1-pyrrolidinylmethyl)- (CA INDEX NAME)



RN 210052-40-7 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(4-methylphenyl)methyl]-3-(1-piperidylmethyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 72 THERE ARE 72 CAPLUS RECORDS THAT CITE THIS RECORD (72 CITINGS)

REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 48 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1998:293372 CAPLUS

DOCUMENT NUMBER: 129:4575

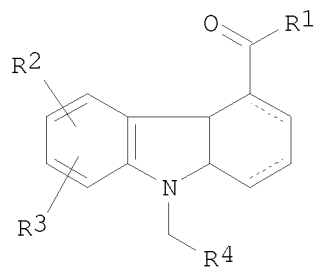
ORIGINAL REFERENCE NO.: 129:1101a,1104a



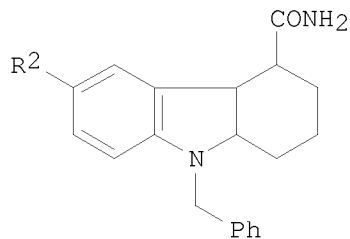
TITLE: Preparation and formulation of  
 4-carbamoyltetrahydrocarbazolyloxyalkanoates and  
 analogs as secretory phospholipase A2 inhibitors  
 INVENTOR(S): Bach, Nicholas J.; Dillard, Robert D.; Draheim, Susan  
 E.; Morin, John M., Jr.  
 PATENT ASSIGNEE(S): Eli Lilly and Co., USA  
 SOURCE: PCT Int. Appl., 143 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE       |
|---|------|----------|-----------------|------------|
| WO 9818464  | A1   | 19980507 | WO 1997-US19183 | 19971023   |
| W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW |      |          |                 |            |
| RW: GH, KE, LS, MW, SD, SZ, UG, ZW, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG  |      |          |                 |            |
| CA 2269203  | A1   | 19980507 | CA 1997-2269203 | 19971023   |
| AU 9851494  | A    | 19980522 | AU 1998-51494   | 19971023   |
| AU 734096   | B2   | 20010607 |                 |            |
| CN 1233176  | A    | 19991027 | CN 1997-198834  | 19971023   |
| HU 9903545  | A2   | 20000228 | HU 1999-3545    | 19971023   |
| HU 9903545  | A3   | 20010528 |                 |            |
| BR 9713261  | A    | 20000328 | BR 1997-13261   | 19971023   |
| JP 2001503055   | T    | 20010306 | JP 1998-520585  | 19971023   |
| IN 1997CA01995  | A    | 20050311 | IN 1997-CA1995  | 19971023   |
| EP 839806   | A1   | 19980506 | EP 1997-308645  | 19971029   |
| EP 839806   | B1   | 20030709 |                 |            |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO   |      |          |                 |            |
| AT 244703   | T    | 20030715 | AT 1997-308645  | 19971029   |
| PT 839806   | E    | 20031128 | PT 1997-308645  | 19971029   |
| ES 2202560  | T3   | 20040401 | ES 1997-308645  | 19971029   |
| TW 513428   | B    | 20021211 | TW 1997-116217  | 19971030   |
| NO 9901831  | A    | 19990621 | NO 1999-1831    | 19990416   |
| NO 314899   | B1   | 20030610 |                 |            |
| KR 2000049210   | A    | 20000725 | KR 1999-7003309 | 19990416   |
| PRIORITY APPLN. INFO.:  |      |          | US 1996-29849P  | P 19961030 |
|   |      |          | WO 1997-US19183 | W 19971023 |
|   |      |          | US 2000-688106  | A 20001013 |

OTHER SOURCE(S): MARPAT 129:4575  
 GI



I



II

AB Title compds. [e.g., I; R<sub>1</sub> = NH<sub>2</sub> or NHNH<sub>2</sub>; R<sub>2</sub> = OH or O(CH<sub>2</sub>)<sub>m</sub>R<sub>5</sub>; R<sub>3</sub> = H,

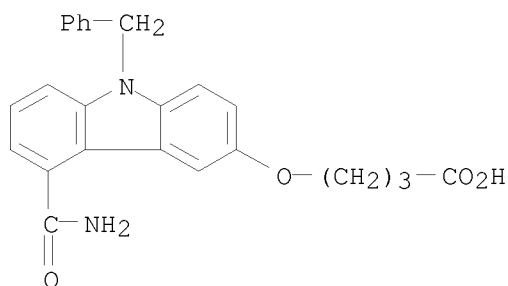
alkoxy, (amino)alkyl, phenylalkyl, etc.; R4 = H, (cyclo)alkyl, (un)substituted Ph; R5 = H, CO2H, alkoxy-carbonyl, Ph, etc.; m = 1-3; dashed lines = optional addnl. bonds] were prepared Thus, 4-(MeO)C6H4NHCH2Ph was cyclocondensed with Et 3-bromo-2-oxocyclohexanecarboxylate and the product converted in 3 steps to carbazole II (R2 = OH) which was etherified by Br(CH2)3CO2Et to give, after saponification, II [R2 = O(CH2)4CO2H]. Data for biol. activity of I were given.

IT 207340-73-6P 207340-74-7P 207340-75-8P  
207340-76-9P 207340-84-9P 207340-86-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation and formulation of 4-carbamoyltetrahydrocarbazolyloxyalkanoates and analogs as secretory phospholipase A2 inhibitors)

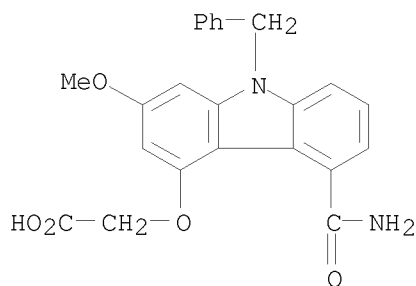
RN 207340-73-6 CAPLUS

CN Butanoic acid, 4-[[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-3-yl]oxy]- (CA INDEX NAME)



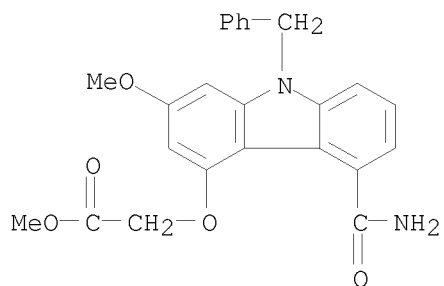
RN 207340-74-7 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-methoxy-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



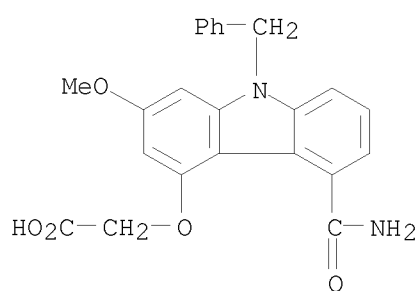
RN 207340-75-8 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-2-methoxy-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



RN 207340-76-9 CAPLUS

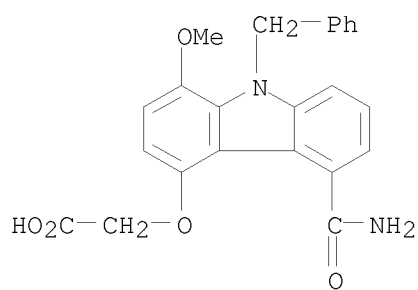
CN Acetic acid, 2-[[5-(aminocarbonyl)-2-methoxy-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, sodium salt (1:1) (CA INDEX NAME)



● Na

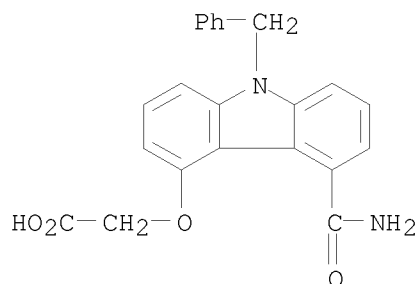
RN 207340-84-9 CAPLUS

CN Acetic acid, 2-[[5-(aminocarbonyl)-1-methoxy-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

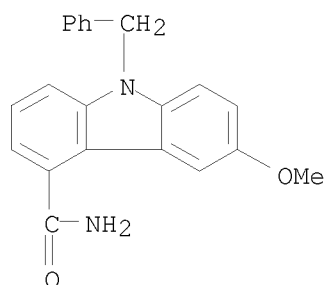


RN 207340-86-1 CAPLUS

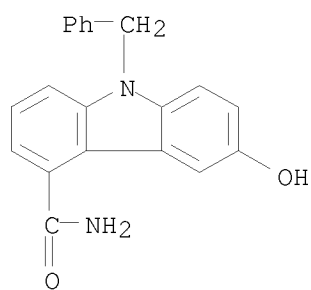
CN Acetic acid, 2-[[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



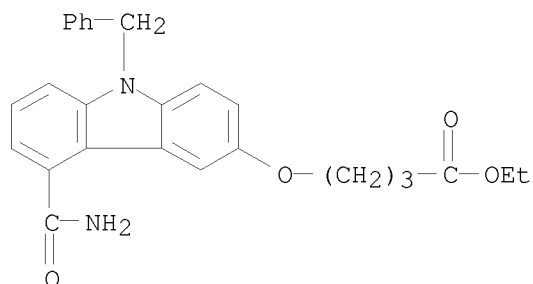
IT 207341-21-7P 207341-22-8P 207341-23-9P  
 207341-24-0P 207341-25-1P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and formulation of 4-carbamoyltetrahydrocarbazolyloxyalkanoates  
 and analogs as secretory phospholipase A2 inhibitors)  
 RN 207341-21-7 CAPLUS  
 CN 9H-Carbazole-4-carboxamide, 6-methoxy-9-(phenylmethyl)- (CA INDEX NAME)



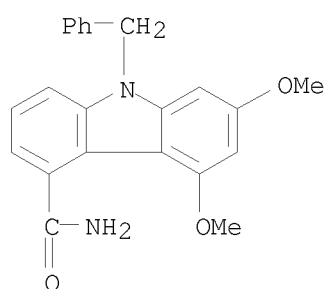
RN 207341-22-8 CAPLUS  
 CN 9H-Carbazole-4-carboxamide, 6-hydroxy-9-(phenylmethyl)- (CA INDEX NAME)



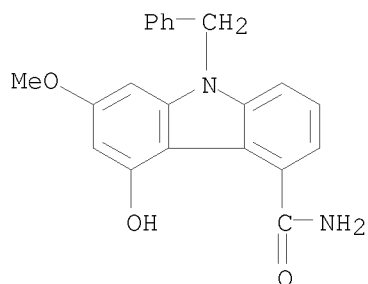
RN 207341-23-9 CAPLUS  
 CN Butanoic acid, 4-[[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-3-yl]oxy]-, ethyl ester (CA INDEX NAME)



RN 207341-24-0 CAPLUS  
 CN 9H-Carbazole-4-carboxamide, 5,7-dimethoxy-9-(phenylmethyl)- (CA INDEX NAME)



RN 207341-25-1 CAPLUS  
 CN 9H-Carbazole-4-carboxamide, 5-hydroxy-7-methoxy-9-(phenylmethyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)  
 REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 49 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN  
 ACCESSION NUMBER: 1998:274848 CAPLUS  
 DOCUMENT NUMBER: 129:45274  
 ORIGINAL REFERENCE NO.: 129:9399a,9402a  
 TITLE: Therapeutic uses and formulations of blood sugar-lowering indoles and their uses in preparation of pharmaceuticals  
 INVENTOR(S): Oku, Teruo; Sawada, Kozo; Kuroda, Akio; One, Kazuhiko; Yamazaki, Noritsugu; Imoto, Takafumi  
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 63 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.             | KIND | DATE     | APPLICATION NO. | DATE     |
|------------------------|------|----------|-----------------|----------|
| JP 10114654            | A    | 19980506 | JP 1996-268402  | 19961009 |
| PRIORITY APPLN. INFO.: |      |          | JP 1996-268402  | 19961009 |

OTHER SOURCE(S): MARPAT 129:45274

AB Pharmaceutical prepn. containing indoles their pharmacol. acceptable salts are useful for prevention and/or treatment of glucose tolerance disorders, diabetes mellitus, hyperlipidemia, insulin resistance syndrome, cardiovascular disease, or hyperglycemia. The indoles are also useful in preparation of pharmaceuticals. Administration of 6-benzenesulfonylcarbonyl-1-(2-chlorobenzyl)-2-methylindole at 300 mg/kg p.o. to db/db mice showed 70% lowering of blood sugar concns.

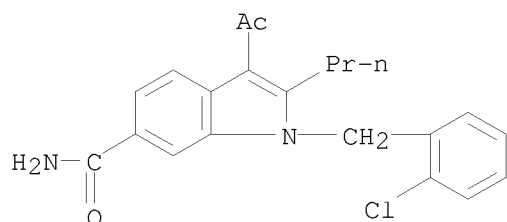
IT 184147-58-8P 184147-86-2P 184148-12-7P  
 184148-20-7P 184148-72-9P 184148-89-8P  
 184150-27-4P 184150-38-7P 184150-41-2P  
 205528-05-8P

RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use);  
 BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent);  
 USES (Uses)

(preparation and therapeutic uses of blood sugar-lowering indoles)

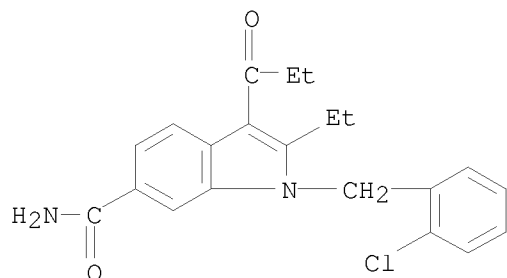
RN 184147-58-8 CAPLUS

CN 1H-Indole-6-carboxamide, 3-acetyl-1-[(2-chlorophenyl)methyl]-2-propyl-  
 (CA INDEX NAME)



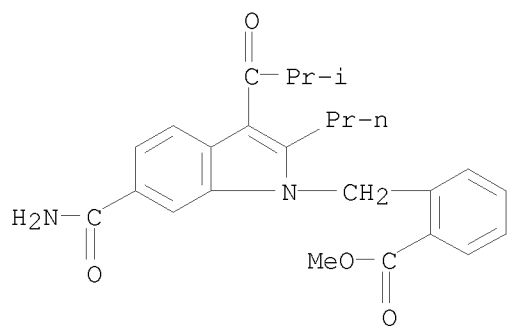
RN 184147-86-2 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-ethyl-3-(1-oxopropyl)- (CA INDEX NAME)

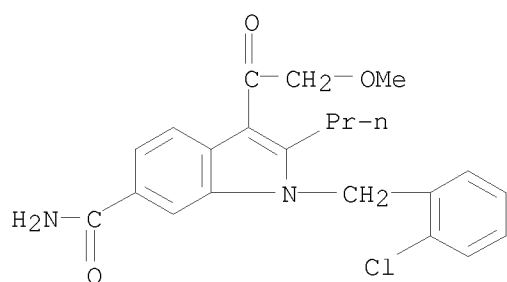


RN 184148-12-7 CAPLUS

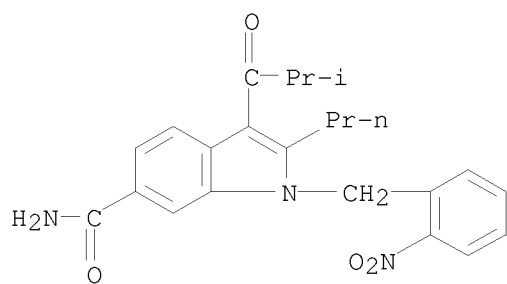
CN Benzoic acid, 2-[[6-(aminocarbonyl)-3-(2-methyl-1-oxopropyl)-2-propyl-1H-indol-1-yl]methyl]-, methyl ester (CA INDEX NAME)



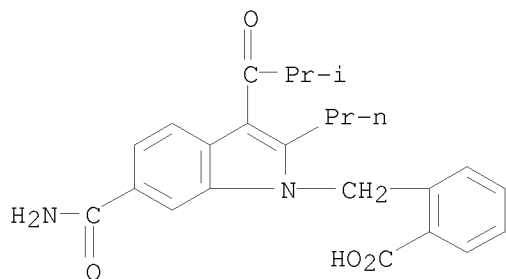
RN 184148-20-7 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methoxyacetyl)-2-propyl- (CA INDEX NAME)



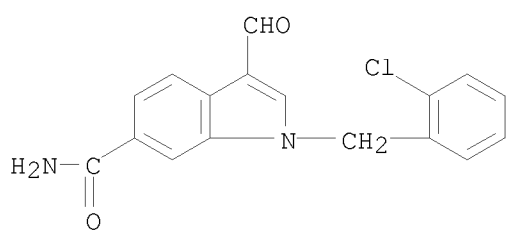
RN 184148-72-9 CAPLUS  
 CN 1H-Indole-6-carboxamide, 3-(2-methyl-1-oxopropyl)-1-[(2-nitrophenyl)methyl]-2-propyl- (CA INDEX NAME)



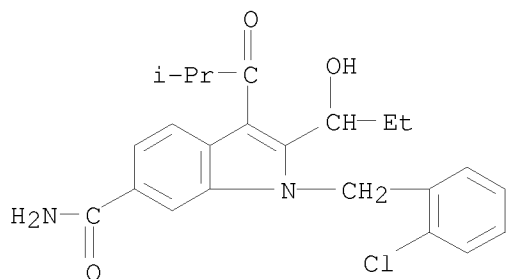
RN 184148-89-8 CAPLUS  
 CN Benzoic acid, 2-[[6-(aminocarbonyl)-3-(2-methyl-1-oxopropyl)-2-propyl-1H-indol-1-yl]methyl]- (CA INDEX NAME)



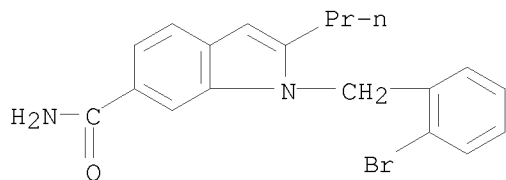
RN 184150-27-4 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-formyl- (CA INDEX NAME)



RN 184150-38-7 CAPLUS  
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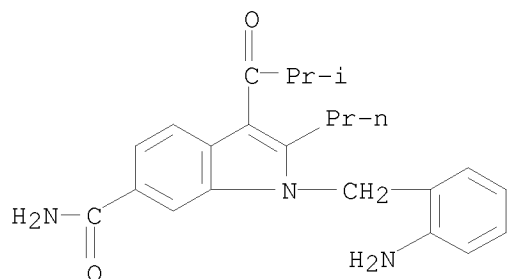


RN 184150-41-2 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-bromophenyl)methyl]-2-propyl- (CA INDEX NAME)



RN 205528-05-8 CAPLUS  
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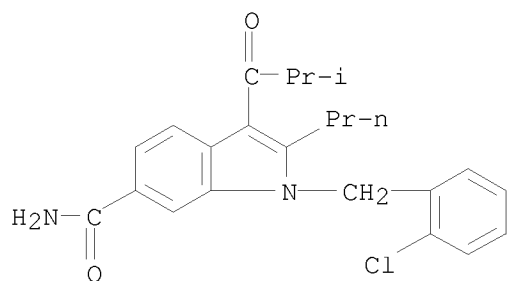
● HCl

|    |   |               |               |
|----|---|---------------|---------------|
| IT | 184147-65-7P  | 184147-72-6P  | 184147-80-6P  |
|    | 184147-92-0P  | 184147-98-6P  | 184148-11-6P  |
|    | 184148-13-8P  | 184148-14-9P, |               |
|    | 1-Benzyl-3-isobutyryl-2-propylindole-6-carboxamide    |               | 184148-15-0P  |
|    | 184148-16-1P  | 184148-17-2P  | 184148-19-4P, |
|    | 3-Isobutyryl-1-phenethyl-2-propylindole-6-carboxamide |               |               |
|    | 184148-21-8P  | 184148-66-1P  | 184148-67-2P  |
|    | 184148-68-3P  | 184148-69-4P  | 184148-70-7P  |
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|    | 184150-59-2P  | 184150-66-1P  | 184151-83-5P  |
|    | 184151-84-6P  |               |               |

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation and therapeutic uses of blood sugar-lowering indoles)

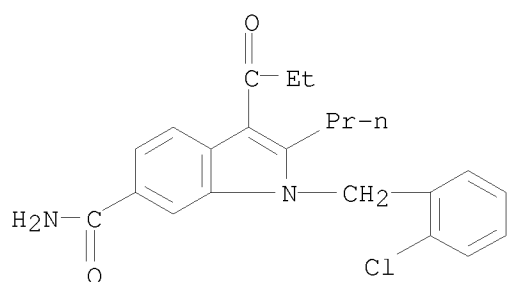
RN 184147-65-7 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



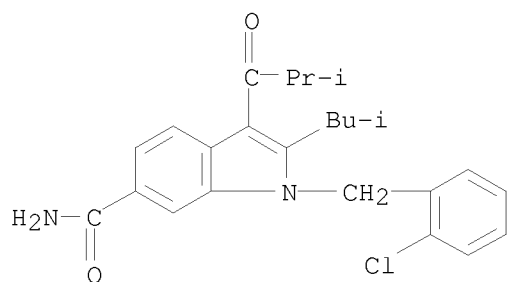
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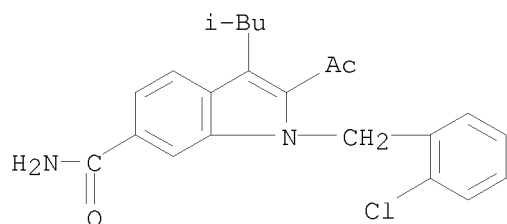
RN 184147-80-6 CAPLUS

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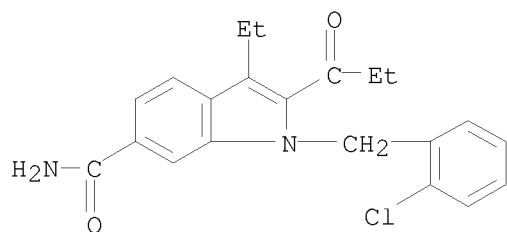


RN 184147-92-0 CAPLUS

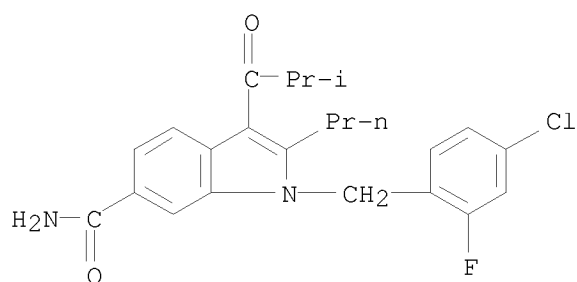
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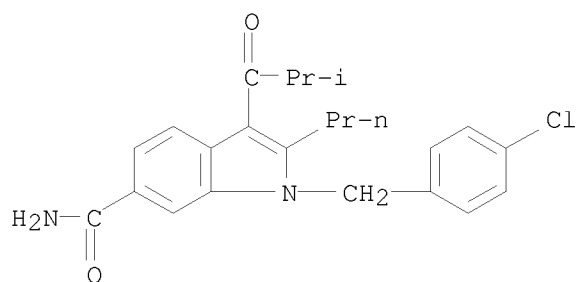
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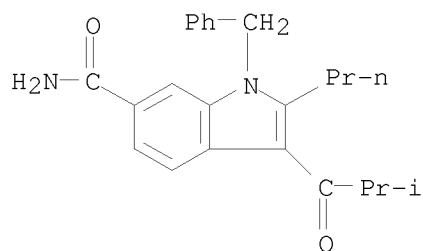
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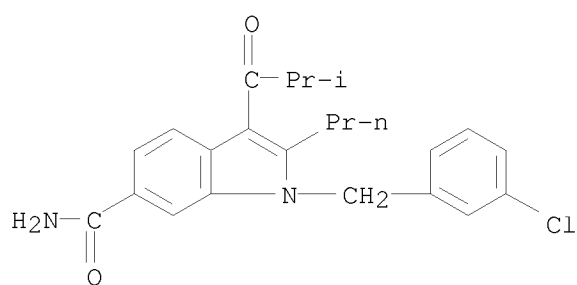
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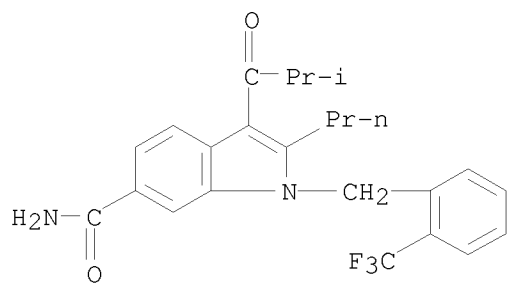
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 CN 1H-Indole-6-carboxamide, 3-(2-methyl-1-oxopropyl)-1-(phenylmethyl)-2-propyl- (CA INDEX NAME)



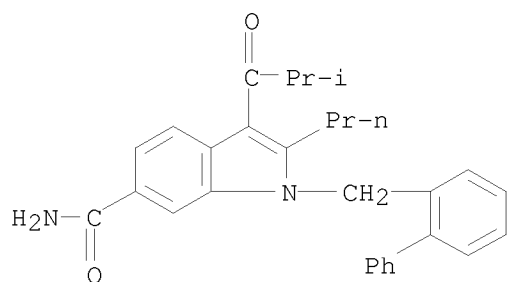
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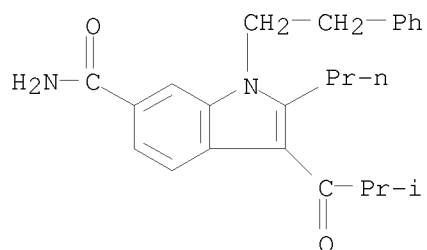
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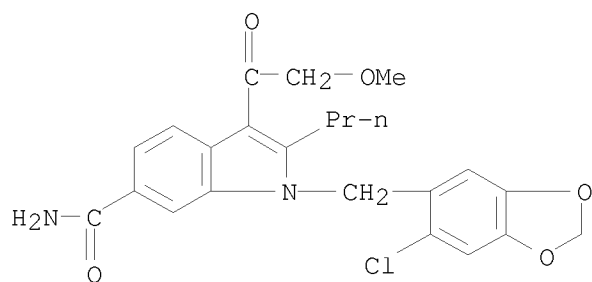
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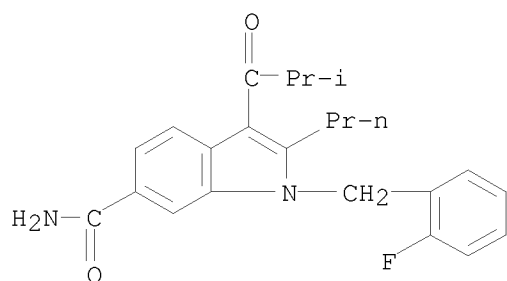
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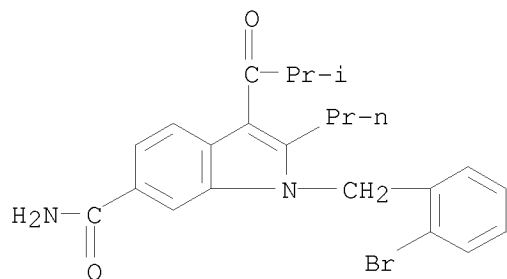
RN 184148-21-8 CAPLUS  
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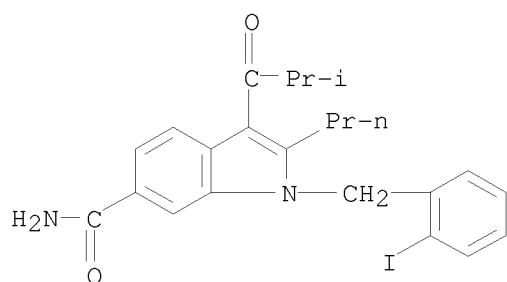
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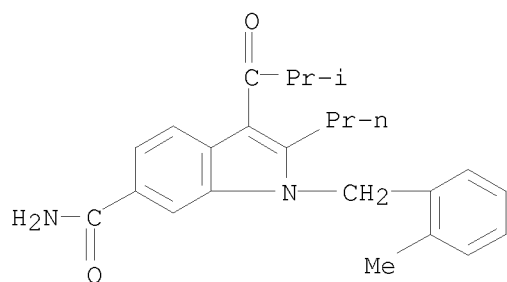
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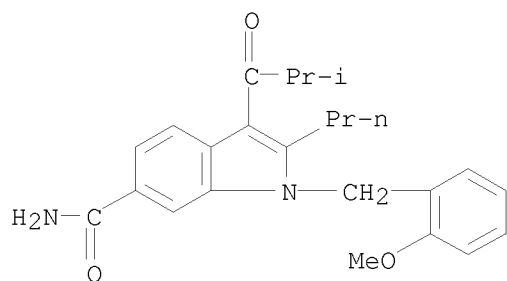
RN 184148-68-3 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-iodophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



RN 184148-69-4 CAPLUS  
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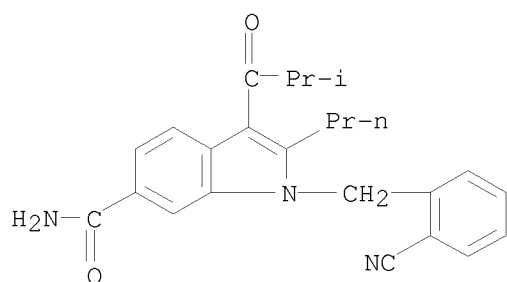


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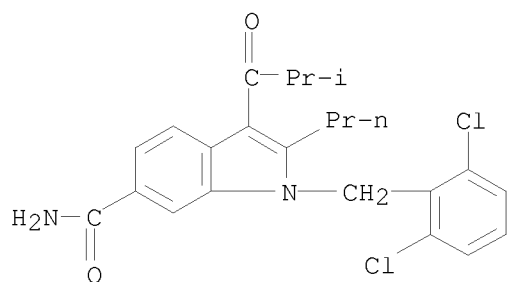
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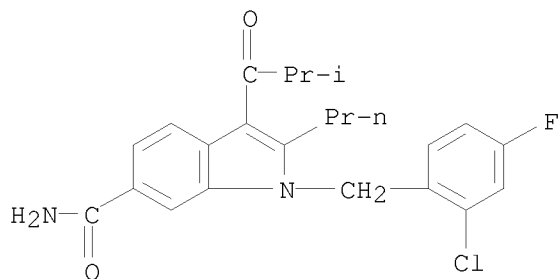
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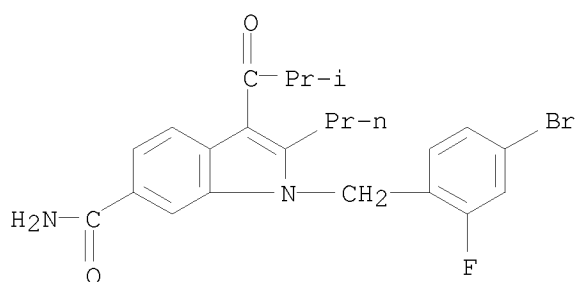
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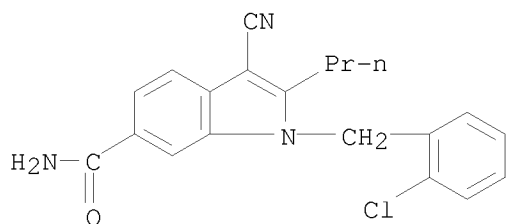
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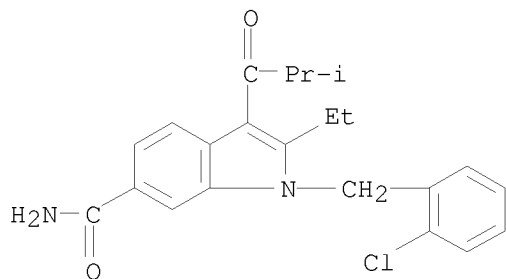
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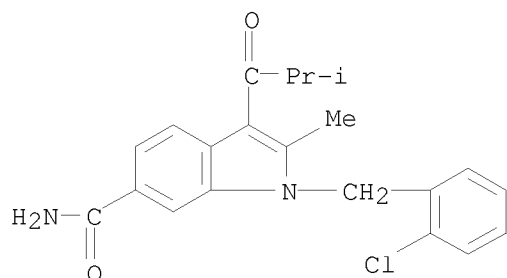
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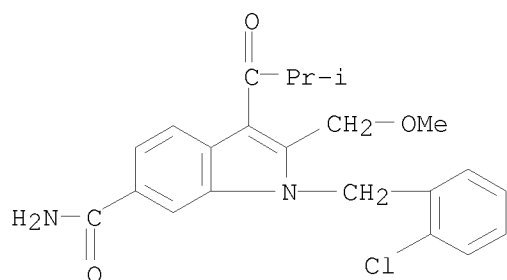




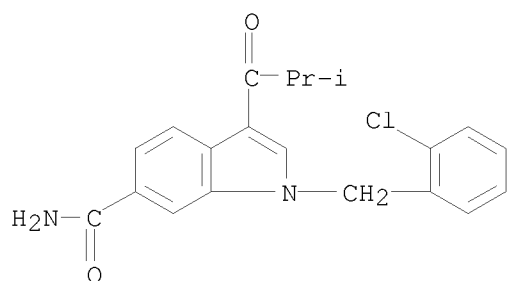
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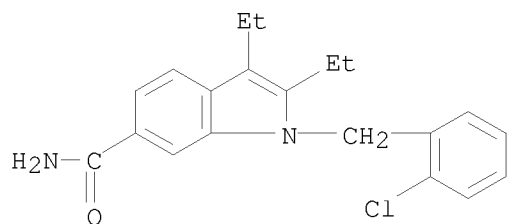
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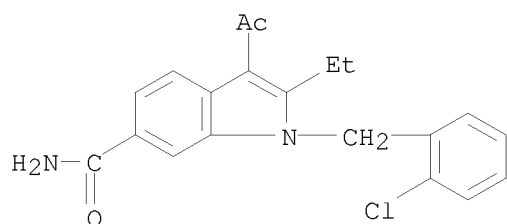
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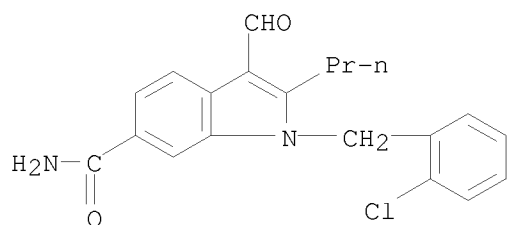
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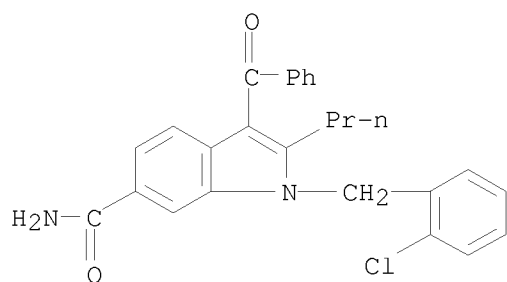
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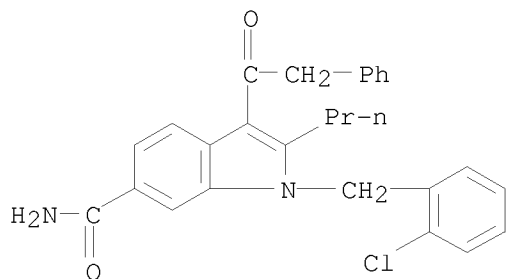
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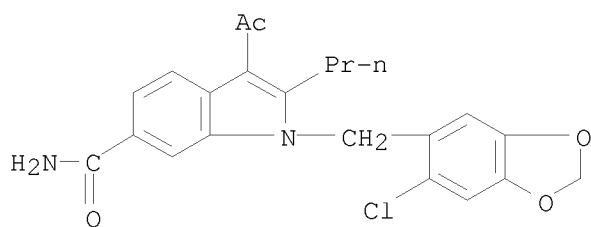
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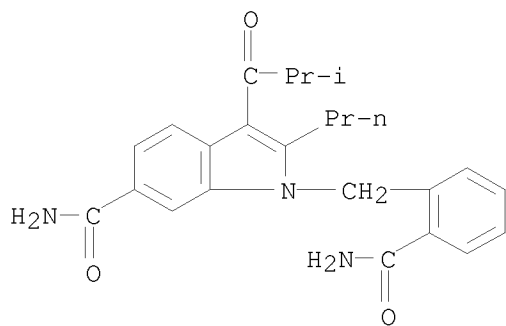
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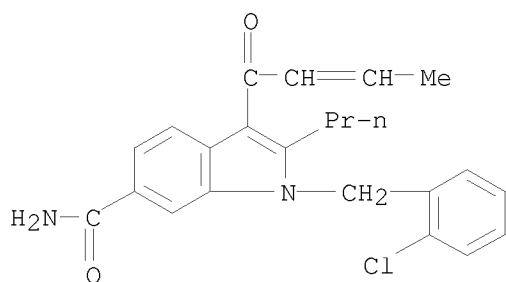
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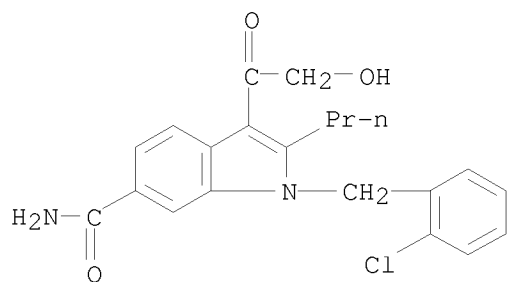
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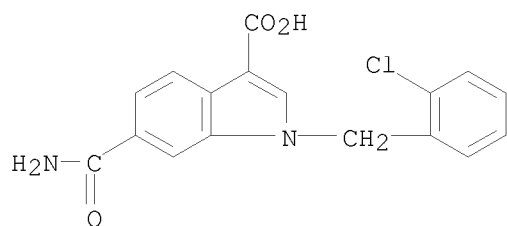
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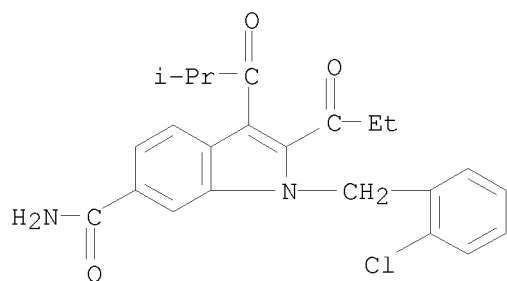
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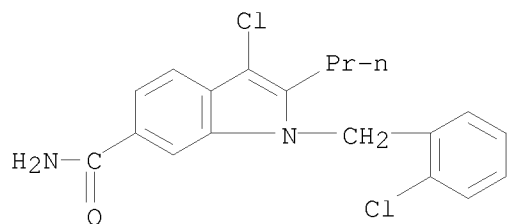
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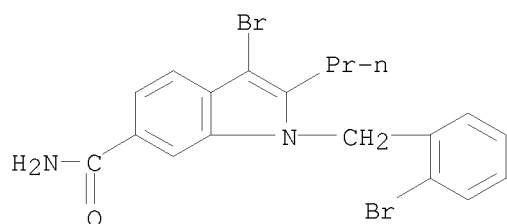
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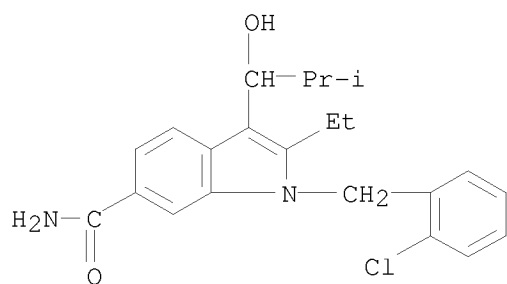
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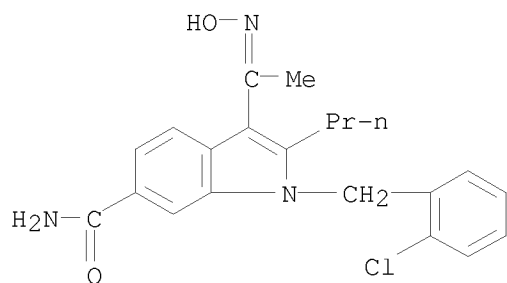
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RN 184149-22-2 CAPLUS  
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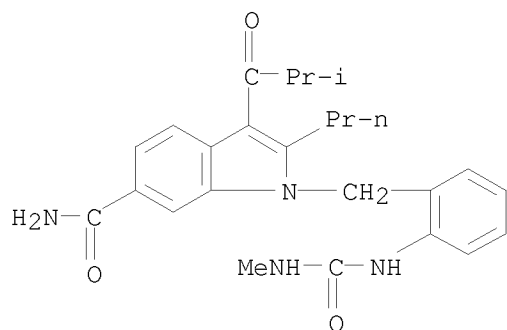


RN 184149-23-3 CAPLUS  
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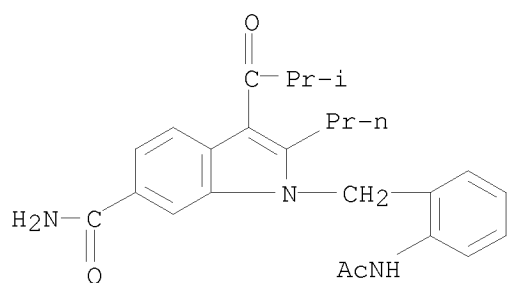
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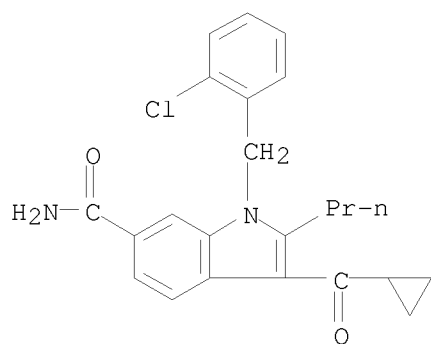
RN 184149-35-7 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[[2-(acetylamino)phenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



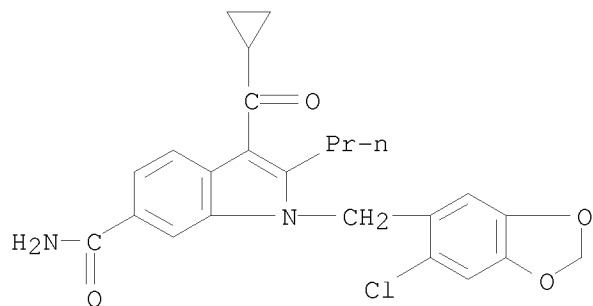
RN 184149-56-2 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)

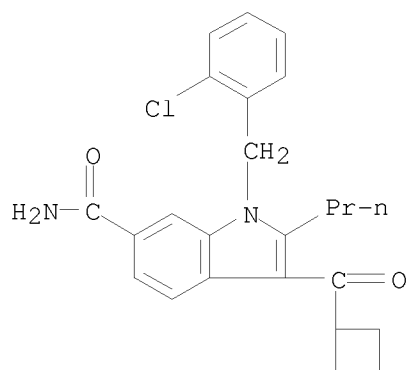


RN 184149-57-3 CAPLUS

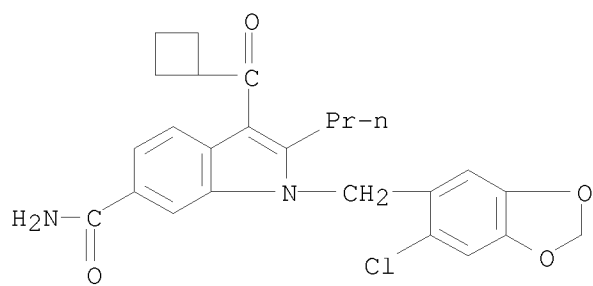
CN 1H-Indole-6-carboxamide, 1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



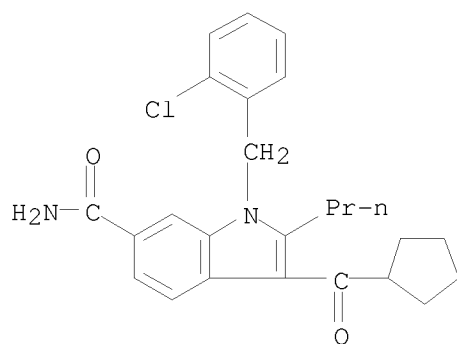
RN 184149-58-4 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(cyclobutylcarbonyl)-2-propyl- (CA INDEX NAME)



RN 184149-59-5 CAPLUS  
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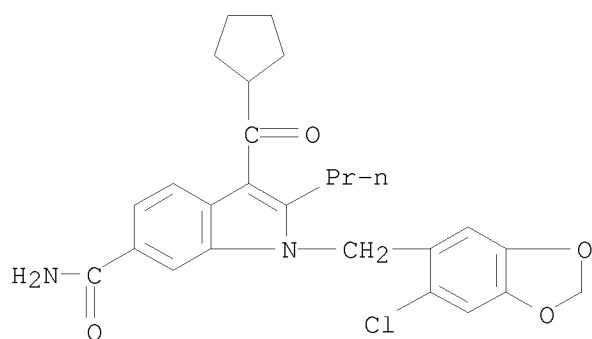


RN 184149-60-8 CAPLUS  
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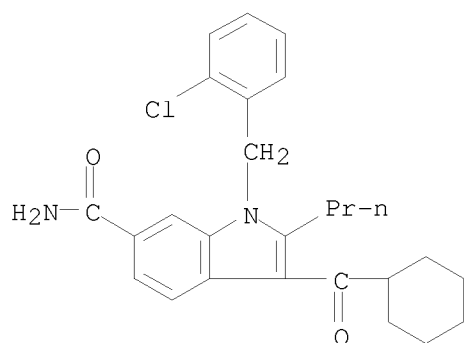
RN 184149-61-9 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(cyclopentylcarbonyl)-2-propyl- (CA INDEX NAME)



RN 184149-62-0 CAPLUS

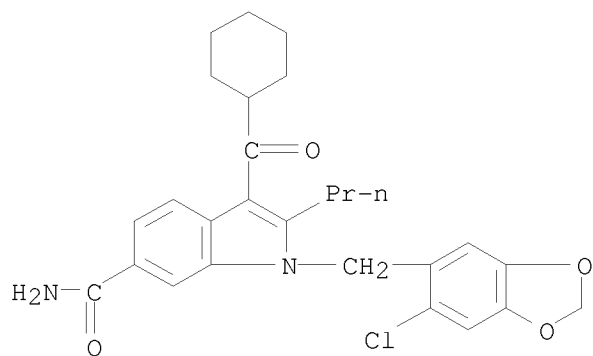
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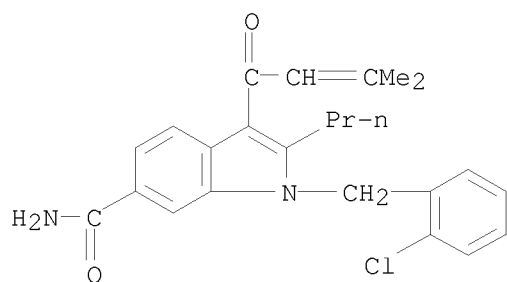
RN 184149-63-1 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(cyclohexylcarbonyl)-2-propyl- (CA INDEX NAME)

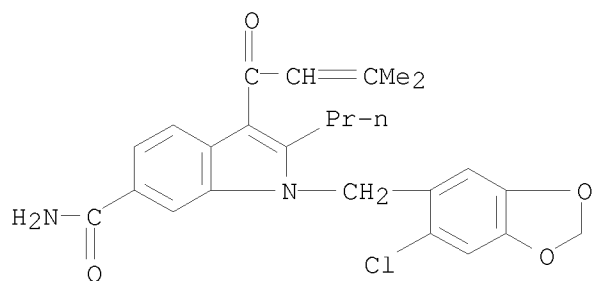




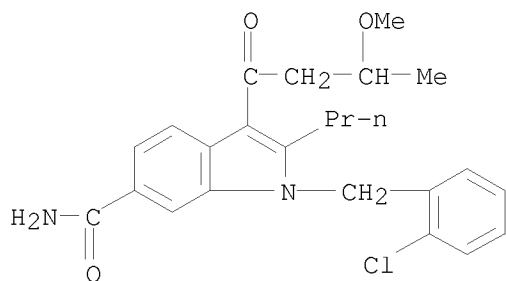
RN 184149-64-2 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(3-methyl-1-oxo-2-buten-1-yl)-2-propyl- (CA INDEX NAME)



RN 184149-65-3 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(3-methyl-1-oxo-2-buten-1-yl)-2-propyl- (CA INDEX NAME)

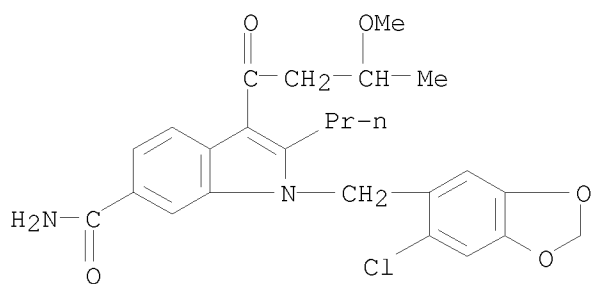


RN 184149-66-4 CAPLUS  
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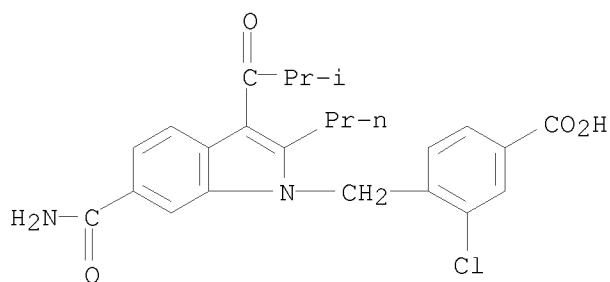
RN 184149-67-5 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(3-methoxy-1-oxobutyl)-2-propyl- (CA INDEX NAME)



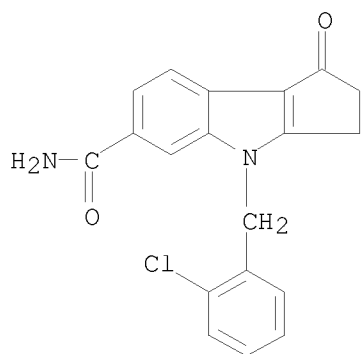
RN 184150-10-5 CAPLUS

CN Benzoic acid, 4-[[6-(aminocarbonyl)-3-(2-methyl-1-oxopropyl)-2-propyl-1H-indol-1-yl]methyl]-3-chloro- (CA INDEX NAME)



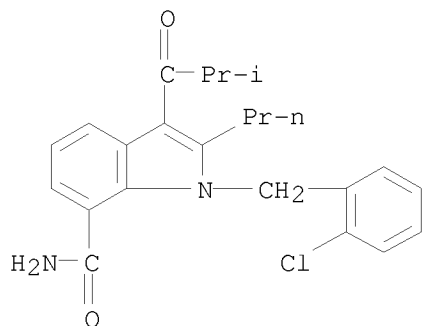
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CN Cyclopent[b]indole-6-carboxamide, 4-[(2-chlorophenyl)methyl]-1,2,3,4-tetrahydro-1-oxo- (CA INDEX NAME)



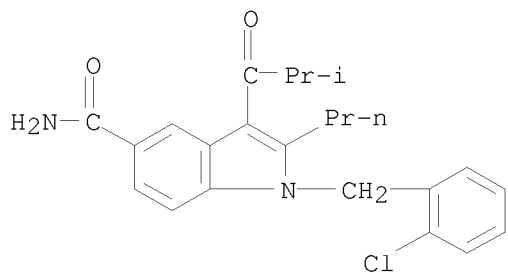
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CN 1H-Indole-7-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



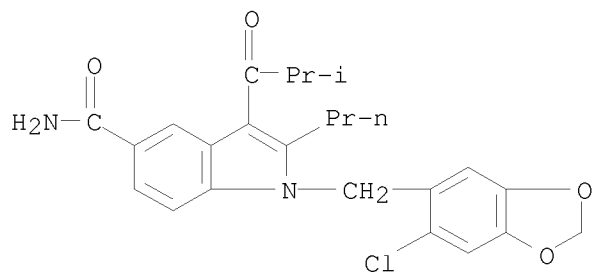
RN 184150-13-8 CAPLUS

CN 1H-Indole-5-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)

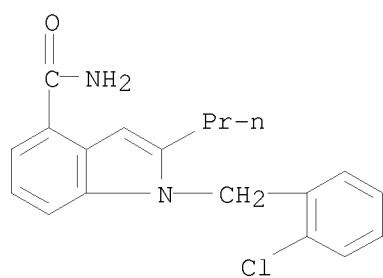


RN 184150-14-9 CAPLUS

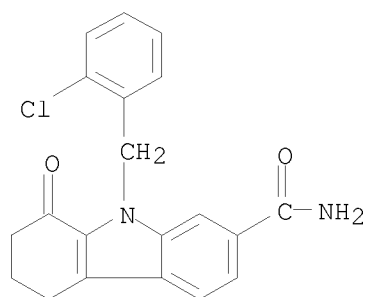
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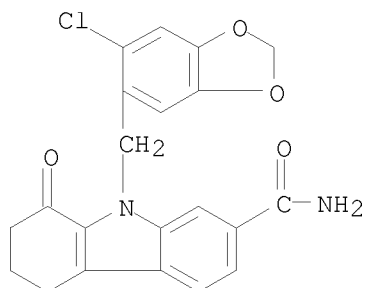
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 CN 1H-Indole-4-carboxamide, 1-[(2-chlorophenyl)methyl]-2-propyl- (CA INDEX NAME)



RN 184150-16-1 CAPLUS  
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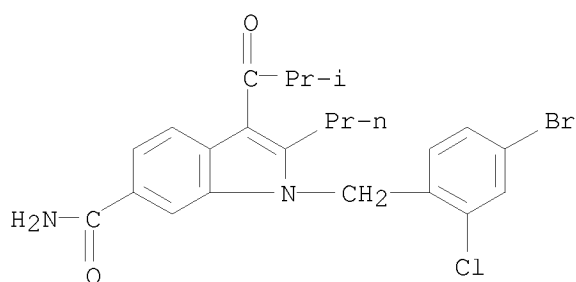


RN 184150-17-2 CAPLUS  
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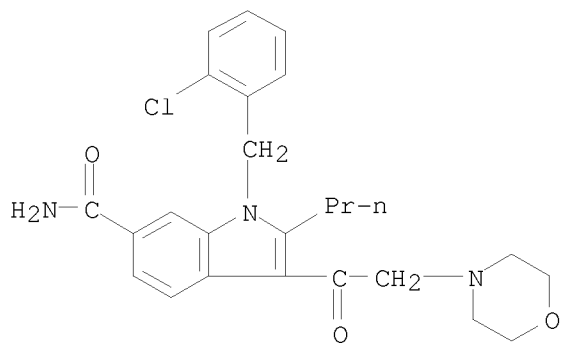
RN 184150-18-3 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(4-bromo-2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



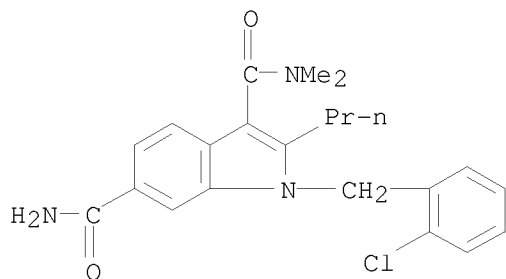
RN 184150-19-4 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-[2-(4-morpholinyl)acetyl]-2-propyl- (CA INDEX NAME)

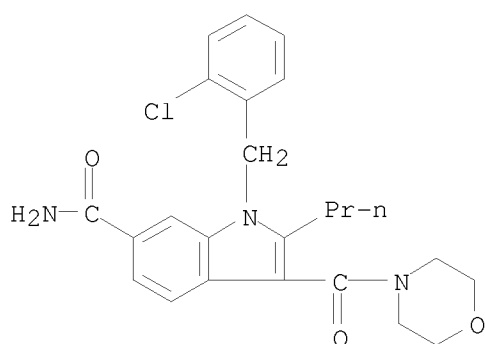


RN 184150-22-9 CAPLUS

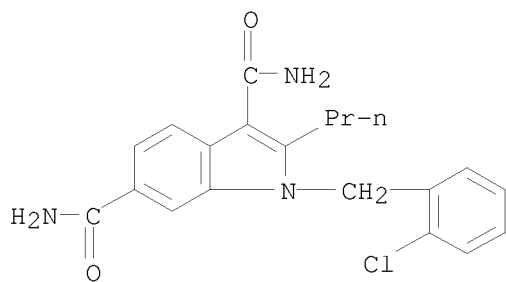
CN 1H-Indole-3,6-dicarboxamide, 1-[(2-chlorophenyl)methyl]-N3,N3-dimethyl-2-propyl- (CA INDEX NAME)



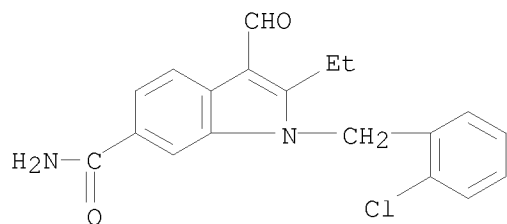
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 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(4-morpholinylcarbonyl)-2-propyl- (CA INDEX NAME)



RN 184150-24-1 CAPLUS  
 CN 1H-Indole-3,6-dicarboxamide, 1-[(2-chlorophenyl)methyl]-2-propyl- (CA INDEX NAME)

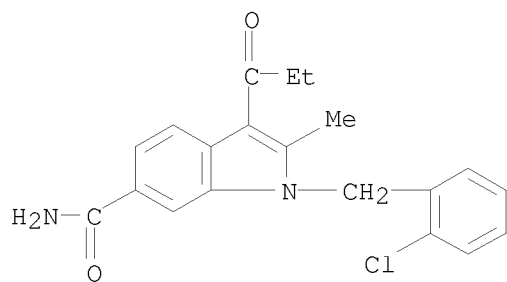


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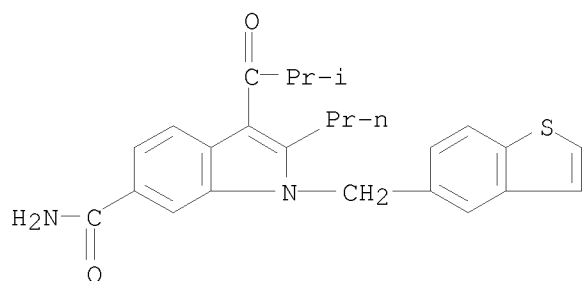
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CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-methyl-3-(1-oxopropyl)- (CA INDEX NAME)



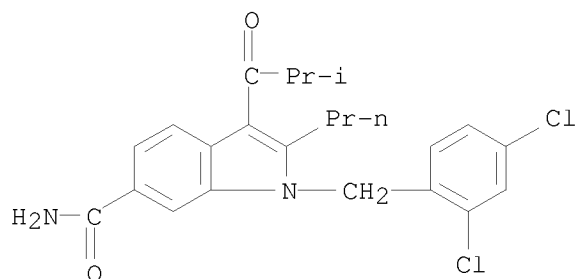
RN 184150-31-0 CAPLUS

CN 1H-Indole-6-carboxamide, 1-(benzo[b]thien-5-ylmethyl)-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)

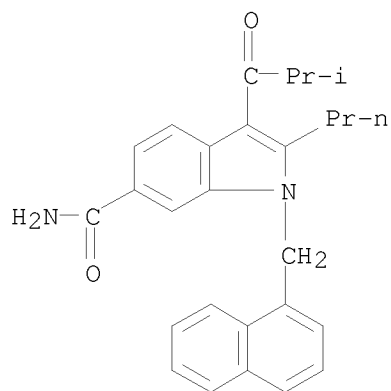


RN 184150-32-1 CAPLUS

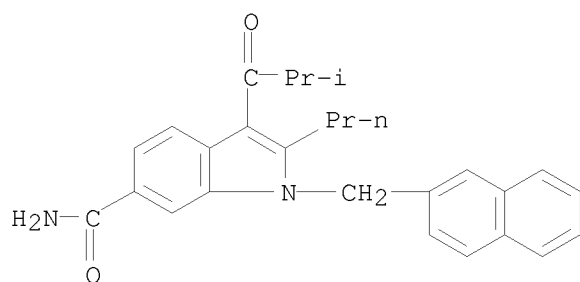
CN 1H-Indole-6-carboxamide, 1-[(2,4-dichlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



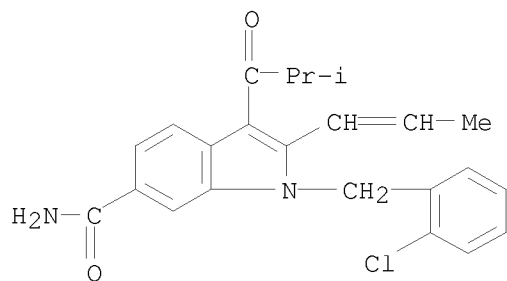
RN 184150-34-3 CAPLUS  
 CN 1H-Indole-6-carboxamide, 3-(2-methyl-1-oxopropyl)-1-(1-naphthalenylmethyl)-  
 2-propyl- (CA INDEX NAME)



RN 184150-35-4 CAPLUS  
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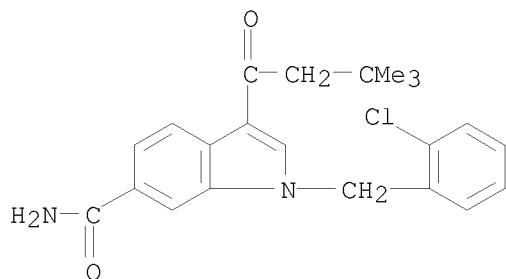


RN 184150-37-6 CAPLUS  
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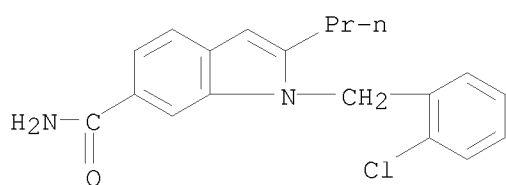


RN 184150-39-8 CAPLUS  
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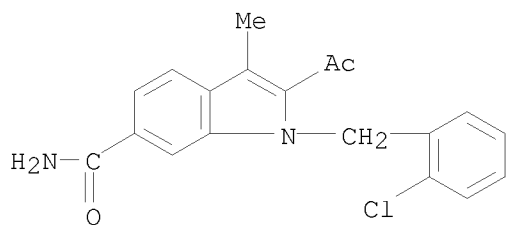




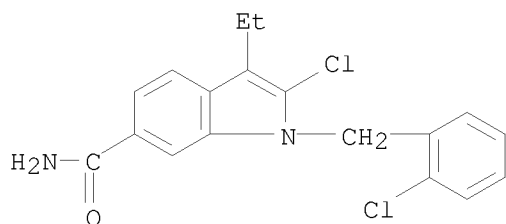
RN 184150-40-1 CAPLUS  
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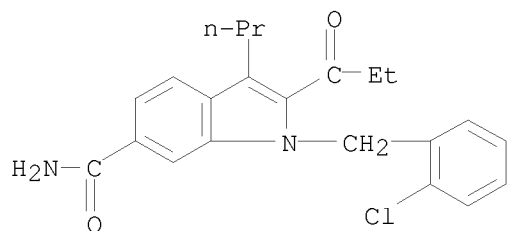
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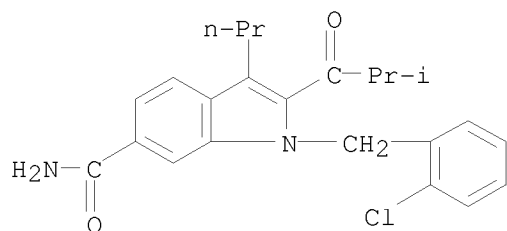
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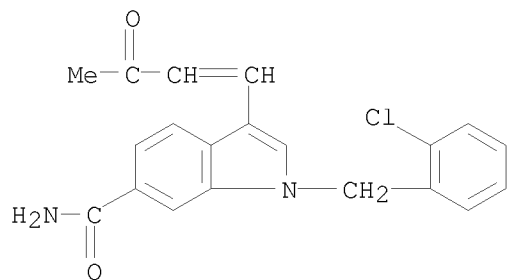
RN 184150-44-5 CAPLUS  
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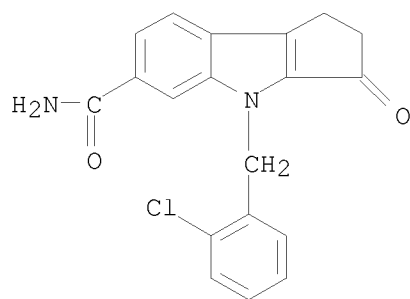
RN 184150-45-6 CAPLUS  
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RN 184150-46-7 CAPLUS  
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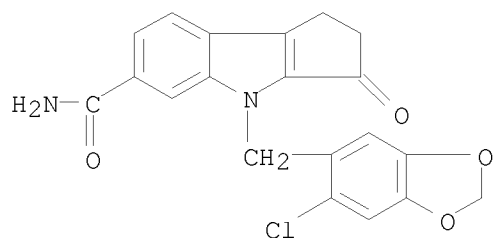


RN 184150-47-8 CAPLUS  
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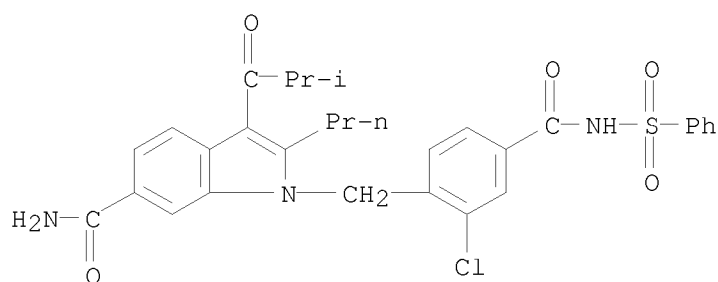
RN 184150-48-9 CAPLUS

CN Cyclopent[b]indole-6-carboxamide, 4-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-1,2,3,4-tetrahydro-3-oxo- (CA INDEX NAME)



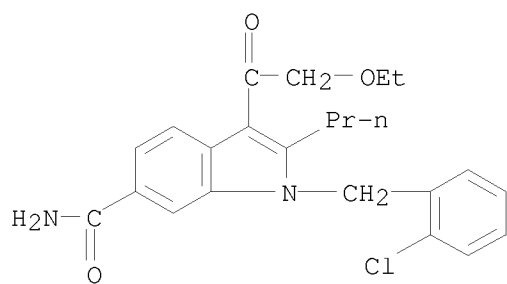
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CN 1H-Indole-6-carboxamide, 1-[[2-chloro-4-[[ (phenylsulfonyl) amino] carbonyl] phenyl] methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



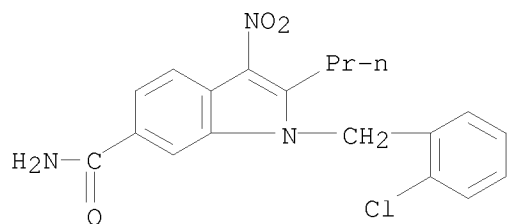
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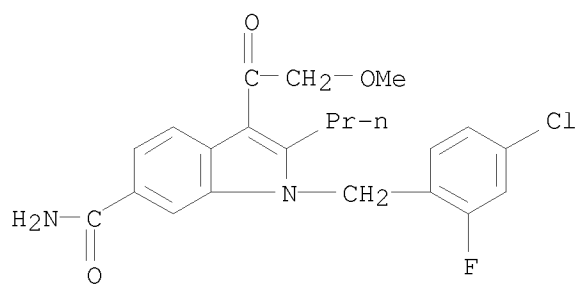


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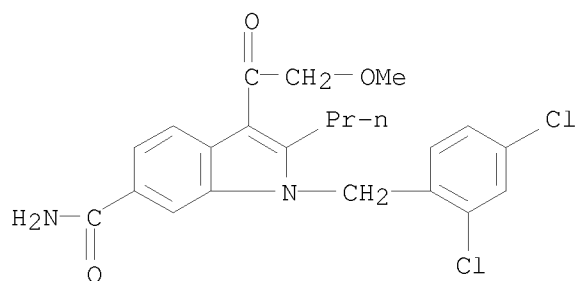
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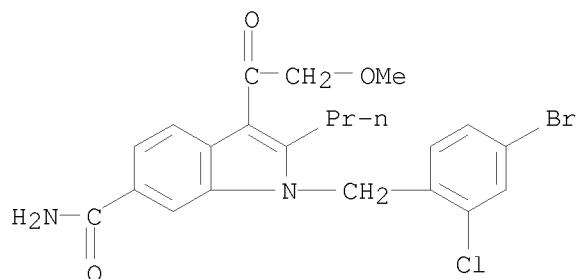
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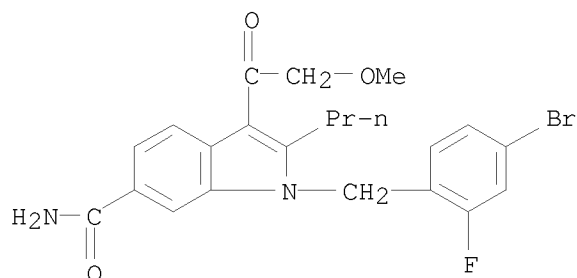
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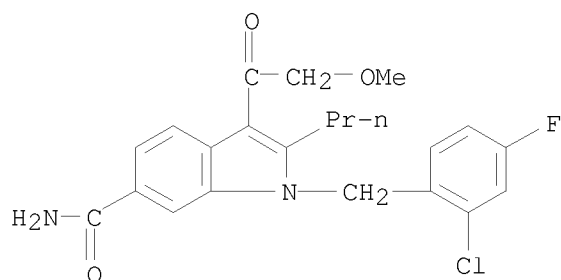
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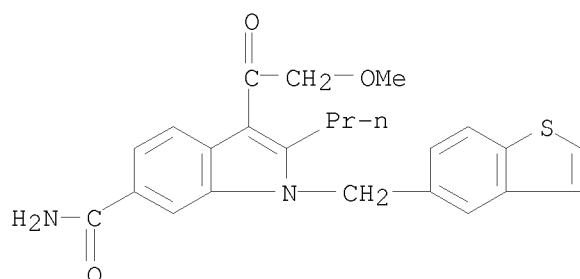
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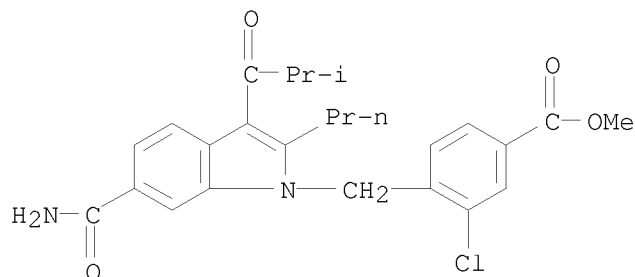
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 CN 1H-Indole-6-carboxamide, 1-[(2-chloro-4-fluorophenyl)methyl]-3-(2-methoxyacetyl)-2-propyl- (CA INDEX NAME)



RN 184150-59-2 CAPLUS  
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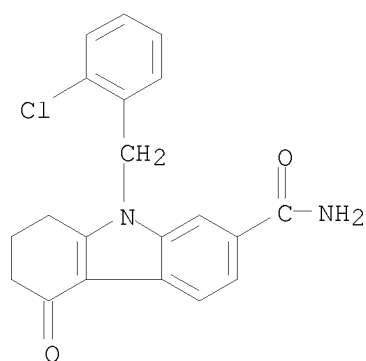


RN 184150-66-1 CAPLUS  
 CN Benzoic acid, 4-[[6-(aminocarbonyl)-3-(2-methyl-1-oxopropyl)-2-propyl-1H-indol-1-yl]methyl]-3-chloro-, methyl ester (CA INDEX NAME)



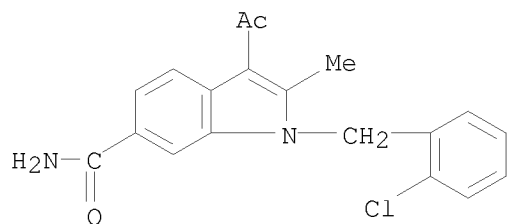
RN 184151-83-5 CAPLUS

CN 1H-Carbazole-7-carboxamide, 9-[(2-chlorophenyl)methyl]-2,3,4,9-tetrahydro-4-oxo- (CA INDEX NAME)



RN 184151-84-6 CAPLUS

CN 1H-Indole-6-carboxamide, 3-acetyl-1-[(2-chlorophenyl)methyl]-2-methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L12 ANSWER 50 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1998:155177 CAPLUS

DOCUMENT NUMBER: 128:275074

ORIGINAL REFERENCE NO.: 128:54365a,54368a

TITLE: Cyclic nucleotide phosphodiesterase (PDE) inhibitors for prevention and treatment of lupus erythematosus and nephritis, and indoles as cGMP-PDE inhibitors

INVENTOR(S): Nomoto, Atsushi; Hamada, Kaori; Kodama, Hiroshi; Sokabe, Keizo

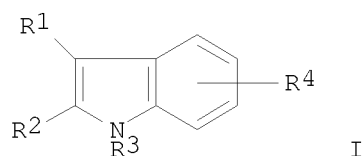
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokyo Koho, 61 pp.

DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

CODEN: JKXXAF

| PATENT NO.             | KIND              | DATE     | APPLICATION NO. | DATE       |
|------------------------|-------------------|----------|-----------------|------------|
| JP 10067682            | A                 | 19980310 | JP 1997-191618  | 19970716   |
| PRIORITY APPLN. INFO.: |                   |          | AU 1996-1188    | A 19960723 |
| OTHER SOURCE(S):       | MARPAT 128:275074 |          |                 |            |
| GI                     |                   |          |                 |            |

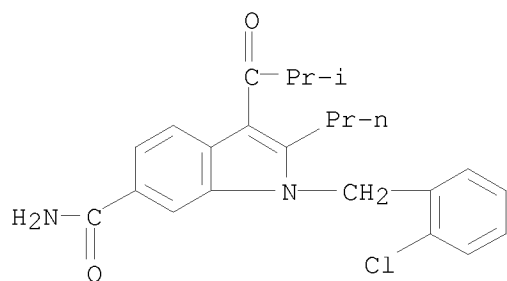


AB Prophylactic and therapeutic agents for (systemic) lupus erythematosus and lupus nephritis contain cyclic nucleotide PDE inhibitors as active ingredients. Also claimed are indoles I [R1 = H, halo, NO2, (protected) CO2H, acyl, cyano, hydroxyimino-lower alkyl, (oxo-substituted) lower alkenyl, etc.; R2 = H, halo, lower alkenyl, acyl, (protected) CO2H, lower alkoxy, lower (hydroxy)alkyl; R3 = (un)substituted lower alkenyl, (un)substituted lower alkyl; R4 = (protected) CO2H, acyl, cyano, halo, heterocyclyl, (un)substituted NH2, (un)substituted alkyl; R1CCR2 may form (oxo-substituted) 4- to 7-membered heterocyclic ring] or their medically acceptable salts as cGMP-PDE inhibitors.  
 1-(6-Chloro-3,4-methylenedioxybenzyl)-3-methoxyacetyl-2-propylindole-6-carboxamide was effective in treatment of immune-complex nephritis in mice.

IT 184147-65-7P 205527-99-7P  
 RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of indoles as cyclic nucleotide PDE inhibitors for treatment of lupus erythematosus and nephritis)

RN 184147-65-7 CAPLUS

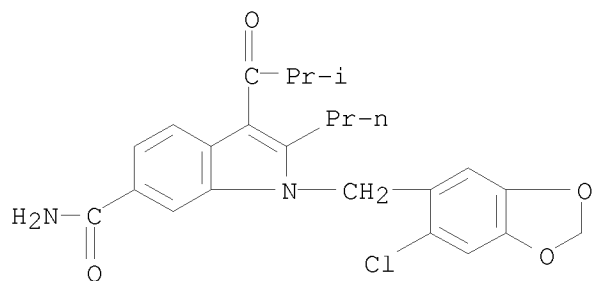
CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



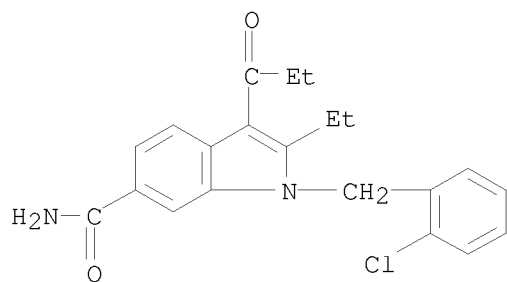
RN 205527-99-7 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(2-

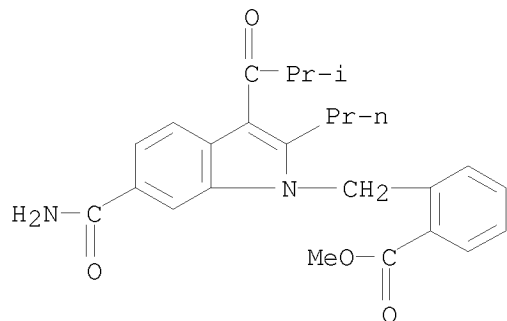
methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



IT 184147-86-2P 184148-12-7P 184148-89-8P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (preparation of indoles as cyclic nucleotide PDE inhibitors for treatment of lupus erythematosus and nephritis)  
 RN 184147-86-2 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-ethyl-3-(1-oxopropyl)- (CA INDEX NAME)

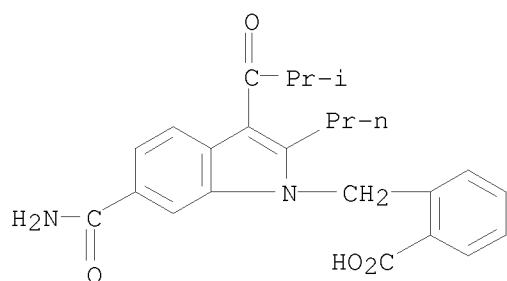


RN 184148-12-7 CAPLUS  
 CN Benzoic acid, 2-[[6-(aminocarbonyl)-3-(2-methyl-1-oxopropyl)-2-propyl-1H-indol-1-yl]methyl]-, methyl ester (CA INDEX NAME)



RN 184148-89-8 CAPLUS  
 CN Benzoic acid, 2-[[6-(aminocarbonyl)-3-(2-methyl-1-oxopropyl)-2-propyl-1H-indol-1-yl]methyl]- (CA INDEX NAME)





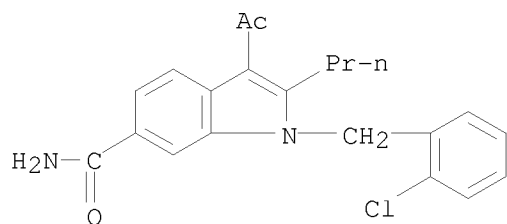
|    |               |  |              |
|----|---------------|--|--------------|
| IT | 184147-58-8P  | 184147-72-6P                                       | 184147-80-6P |
|    | 184147-98-6P  | 184148-11-6P                                       | 184148-13-8P |
|    | 184148-14-9P, | 1-Benzyl-3-isobutyryl-2-propylindole-6-carboxamide |              |
|    | 184148-15-0P  | 184148-16-1P                                       | 184148-17-2P |
|    | 184148-19-4P, | 3-Isobutyryl-1-phenethyl-2-propylindole-6-         |              |
|    | carboxamide   | 184148-66-1P                                       | 184148-67-2P |
|    | 184148-68-3P  | 184148-69-4P                                       | 184148-70-7P |
|    | 184148-71-8P  | 184148-72-9P                                       | 184148-73-0P |
|    | 184148-74-1P  | 184148-75-2P                                       | 184148-76-3P |
|    | 184148-77-4P  | 184148-78-5P                                       | 184148-80-9P |
|    | 184148-82-1P  | 184148-83-2P                                       | 184148-84-3P |
|    | 184148-85-4P  | 184148-86-5P                                       | 184148-87-6P |
|    | 184148-90-1P  | 184149-00-6P                                       | 184149-12-0P |
|    | 184149-15-3P  | 184149-16-4P                                       | 184149-17-5P |
|    | 184149-18-6P  | 184149-22-2P                                       | 184149-23-3P |
|    | 184149-24-4P  | 184149-56-2P                                       | 184149-57-3P |
|    | 184149-58-4P  | 184149-59-5P                                       | 184149-60-8P |
|    | 184149-61-9P  | 184149-62-0P                                       | 184149-63-1P |
|    | 184149-64-2P  | 184149-65-3P                                       | 184149-66-4P |
|    | 184149-67-5P  | 184150-10-5P                                       | 184150-11-6P |
|    | 184150-12-7P  | 184150-13-8P                                       | 184150-14-9P |
|    | 184150-15-0P  | 184150-16-1P                                       | 184150-17-2P |
|    | 184150-19-4P  | 184150-23-0P                                       | 184150-24-1P |
|    | 184150-25-2P  | 184150-28-5P                                       | 184150-31-0P |
|    | 184150-32-1P  | 184150-34-3P                                       | 184150-35-4P |
|    | 184150-37-6P  | 184150-39-8P                                       | 184150-40-1P |
|    | 184150-42-3P  | 184150-43-4P                                       | 184150-44-5P |
|    | 184150-45-6P  | 184150-46-7P                                       | 184150-47-8P |
|    | 184150-48-9P  | 184150-49-0P                                       | 184150-50-3P |
|    | 184150-53-6P  | 184150-54-7P                                       | 184150-55-8P |
|    | 184150-56-9P  | 184150-57-0P                                       | 184150-58-1P |
|    | 184150-59-2P  | 184150-66-1P                                       | 184151-83-5P |
|    | 184151-84-6P  | 205527-90-8P                                       | 205527-98-6P |
|    | 205528-01-4P  | 205528-05-8P                                       |              |

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

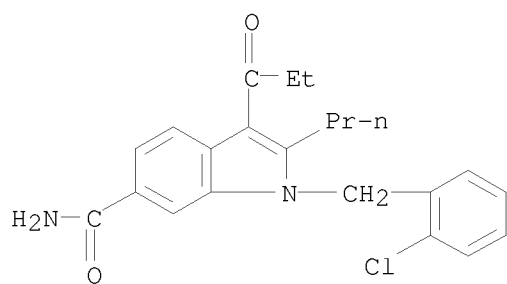
(preparation of indoles as cyclic nucleotide PDE inhibitors for treatment of lupus erythematosus and nephritis)

RN 184147-58-8 CAPLUS

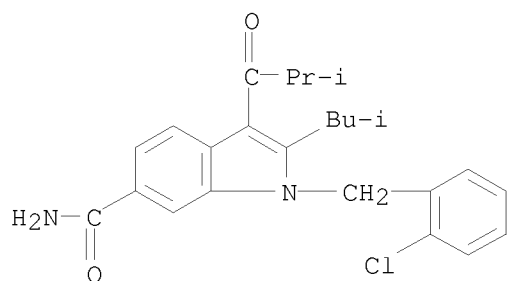
CN 1H-Indole-6-carboxamide, 3-acetyl-1-[(2-chlorophenyl)methyl]-2-propyl-  
(CA INDEX NAME)



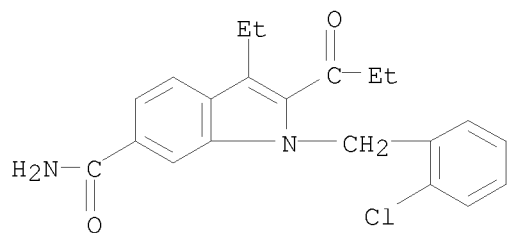
RN 184147-72-6 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(1-oxopropyl)-2-  
 propyl- (CA INDEX NAME)



RN 184147-80-6 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-  
 oxopropyl)-2-(2-methylpropyl)- (CA INDEX NAME)

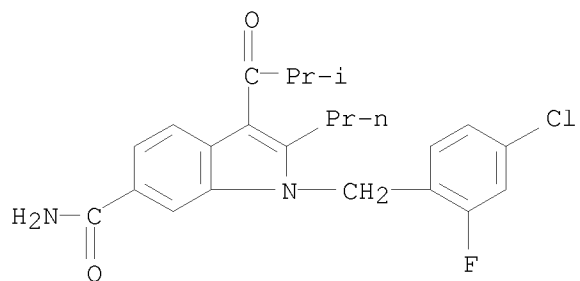


RN 184147-98-6 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-ethyl-2-(1-  
 oxopropyl)- (CA INDEX NAME)



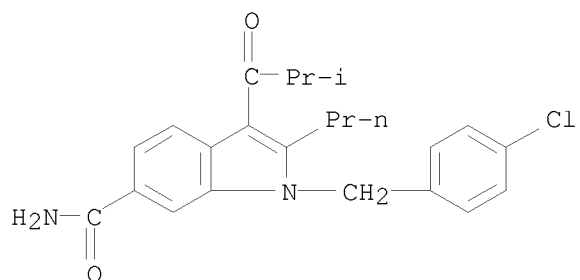
RN 184148-11-6 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(4-chloro-2-fluorophenyl)methyl]-3-(2-methyl-1-

oxopropyl)-2-propyl- (CA INDEX NAME)



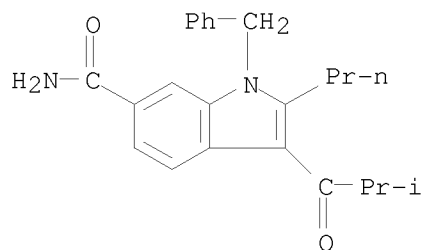
RN 184148-13-8 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(4-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



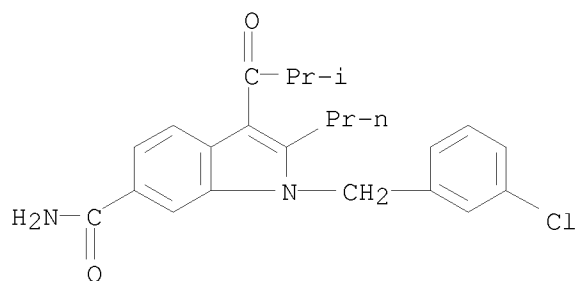
RN 184148-14-9 CAPLUS

CN 1H-Indole-6-carboxamide, 3-(2-methyl-1-oxopropyl)-1-(phenylmethyl)-2-propyl- (CA INDEX NAME)



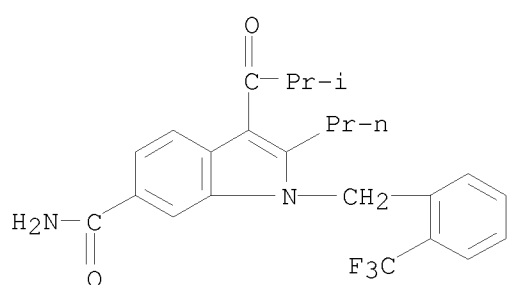
RN 184148-15-0 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(3-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



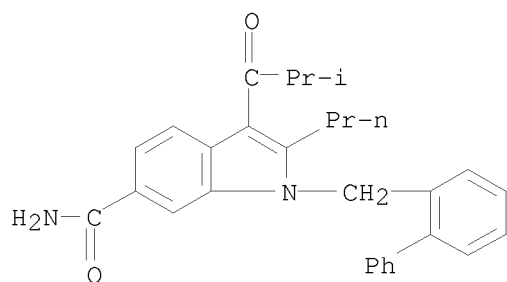
RN 184148-16-1 CAPLUS

CN 1H-Indole-6-carboxamide, 3-(2-methyl-1-oxopropyl)-2-propyl-1-[[2-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)



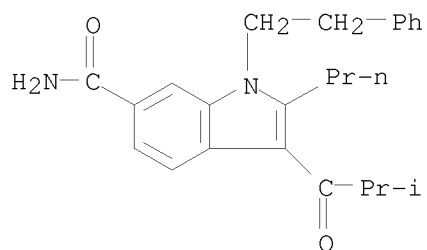
RN 184148-17-2 CAPLUS

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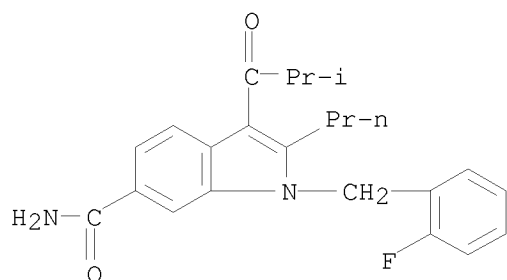


RN 184148-19-4 CAPLUS

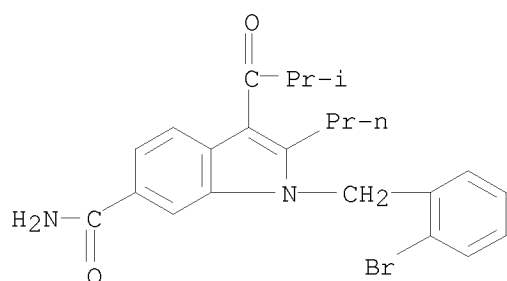
CN 1H-Indole-6-carboxamide, 3-(2-methyl-1-oxopropyl)-1-(2-phenylethyl)-2-propyl- (CA INDEX NAME)



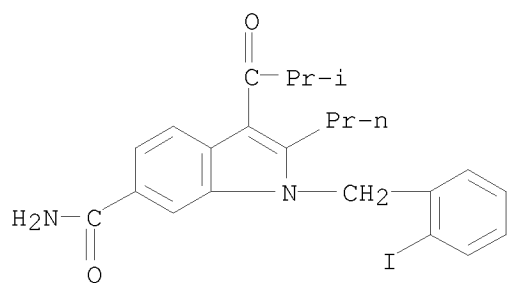
RN 184148-66-1 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-fluorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



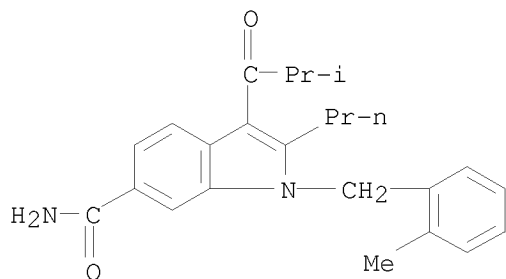
RN 184148-67-2 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-bromophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



RN 184148-68-3 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-iodophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)

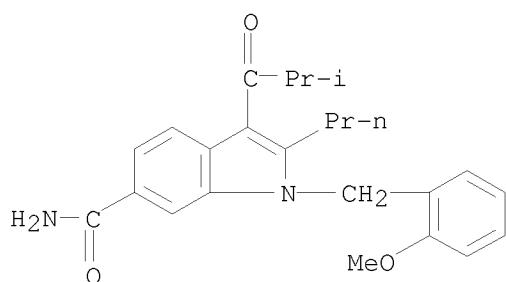


RN 184148-69-4 CAPLUS  
 CN 1H-Indole-6-carboxamide, 3-(2-methyl-1-oxopropyl)-1-[(2-methylphenyl)methyl]-2-propyl- (CA INDEX NAME)



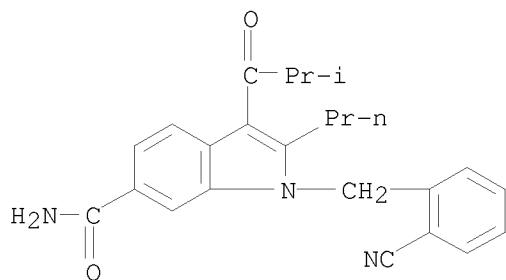
RN 184148-70-7 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-methoxyphenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



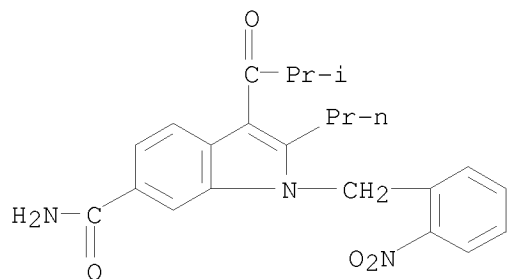
RN 184148-71-8 CAPLUS

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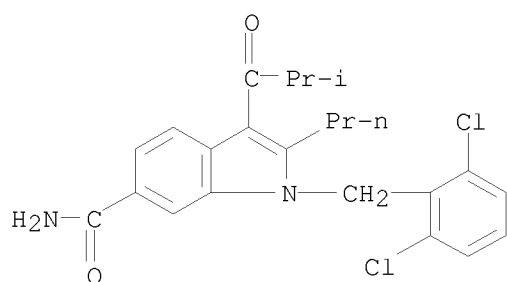
RN 184148-72-9 CAPLUS

CN 1H-Indole-6-carboxamide, 3-(2-methyl-1-oxopropyl)-1-[(2-nitrophenyl)methyl]-2-propyl- (CA INDEX NAME)



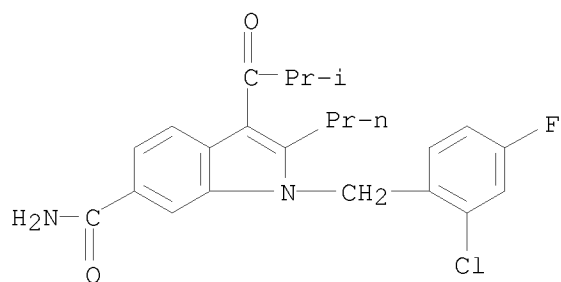
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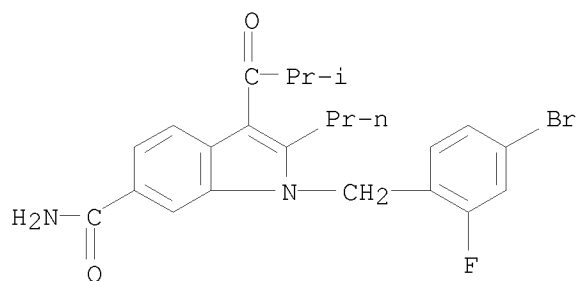
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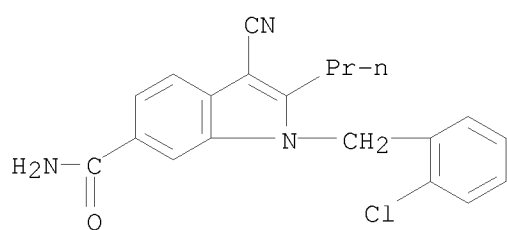
RN 184148-75-2 CAPLUS

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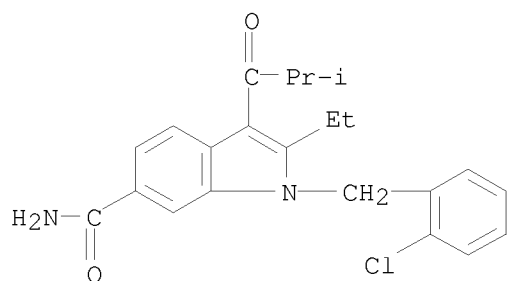
RN 184148-76-3 CAPLUS

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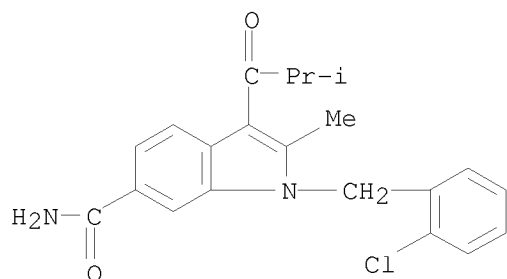
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CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-ethyl-3-(2-methyl-1-oxopropyl)- (CA INDEX NAME)



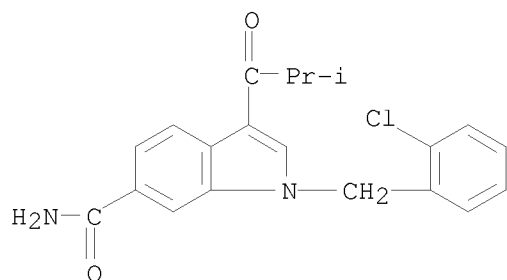
RN 184148-78-5 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-methyl-3-(2-methyl-1-oxopropyl)- (CA INDEX NAME)

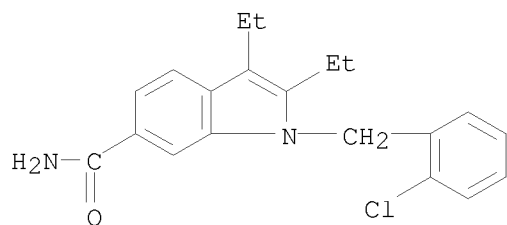




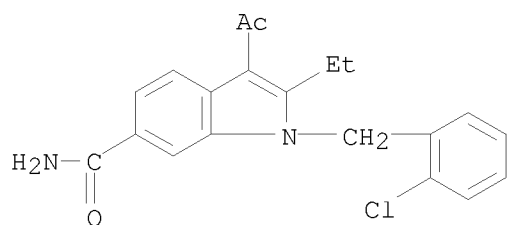
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 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)- (CA INDEX NAME)



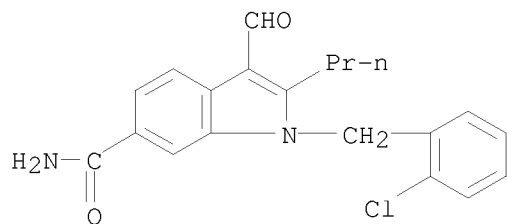
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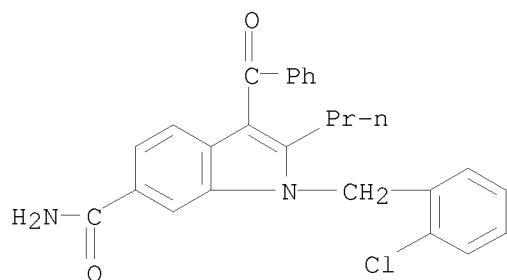
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 CN 1H-Indole-6-carboxamide, 3-acetyl-1-[(2-chlorophenyl)methyl]-2-ethyl- (CA INDEX NAME)



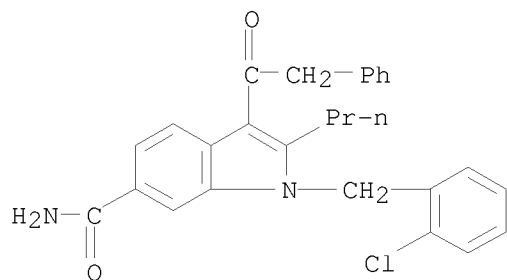
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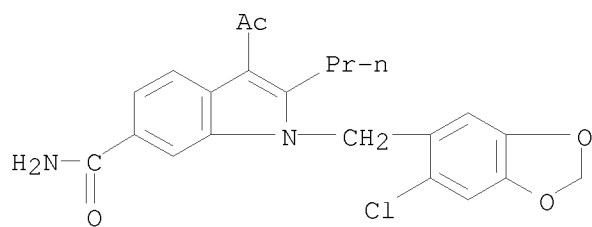
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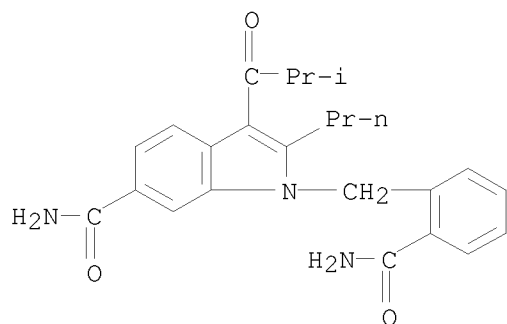
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 (CA INDEX NAME)



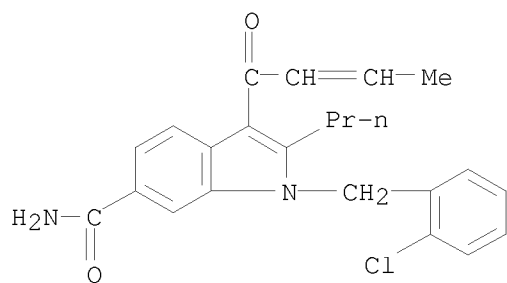
RN 184148-87-6 CAPLUS  
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 (CA INDEX NAME)



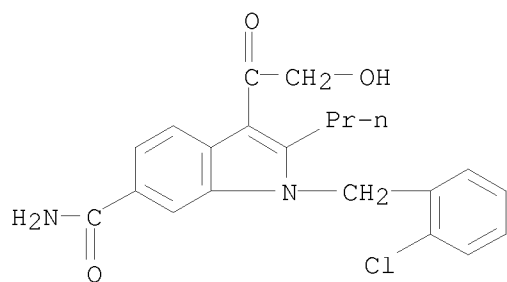
RN 184148-90-1 CAPLUS  
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 (CA INDEX NAME)



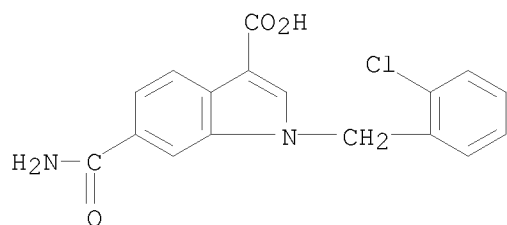
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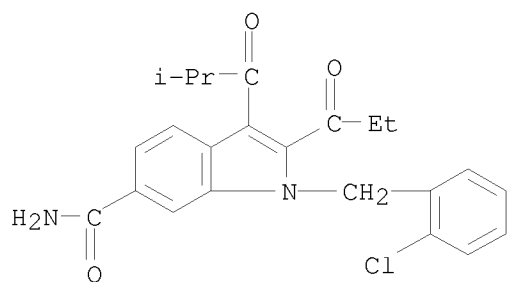
RN 184149-12-0 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-hydroxyacetyl)-2-propyl- (CA INDEX NAME)



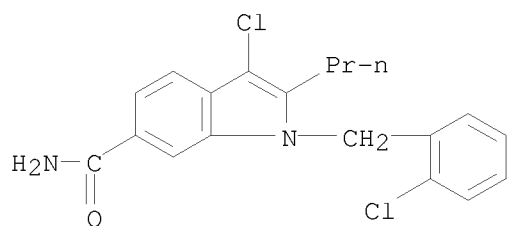
RN 184149-15-3 CAPLUS  
 CN 1H-Indole-3-carboxylic acid, 6-(aminocarbonyl)-1-[(2-chlorophenyl)methyl]- (CA INDEX NAME)



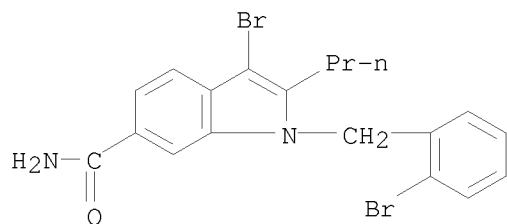
RN 184149-16-4 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-(1-oxopropyl)- (CA INDEX NAME)



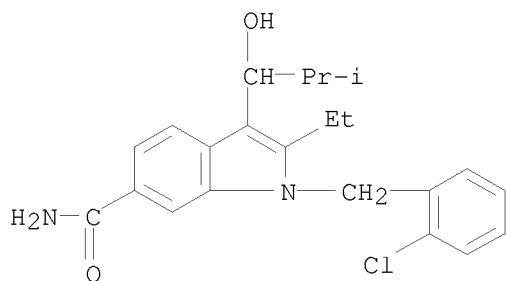
RN 184149-17-5 CAPLUS  
 CN 1H-Indole-6-carboxamide, 3-chloro-1-[(2-chlorophenyl)methyl]-2-propyl- (CA INDEX NAME)



RN 184149-18-6 CAPLUS  
 CN 1H-Indole-6-carboxamide, 3-bromo-1-[(2-bromophenyl)methyl]-2-propyl- (CA INDEX NAME)

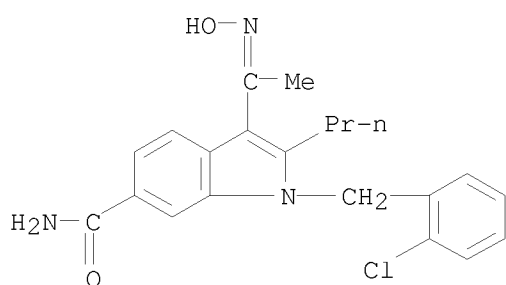


RN 184149-22-2 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-ethyl-3-(1-hydroxy-2-methylpropyl)- (CA INDEX NAME)



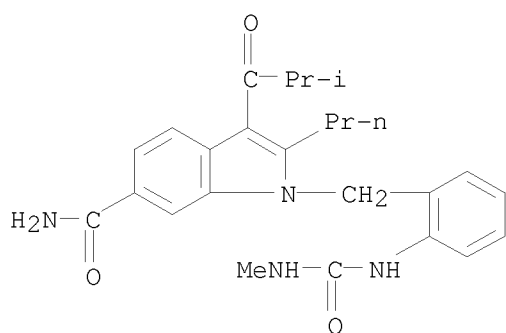
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CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-[1-(hydroxyimino)ethyl]-2-propyl- (CA INDEX NAME)



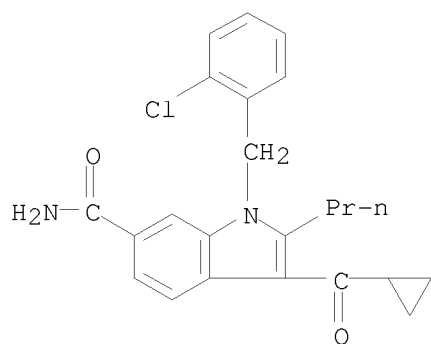
RN 184149-24-4 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[[2-[[[(methylamino)carbonyl]amino]phenyl]methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)

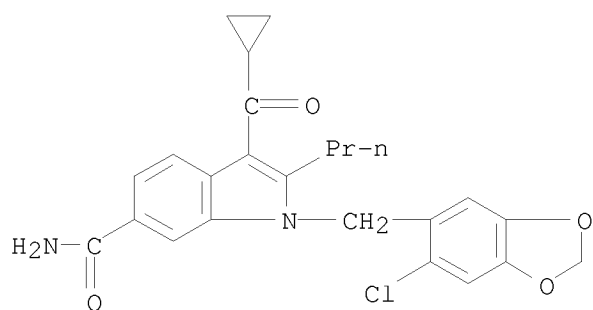


RN 184149-56-2 CAPLUS

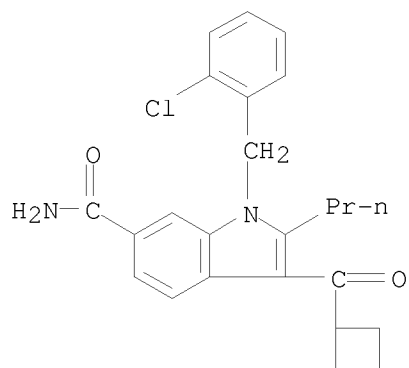
CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(cyclopropylcarbonyl)-2-propyl- (CA INDEX NAME)



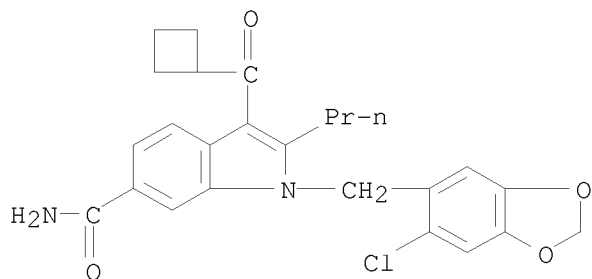
RN 184149-57-3 CAPLUS  
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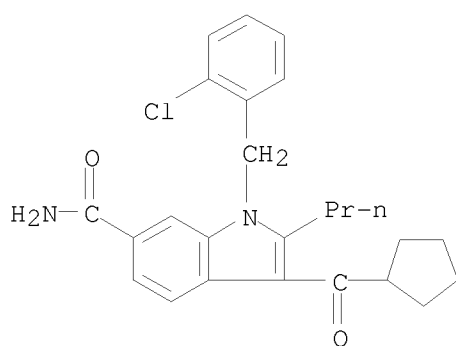
RN 184149-58-4 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(cyclobutylcarbonyl)-2-propyl- (CA INDEX NAME)



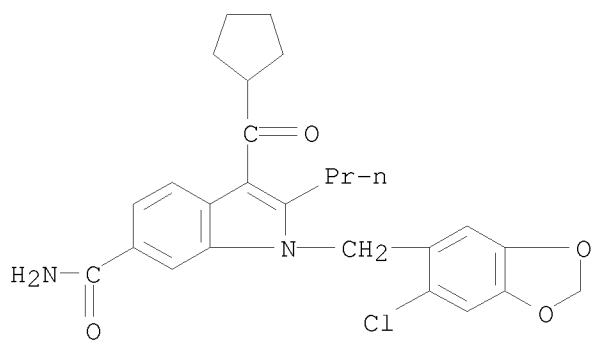
RN 184149-59-5 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(cyclobutylcarbonyl)-2-propyl- (CA INDEX NAME)



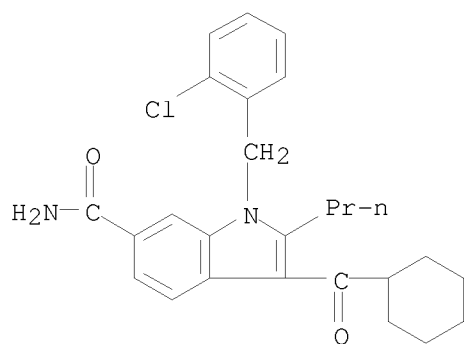
RN 184149-60-8 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(cyclopentylcarbonyl)-2-propyl- (CA INDEX NAME)



RN 184149-61-9 CAPLUS  
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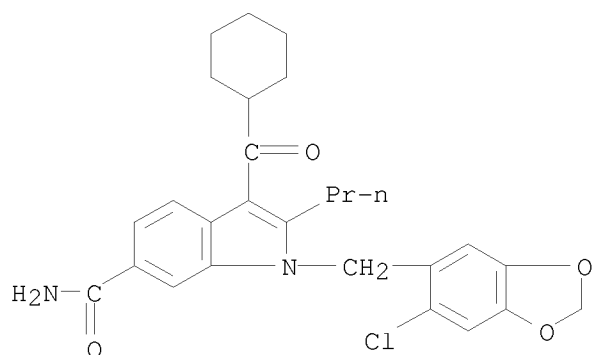


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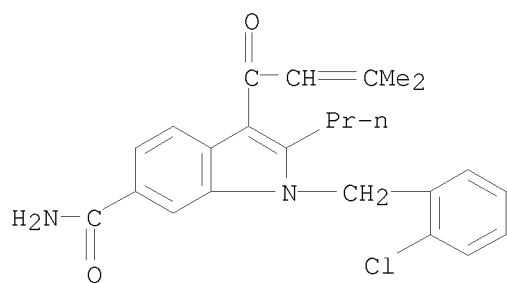
RN 184149-63-1 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(cyclohexylcarbonyl)-2-propyl- (CA INDEX NAME)



RN 184149-64-2 CAPLUS

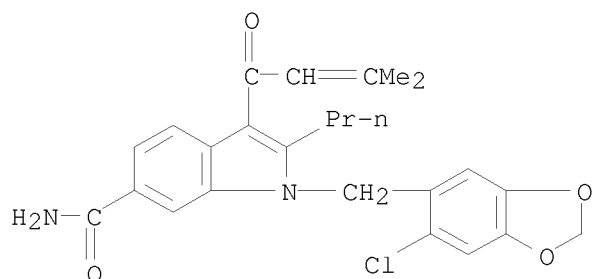
CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(3-methyl-1-oxo-2-buten-1-yl)-2-propyl- (CA INDEX NAME)



RN 184149-65-3 CAPLUS

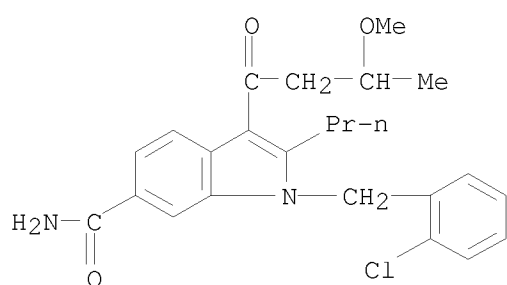
CN 1H-Indole-6-carboxamide, 1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(3-methyl-1-oxo-2-buten-1-yl)-2-propyl- (CA INDEX NAME)





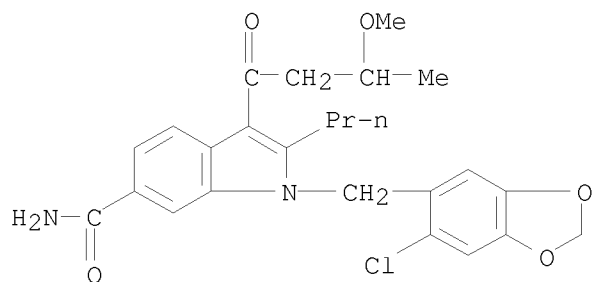
RN 184149-66-4 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(3-methoxy-1-oxobutyl)-2-propyl- (CA INDEX NAME)



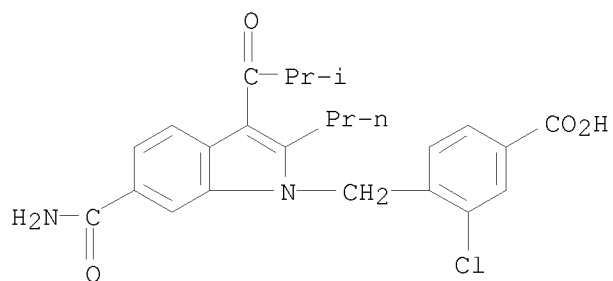
RN 184149-67-5 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(3-methoxy-1-oxobutyl)-2-propyl- (CA INDEX NAME)



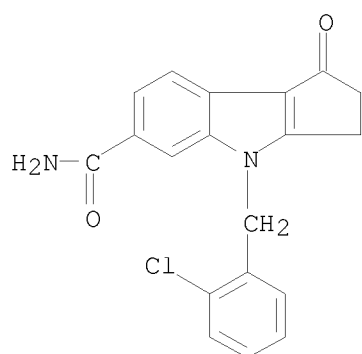
RN 184150-10-5 CAPLUS

CN Benzoic acid, 4-[[6-(aminocarbonyl)-3-(2-methyl-1-oxopropyl)-2-propyl-1H-indol-1-yl]methyl]-3-chloro- (CA INDEX NAME)



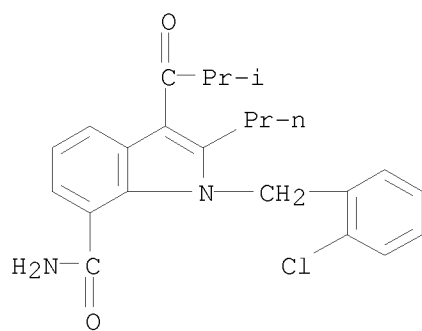
RN 184150-11-6 CAPLUS

CN Cyclopent[b]indole-6-carboxamide, 4-[(2-chlorophenyl)methyl]-1,2,3,4-tetrahydro-1-oxo- (CA INDEX NAME)



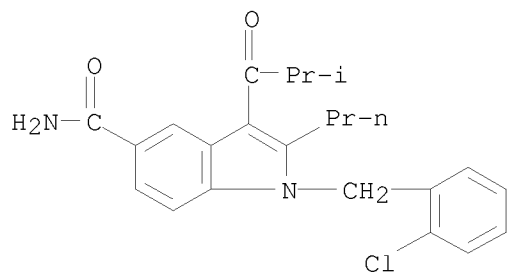
RN 184150-12-7 CAPLUS

CN 1H-Indole-7-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



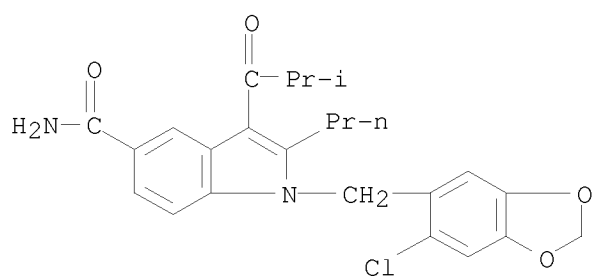
RN 184150-13-8 CAPLUS

CN 1H-Indole-5-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



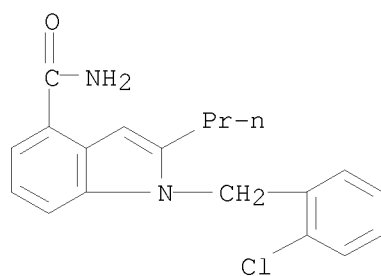
RN 184150-14-9 CAPLUS

CN 1H-Indole-5-carboxamide, 1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



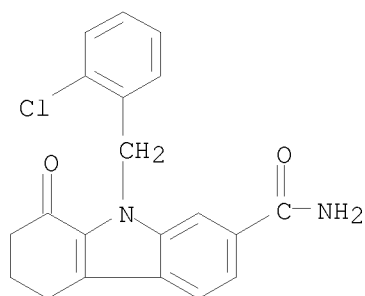
RN 184150-15-0 CAPLUS

CN 1H-Indole-4-carboxamide, 1-[(2-chlorophenyl)methyl]-2-propyl- (CA INDEX NAME)



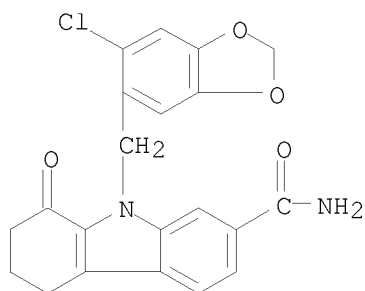
RN 184150-16-1 CAPLUS

CN 1H-Carbazole-7-carboxamide, 9-[(2-chlorophenyl)methyl]-2,3,4,9-tetrahydro-1-oxo- (CA INDEX NAME)



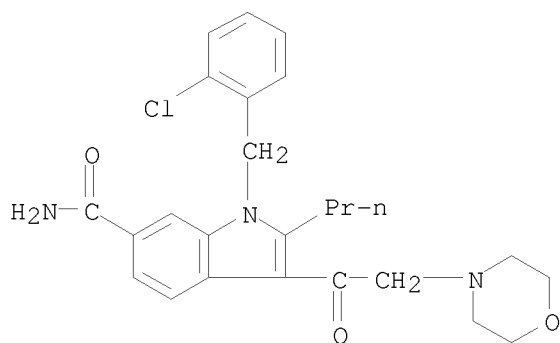
RN 184150-17-2 CAPLUS

CN 1H-Carbazole-7-carboxamide, 9-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-2,3,4,9-tetrahydro-1-oxo- (CA INDEX NAME)



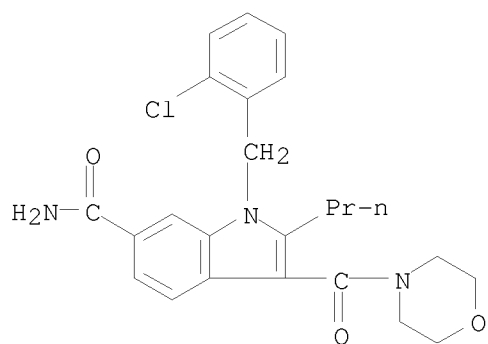
RN 184150-19-4 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-[2-(4-morpholinyl)acetyl]-2-propyl- (CA INDEX NAME)



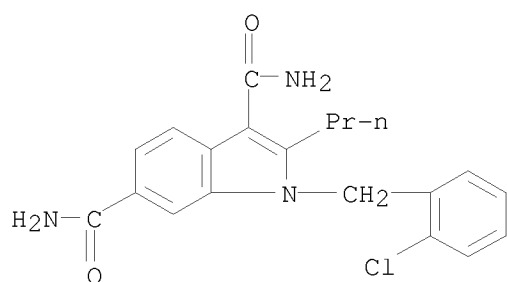
RN 184150-23-0 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(4-morpholinylcarbonyl)-2-propyl- (CA INDEX NAME)



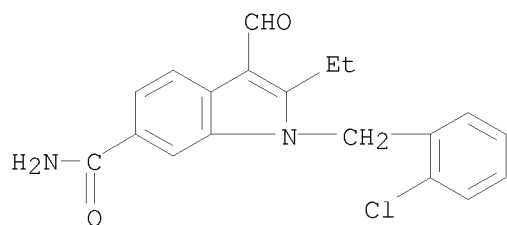
RN 184150-24-1 CAPLUS

CN 1H-Indole-3,6-dicarboxamide, 1-[(2-chlorophenyl)methyl]-2-propyl- (CA INDEX NAME)



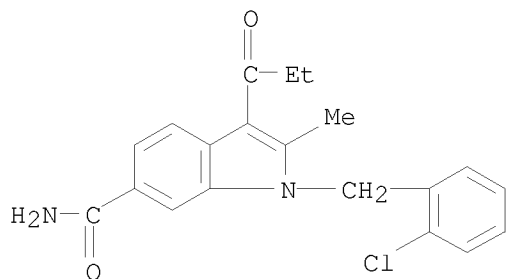
RN 184150-25-2 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-ethyl-3-formyl- (CA INDEX NAME)

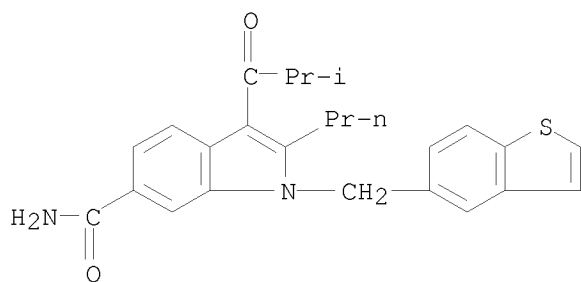


RN 184150-28-5 CAPLUS

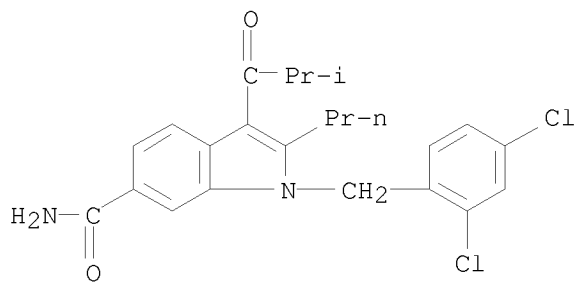
CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-methyl-3-(1-oxopropyl)- (CA INDEX NAME)



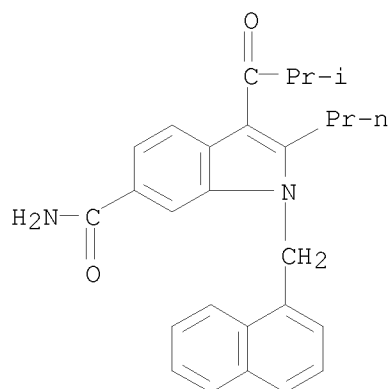
RN 184150-31-0 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-(benzo[b]thien-5-ylmethyl)-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



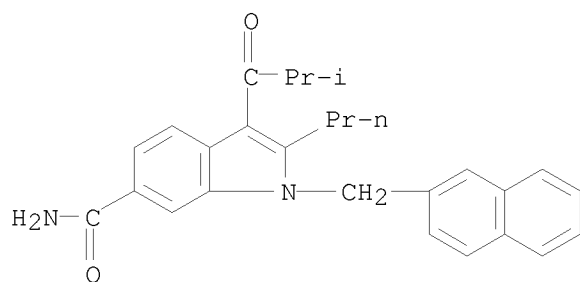
RN 184150-32-1 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2,4-dichlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



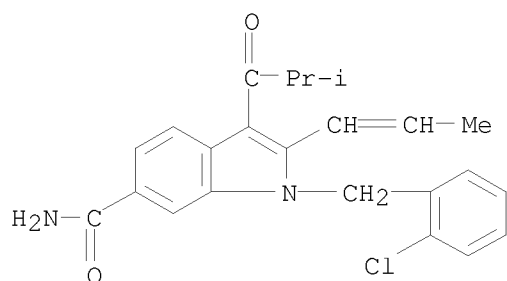
RN 184150-34-3 CAPLUS  
 CN 1H-Indole-6-carboxamide, 3-(2-methyl-1-oxopropyl)-1-(1-naphthalenylmethyl)-2-propyl- (CA INDEX NAME)



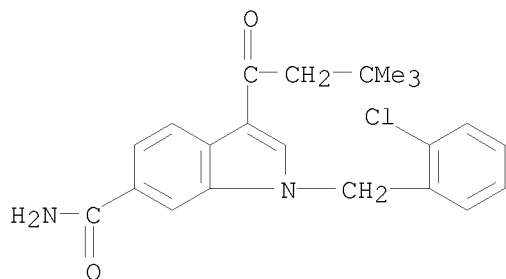
RN 184150-35-4 CAPLUS  
 CN 1H-Indole-6-carboxamide, 3-(2-methyl-1-oxopropyl)-1-(2-naphthalenylmethyl)-  
 2-propyl- (CA INDEX NAME)



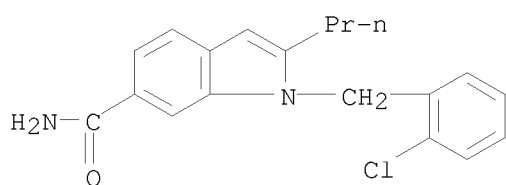
RN 184150-37-6 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-  
 oxopropyl)-2-(1-propen-1-yl)- (CA INDEX NAME)



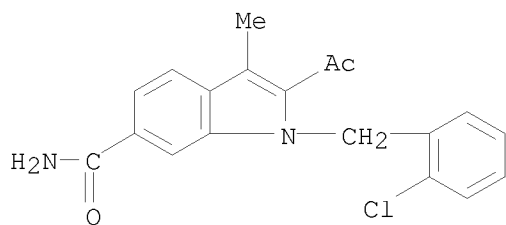
RN 184150-39-8 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(3,3-dimethyl-1-  
 oxobutyl)- (CA INDEX NAME)



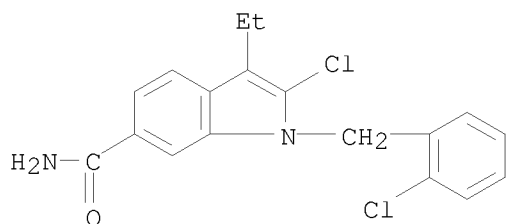
RN 184150-40-1 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-propyl- (CA INDEX NAME)



RN 184150-42-3 CAPLUS  
 CN 1H-Indole-6-carboxamide, 2-acetyl-1-[(2-chlorophenyl)methyl]-3-methyl- (CA INDEX NAME)

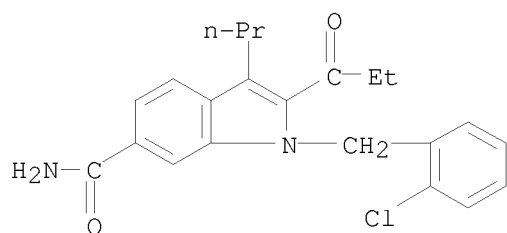


RN 184150-43-4 CAPLUS  
 CN 1H-Indole-6-carboxamide, 2-chloro-1-[(2-chlorophenyl)methyl]-3-ethyl- (CA INDEX NAME)

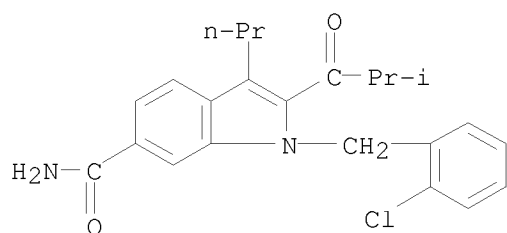


RN 184150-44-5 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-(1-oxopropyl)-3-propyl- (CA INDEX NAME)

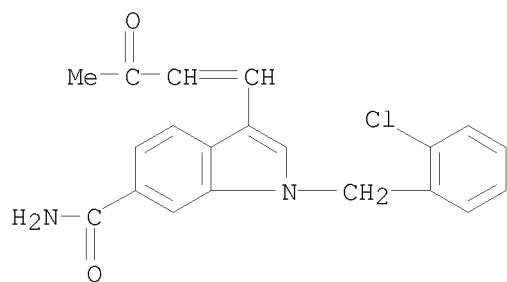




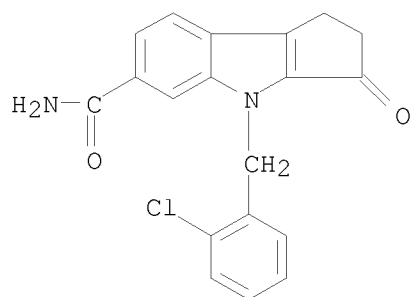
RN 184150-45-6 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-(2-methyl-1-oxopropyl)-3-propyl- (CA INDEX NAME)



RN 184150-46-7 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(3-oxo-1-buten-1-yl)- (CA INDEX NAME)

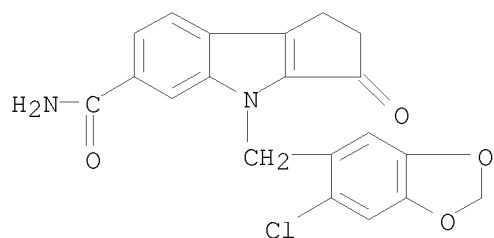


RN 184150-47-8 CAPLUS  
 CN Cyclopent[b]indole-6-carboxamide, 4-[(2-chlorophenyl)methyl]-1,2,3,4-tetrahydro-3-oxo- (CA INDEX NAME)



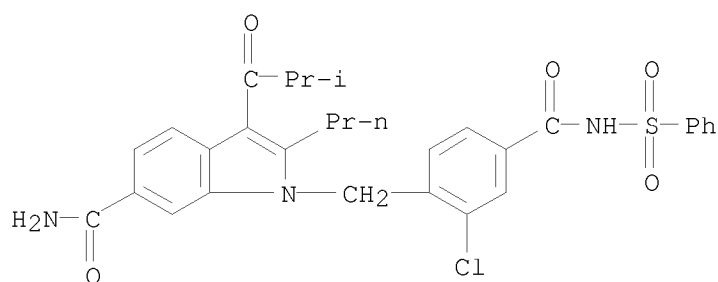
RN 184150-48-9 CAPLUS

CN Cyclopent[b]indole-6-carboxamide, 4-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-1,2,3,4-tetrahydro-3-oxo- (CA INDEX NAME)



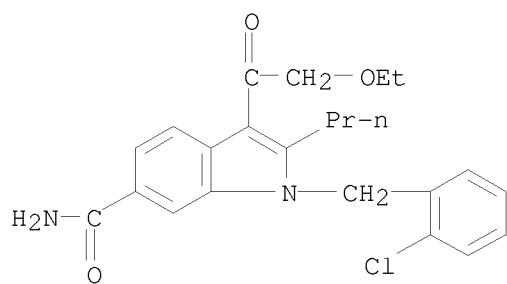
RN 184150-49-0 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[[2-chloro-4-[[ (phenylsulfonyl) amino] carbonyl] phenyl] methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



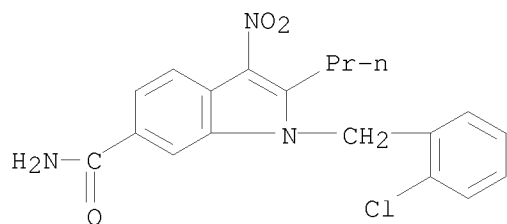
RN 184150-50-3 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-ethoxyacetyl)-2-propyl- (CA INDEX NAME)

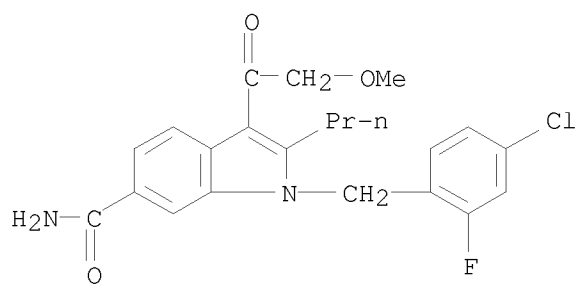


RN 184150-53-6 CAPLUS

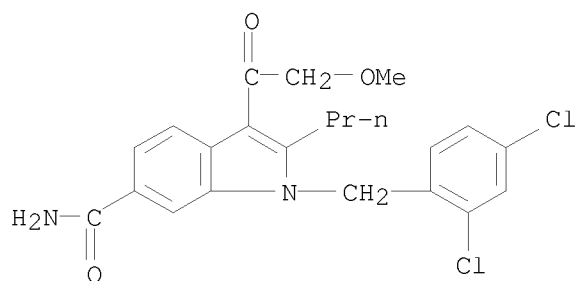
CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-nitro-2-propyl- (CA INDEX NAME)



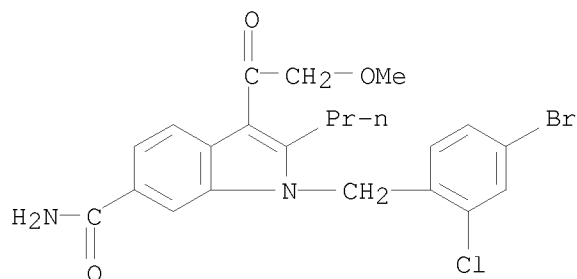
RN 184150-54-7 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(4-chloro-2-fluorophenyl)methyl]-3-(2-methoxyacetyl)-2-propyl- (CA INDEX NAME)



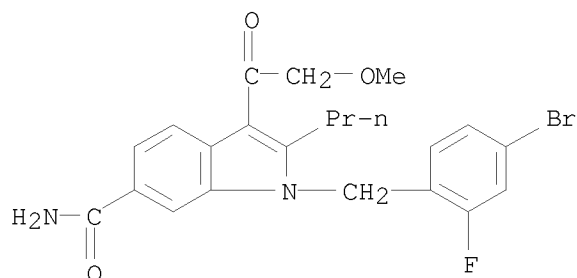
RN 184150-55-8 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2,4-dichlorophenyl)methyl]-3-(2-methoxyacetyl)-2-propyl- (CA INDEX NAME)



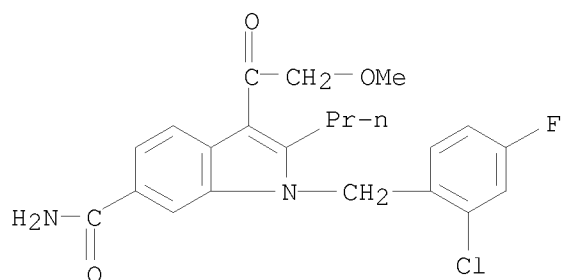
RN 184150-56-9 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(4-bromo-2-chlorophenyl)methyl]-3-(2-methoxyacetyl)-2-propyl- (CA INDEX NAME)



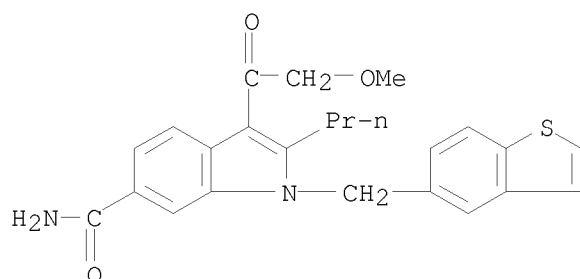
RN 184150-57-0 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(4-bromo-2-fluorophenyl)methyl]-3-(2-methoxyacetyl)-2-propyl- (CA INDEX NAME)



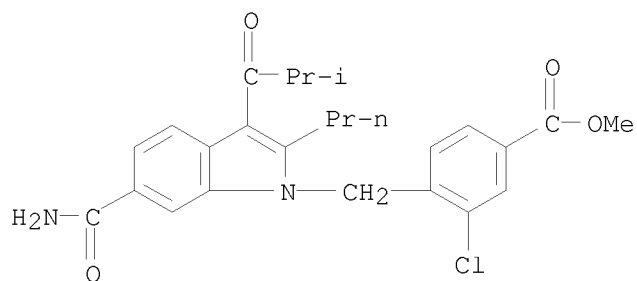
RN 184150-58-1 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chloro-4-fluorophenyl)methyl]-3-(2-methoxyacetyl)-2-propyl- (CA INDEX NAME)



RN 184150-59-2 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-(benzo[b]thien-5-ylmethyl)-3-(2-methoxyacetyl)-2-propyl- (CA INDEX NAME)

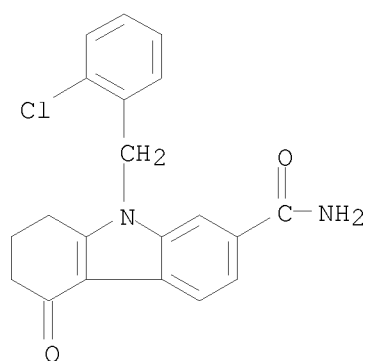


RN 184150-66-1 CAPLUS  
 CN Benzoic acid, 4-[[6-(aminocarbonyl)-3-(2-methyl-1-oxopropyl)-2-propyl-1H-indol-1-yl]methyl]-3-chloro-, methyl ester (CA INDEX NAME)



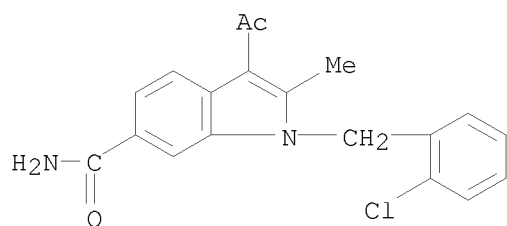
RN 184151-83-5 CAPLUS

CN 1H-Carbazole-7-carboxamide, 9-[(2-chlorophenyl)methyl]-2,3,4,9-tetrahydro-4-oxo- (CA INDEX NAME)



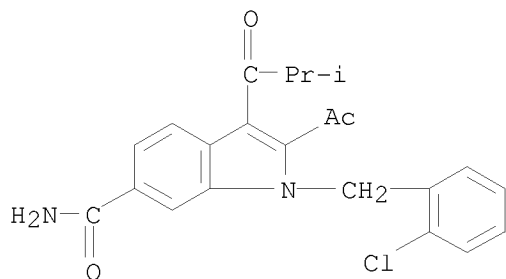
RN 184151-84-6 CAPLUS

CN 1H-Indole-6-carboxamide, 3-acetyl-1-[(2-chlorophenyl)methyl]-2-methyl- (CA INDEX NAME)

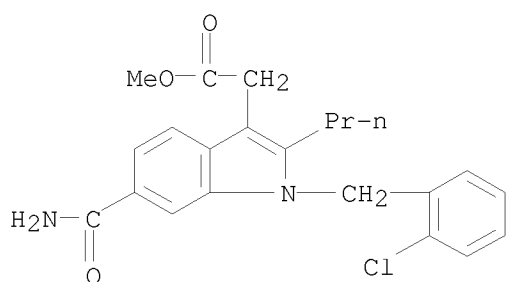


RN 205527-90-8 CAPLUS

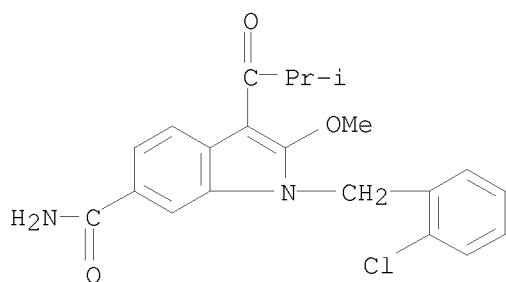
CN 1H-Indole-6-carboxamide, 2-acetyl-1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)- (CA INDEX NAME)



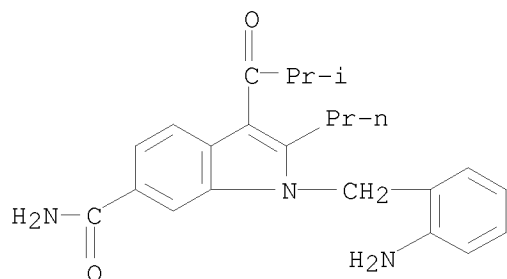
RN 205527-98-6 CAPLUS  
 CN 1H-Indole-3-acetic acid, 6-(aminocarbonyl)-1-[(2-chlorophenyl)methyl]-2-propyl-, methyl ester (CA INDEX NAME)



RN 205528-01-4 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-methoxy-3-(2-methyl-1-oxopropyl)- (CA INDEX NAME)

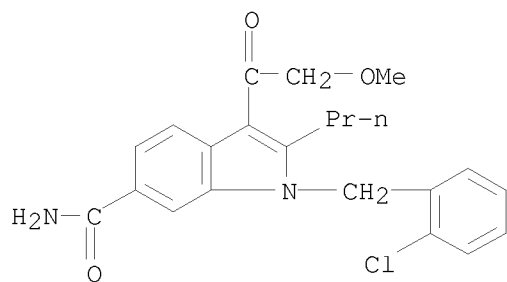


RN 205528-05-8 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-aminophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl-, hydrochloride (1:1) (CA INDEX NAME)

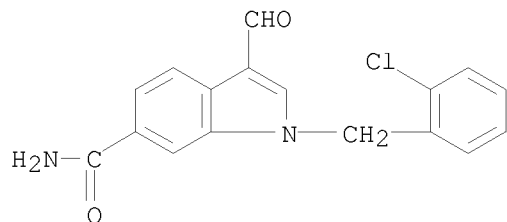


● HCl

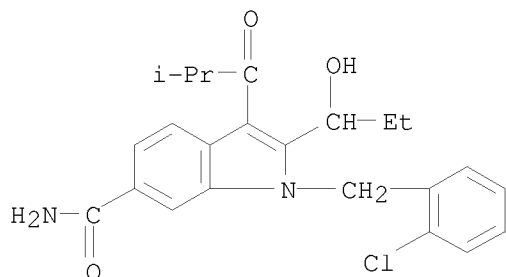
IT 184148-20-7 184150-27-4 184150-38-7  
 184150-41-2  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of indoles as cyclic nucleotide PDE inhibitors for treatment of  
 lupus erythematosus and nephritis)  
 RN 184148-20-7 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methoxyacetyl)-2-  
 propyl- (CA INDEX NAME)



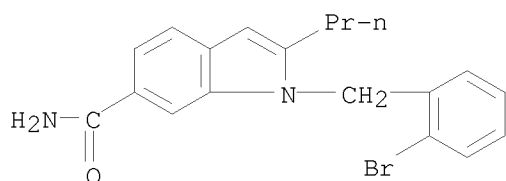
RN 184150-27-4 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-formyl- (CA INDEX  
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RN 184150-38-7 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-(1-hydroxypropyl)-3-  
 (2-methyl-1-oxopropyl)- (CA INDEX NAME)



RN 184150-41-2 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-bromophenyl)methyl]-2-propyl- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD  
 (6 CITINGS)

L12 ANSWER 51 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1996:746234 CAPLUS

DOCUMENT NUMBER: 126:18786

ORIGINAL REFERENCE NO.: 126:3901a,3904a

TITLE: Indole derivatives as cGMP-PDE inhibitors

INVENTOR(S): Oku, Teruo; Sawada, Kozo; Kuroda, Akio; Ohne, Kazuhiko; Nomoto, Atsushi; Hosogai, Naomi; Nakajima, Yoshimitsu; Nagashima, Akira; Sogabe, Keizo; Amura, Kouichi

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co, Ltd., Japan

SOURCE: PCT Int. Appl., 211 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| WO 9632379  | A1   | 19961017 | WO 1996-JP892   | 19960402 |
| CA 2217707  | A1   | 19961017 | CA 1996-2217707 | 19960402 |
| AU 9651234  | A    | 19961030 | AU 1996-51234   | 19960402 |
| AU 713460   | B2   | 19991202 |                 |          |
| EP 820441   | A1   | 19980128 | EP 1996-907750  | 19960402 |
| EP 820441   | B1   | 20020626 |                 |          |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI |      |          |                 |          |
| CN 1187812  | A    | 19980715 | CN 1996-194691  | 19960402 |
| JP 11503445   | T    | 19990326 | JP 1996-530864  | 19960402 |
| AT 219765   | T    | 20020715 | AT 1996-907750  | 19960402 |
| ES 2175079  | T3   | 20021116 | ES 1996-907750  | 19960402 |
| ZA 9602859  | A    | 19961011 | ZA 1996-2859    | 19960410 |
| TW 420663   | B    | 20010201 | TW 1996-104519  | 19960416 |
| US 6069156  | A    | 20000530 | US 1997-930597  | 19971210 |



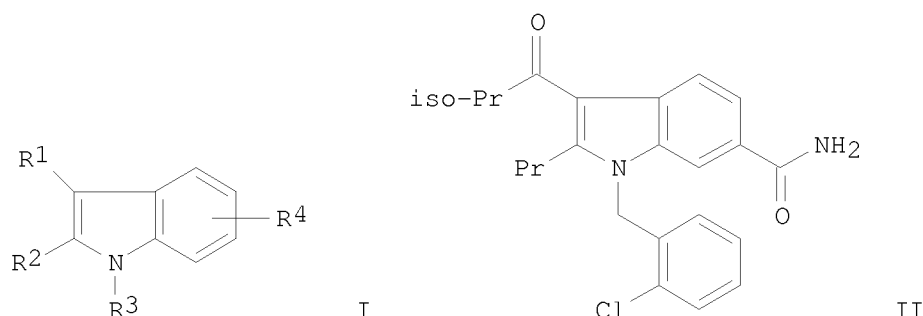
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| GB 1995-7432  | A | 19950410 |
| GB 1995-12560 | A | 19950621 |
| GB 1995-16136 | A | 19950807 |
| AU 1996-8294  | A | 19960227 |
| WO 1996-JP892 | W | 19960402 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 126:18786

GI



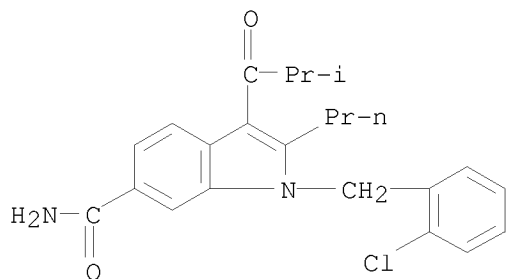
AB The invention relates to new indole derivs. I and their pharmaceutically acceptable salts [wherein R1 = H, halo, NO2, CO2H, protected CO2H, acyl, (un)substituted alk(en)yl, etc.; R2 = H, halo, alkenyl, acyl, (un)substituted alkyl, etc.; R3 = (un)substituted alk(en)yl where the substituent is oxo, (un)substituted aryl, or heterocyclyl; R4 = CO2H, protected CO2H, acyl, cyano, amino, halo, etc.; R1 and R2 may form 4- to 7-membered carboxylic ring (un)substituted with oxo]. I are cyclic nucleotide-PDE inhibitors (specifically cGMP-PDE), and are useful for treating and preventing a variety of conditions, including angina, hypertension, renal failure, atherosclerosis, stroke, asthma, impotence, diabetic complications, and glaucoma. Almost 300 compds. I and numerous intermediates were prepared. For example, Me 3-isobutyl-2-propylindole-6-carboxylate (preparation given) was N-benzylated by 2-chlorobenzyl bromide using NaH in DMF. The product underwent saponification

with NaOH in aqueous EtOH, followed by amidation of the resultant acid using EDC, HOBt, and aqueous NH<sub>3</sub>, to give title amide II. II inhibited human platelet cGMP-PDE in vitro with IC<sub>50</sub> <100 nM. I were also active in a variety of other bioassays, including relaxation of isolated rat aorta, inhibition of vascular smooth muscle cell proliferation, inhibition of vasopressin-induced vasospasm, the cyclosporin and FK506 nephritis models, the diabetic glomerulosclerosis model, and several animal impotence models.

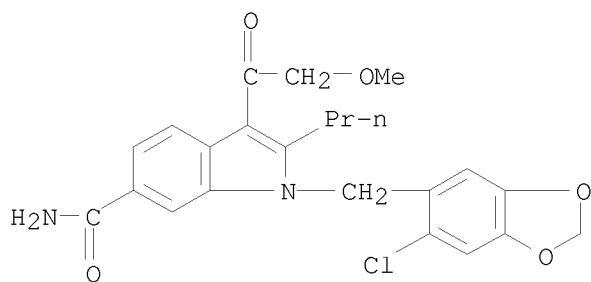
IT 184147-65-7P 184148-21-8P  
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of indole derivs. as cGMP-PDE inhibitors)

RN 184147-65-7 CAPLUS

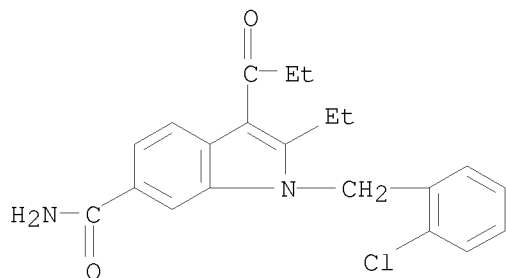
CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



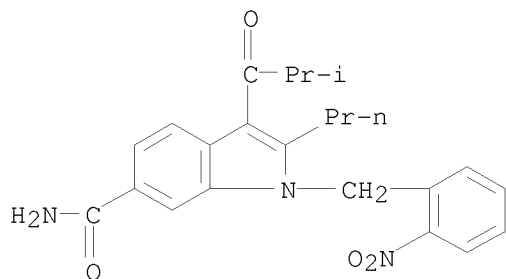
RN 184148-21-8 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(2-methoxyacetyl)-2-propyl- (CA INDEX NAME)



IT 184147-86-2P 184148-72-9P 184148-77-4P  
 184148-89-8P 184149-11-9P 184149-15-3P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (preparation of indole derivs. as cGMP-PDE inhibitors)  
 RN 184147-86-2 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-ethyl-3-(1-oxopropyl)- (CA INDEX NAME)

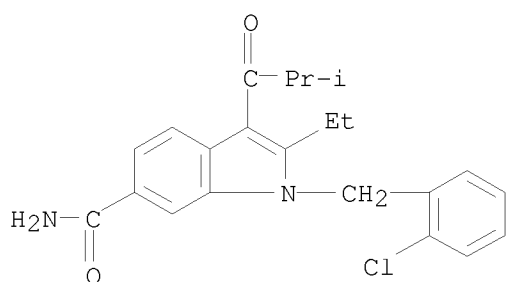


RN 184148-72-9 CAPLUS  
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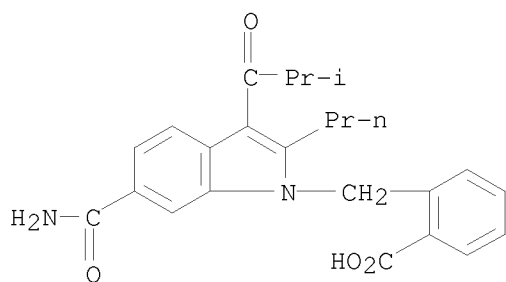
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CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-ethyl-3-(2-methyl-1-oxopropyl)- (CA INDEX NAME)



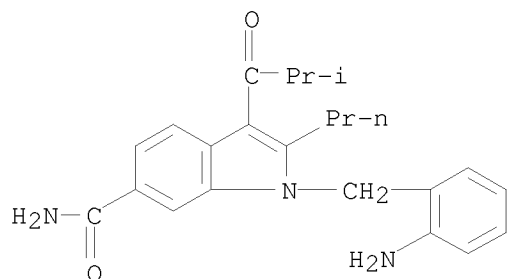
RN 184148-89-8 CAPLUS

CN Benzoic acid, 2-[[6-(aminocarbonyl)-3-(2-methyl-1-oxopropyl)-2-propyl-1H-indol-1-yl]methyl]- (CA INDEX NAME)



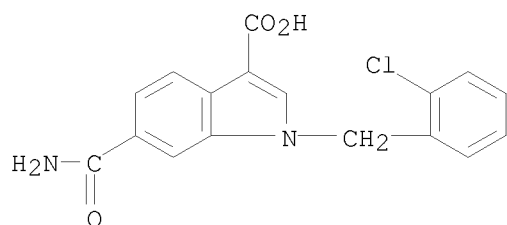
RN 184149-11-9 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-aminophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

RN 184149-15-3 CAPLUS  
CN 1H-Indole-3-carboxylic acid, 6-(aminocarbonyl)-1-[(2-chlorophenyl)methyl]-  
(CA INDEX NAME)



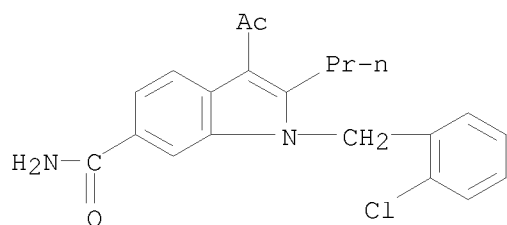
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|    | 184147-92-0P  | 184147-98-6P | 184148-11-6P  |  |
|    | 184148-12-7P  | 184148-13-8P | 184148-14-9P, |  |
|    | 1-Benzyl-3-isobutyryl-2-propylindole-6-carboxamide    |              | 184148-15-0P  |  |
|    | 184148-16-1P  | 184148-17-2P | 184148-19-4P, |  |
|    | 3-Isobutyryl-1-phenethyl-2-propylindole-6-carboxamide |              |               |  |
|    | 184148-20-7P  | 184148-66-1P | 184148-67-2P  |  |
|    | 184148-68-3P  | 184148-69-4P | 184148-70-7P  |  |
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|    | 184149-65-3P  | 184149-66-4P | 184149-67-5P  |  |
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| 184150-67-2P | 184151-83-5P | 184151-84-6P |

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of indole derivs. as cGMP-PDE inhibitors)

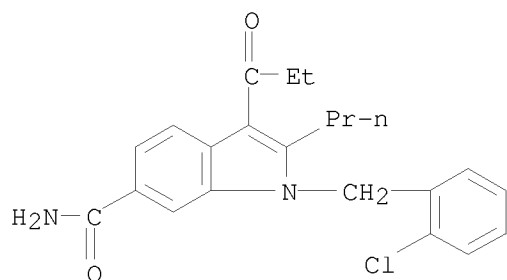
RN 184147-58-8 CAPLUS

CN 1H-Indole-6-carboxamide, 3-acetyl-1-[(2-chlorophenyl)methyl]-2-propyl-  
(CA INDEX NAME)



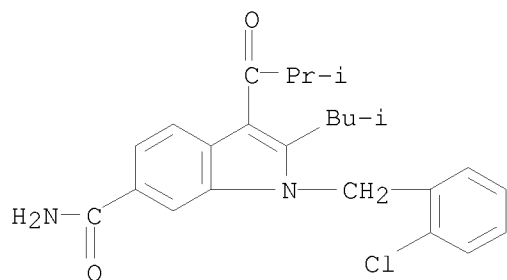
RN 184147-72-6 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(1-oxopropyl)-2-propyl-  
(CA INDEX NAME)



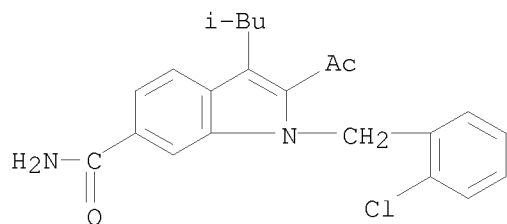
RN 184147-80-6 CAPLUS

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(CA INDEX NAME)



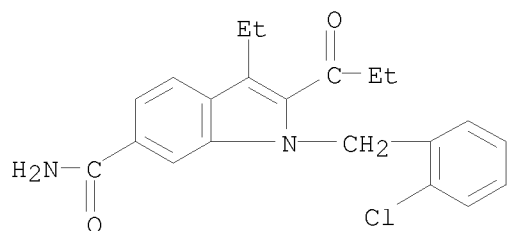
RN 184147-92-0 CAPLUS

CN 1H-Indole-6-carboxamide, 2-acetyl-1-[(2-chlorophenyl)methyl]-3-(2-methylpropyl)-  
(CA INDEX NAME)



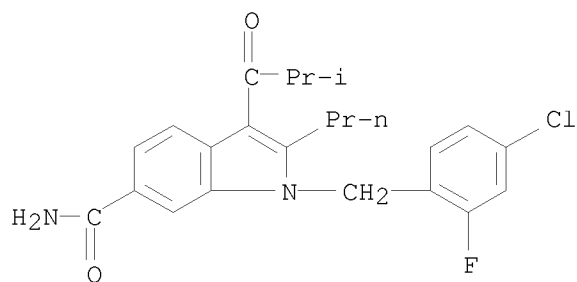
RN 184147-98-6 CAPLUS

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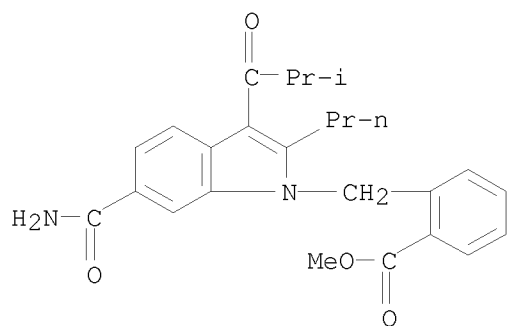
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CN 1H-Indole-6-carboxamide, 1-[(4-chloro-2-fluorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



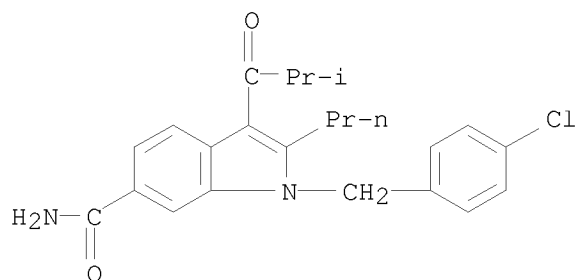
RN 184148-12-7 CAPLUS

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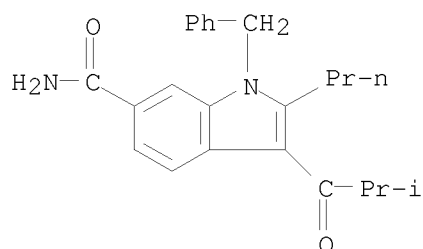
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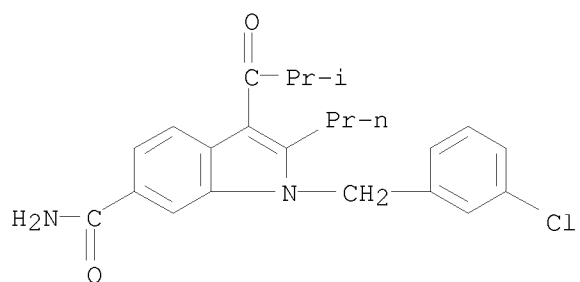
RN 184148-14-9 CAPLUS

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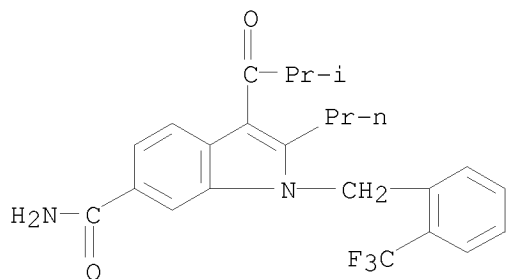
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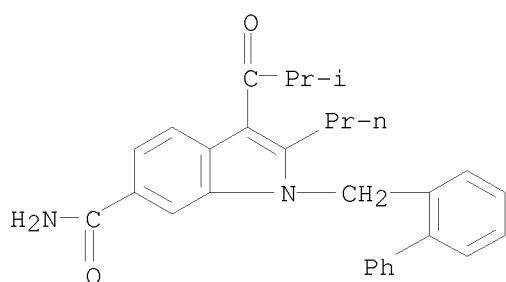
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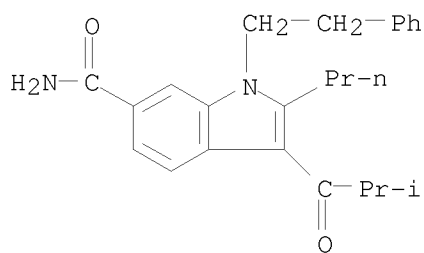
RN 184148-17-2 CAPLUS

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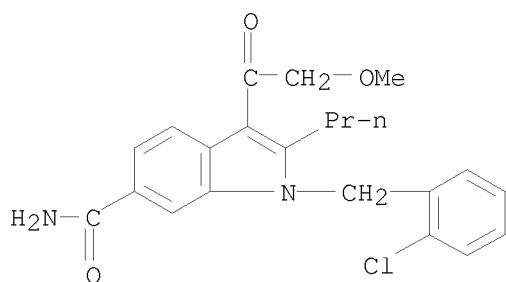
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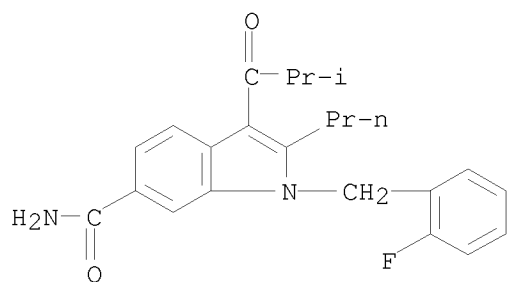
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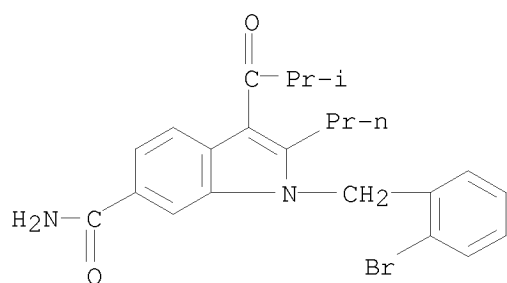




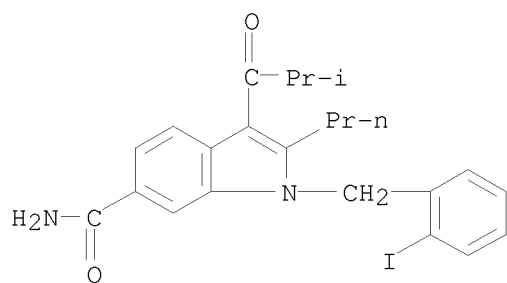
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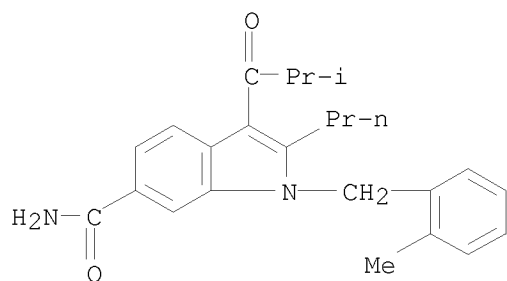
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 CN 1H-Indole-6-carboxamide, 1-[(2-bromophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



RN 184148-68-3 CAPLUS  
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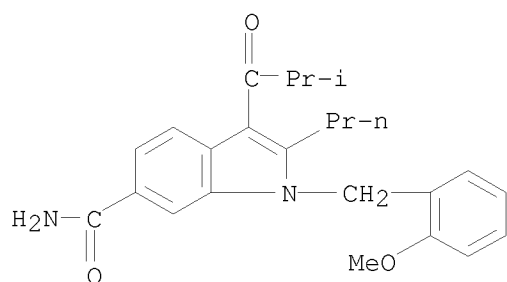


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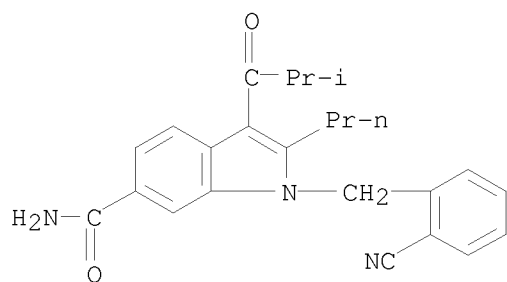
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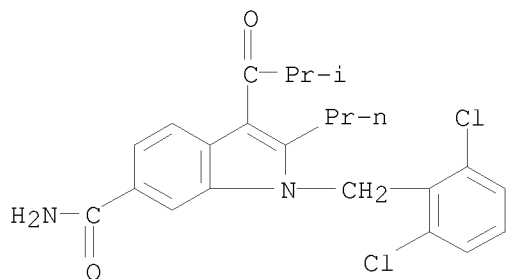
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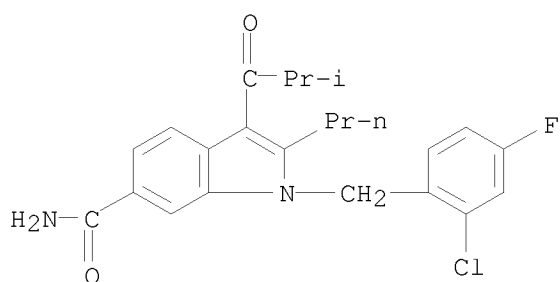
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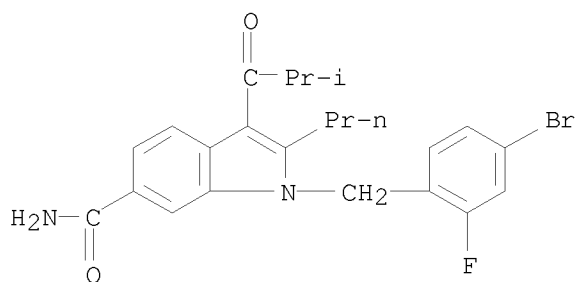
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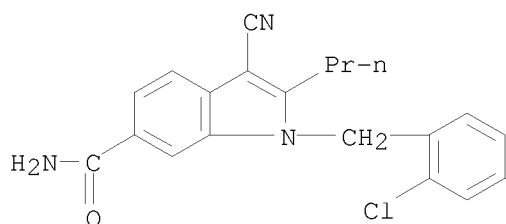
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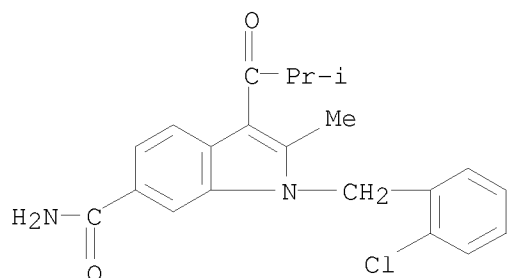


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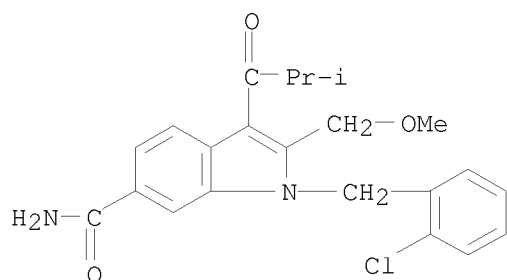
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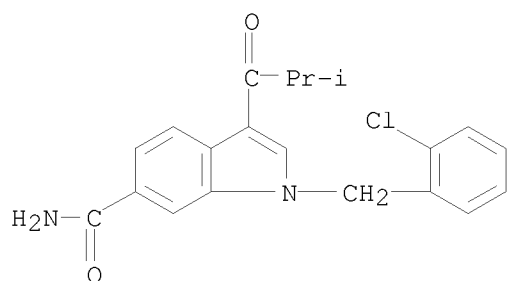
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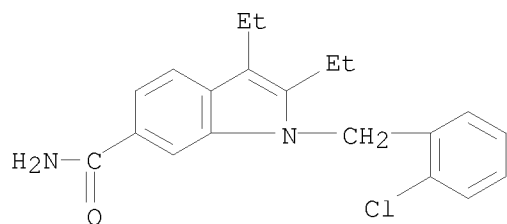
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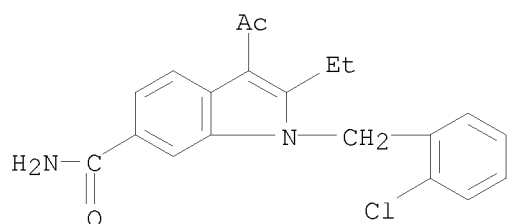
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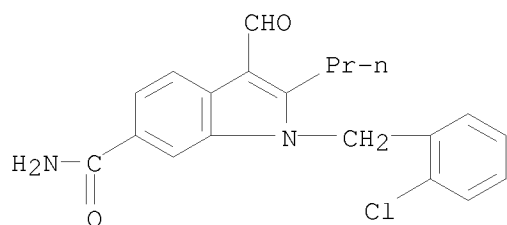
RN 184148-82-1 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2,3-diethyl- (CA INDEX NAME)



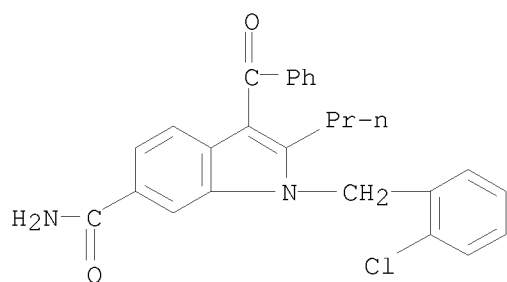
RN 184148-83-2 CAPLUS  
 CN 1H-Indole-6-carboxamide, 3-acetyl-1-[(2-chlorophenyl)methyl]-2-ethyl- (CA INDEX NAME)



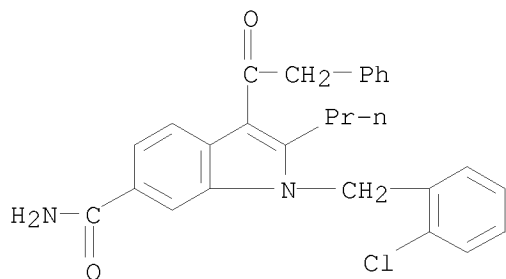
RN 184148-84-3 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-formyl-2-propyl- (CA INDEX NAME)



RN 184148-85-4 CAPLUS  
 CN 1H-Indole-6-carboxamide, 3-benzoyl-1-[(2-chlorophenyl)methyl]-2-propyl- (CA INDEX NAME)

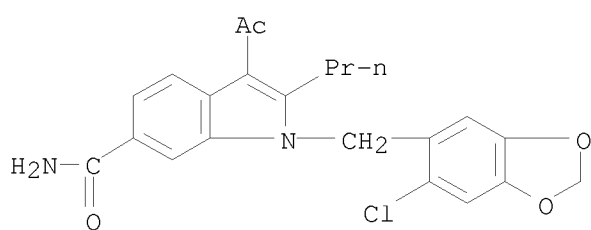


RN 184148-86-5 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-phenylacetyl)-2-propyl- (CA INDEX NAME)



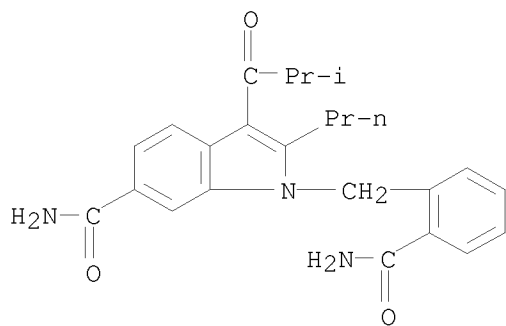
RN 184148-87-6 CAPLUS

CN 1H-Indole-6-carboxamide, 3-acetyl-1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-2-propyl- (CA INDEX NAME)



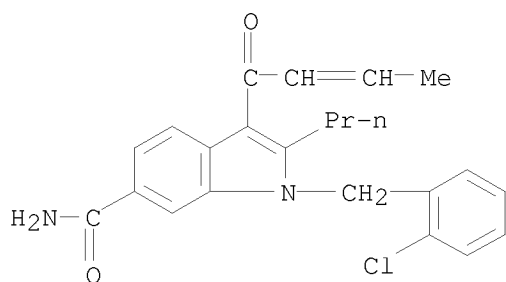
RN 184148-90-1 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[[2-(aminocarbonyl)phenyl]methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)

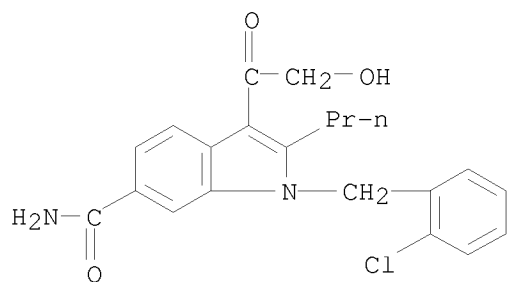


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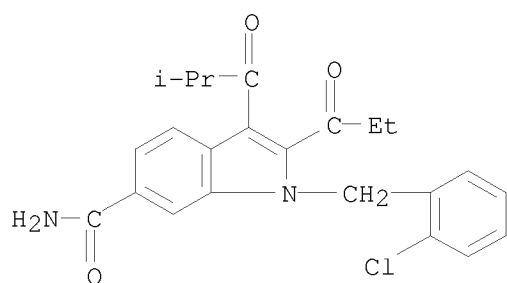
CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(1-oxo-2-buten-1-yl)-2-propyl- (CA INDEX NAME)



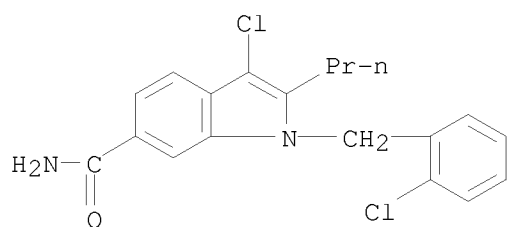
RN 184149-12-0 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-hydroxyacetyl)-2-propyl- (CA INDEX NAME)



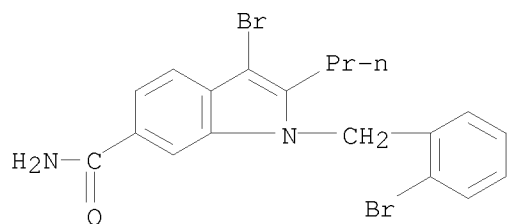
RN 184149-16-4 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-(1-oxopropyl)- (CA INDEX NAME)



RN 184149-17-5 CAPLUS  
 CN 1H-Indole-6-carboxamide, 3-chloro-1-[(2-chlorophenyl)methyl]-2-propyl- (CA INDEX NAME)

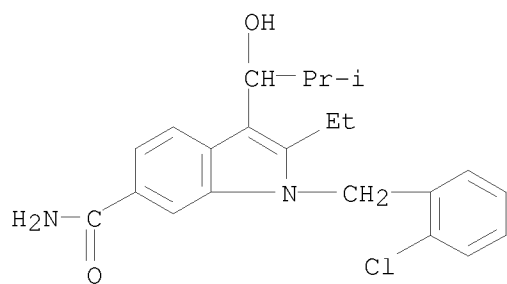


RN 184149-18-6 CAPLUS  
 CN 1H-Indole-6-carboxamide, 3-bromo-1-[(2-bromophenyl)methyl]-2-propyl- (CA INDEX NAME)



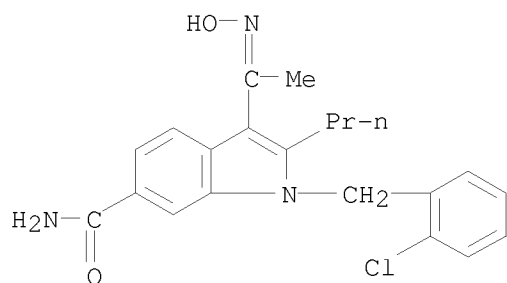
RN 184149-22-2 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-ethyl-3-(1-hydroxy-2-methylpropyl)- (CA INDEX NAME)



RN 184149-23-3 CAPLUS

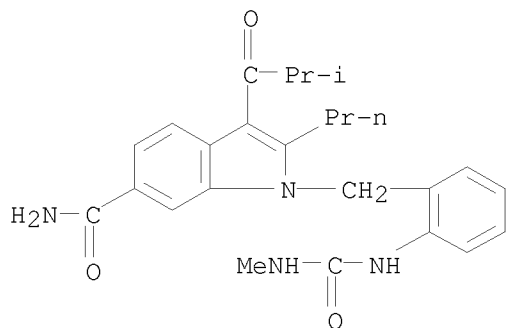
CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-[1-(hydroxyimino)ethyl]-2-propyl- (CA INDEX NAME)



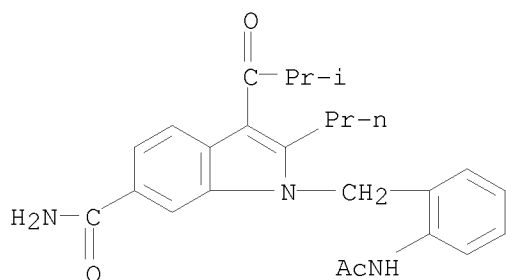
RN 184149-24-4 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[[2-[[[(methylamino)carbonyl]amino]phenyl]methyl]-3-(2-methyl-1-oxopropyl)-2-propyl]- (CA INDEX NAME)

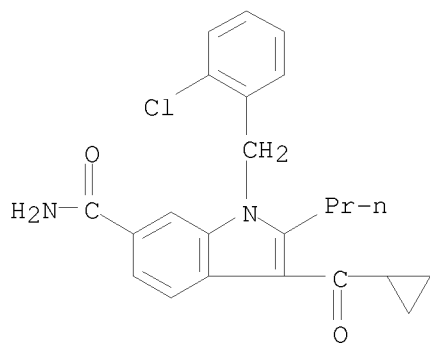




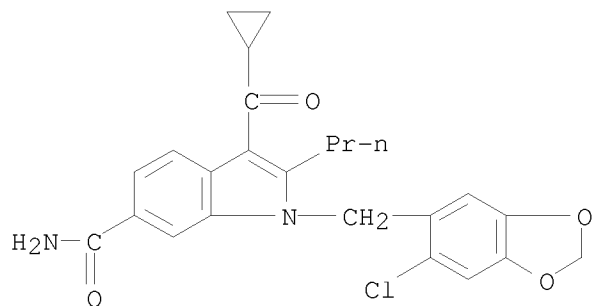
RN 184149-35-7 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[[2-(acetamino)phenyl]methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



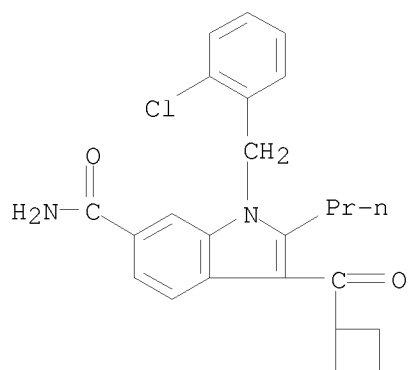
RN 184149-56-2 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(cyclopropylcarbonyl)-2-propyl- (CA INDEX NAME)



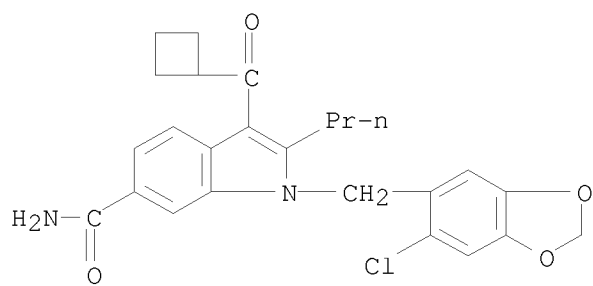
RN 184149-57-3 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(cyclopropylcarbonyl)-2-propyl- (CA INDEX NAME)



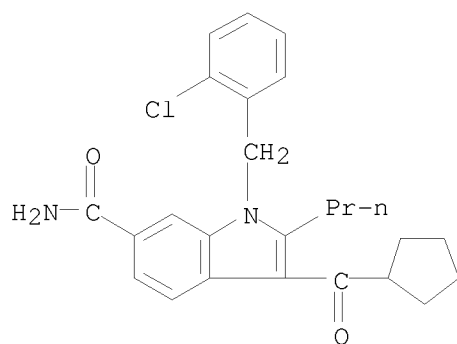
RN 184149-58-4 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(cyclobutylcarbonyl)-2-propyl- (CA INDEX NAME)



RN 184149-59-5 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(cyclobutylcarbonyl)-2-propyl- (CA INDEX NAME)

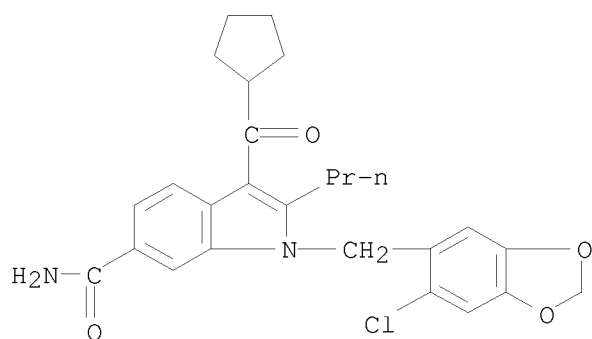


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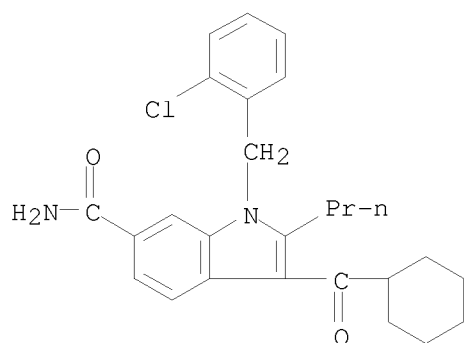
RN 184149-61-9 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(cyclopentylcarbonyl)-2-propyl- (CA INDEX NAME)



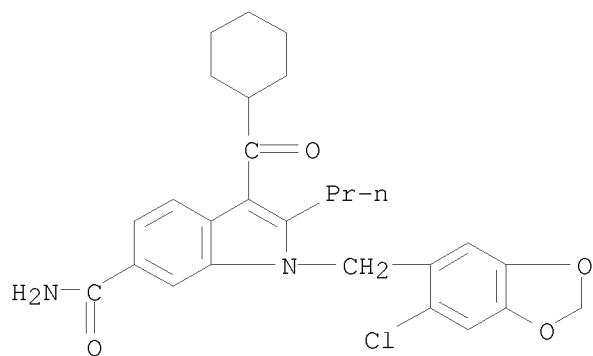
RN 184149-62-0 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(cyclohexylcarbonyl)-2-propyl- (CA INDEX NAME)

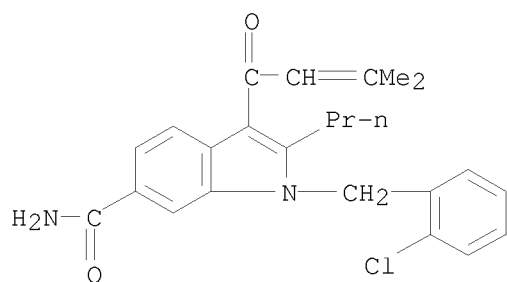


RN 184149-63-1 CAPLUS

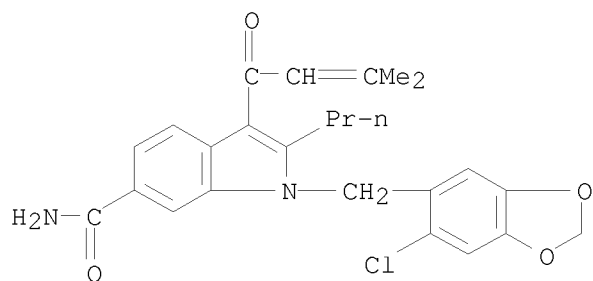
CN 1H-Indole-6-carboxamide, 1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(cyclohexylcarbonyl)-2-propyl- (CA INDEX NAME)



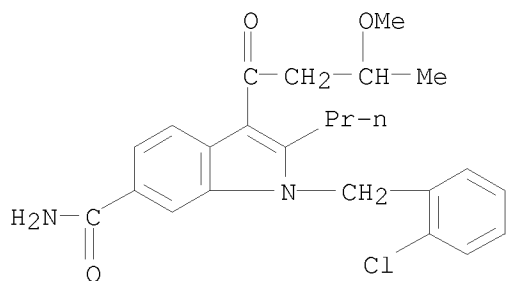
RN 184149-64-2 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(3-methyl-1-oxo-2-buten-1-yl)-2-propyl- (CA INDEX NAME)



RN 184149-65-3 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(3-methyl-1-oxo-2-buten-1-yl)-2-propyl- (CA INDEX NAME)

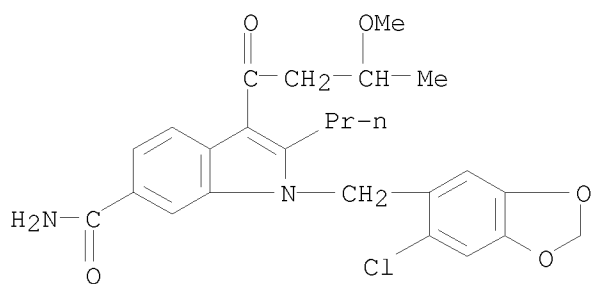


RN 184149-66-4 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(3-methoxy-1-oxobutyl)-2-propyl- (CA INDEX NAME)



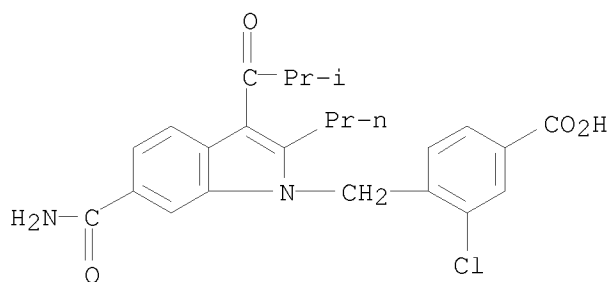
RN 184149-67-5 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(3-methoxy-1-oxobutyl)-2-propyl- (CA INDEX NAME)



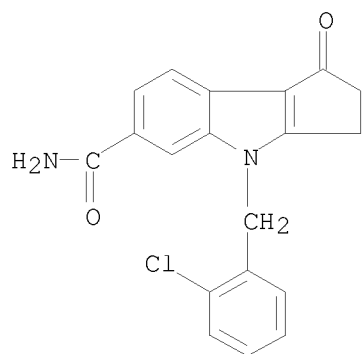
RN 184150-10-5 CAPLUS

CN Benzoic acid, 4-[[6-(aminocarbonyl)-3-(2-methyl-1-oxopropyl)-2-propyl-1H-indol-1-yl]methyl]-3-chloro- (CA INDEX NAME)

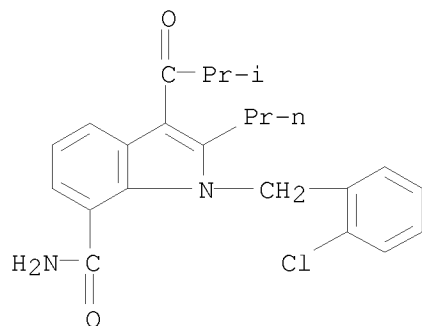


RN 184150-11-6 CAPLUS

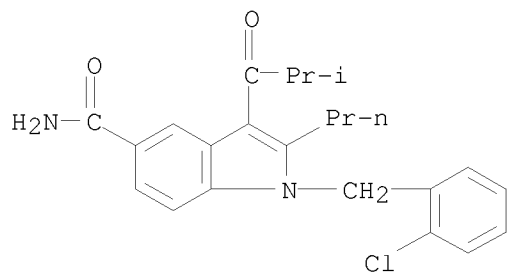
CN Cyclopent[b]indole-6-carboxamide, 4-[(2-chlorophenyl)methyl]-1,2,3,4-tetrahydro-1-oxo- (CA INDEX NAME)



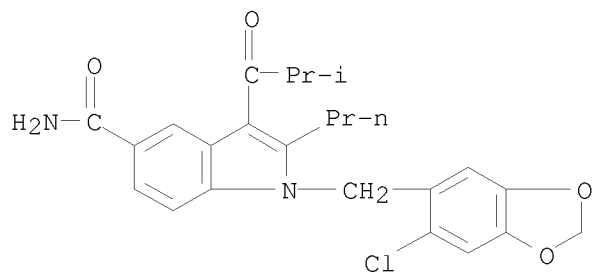
RN 184150-12-7 CAPLUS  
 CN 1H-Indole-7-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



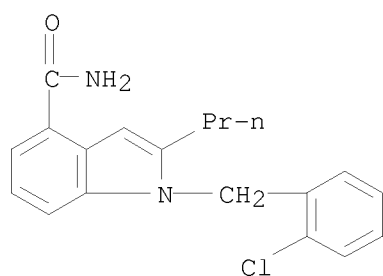
RN 184150-13-8 CAPLUS  
 CN 1H-Indole-5-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



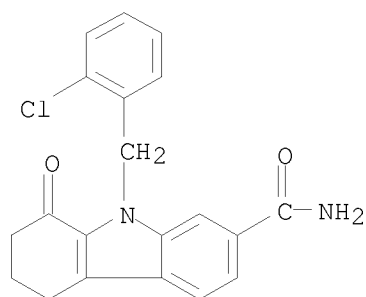
RN 184150-14-9 CAPLUS  
 CN 1H-Indole-5-carboxamide, 1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



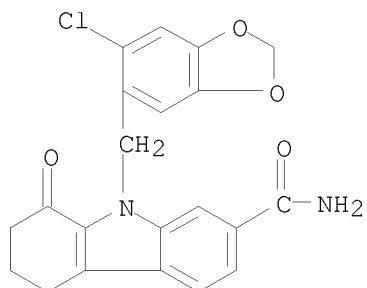
RN 184150-15-0 CAPLUS  
 CN 1H-Indole-4-carboxamide, 1-[(2-chlorophenyl)methyl]-2-propyl- (CA INDEX NAME)



RN 184150-16-1 CAPLUS  
 CN 1H-Carbazole-7-carboxamide, 9-[(2-chlorophenyl)methyl]-2,3,4,9-tetrahydro-1-oxo- (CA INDEX NAME)

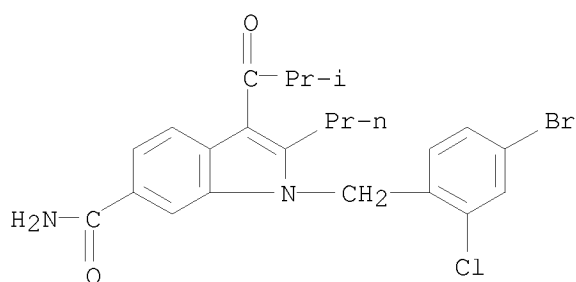


RN 184150-17-2 CAPLUS  
 CN 1H-Carbazole-7-carboxamide, 9-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-2,3,4,9-tetrahydro-1-oxo- (CA INDEX NAME)



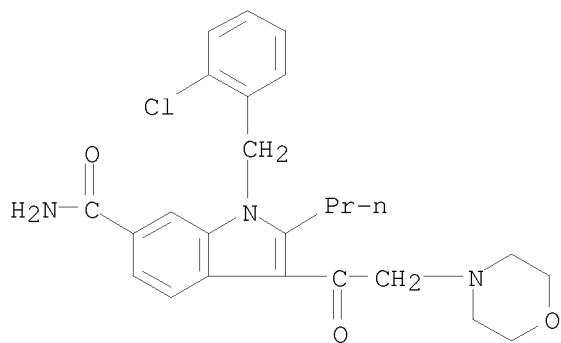
RN 184150-18-3 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(4-bromo-2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



RN 184150-19-4 CAPLUS

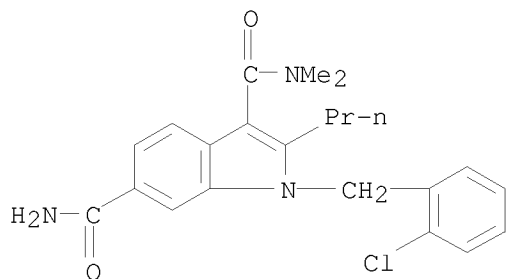
CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-[2-(4-morpholinyl)acetyl]-2-propyl- (CA INDEX NAME)



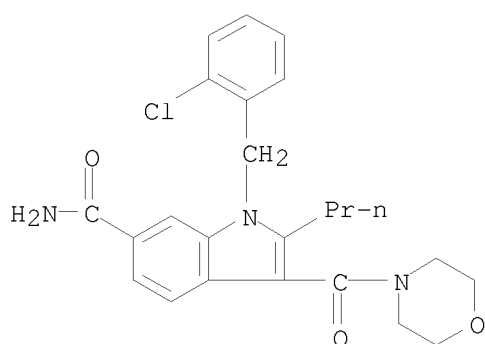
RN 184150-22-9 CAPLUS

CN 1H-Indole-3,6-dicarboxamide, 1-[(2-chlorophenyl)methyl]-N3,N3-dimethyl-2-propyl- (CA INDEX NAME)

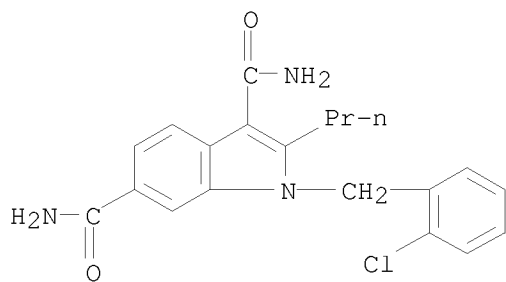




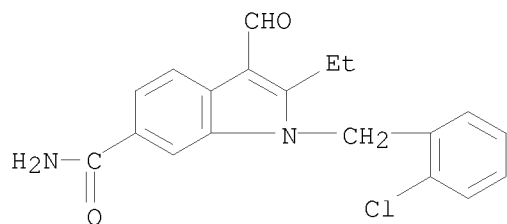
RN 184150-23-0 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(4-morpholinylcarbonyl)-2-propyl- (CA INDEX NAME)



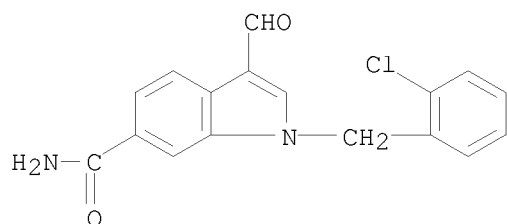
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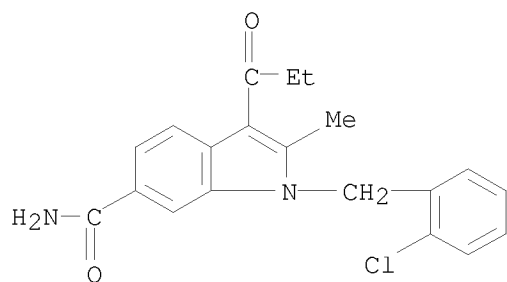
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 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-ethyl-3-formyl- (CA INDEX NAME)



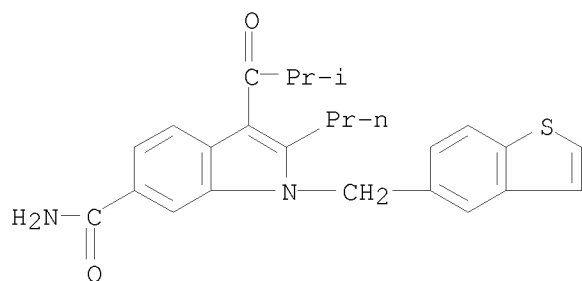
RN 184150-27-4 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-formyl- (CA INDEX NAME)



RN 184150-28-5 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-methyl-3-(1-oxopropyl)- (CA INDEX NAME)

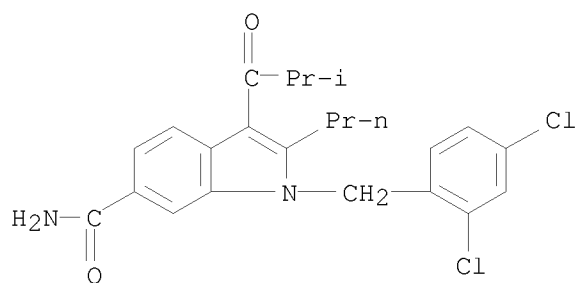


RN 184150-31-0 CAPLUS  
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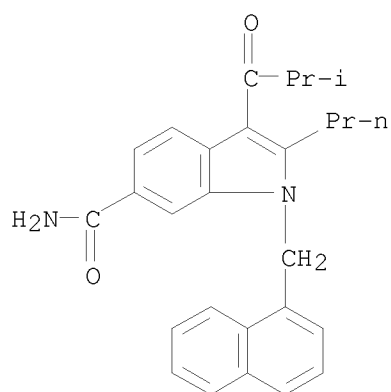
RN 184150-32-1 CAPLUS  
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oxopropyl)-2-propyl- (CA INDEX NAME)



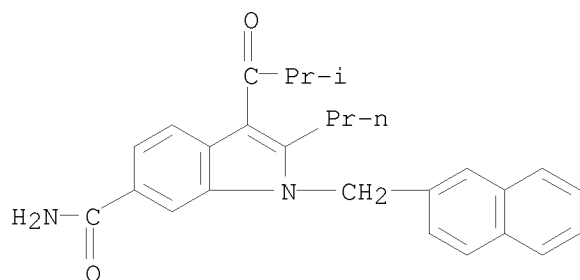
RN 184150-34-3 CAPLUS

CN 1H-Indole-6-carboxamide, 3-(2-methyl-1-oxopropyl)-1-(1-naphthalenylmethyl)-2-propyl- (CA INDEX NAME)



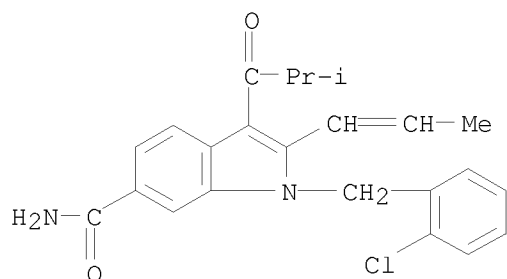
RN 184150-35-4 CAPLUS

CN 1H-Indole-6-carboxamide, 3-(2-methyl-1-oxopropyl)-1-(2-naphthalenylmethyl)-2-propyl- (CA INDEX NAME)



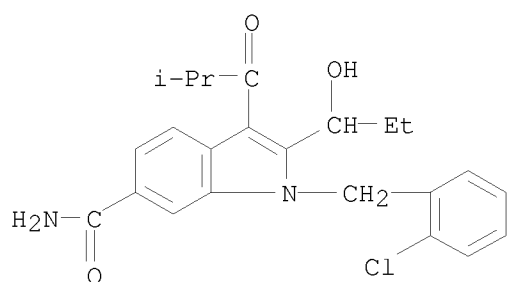
RN 184150-37-6 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-(1-propen-1-yl)- (CA INDEX NAME)



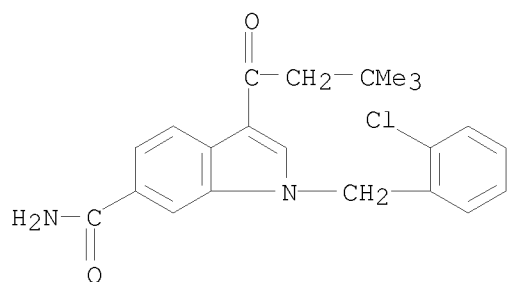
RN 184150-38-7 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-(1-hydroxypropyl)-3-(2-methyl-1-oxopropyl)- (CA INDEX NAME)



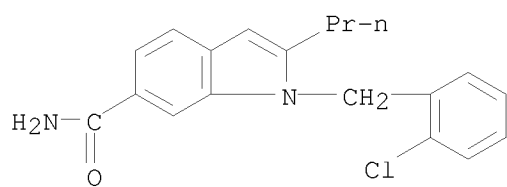
RN 184150-39-8 CAPLUS

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RN 184150-40-1 CAPLUS

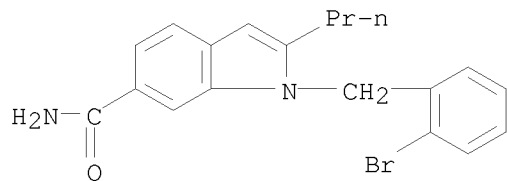
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RN 184150-41-2 CAPLUS

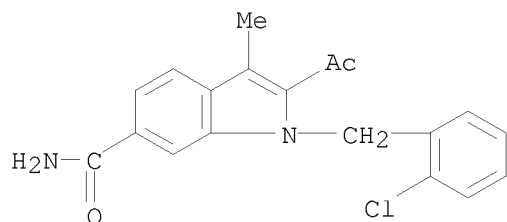
CN 1H-Indole-6-carboxamide, 1-[(2-bromophenyl)methyl]-2-propyl- (CA INDEX NAME)

NAME)



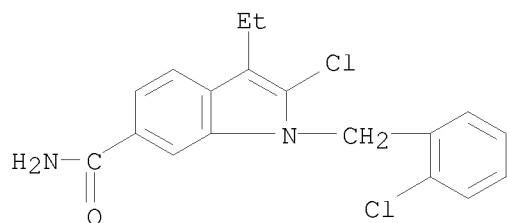
RN 184150-42-3 CAPLUS

CN 1H-Indole-6-carboxamide, 2-acetyl-1-[(2-chlorophenyl)methyl]-3-methyl-  
(CA INDEX NAME)



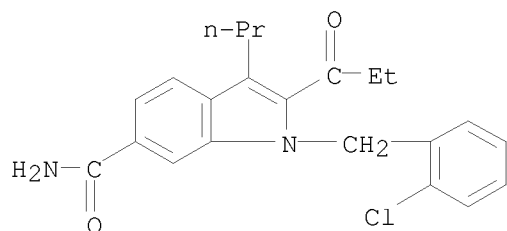
RN 184150-43-4 CAPLUS

CN 1H-Indole-6-carboxamide, 2-chloro-1-[(2-chlorophenyl)methyl]-3-ethyl- (CA  
INDEX NAME)



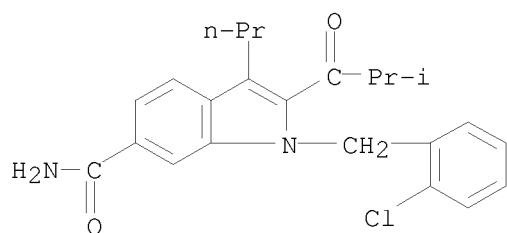
RN 184150-44-5 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-(1-oxopropyl)-3-  
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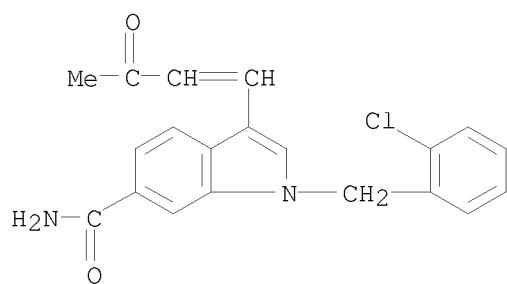
RN 184150-45-6 CAPLUS

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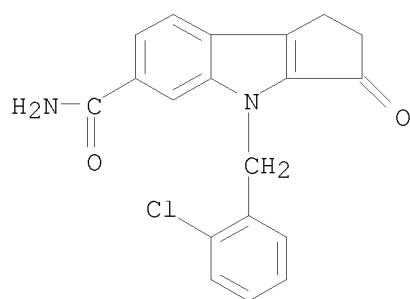
RN 184150-46-7 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(3-oxo-1-buten-1-yl)-  
(CA INDEX NAME)



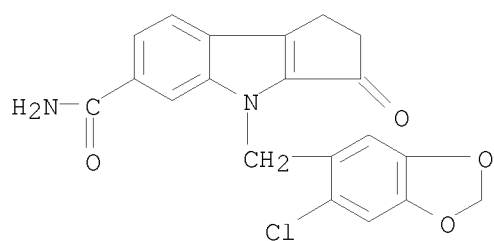
RN 184150-47-8 CAPLUS

CN Cyclopent[b]indole-6-carboxamide, 4-[(2-chlorophenyl)methyl]-1,2,3,4-tetrahydro-3-oxo-  
(CA INDEX NAME)



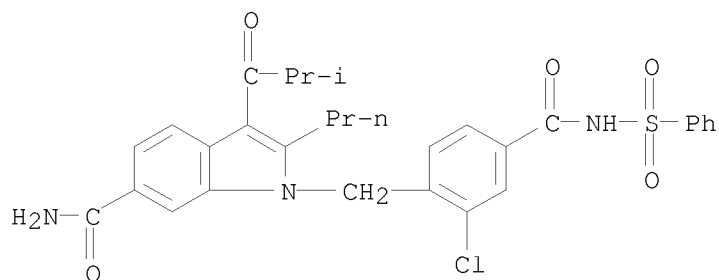
RN 184150-48-9 CAPLUS

CN Cyclopent[b]indole-6-carboxamide, 4-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-1,2,3,4-tetrahydro-3-oxo-  
(CA INDEX NAME)

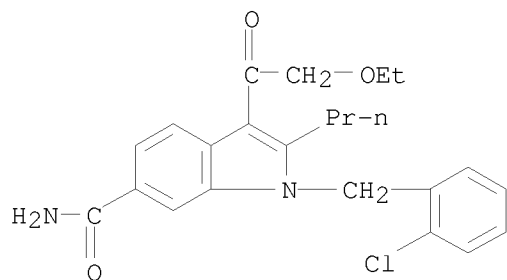


RN 184150-49-0 CAPLUS

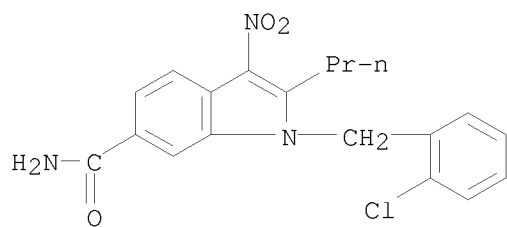
CN 1H-Indole-6-carboxamide, 1-[[2-chloro-4-  
 [[(phenylsulfonyl)amino]carbonyl]phenyl]methyl]-3-(2-methyl-1-oxopropyl)-2-  
 propyl- (CA INDEX NAME)



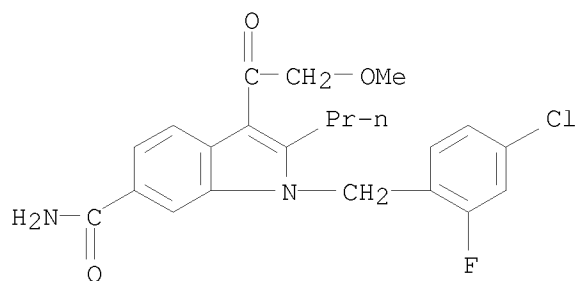
RN 184150-50-3 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-ethoxyacetyl)-2-  
 propyl- (CA INDEX NAME)



RN 184150-53-6 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-nitro-2-propyl- (CA  
 INDEX NAME)

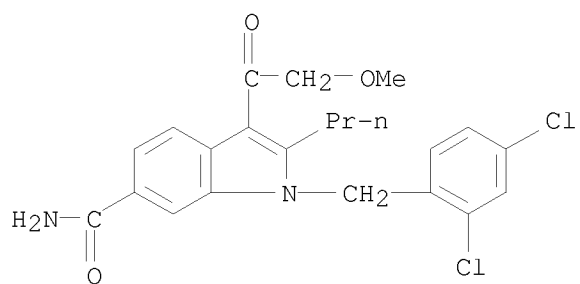


RN 184150-54-7 CAPLUS  
 CN 1H-Indole-6-carboxamide, 1-[(4-chloro-2-fluorophenyl)methyl]-3-(2-  
 methoxyacetyl)-2-propyl- (CA INDEX NAME)



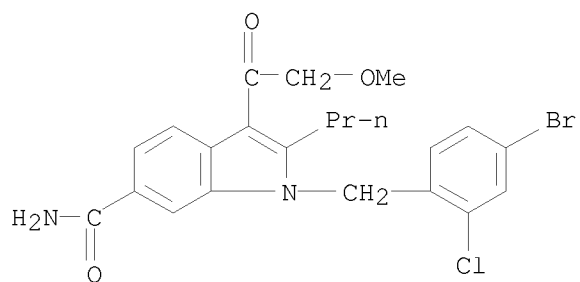
RN 184150-55-8 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2,4-dichlorophenyl)methyl]-3-(2-methoxyacetyl)-2-propyl- (CA INDEX NAME)



RN 184150-56-9 CAPLUS

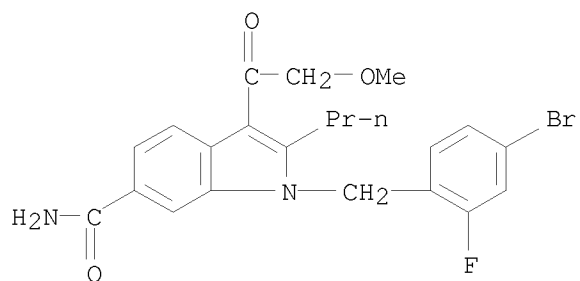
CN 1H-Indole-6-carboxamide, 1-[(4-bromo-2-chlorophenyl)methyl]-3-(2-methoxyacetyl)-2-propyl- (CA INDEX NAME)



RN 184150-57-0 CAPLUS

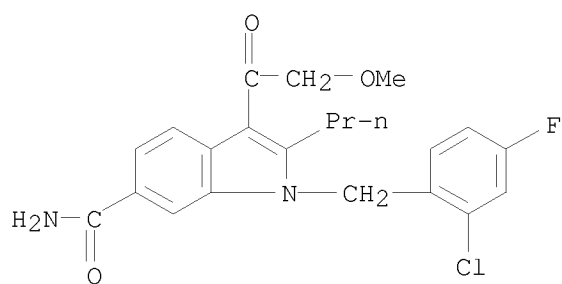
CN 1H-Indole-6-carboxamide, 1-[(4-bromo-2-fluorophenyl)methyl]-3-(2-methoxyacetyl)-2-propyl- (CA INDEX NAME)





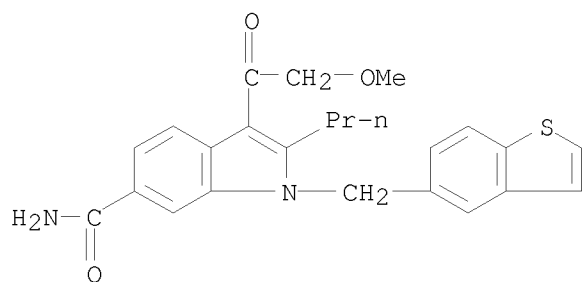
RN 184150-58-1 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chloro-4-fluorophenyl)methyl]-3-(2-methoxyacetyl)-2-propyl- (CA INDEX NAME)



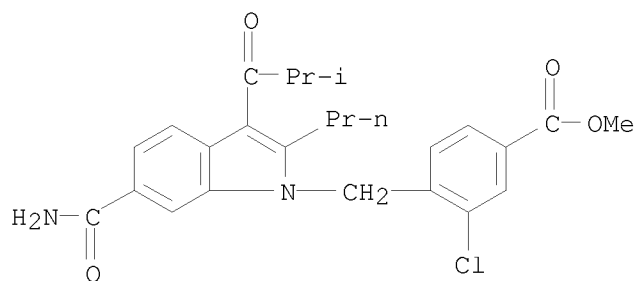
RN 184150-59-2 CAPLUS

CN 1H-Indole-6-carboxamide, 1-(benzo[b]thien-5-ylmethyl)-3-(2-methoxyacetyl)-2-propyl- (CA INDEX NAME)



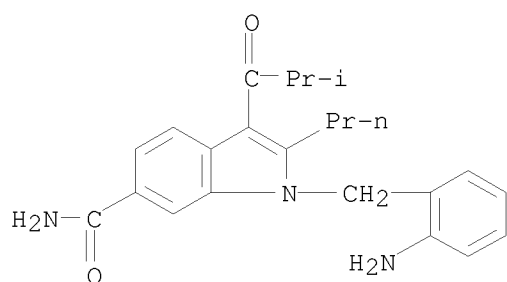
RN 184150-66-1 CAPLUS

CN Benzoic acid, 4-[[6-(aminocarbonyl)-3-(2-methyl-1-oxopropyl)-2-propyl-1H-indol-1-yl]methyl]-3-chloro-, methyl ester (CA INDEX NAME)



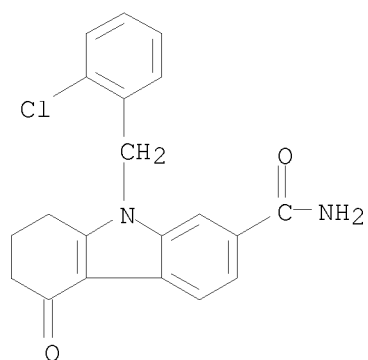
RN 184150-67-2 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-aminophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl-4-(4-chlorophenyl)methyl- (CA INDEX NAME)



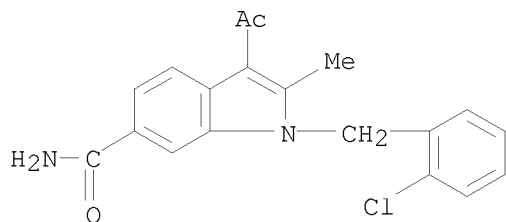
RN 184151-83-5 CAPLUS

CN 1H-Carbazole-7-carboxamide, 9-[(2-aminophenyl)methyl]-2,3,4,9-tetrahydro-4-oxo- (CA INDEX NAME)



RN 184151-84-6 CAPLUS

CN 1H-Indole-6-carboxamide, 3-acetyl-1-[(2-chlorophenyl)methyl]-2-methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 40 THERE ARE 40 CAPLUS RECORDS THAT CITE THIS RECORD (54 CITINGS)

L12 ANSWER 52 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1996:712949 CAPLUS

DOCUMENT NUMBER: 126:54470

ORIGINAL REFERENCE NO.: 126:10586h,10587a

TITLE: Design, Synthesis, and Evaluation of Nonpeptidic Inhibitors of Human Rhinovirus 3C Protease

AUTHOR(S): Webber, Stephen E.; Tikhe, Jayashree; Worland, Stephen T.; Fuhrman, Shella A.; Hendrickson, Thomas F.; Matthews, David A.; Love, Robert A.; Patick, Amy K.; Meador, James W.; et al.

CORPORATE SOURCE: Agouron Pharmaceuticals, San Diego, CA, 92121, USA

SOURCE: Journal of Medicinal Chemistry (1996), 39(26), 5072-5082

CODEN: JMCMAR; ISSN: 0022-2623

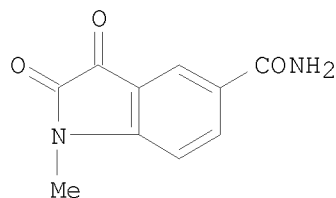
PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

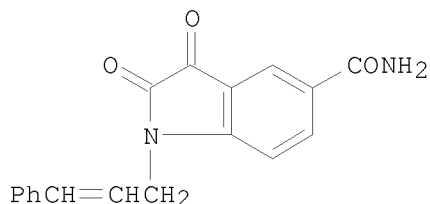
LANGUAGE: English

OTHER SOURCE(S): CASREACT 126:54470

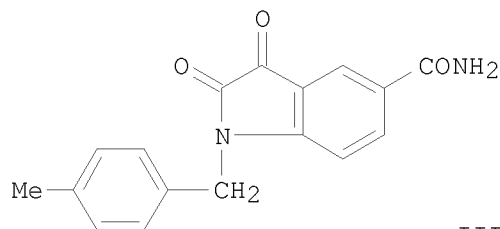
GI



I



II



III

AB The design, synthesis, and biol. evaluation of reversible, nonpeptidic inhibitors of human rhinovirus (HRV) 3C protease (3CP) are reported. A novel series of 2,3-dioxindoles (isatins) were designed that utilized a combination of protein structure-based drug design, mol. modeling, and structure-activity relationship (SAR). The C-2 carbonyl of isatin was envisioned to react in the active site of HRV 3CP with the cysteine

responsible for catalytic proteolysis, thus forming a stabilized transition state mimic. Mol.-modeling expts. using the apo crystal structure of human rhinovirus-serotype 14 (HRV-14) 3CP and a peptide substrate model allowed the authors to design recognition features into the P1 and P2 subsites, resp., from the 5- and 1-positions of isatin. Attempts to optimize recognition properties in the P1 subsite using SAR at the 5-position were performed. In addition, a series of ab initio calcns. were carried out on several 5-substituted isatins to investigate the stability of sulfide adducts at C-3. The inhibitors were prepared by general synthetic methods, starting with com. available 5-substituted isatins in nearly every case. All compds. were tested for inhibition of purified HRV-14 3CP. Compds. I, II, and III were found to have excellent selectivity for HRV-14 3CP compared to other proteolytic enzymes, including chymotrypsin and cathepsin B. Selected compds. were assayed for antiviral activity against HRV-14-infected HI-HeLa cells. A 2.8 Å cocrystal structure of derivative III covalently bound to human rhinovirus-serotype 2 (HRV-2) 3CP was solved and revealed that the isatin was situated in essentially the same conformation as modeled.

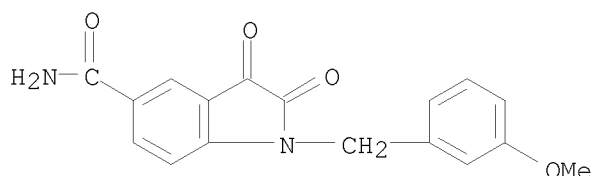
IT 184904-90-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

(design, synthesis, and evaluation of nonpeptidic inhibitors of human rhinovirus 3C protease)

RN 184904-90-3 CAPLUS

CN 1H-Indole-5-carboxamide, 2,3-dihydro-1-[(3-methoxyphenyl)methyl]-2,3-dioxo- (CA INDEX NAME)



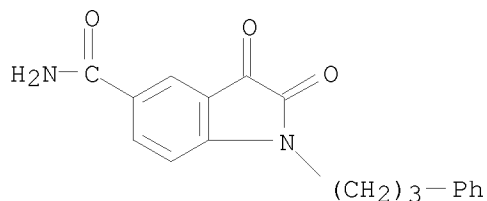
IT 184904-79-8P 184904-80-1P 184904-81-2P  
 184904-82-3P 184904-86-7P 184904-88-9P  
 184904-92-5P 184904-94-7P 184904-95-8P  
 184904-96-9P 184904-97-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(design, synthesis, and evaluation of nonpeptidic inhibitors of human rhinovirus 3C protease)

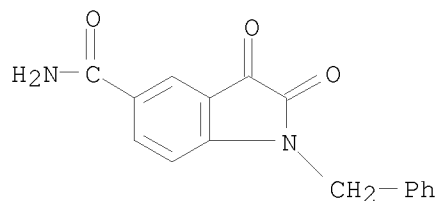
RN 184904-79-8 CAPLUS

CN 1H-Indole-5-carboxamide, 2,3-dihydro-2,3-dioxo-1-(3-phenylpropyl)- (CA INDEX NAME)



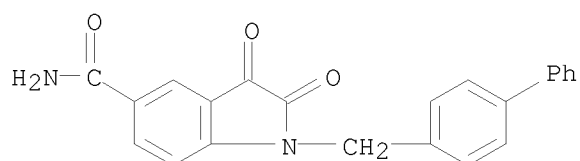
RN 184904-80-1 CAPLUS

CN 1H-Indole-5-carboxamide, 2,3-dihydro-2,3-dioxo-1-(phenylmethyl)- (CA INDEX NAME)



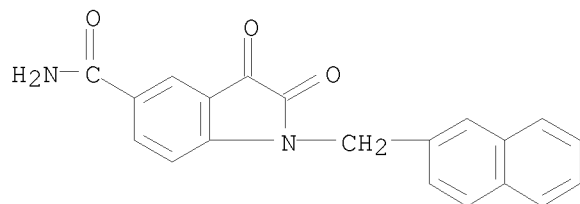
RN 184904-81-2 CAPLUS

CN 1H-Indole-5-carboxamide, 1-([1,1'-biphenyl]-4-ylmethyl)-2,3-dihydro-2,3-dioxo- (CA INDEX NAME)



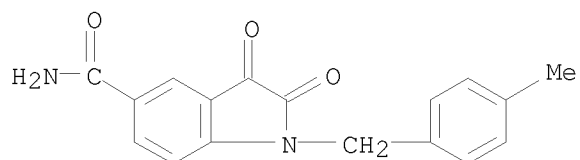
RN 184904-82-3 CAPLUS

CN 1H-Indole-5-carboxamide, 2,3-dihydro-1-(2-naphthalenylmethyl)-2,3-dioxo- (CA INDEX NAME)



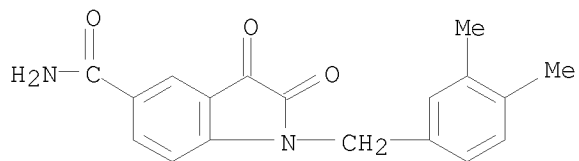
RN 184904-86-7 CAPLUS

CN 1H-Indole-5-carboxamide, 2,3-dihydro-1-[(4-methylphenyl)methyl]-2,3-dioxo- (CA INDEX NAME)

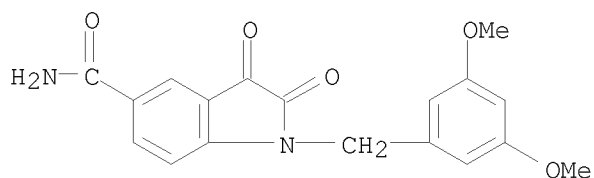


RN 184904-88-9 CAPLUS

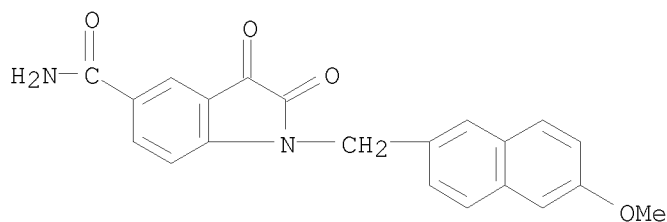
CN 1H-Indole-5-carboxamide, 1-[(3,4-dimethylphenyl)methyl]-2,3-dihydro-2,3-dioxo- (CA INDEX NAME)



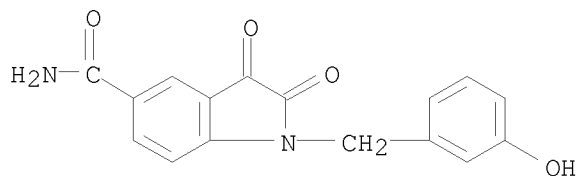
RN 184904-92-5 CAPLUS  
 CN 1H-Indole-5-carboxamide, 1-[(3,5-dimethoxyphenyl)methyl]-2,3-dihydro-2,3-dioxo- (CA INDEX NAME)



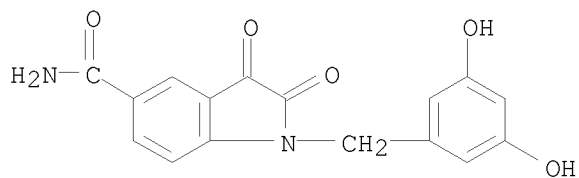
RN 184904-94-7 CAPLUS  
 CN 1H-Indole-5-carboxamide, 2,3-dihydro-1-[(6-methoxy-2-naphthalenyl)methyl]-2,3-dioxo- (CA INDEX NAME)



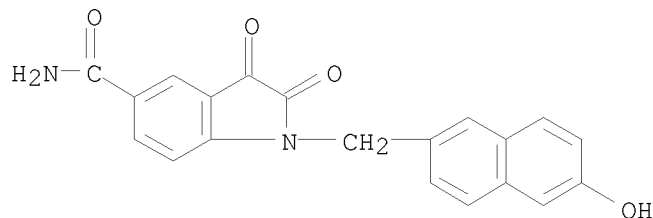
RN 184904-95-8 CAPLUS  
 CN 1H-Indole-5-carboxamide, 2,3-dihydro-1-[(3-hydroxyphenyl)methyl]-2,3-dioxo- (CA INDEX NAME)



RN 184904-96-9 CAPLUS  
 CN 1H-Indole-5-carboxamide, 1-[(3,5-dihydroxyphenyl)methyl]-2,3-dihydro-2,3-dioxo- (CA INDEX NAME)

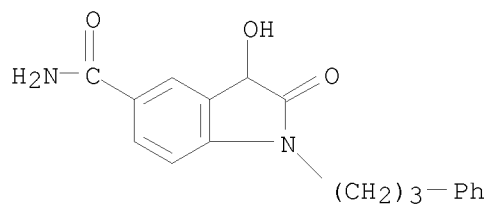


RN 184904-97-0 CAPLUS  
 CN 1H-Indole-5-carboxamide, 2,3-dihydro-1-[(6-hydroxy-2-naphthalenyl)methyl]-  
 2,3-dioxo- (CA INDEX NAME)



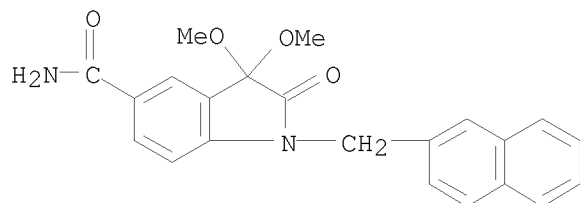
IT 184905-09-7P  
 RL: BYP (Byproduct); PREP (Preparation)  
 (design, synthesis, and evaluation of nonpeptidic inhibitors of human  
 rhinovirus 3C protease)

RN 184905-09-7 CAPLUS  
 CN 1H-Indole-5-carboxamide, 2,3-dihydro-3-hydroxy-2-oxo-1-(3-phenylpropyl)-  
 (CA INDEX NAME)



IT 184905-07-5P  
 RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP  
 (Preparation); RACT (Reactant or reagent)  
 (design, synthesis, and evaluation of nonpeptidic inhibitors of human  
 rhinovirus 3C protease)

RN 184905-07-5 CAPLUS  
 CN 1H-Indole-5-carboxamide, 2,3-dihydro-3,3-dimethoxy-1-(2-  
 naphthalenylmethyl)-2-oxo- (CA INDEX NAME)



OS.CITING REF COUNT: 97 THERE ARE 97 CAPLUS RECORDS THAT CITE THIS  
 RECORD (98 CITINGS)  
 REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 53 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN  
 ACCESSION NUMBER: 1995:621499 CAPLUS  
 DOCUMENT NUMBER: 123:32954  
 ORIGINAL REFERENCE NO.: 123:6087a,6090a

TITLE: Preparation of 1H-indole-3-acetamides as sPLA2 inhibitors.

INVENTOR(S): Bach, Nicholas James; Dillard, Robert Delane; Draheim, Susan Elizabeth; Hermann, Robert Bell; Schevitz, Richard Walter

PATENT ASSIGNEE(S): Eli Lilly and Co., USA

SOURCE: Eur. Pat. Appl., 123 pp.  
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

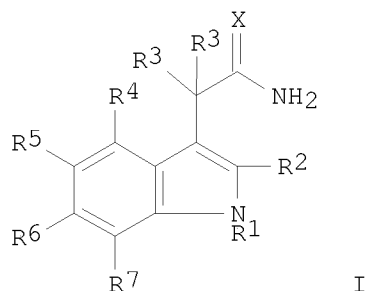
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE        |
|---|------|----------|-----------------|-------------|
| EP 620215   | A1   | 19941019 | EP 1994-302666  | 19940414    |
| EP 620215   | B1   | 19990818 |                 |             |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE |      |          |                 |             |
| HU 70836  | A2   | 19951128 | HU 1994-1060    | 19940413    |
| CA 2121323  | A1   | 19941017 | CA 1994-2121323 | 19940414    |
| BR 9401482  | A    | 19941018 | BR 1994-1482    | 19940414    |
| AT 183503   | T    | 19990915 | AT 1994-302666  | 19940414    |
| ES 2138648  | T3   | 20000116 | ES 1994-302666  | 19940414    |
| CZ 289750   | B6   | 20020313 | CZ 1994-893     | 19940414    |
| FI 9401767  | A    | 19941017 | FI 1994-1767    | 19940415    |
| NO 9401361  | A    | 19941017 | NO 1994-1361    | 19940415    |
| AU 9459492  | A    | 19941020 | AU 1994-59492   | 19940415    |
| AU 676884   | B2   | 19970327 |                 |             |
| JP 07025850   | A    | 19950127 | JP 1994-77650   | 19940415    |
| CN 1098715  | A    | 19950215 | CN 1994-104434  | 19940415    |
| CN 1068588  | C    | 20010718 |                 |             |
| ZA 9402615  | A    | 19951016 | ZA 1994-2615    | 19940415    |
| RU 2162463  | C2   | 20010127 | RU 1994-12930   | 19940415    |
| PL 181319   | B1   | 20010731 | PL 1994-303028  | 19940415    |
| US 5684034  | A    | 19971104 | US 1995-435256  | 19950505    |
| US 6252084  | B1   | 20010626 | US 1997-962603  | 19971031    |
| GR 3031783  | T3   | 20000229 | GR 1999-402875  | 19991108    |
| PRIORITY APPLN. INFO.:  |      |          |                 | A 19930416  |
|   |      |          |                 | A 19940315  |
|   |      |          |                 | A1 19950505 |

OTHER SOURCE(S): MARPAT 123:32954

GI



AB Title compds. [I; R1 = (cyclo)alkyl, alkenyl, aryl, alkylamino, etc.; R2 = H, halo, alkyl, alkoxy, etc.; R3 = H, halo, Me; R4-R7 = H, (cyclo)alkyl, aryl(alkyl), alkoxy, etc.; X = O or S] were prepared Thus, 1-(2-tert-butoxycarbonylamino-5-methoxyphenyl)-2-butanone (preparation from 4-methoxy-2-methylaniline given) was cyclized and the product alkylated by



BrCH<sub>2</sub>CO<sub>3</sub>Me to give, in 4 addnl. steps, I (R<sub>1</sub> = CH<sub>2</sub>Ph, R<sub>2</sub> = Et, R<sub>3</sub> = R<sub>4</sub> = R<sub>6</sub> = R<sub>7</sub> = H, R<sub>5</sub> = OR, X = O) (II; R = H) which was condensed with Br(CH<sub>2</sub>)<sub>3</sub>P(O)(OMe)<sub>2</sub> to give, after saponification, II [R = (CH<sub>2</sub>)<sub>3</sub>P(O)(OH)<sub>2</sub>].

The

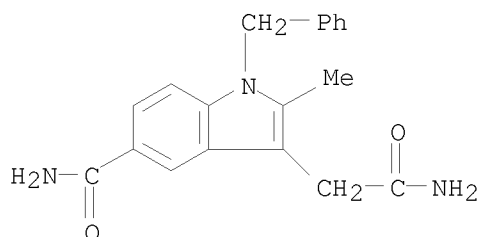
latter had IC<sub>50</sub> of 0.02μM against human sPLA<sub>2</sub> in vitro.

IT 164084-35-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of 1H-indole-3-acetamides as sPLA<sub>2</sub> inhibitors.)

RN 164084-35-9 CAPLUS

CN 1H-Indole-3-acetamide, 5-(aminocarbonyl)-2-methyl-1-(phenylmethyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 23 THERE ARE 23 CAPLUS RECORDS THAT CITE THIS RECORD (50 CITINGS)

L12 ANSWER 54 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1995:374622 CAPLUS

DOCUMENT NUMBER: 123:143924

ORIGINAL REFERENCE NO.: 123:25645a,25648a

TITLE: Preparation of indolylalkyl derivatives of pyrimidinylpiperazine for treating vascular headache

INVENTOR(S): Smith, David W.; Yocca, Frank D.; Yevich, Joseph P.; Mattson, Ronald J.; Williams, Andrew; Ruediger, Edward H.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Co., USA

SOURCE: U.S., 27 pp. Cont.-in-part of U.S. Ser. No. 680,208, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

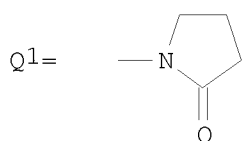
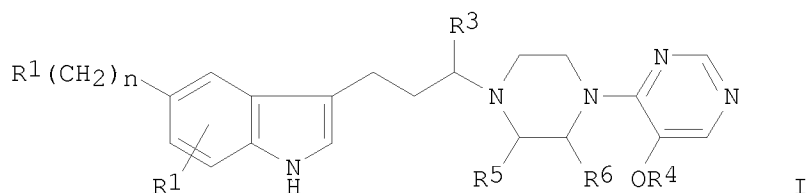
PATENT INFORMATION:

| PATENT NO.             | KIND | DATE     | APPLICATION NO. | DATE        |
|------------------------|------|----------|-----------------|-------------|
| -----                  | ---- | -----    | -----           | -----       |
| US 5300506             | A    | 19940405 | US 1992-960063  | 19921013    |
| CA 2043709             | A1   | 19911230 | CA 1991-2043709 | 19910531    |
| CA 2043709             | C    | 20020122 |                 |             |
| ZA 9104804             | A    | 19930224 | ZA 1991-4804    | 19910621    |
| ES 2066278             | T3   | 19950301 | ES 1991-110376  | 19910624    |
| FI 9103142             | A    | 19911230 | FI 1991-3142    | 19910627    |
| FI 101224              | B1   | 19980515 |                 |             |
| AU 9179416             | A    | 19920102 | AU 1991-79416   | 19910627    |
| AU 643038              | B2   | 19931104 |                 |             |
| JP 04230378            | A    | 19920819 | JP 1991-183911  | 19910628    |
| PRIORITY APPLN. INFO.: |      |          | US 1990-546122  | B2 19900629 |
|                        |      |          | US 1991-680208  | B2 19910404 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 123:143924

GI

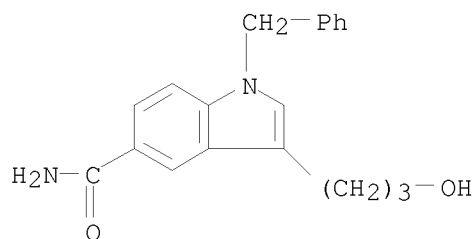


AB Title compds. [I; R1 = H, halo, alkyl, alkoxy, (substituted) phenylalkoxy, amino, cyano, OH, OCH2CN, CO2R9, Q1, etc.; R2 = H, halo, alkyl, alkoxy, CO2R9; R3, R5, R6 = H, alkyl; R4 = alkyl; R9 = alkyl, (substituted) phenylalkyl], were prepared Thus, 1-[3-(5-benzyloxy-1H-indol-3-yl)propyl]-4-(5-methoxy-4-pyrimidinyl)piperazine (preparation given) was hydrogenolyzed in EtOH over Pd(OH)2 to give 1-[3-(5-hydroxy-1H-indol-3-yl)propyl]-4-(5-methoxy-4-pyrimidinyl)piperazine. The latter showed a 5-HT1d binding site affinity of 0.8 nM.

IT 161108-37-8P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of, as intermediate for pyrimidinylpiperazinylpropylindole serotonin 5-HT1d agonist for treatment of vascular headache)

RN 161108-37-8 CAPLUS

CN 1H-Indole-5-carboxamide, 3-(3-hydroxypropyl)-1-(phenylmethyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 55 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1980:532369 CAPLUS

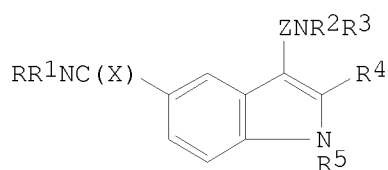
DOCUMENT NUMBER: 93:132369

ORIGINAL REFERENCE NO.: 93:21105a,21108a

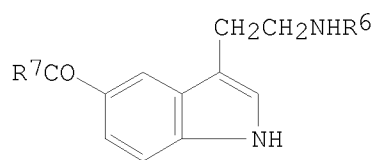
TITLE: Indole compounds and pharmaceutical compositions containing them

INVENTOR(S): Webb, Colin Frederick  
 PATENT ASSIGNEE(S): Glaxo Group Ltd., UK  
 SOURCE: Ger. Offen., 102 pp.  
 CODEN: GWXXBX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.             | KIND             | DATE     | APPLICATION NO. | DATE       |
|------------------------|------------------|----------|-----------------|------------|
| DE 2940687             | A1               | 19800430 | DE 1979-2940687 | 19791008   |
| DE 2940687             | C2               | 19910801 |                 |            |
| ZA 7905239             | A                | 19801126 | ZA 1979-5239    | 19791002   |
| FI 7903071             | A                | 19800413 | FI 1979-3071    | 19791004   |
| DK 7904255             | A                | 19800413 | DK 1979-4255    | 19791009   |
| AU 7951657             | A                | 19800417 | AU 1979-51657   | 19791010   |
| AU 531783              | B2               | 19830908 |                 |            |
| GB 2035310             | A                | 19800618 | GB 1979-35208   | 19791010   |
| GB 2035310             | B                | 19821222 |                 |            |
| US 4252803             | A                | 19810224 | US 1979-83343   | 19791010   |
| AT 7906605             | A                | 19840815 | AT 1979-6605    | 19791010   |
| AT 377511              | B                | 19850325 |                 |            |
| SE 7908443             | A                | 19800413 | SE 1979-8443    | 19791011   |
| SE 448628              | B                | 19870309 |                 |            |
| SE 448628              | C                | 19870618 |                 |            |
| CH 646151              | A5               | 19841115 | CH 1979-9194    | 19791011   |
| BE 879381              | A1               | 19800201 | BE 1979-197621  | 19791012   |
| NL 7907583             | A                | 19800415 | NL 1979-7583    | 19791012   |
| FR 2438651             | A1               | 19800509 | FR 1979-25446   | 19791012   |
| FR 2438651             | B1               | 19830304 |                 |            |
| JP 55062063            | A                | 19800510 | JP 1979-130944  | 19791012   |
| JP 63058817            | B                | 19881117 |                 |            |
| CA 1146550             | A1               | 19830517 | CA 1979-337443  | 19791012   |
| PRIORITY APPLN. INFO.: |                  |          | GB 1978-40279   | A 19781012 |
| OTHER SOURCE(S):       | MARPAT 93:132369 |          |                 |            |
| GI                     |                  |          |                 |            |



I



II

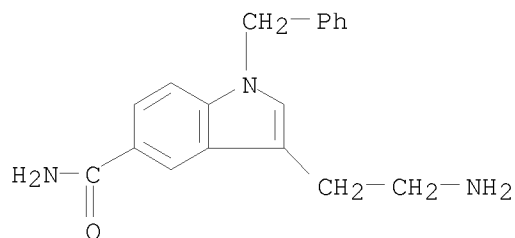
AB The indole derivs. I [R, R1, R2, R3 = H, (substituted) alkyl, cycloalkyl, aryl, or aralkyl; RR1N, and R2R3N = ring; R4 = H, C1-3 alkyl, aryl; R5 = H, alkyl, aralkyl; Z = C1-4 alkylene; X = O, S] and their salts were prepared for use in treatment of hypertension and migraines (no data). Thus, II (R6 = CO2CH2Ph, R7 = OH) reacted with PhCH2NH2 in the presence of 2-chloro-1-methylpyridinium iodide to give II (R6 = CO2CH2Ph, R7 = NHCH2Ph), which was hydrogenated over Pd-C to give I (R6 = H, R7 = NHCH2Ph), isolated as compound with creatinine sulfate.

IT 74885-49-7P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

RN 74885-49-7 CAPLUS

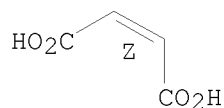
CN 1H-Indole-5-carboxamide, 3-(2-aminoethyl)-1-(phenylmethyl)-, (2Z)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1  
CRN 74885-48-6  
CMF C18 H19 N3 O



CM 2  
CRN 110-16-7  
CMF C4 H4 O4

Double bond geometry as shown.



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RECORD (30 CITINGS)

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| => log hold                                |            |         |
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|  | ENTRY      | SESSION |
| FULL ESTIMATED COST                        | 337.40     | 988.64  |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE | TOTAL   |
|  | ENTRY      | SESSION |
| CA SUBSCRIBER PRICE                        | -48.72     | -57.42  |

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| COST IN U.S. DOLLARS | SINCE FILE | TOTAL   |
| FULL ESTIMATED COST  | ENTRY      | SESSION |
|                      | 337.40     | 988.64  |

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| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE | TOTAL   |
| CA SUBSCRIBER PRICE                        | ENTRY      | SESSION |
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| CA SUBSCRIBER PRICE                        | ENTRY      | SESSION |
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STRUCTURE FILE UPDATES: 24 MAY 2011 HIGHEST RN 1299596-13-6  
 DICTIONARY FILE UPDATES: 24 MAY 2011 HIGHEST RN 1299596-13-6

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<http://www.cas.org/legal/infopolicy.html>

TSCA INFORMATION NOW CURRENT THROUGH January 14, 2011.

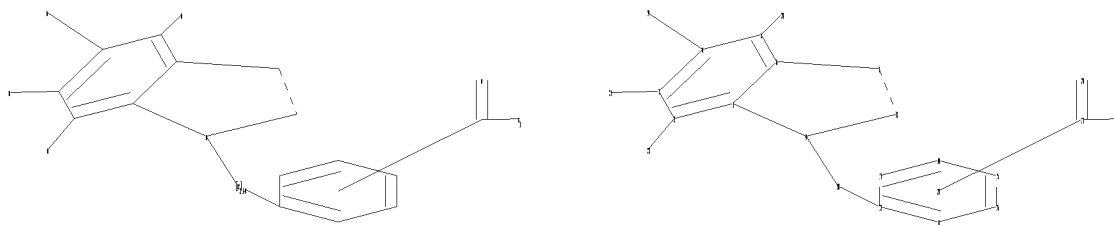
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<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\STNEXP\Queries\10598281FOAM1c.str



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ring nodes :
1 2 3 4 5 6 7 8 9 11 12 13 14 15 16
chain bonds :
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ring bonds :
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15-16
exact/norm bonds :
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exact bonds :
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normalized bonds :
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G1:OH,NH2

Match level :

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1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 19:CLASS 20:CLASS 21:CLASS
22:CLASS 23:CLASS 24:CLASS 25:CLASS 27:Atom

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L13 STRUCTURE UPLOADED

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L13 HAS NO ANSWERS

L13 STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 10:33:07 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 30556 TO ITERATE

100.0% PROCESSED 30556 ITERATIONS

16 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 600658 TO 621582

PROJECTED ANSWERS: 80 TO 560

L14 16 SEA SSS SAM L13

=> s l13 sss full

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DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y

FULL SEARCH INITIATED 10:33:12 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 615882 TO ITERATE

100.0% PROCESSED 615882 ITERATIONS

443 ANSWERS

SEARCH TIME: 00.00.02

L15 443 SEA SSS FUL L13

=> file caplus

COST IN U.S. DOLLARS

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TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

196.86

1186.02

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FILE COVERS 1907 - 25 May 2011 VOL 154 ISS 22

FILE LAST UPDATED: 24 May 2011 (20110524/ED)

REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2011

USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2011

Caplus now includes complete International Patent Classification (IPC) reclassification data for the fourth quarter of 2010.

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l15

L16 97 L15

=> d ibib abs hitstr 97

L16 ANSWER 97 OF 97 CAPLUS COPYRIGHT 2011 ACS on STN  
ACCESSION NUMBER: 1965:488799 CAPLUS  
DOCUMENT NUMBER: 63:88799  
ORIGINAL REFERENCE NO.: 63:16308a-h,16309a-c  
TITLE: Indolyl aliphatic acids  
INVENTOR(S): Sarett, Lewis H.; Shen, Tsung Y.  
PATENT ASSIGNEE(S): Merck & Co., Inc.  
SOURCE: 23 pp.  
DOCUMENT TYPE: Patent  
LANGUAGE: Unavailable  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

| PATENT NO.             | KIND | DATE     | APPLICATION NO. | DATE     |
|------------------------|------|----------|-----------------|----------|
| -----                  | ---- | -----    | -----           | -----    |
| US 3196162             |      | 19650720 | US 1961-94995   | 19590903 |
| PRIORITY APPLN. INFO.: |      |          | US              | 19590903 |

GI For diagram(s), see printed CA Issue.

AB The title compds. (Ia) are antiinflammatory and sunscreens agents, some of which have antipyretic action p-Methoxyphenyl-hydrazine-HCl (25 g.) and 20 g. Et  $\alpha$ -methyllevulinate in 250 ml. 2N ethanolic HCl was refluxed to give Et  $\alpha$ -(2-methyl-5-methoxy-3-indolyl)propionate (I), b0.25 150-3° m. 53-5.5°. Et  $\alpha$ -(2,5-dimethyl-3-indolyl)propionate, b1 150-170° (bath temperature), m. 88-8.5° (petroleum ether), was similarly prepared I was hydrolyzed to the free acid, m. 163-5° (aqueous EtOH). I (13 g.) in 75 ml. dimethylformamide (II) was added to a stirred suspension of 2.5 g. of a NaH-mineral oil dispersion (containing 52 weight-% NaH) in 100 ml. II. The mixture was stirred at room temperature for 1 hr., then 8 g. o-chlorobenzyl chloride was added slowly. The resulting mixture kept at room temperature 14 hrs.

gave Et  $\alpha$ -(1-o-chlorobenzyl-2-methyl-5-methoxy-3-indolyl)propionate (III), 118-122°. III was saponified to give the free acid, m. 191-2° (benzene). In a similar manner, the following Ia (R1 = R6 = H, R2 = R3 = Me), were prepared (R, R4, R5, and m.p. given): H, OCH3, m-Cl, 191-2°; Et OCH3, o,p-di-Cl, 130°; H, OCH3, o,p-di-Cl 184-6°; Et CH3, p-Cl, 89-90°; H, CH3, p-Cl, 185-6°; H, OCH3, p-OCH3, 153-3.5°; H, OCH3, p-F, 164-5°; Et, OCH3, p-SCHF2, -; H, OCH3, p-SCHF2, 132-3°; Et, OCH3, p-OCHF2, -; H, OCH3, p-OCHF2, 144-6°; H, OCH3, p-Cl, 163-5°; H, OCH3, p-SCH3, 170-1°; H, OCH3, p-SCH2Ph, 150-3°; H, OCH3, p-SH, 161-4°; H, OCH3, p-SOCH3, 194-6°; H, OCH3, p-SOCH3, 98-101°; Et, CH3, p-SCH3, 111-13°; H, CH3, p-SCH3, 184-7°; H, OCH3, p-CF3, 176-80°; Et, OCH3, p-CN, 72°; H, OCH3, p-CN, 197-200°; H, OCH3, p-COOH, 230-4°; Et, OCH3, p-NO2, 102-3°; H, OCH3, p-NO2, 188-90°; H, OCH3, p-N(CH3)2, 193-4°; Et, OCH3, p-SO2N-(CH3)2, 140°; H, OCH3, p-SO2N(CH3)2, 156.5-8.5°; H, OCH3, p-SEt, 126-33°.  $\alpha$ -(1-p-Methylthiobenzyl-2-methyl-5-methoxy-3-indolyl)propionic acid (IV) (8.8 g.) and 14 g. urea was heated at 190-200° for 1.5 hrs. to



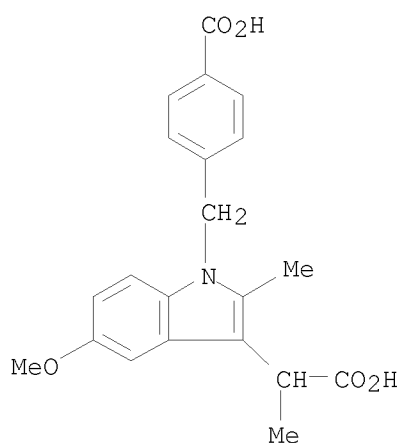
give the amide of IV m. 143-4°. IV (4.45 g.) was slurried in 12 ml. MeOH, 5.2 ml. 2.21N NaOCH<sub>3</sub> in MeOH was added under N and the solution was concentrated to a sirup to give the Na salt of IV. The Al salt of IV was also prepared. In the preparation of  $\alpha$ -(1-p-chlorobenzyl-2-methyl-5-methylthio-3-indolyl)propionic acid (V), N-p-chlorobenzylidene-4-mercaptoaniline (VI) was prepared from 53.3 g. p-aminothiophenol in 200 ml. EtOH and 60.2 g. p-chlorobenzaldehyde in 200 ml. EtOH. VI (58.2 g.) was treated with 11.52 g. NaH (52% in mineral oil) in 400 ml. II and 35 g. CH<sub>3</sub>I in 100 ml. II to give N-p-chlorobenzylidene-4-methylthioaniline (VII). VII was treated with NaBH<sub>4</sub> to give N-p-chlorobenzyl-4-methylthioaniline. The corresponding nitroso derivative was prepared and reduced to give N'-p-chlorobenzyl-4-methylthiophenylhydrazine-HCl m. 140.5° (EtOH). Ring closure of the hydrazine with Et  $\alpha$ -methyllevulinate gave the Et ester of V as a yellow sirup. The ester was saponified to V, m. 154-60° (acetonitrile). The following intermediates were also prepared: p-difluoromethylthiotoluene, b<sub>0.35</sub> 32-4°, n<sub>23D</sub> 1.5092; p-difluoromethylthiobenzyl bromide, b<sub>0.3</sub> 74°, n<sub>22D</sub> 1.5622; p-difluoromethoxytoluene, b. 165-7°; p-difluoromethoxybenzyl bromide, b<sub>0.2</sub> 50-2° n<sub>23D</sub> 1.5170; p-methylthiobenzyl chloride b<sub>1</sub> 99°; p-trifluoromethylbenzaldehyde, b<sub>12</sub> 64°, n<sub>22D</sub> 1.4633; p-trifluoromethylbenzyl chloride, b<sub>12</sub> 68°, n<sub>22D</sub> 1.4622; p-trifluoromethylbenzyl alcohol, b<sub>12</sub> 85-8°, n<sub>22D</sub> 1.4562; N'-(p-nitrobenzyl)-N-(p-methoxyphenyl)hydrazine-HCl, 147-150°; NN-dimethyl-p-bromomethylbenzenesulfonamide, 85-108°; p-ethylthiobenzyl chloride, b. 92-103°/250-400 mm; phenylthiobenzyl chloride (39%, by analysis), b. 85-145°/50 mm; N-(o,p-dimethoxybenzyl)-p-methoxyaniline, 126-7°; N'-(o,p-dimethoxybenzyl)-N-(p-methoxyphenyl)hydrazine-HCl, 136-9°. Also prepared were the following Ia (R<sub>3</sub> = R<sub>6</sub> = H) (R, R<sub>1</sub>, R<sub>2</sub>, R<sub>4</sub>, R<sub>5</sub>, and m.p. given): H, H, H, OCH<sub>3</sub>, p-Cl, 144-8°; H, H, CF<sub>3</sub>, OCH<sub>3</sub>, p-SCH<sub>3</sub>, 168-72°; H, H, CH<sub>3</sub>, OCH<sub>3</sub>, p-SCH<sub>3</sub>, 155-6.5°; Et, H, CH<sub>3</sub>, OCH<sub>3</sub>, p-SCH<sub>3</sub>, 94-5°; H, H, H, OCH<sub>3</sub>, p-Cl, 146-8°; H, H, COOH, OCH<sub>3</sub>, p-Cl, 213-18°; Et, H, COOH, OCH<sub>3</sub>, p-Cl, 214-16°; H, H, H, OCH<sub>3</sub>, p-Cl, 146-8°. The following intermediates were prepared: 2-ethyl-5-methylindole, 72-4°; 2-ethyl-5-gramine, m. 100-3°;  $\alpha$ -(2-ethyl-5-methyl-3-indolyl)acetic acid, m. 137-8°; Et 2-methyl-5-chloro-3-indolylacetate, m. 85°. Oxalyl chloride (19 g.) in 25 ml. ether was added rapidly to an ice cold mixture of 35.7 g. 1-p-chlorobenzyl-2-methyl-5-methoxyindole in 900 ml. ether and the mixture stirred for 2 hrs.; the solid recovered was added to 660 ml. EtOH and treated with 0.12 moles NaCl. After being stirred 1 hr., the mixture was poured into an equal volume of H<sub>2</sub>O containing 10 ml. acetic

acid

to give Et  $\alpha$ -(1-p-chlorobenzyl-2-methyl-5-methoxy-3-indolyl)oxoacetate (VIII), m. 113°. VIII (38 g.) in 260 ml. benzene and 500 ml. dry ether was added to a mixture of 500 ml. dry ether, 36.02 g. triphenylphosphonium bromide, and 94.36 ml. 1.10N BuLi under N. After stirring 1 hr., the mixture was heated in a closed flask at 65-70° for 5 hrs. to give Et  $\alpha$ -(1-p-chlorobenzyl-2-methyl-5-methoxy-3-indolyl)acrylate (IX), m. 94-5°. The free acid m. 187-8° (EtOH). IX (1.8 g.) in 10 ml. dry tetrahydrofuran was added to 4 g. diiodomethane, 1.25 g. Zn-Cu couple, and 0.2 g. iodine in 20 ml. dry tetrahydrofuran. The mixture was refluxed to give Et  $\alpha$ -(1-p-chlorobenzyl-2-methyl-5-methoxy-3-indolyl)cyclopropa-necarboxylate (X). X was hydrolyzed to the free acid, m. 220-4°. In addition, racemic and optically active forms were prepared: (+)- $\alpha$ -(1-p-methylthiobenzyl-2-methyl-5-methoxy-3-indolyl)pr-opionic acid (+)- $\alpha$ -phenethylamine salt m. 170-2°, [ $\alpha$ ]<sub>22</sub> D 38.5° (c 1, MeOH); the free acid of the preceding salt, m. 118°, [ $\alpha$ ]<sub>22</sub> D 62.4° (c 0.94, EtOH); (+)- $\alpha$ -(1-p-chlorobenzyl-2-methyl-5-methoxy-3-indolyl)propionic acid (+)- $\alpha$ -phenethylamine salt, m. 148-9°, [ $\alpha$ ]<sub>22</sub> D

43° (c 1, MeOH); the free acid (XI) of the preceding salt m. 156-7°, [α]<sub>22</sub><sup>D</sup> 60° (c 1, EtOH); the dl form of XI; the (-) form of XI, m. 153-4°, [α]<sub>23</sub><sup>D</sup> -58° (c 1, EtOH); (-)-α-(1-p-chlorobenzyl-2-methyl-5-methoxy-3-indolyl)propionic acid (-)-α-phenethylamine salt. Racemic forms of α-[1-p-fluoro(and methoxy)benzyl-2-methyl-5-methoxy-3-indolyl]propionic acids and of 1-(1-p-methylthio-benzyl-2,5-dimethyl-3-indolyl)propionic acid were also prepared

IT 3447-34-5P, Indole-3-acetic acid,  
1-(p-carboxybenzyl)-5-methoxy-α,2-dimethyl-  
RL: PREP (Preparation)  
(preparation of)  
RN 3447-34-5 CAPLUS  
CN 1H-Indole-3-acetic acid, 1-[(4-carboxyphenyl)methyl]-5-methoxy-α,2-dimethyl- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD  
(5 CITINGS)

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COST IN U.S. DOLLARS

| SINCE FILE ENTRY | TOTAL SESSION |
|------------------|---------------|
|------------------|---------------|

FULL ESTIMATED COST

|      |         |
|------|---------|
| 6.48 | 1192.50 |
|------|---------|

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

| SINCE FILE ENTRY | TOTAL SESSION |
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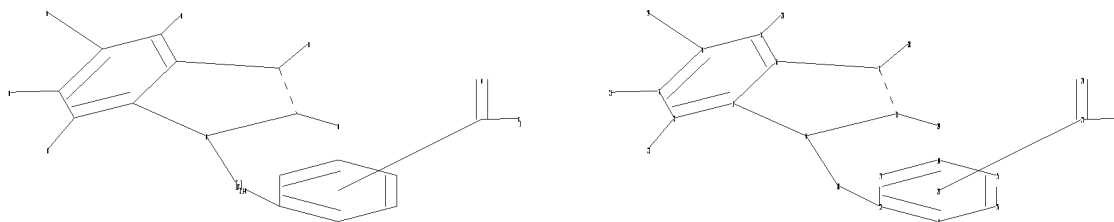
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REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\STNEXP\Queries\10598281FOAM1d.str



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chain nodes :
10 19 20 21 22 23 24 25 28 29
ring nodes :
1 2 3 4 5 6 7 8 9 11 12 13 14 15 16
chain bonds :
2-22 3-21 4-19 5-20 7-28 8-29 9-10 10-12 23-24 23-25
ring bonds :
1-2 1-6 1-9 2-3 3-4 4-5 5-6 6-7 7-8 8-9 11-12 11-16 12-13 13-14 14-15
15-16
exact/norm bonds :
1-9 4-19 6-7 7-8 8-9 23-24 23-25
exact bonds :
2-22 3-21 5-20 7-28 8-29 9-10 10-12
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 11-12 11-16 12-13 13-14 14-15 15-16
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G1:OH,NH2

Match level :

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1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 19:CLASS 20:CLASS 21:CLASS
22:CLASS 23:CLASS 24:CLASS 25:CLASS 27:Atom 28:CLASS 29:CLASS
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L17        STRUCTURE UPLOADED

=> d l17

L17 HAS NO ANSWERS

L17                STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -    AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

=> d hist

(FILE 'HOME' ENTERED AT 09:52:54 ON 25 MAY 2011)

FILE 'REGISTRY' ENTERED AT 09:53:16 ON 25 MAY 2011

L1                STRUCTURE UPLOADED

L2                0 S L1 SSS SAM

L3                18 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 09:53:51 ON 25 MAY 2011

L4                1 S L3

FILE 'REGISTRY' ENTERED AT 09:54:29 ON 25 MAY 2011

L5                STRUCTURE UPLOADED

L6                0 S L5 SSS SAM

L7                30 S L5 SSS FULL

FILE 'CAPLUS' ENTERED AT 09:57:16 ON 25 MAY 2011

L8                9 S L7

FILE 'REGISTRY' ENTERED AT 09:57:41 ON 25 MAY 2011

FILE 'REGISTRY' ENTERED AT 10:02:11 ON 25 MAY 2011

L9                STRUCTURE UPLOADED

L10               24 S L9 SSS SAM

L11               446 S L9 SSS FULL

FILE 'CAPLUS' ENTERED AT 10:02:39 ON 25 MAY 2011

L12               55 S L11

FILE 'REGISTRY' ENTERED AT 10:32:44 ON 25 MAY 2011

L13               STRUCTURE UPLOADED

L14               16 S L13 SSS SAM

L15               443 S L13 SSS FULL

FILE 'CAPLUS' ENTERED AT 10:33:17 ON 25 MAY 2011

L16               97 S L15

FILE 'REGISTRY' ENTERED AT 10:33:42 ON 25 MAY 2011

L17               STRUCTURE UPLOADED

=> s l17 sub=l15 sss sam

SAMPLE SUBSET SEARCH INITIATED 10:35:00 FILE 'REGISTRY'

SAMPLE SUBSET SCREEN SEARCH COMPLETED -        16 TO ITERATE

100.0% PROCESSED        16 ITERATIONS

6 ANSWERS

SEARCH TIME: 00.00.01

PROJECTIONS (WITHIN SPECIFIED SUBSET):                    ONLINE    \*\*COMPLETE\*\*  
PROJECTED ITERATIONS (WITHIN SPECIFIED SUBSET):                    80 TO            560  
PROJECTED ANSWERS (WITHIN SPECIFIED SUBSET):                    6 TO            266

L18                    6 SEA SUB=L15 SSS SAM L17

=> s l17 sub=l15 sss full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 46.85 U.S. DOLLARS

DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y

FULL SUBSET SEARCH INITIATED 10:35:07 FILE 'REGISTRY'

FULL SUBSET SCREEN SEARCH COMPLETED -            443 TO ITERATE

100.0% PROCESSED            443 ITERATIONS                    145 ANSWERS  
SEARCH TIME: 00.00.01

L19                    145 SEA SUB=L15 SSS FUL L17

=> file caplus

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|----------------------|------------------|---------------|
| FULL ESTIMATED COST  | 47.87            | 1240.37       |

| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
|--|------------------|---------------|
| CA SUBSCRIBER PRICE                        | 0.00             | -58.29        |

FILE 'CAPLUS' ENTERED AT 10:35:10 ON 25 MAY 2011

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FILE COVERS 1907 - 25 May 2011 VOL 154 ISS 22

FILE LAST UPDATED: 24 May 2011 (20110524/ED)

REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2011

USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2011

CAPLUS now includes complete International Patent Classification (IPC) reclassification data for the fourth quarter of 2010.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l19

L20                    23 L19

=> d ibib abs hitstr 1-23

L20 ANSWER 1 OF 23 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2009:904814 CAPLUS

DOCUMENT NUMBER: 151:381220

TITLE: FXR agonist activity of conformationally constrained analogs of GW 4064

AUTHOR(S): Akwabi-Ameyaw, Adwoa; Bass, Jonathan Y.; Caldwell, Richard D.; Caravella, Justin A.; Chen, Lihong; Creech, Katrina L.; Deaton, David N.; Madauss, Kevin P.; Marr, Harry B.; McFadyen, Robert B.; Miller, Aaron B.; Navas, Frank; Parks, Derek J.; Spearing, Paul K.; Todd, Dan; Williams, Shawn P.; Bruce Wisely, G.

CORPORATE SOURCE: Department of Medicinal Chemistry, GlaxoSmithKline, Research Triangle Park, NC, 27709, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2009), 19(16), 4733-4739

CODEN: BMCLE8; ISSN: 0960-894X

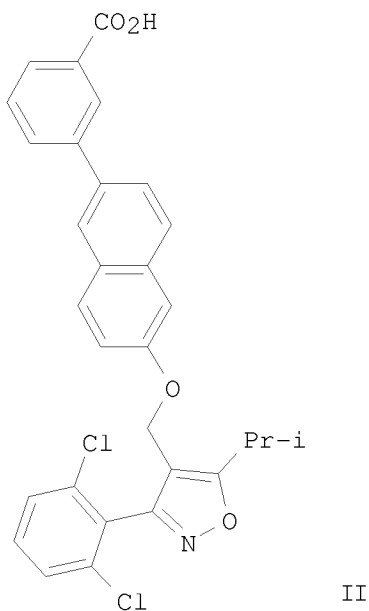
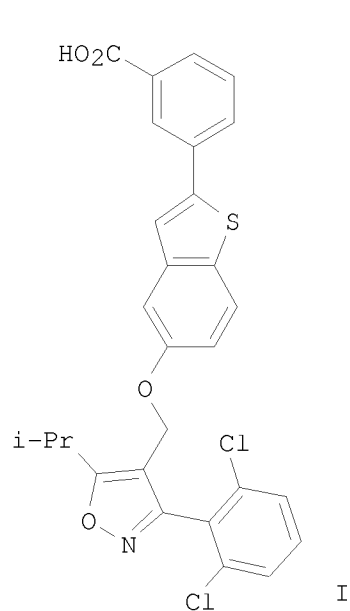
PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 151:381220

GI



AB Two series of conformationally constrained analogs of the FXR agonist GW 4064 were prepared. Replacement of the metabolically labile stilbene with either benzothiophene or naphthalene rings led to the identification of potent full agonists I and II.

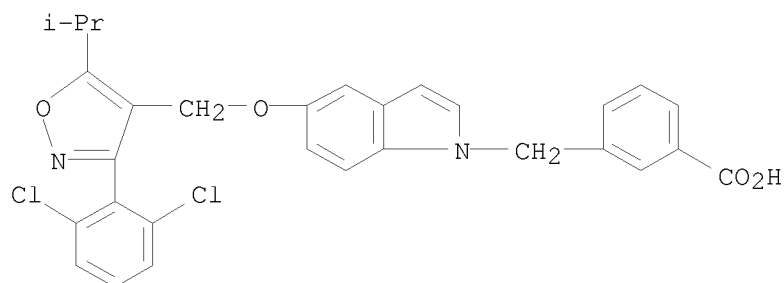
IT 1097778-44-3P

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

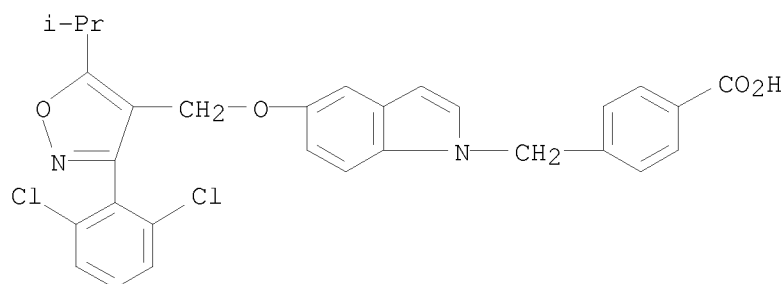
(FXR agonist activity of conformationally constrained analogs of GW 4064)

RN 1097778-44-3 CAPLUS

CN Benzoic acid, 3-[[5-[[3-(2,6-dichlorophenyl)-5-(1-methylethyl)-4-isoxazolyl]methoxy]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



IT 1097776-81-2P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (FXR agonist activity of conformationally constrained analogs of GW 4064)  
 RN 1097776-81-2 CAPLUS  
 CN Benzoic acid, 4-[[5-[[3-(2,6-dichlorophenyl)-5-(1-methylethyl)-4-isoxazolyl]methoxy]-1H-indol-1-yl]methyl]- (CA INDEX NAME)

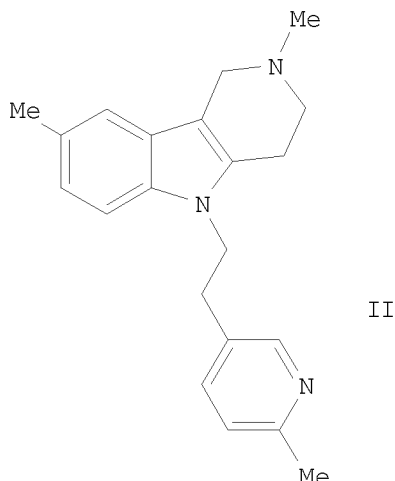
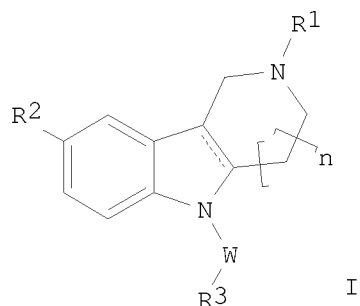


OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)  
 REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2011 ACS on STN  
 ACCESSION NUMBER: 2009:793237 CAPLUS  
 DOCUMENT NUMBER: 151:123969  
 TITLE: Preparation of 1,2,3,4-tetrahydro-1H-pyrido[4,3-b]indoles and 1,2,3,4,5,6-hexahydroazepino[4,3-b]indoles as ligands for  $\alpha$ -adrenoceptors and for dopamine, histamine, imidazoline and serotonin receptors and their use in treatment of CNS diseases  
 INVENTOR(S): Ivashchenko, Andrey Alexandrovich; Ivashchenko, Alexander Vasilievich; Lavrovsky, Yan Vadimovich; Mitkin, Oleg Dmitrievich; Savchuk, Nikolay Filippovich; Tkachenko, Sergey Yevgenievich; Okun, Ilya Matusovich  
 PATENT ASSIGNEE(S): Alla Chem, LLC, USA  
 SOURCE: PCT Int. Appl., 151pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Russian  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.             | KIND   | DATE     | APPLICATION NO. | DATE       |
|------------------------|--|----------|-----------------|------------|
| -----                  | ----   | -----    | -----           | -----      |
| WO 2009082268          | A2   | 20090702 | WO 2008-RU780   | 20081219   |
| WO 2009082268          | A3   | 20090820 |                 |            |
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| RW:                    | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA   |          |                 |            |
| EP 2236511             | A2   | 20101006 | EP 2008-864305  | 20081219   |
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| JP 2011507835          | T  | 20110310 | JP 2010-539344  | 20081219   |
| US 20110039825         | A1   | 20110217 | US 2010-810013  | 20100621   |
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|                        |  |          | RU 2007-147355  | A 20071221 |
|                        |  |          | RU 2007-147356  | A 20071221 |
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|                        |  |          | RU 2007-147375  | A 20071221 |
|                        |  |          | RU 2007-147376  | A 20071221 |
|                        |  |          | RU 2008-137937  | A 20080924 |
|                        |  |          | WO 2008-RU780   | W 20081219 |
| OTHER SOURCE(S):       | MARPAT 151:123969  |          |                 |            |
| GI                     |  |          |                 |            |





AB Ligands [I; R1 = H, (un)substituted C1-4 alkyl, acyl, heterocyclyl, alkoxy carbonyl substituted sulfonyl; R2 = H, halo, (un)substituted C1-4 alkyl, CF3 CN, alkoxy, alkoxy carbonyl, carboxyl, heterocyclyl, substituted sulfonyl; R3 = (un)substituted aryl, possibly annelated with heterocyclyl or (un)substituted heterocyclyl; W = (un)substituted (CH2)m, (un)substituted CH:CH, (un)substituted CH2CH:CH, (un)substituted C.tplbond.C, SO2; n = 1, 2; m = 1-3; the continuous line together with a dotted line represents a single or a double bond] as free bases, geometric isomers, racemic mixts. or individual optical isomers and also as pharmaceutically acceptable salts and/or hydrates, the broad spectrum of which simultaneously comprises  $\alpha$ -adrenoceptors, dopamine receptors, histamine receptors, imidazoline receptors and serotonin receptors, including 5-HT7 serotonin receptors, are claimed, as are processes for their preparation Medicinal substances, pharmaceutical compns. containing ligands

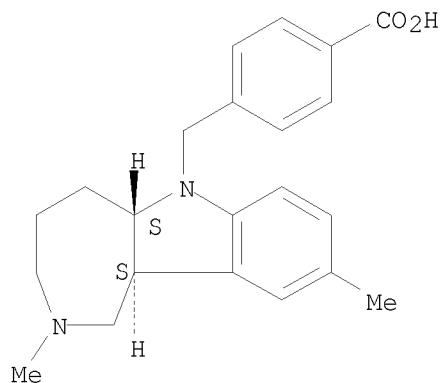
I as medicinal substances, novel medicinal agents which were used for treating diseases and states of the central nervous system of human beings and warm-blooded animals, are also claimed. E.g., II.2HCl (preparation given) gave 100% inhibition of histamine H1 receptors, and 98% inhibition of histamine H2 receptors.

IT 1009632-22-7P  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (preparation of tetrahydro-1H-pyrido[4,3-b]indoles and hexahydroazepino[4,3-b]indoles as ligands for  $\alpha$ -adrenoceptors and other receptors for treatment of CNS diseases)

RN 1009632-22-7 CAPLUS

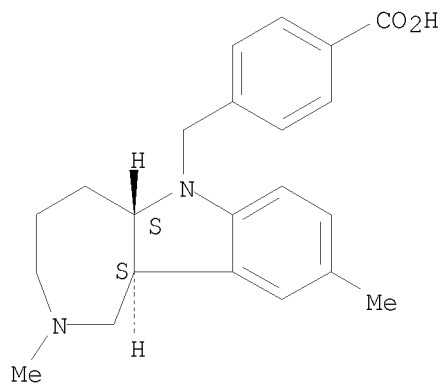
CN Benzoic acid, 4-[[[(5aR,10bR)-2,3,4,5,5a,10b-hexahydro-2,9-dimethylazepino[4,3-b]indol-6(1H)-yl]methyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



IT 1166848-57-2P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of tetrahydro-1H-pyrido[4,3-b]indoles and hexahydroazepino[4,3-b]indoles as ligands for  $\alpha$ -adrenoceptors and other receptors for treatment of CNS diseases)  
 RN 1166848-57-2 CAPLUS  
 CN Benzoic acid, 4-[[ (5aR,10bR)-2,3,4,5,5a,10b-hexahydro-2,9-dimethylazepino[4,3-b]indol-6(1H)-yl]methyl]-, hydrochloride (1:?), rel- (CA INDEX NAME)

Relative stereochemistry.



● x HCl

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L20 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2011 ACS on STN  
 ACCESSION NUMBER: 2009:20122 CAPLUS  
 DOCUMENT NUMBER: 150:121632  
 TITLE: Preparation of isoxazoles as farnesoid x receptor agonists  
 INVENTOR(S): Akwabi-Ameyaw, Adwoa A.; Deaton, David Norman; Mcfadyen, Robert Blount; Navas, Frank, III  
 PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA  
 SOURCE: PCT Int. Appl., 299pp.  
 CODEN: PIXXD2

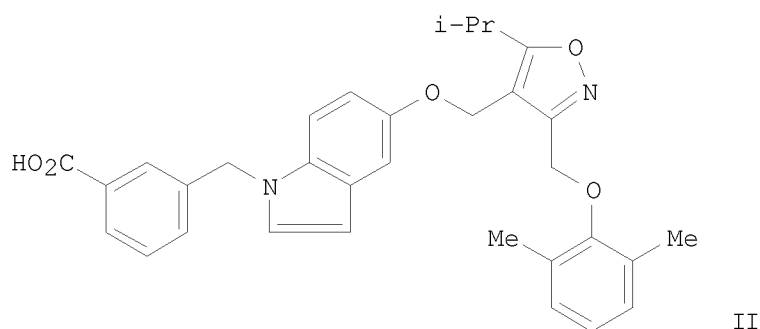
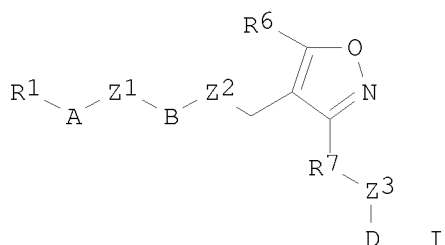
DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.             | KIND   | DATE     | APPLICATION NO.  | DATE       |
|------------------------|--|----------|------------------|------------|
| WO 2009005998          | A1   | 20090108 | WO 2008-US66817  | 20080613   |
| W:                     | AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW |          |                  |            |
| RW:                    | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM   |          |                  |            |
| AU 2008270784          | A1   | 20090108 | AU 2008-270784   | 20080613   |
| CA 2690406             | A1   | 20090108 | CA 2008-2690406  | 20080613   |
| EP 2173174             | A1   | 20100414 | EP 2008-770928   | 20080613   |
| R:                     | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, AL, BA, MK, RS   |          |                  |            |
| KR 2010044810          | A  | 20100430 | KR 2010-7002455  | 20080613   |
| JP 2010532363          | T  | 20101007 | JP 2010-514955   | 20080613   |
| CN 101877966           | A  | 20101103 | CN 2008-80104790 | 20080613   |
| MX 2009013946          | A  | 20100310 | MX 2009-13946    | 20091217   |
| IN 2009KN04405         | A  | 20100521 | IN 2009-KN4405   | 20091218   |
| US 20110034507         | A1   | 20110210 | US 2010-665772   | 20101021   |
| PRIORITY APPLN. INFO.: |  |          | US 2007-947548P  | P 20070702 |
|                        |  |          | WO 2008-US66817  | W 20080613 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 150:121632; MARPAT 150:121632

GI



AB The invention is related to isoxazoles I [A = (un)substituted Ph, 5-6 membered heteroaryl containing 1-3 heteroatoms selected from N, O and S; R1 = CO<sub>2</sub>H, CONH<sub>2</sub>, alkoxycarbonyl, CH<sub>2</sub>CH<sub>2</sub>CO<sub>2</sub>H, CH<sub>2</sub>CH<sub>2</sub>CO<sub>2</sub>alkyl, NHC(=O)CH<sub>3</sub>, NHSO<sub>2</sub>CF<sub>3</sub>, etc.; Z1 = (Z1')<sub>a</sub>; Z1' = CH<sub>2</sub>, CO, NH, S, SO, SO<sub>2</sub>; a = 0-1; B = 3-oxo-3,4-dihydro-2(1H)-3,6-isoquinolinyne, 2,6-benzothiazolyne, 2,5-1H-indolyne, etc.; Z2 = O, S, CH<sub>2</sub>, NR<sub>5</sub>, R<sub>5</sub> = H, alkyl; R6 = alkyl, 2,2,2-trifluoroethyl, cycloalkyl, alkenyl, cycloalkenyl and fluoro-substituted cycloalkyl; R7 = (R7')<sub>d</sub>; R7' = alkylene; Z3 = (Z3')<sub>e</sub>; Z3' = O, S(O)<sub>0-2</sub>, NH; d, e = both 0, or d = 1 and e = 0-1; D = cycloalkyl, (un)substituted Ph, pyridin-4-yl, 1H-imidazol-2-yl, etc.] and their pharmaceutically acceptable salts as farnesoid x receptor (FXR) agonists, and their pharmaceutical compns. useful for treating a condition mediated by decreased FXR activity, such as obesity, diabetes, cholestatic liver disease, liver fibrosis, and metabolic syndrome. Thus, oxidation of ethylene glycol tert-Bu ether, oximation of the aldehyde (no data) with NH<sub>2</sub>OH•HCl, cyclization of the oxime with Me isobutanoylacetate, reduction of Me 3-[[[(1,1-dimethylethyl)oxy]methyl]-5-(1-methylethyl)isoxazole-4-carboxylate, chlorination of the alc. with thionyl chloride, treatment with Me 3-[(5-hydroxy-1H-indol-1-yl)methyl]benzoate, cleavage of the tert-Bu group, reaction of the alc. with 2,6-dimethylphenol and saponification

of

the Me ester gave acid II. In an FXR cofactor binding assay, II showed FXR agonistic activity with a PEC<sub>50</sub> in the range of 6 to 6.99.

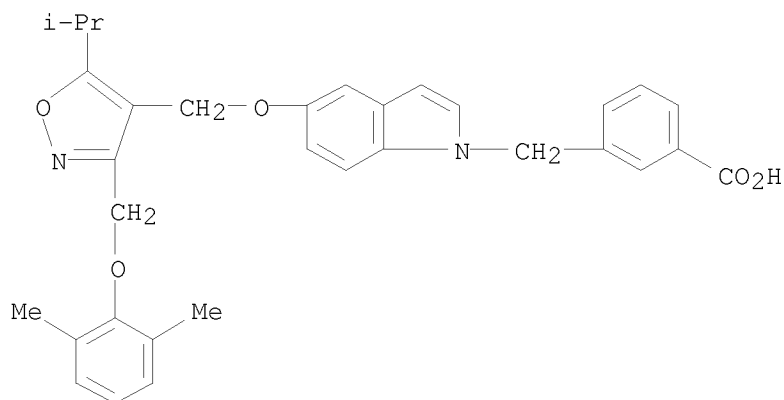
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 1097776-31-2P, 3-[[[5-[[[5-(1-Methylethyl)-3-[(2,4,6-trifluorophenyl)oxy]methyl]-4-isoxazolyl]methyl]oxy]-1H-indol-1-yl]methyl]benzoic acid 1097776-37-8P,  
 3-[[[5-[[[5-(1-Methylethyl)-3-[(2,4,6-trichlorophenyl)oxy]methyl]-4-isoxazolyl]methyl]oxy]-1H-indol-1-yl]methyl]benzoic acid  
 1097776-40-3P, 3-[[[5-[[[3-[(2,6-Dichlorophenyl)amino]methyl]-5-(1-methylethyl)-4-isoxazolyl]methyl]oxy]-1H-indol-1-yl]methyl]benzoic acid  
 1097776-44-7P, 3-[[[5-[[[3-[(2,6-Dibromophenyl)oxy]methyl]-5-(1-methylethyl)-4-isoxazolyl]methyl]oxy]-1H-indol-1-yl]methyl]benzoic acid  
 1097776-46-9P, 3-[[[5-[[[5-(1-Methylethyl)-3-[(1,3-thiazol-2-yl)thio]methyl]-4-isoxazolyl]methyl]oxy]-1H-indol-1-yl]methyl]benzoic acid

1097776-49-2P, 3-[[5-[[[5-(1-Methylethyl)-3-[2-  
 [(trifluoromethyl)oxy]phenyl]-4-isoxazolyl]methyl]oxy]-1H-indol-1-  
 yl]methyl]benzoic acid 1097776-81-2P,  
 4-[[5-[[[3-(2,6-Dichlorophenyl)-5-(1-methylethyl)-4-isoxazolyl]methyl]oxy]-  
 1H-indol-1-yl]methyl]benzoic acid 1097776-83-4P,  
 3-[[5-[[[3-[[[2,6-Dichloro-4-fluorophenyl]oxy]methyl]-5-(1-methylethyl)-4-  
 isoxazolyl]methyl]oxy]-1H-indol-1-yl]methyl]benzoic acid  
 1097776-85-6P, 3-[[5-[[[3-[[[2,6-Dichlorophenyl]oxy]methyl]-5-(1-  
 methylethyl)-4-isoxazolyl]methyl]oxy]-1H-indol-1-yl]methyl]benzoic acid  
 1097778-00-1P, 5-[[5-[[[3-(2,6-Dichlorophenyl)-5-(1-methylethyl)-4-  
 isoxazolyl]methyl]oxy]-1H-indol-1-yl]methyl]-2-methylbenzoic acid  
 1097778-44-3P, 3-[[5-[[[3-(2,6-Dichlorophenyl)-5-(1-methylethyl)-4-  
 isoxazolyl]methyl]oxy]-1H-indol-1-yl]methyl]benzoic acid  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

(drug candidate; preparation of isoxazoles as farnesoid x receptor agonists)

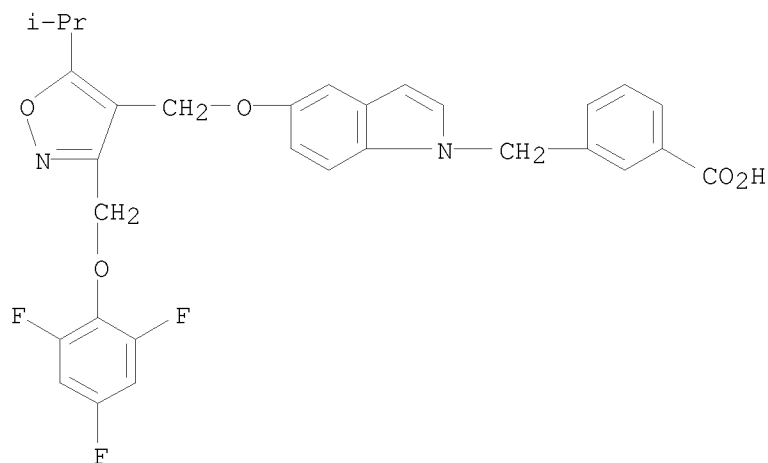
RN 1097776-13-0 CAPLUS

CN Benzoic acid, 3-[[5-[[3-[(2,6-dimethylphenoxy)methyl]-5-(1-methylethyl)-4-  
 isoxazolyl]methoxy]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



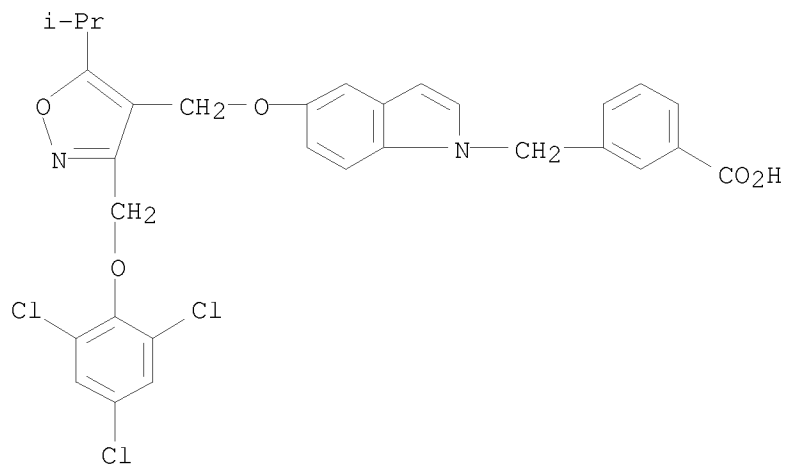
RN 1097776-31-2 CAPLUS

CN Benzoic acid, 3-[[5-[[5-(1-methylethyl)-3-[(2,4,6-trifluorophenoxy)methyl]-  
 4-isoxazolyl]methoxy]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



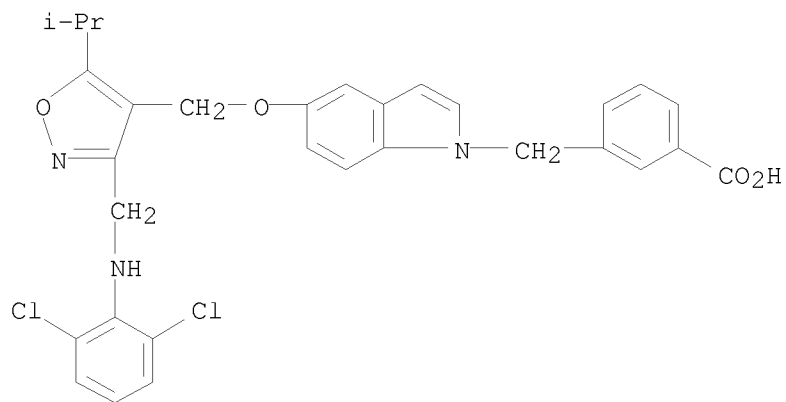
RN 1097776-37-8 CAPLUS

CN Benzoic acid, 3-[[5-[[5-(1-methylethyl)-3-[(2,4,6-trichlorophenoxy)methyl]-4-isoxazolyl]methoxy]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



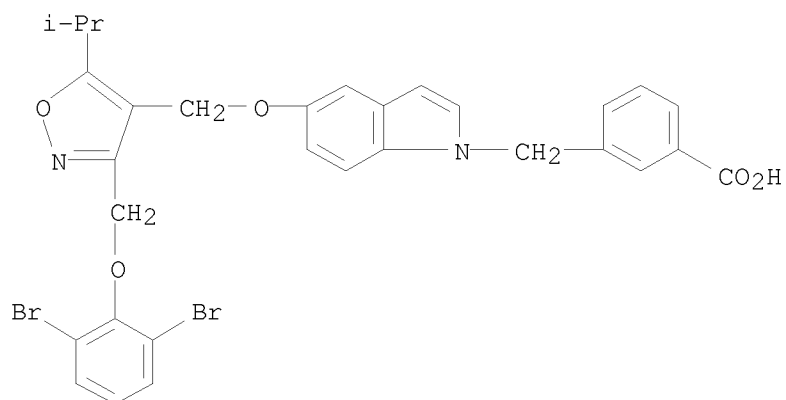
RN 1097776-40-3 CAPLUS

CN Benzoic acid, 3-[[5-[[3-[[[(2,6-dichlorophenyl)amino]methyl]-5-(1-methylethyl)-4-isoxazolyl]methoxy]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



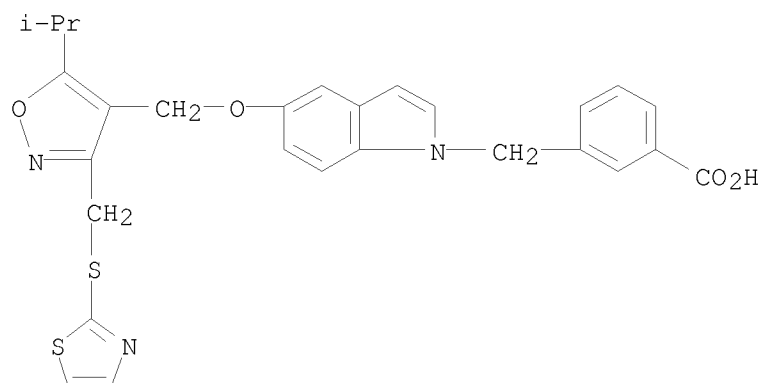
RN 1097776-44-7 CAPLUS

CN Benzoic acid, 3-[[5-[[3-[(2,6-dibromophenoxy)methyl]-5-(1-methylethyl)-4-isoxazolyl]methoxy]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



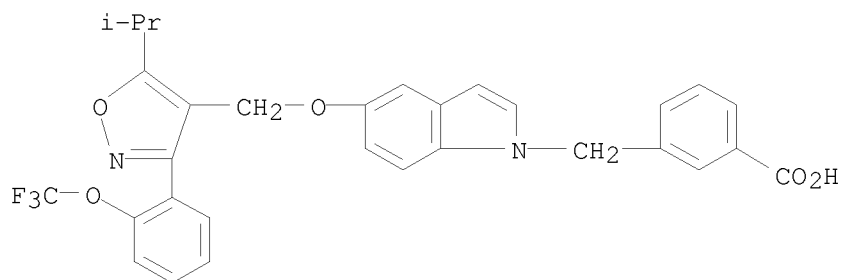
RN 1097776-46-9 CAPLUS

CN Benzoic acid, 3-[[5-[[5-(1-methylethyl)-3-[(2-thiazolylthio)methyl]-4-isoxazolyl]methoxy]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



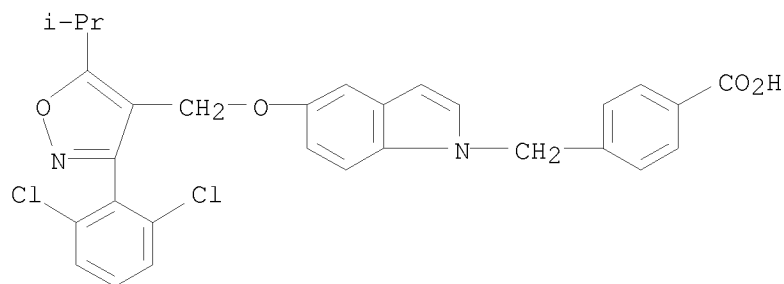
RN 1097776-49-2 CAPLUS

CN Benzoic acid, 3-[[5-[[5-(1-methylethyl)-3-[2-(trifluoromethoxy)phenyl]-4-isoxazolyl]methoxy]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



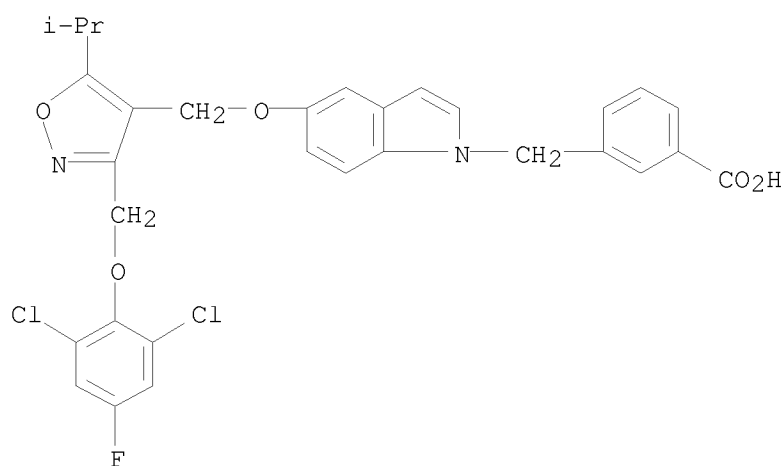
RN 1097776-81-2 CAPLUS

CN Benzoic acid, 4-[[5-[[3-(2,6-dichlorophenyl)-5-(1-methylethyl)-4-isoxazolyl]methoxy]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



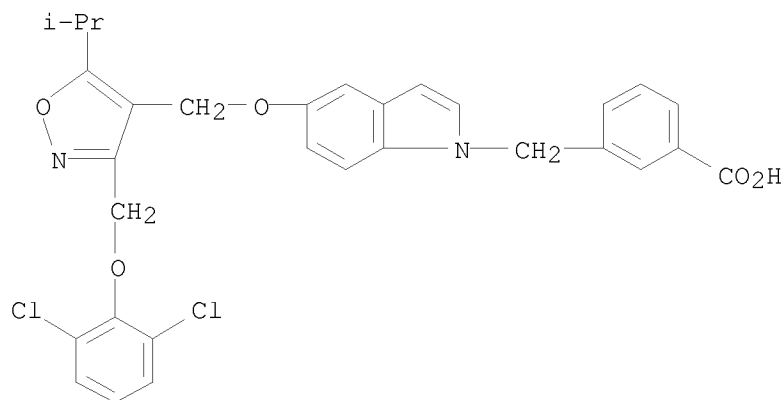
RN 1097776-83-4 CAPLUS

CN Benzoic acid, 3-[[5-[[3-[(2,6-dichloro-4-fluorophenoxy)methyl]-5-(1-methylethyl)-4-isoxazolyl]methoxy]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



RN 1097776-85-6 CAPLUS

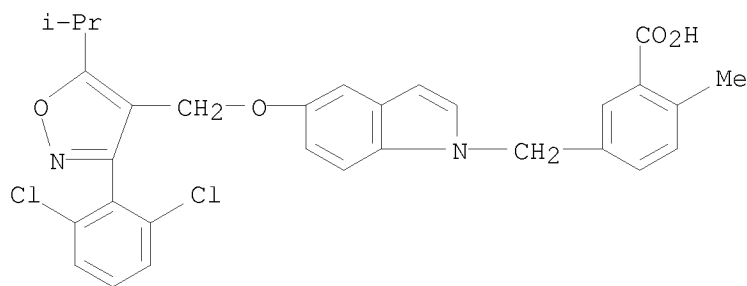
CN Benzoic acid, 3-[[5-[[3-[(2,6-dichlorophenoxy)methyl]-5-(1-methylethyl)-4-isoxazolyl]methoxy]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



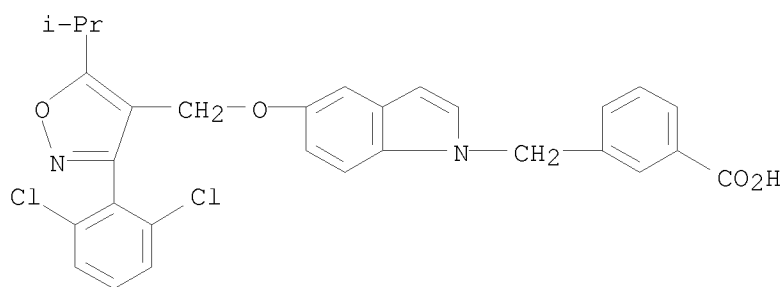
RN 1097778-00-1 CAPLUS

CN Benzoic acid, 5-[[5-[[3-(2,6-dichlorophenyl)-5-(1-methylethyl)-4-isoxazolyl]methoxy]-1H-indol-1-yl]methyl]-2-methyl- (CA INDEX NAME)





RN 1097778-44-3 CAPLUS  
 CN Benzoic acid, 3-[[5-[[3-(2,6-dichlorophenyl)-5-(1-methylethyl)-4-isoxazolyl]methoxy]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)  
 REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 4 OF 23 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2008:609524 CAPLUS

DOCUMENT NUMBER: 148:561890

TITLE: Preparation of derivatives of pyrrolo[4,3-b]indoles,  $\gamma$ -carbolines and azepino[4,3-b]indoles as ligands of 5-HT<sub>6</sub> receptors for treating CNS diseases and pharmaceutical compositions containing them

INVENTOR(S): Ivashchenko, Andrey Alexandrovich; Ivashchenko, Alexandr Vasilievich; Tkachenko, Sergey Yevgenievich; Okun, Ilya Matusovich; Savchuk, Nikolay Filippovich

PATENT ASSIGNEE(S): Alla Chem, LLC, USA

SOURCE: PCT Int. Appl., 66pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Russian

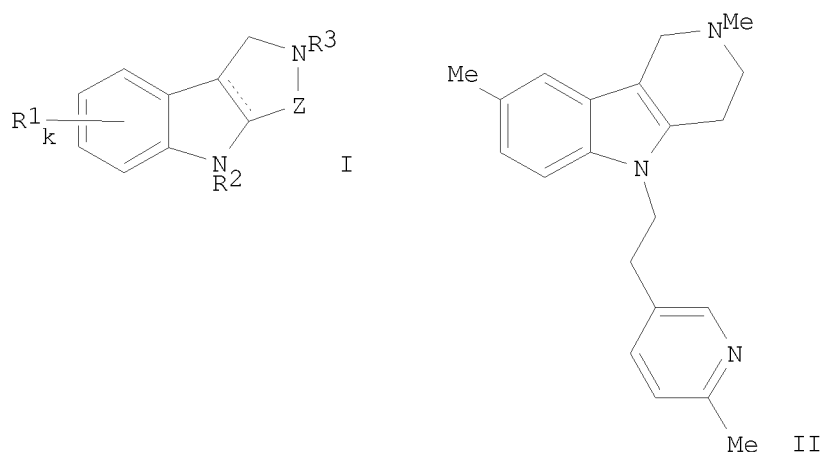
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.    | KIND | DATE     | APPLICATION NO. | DATE     |
|---------------|------|----------|-----------------|----------|
| WO 2008060190 | A2   | 20080522 | WO 2007-RU624   | 20071115 |
| WO 2008060190 | A3   | 20080724 |                 |          |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR,

TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW  
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,  
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,  
GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,  
BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA  
RU 2329044 C1 20080720 RU 2006-140353 20061116  
EP 2184064 A2 20100512 EP 2007-861047 20071115  
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR  
US 20110046368 A1 20110224 US 2010-741006 20101018  
PRIORITY APPLN. INFO.: RU 2006-140353 A 20061116  
WO 2007-RU624 W 20071115  
OTHER SOURCE(S): CASREACT 148:561890; MARPAT 148:561890  
GI



AB Azaheterocycles that are derivs. of pyrrolo[4,3-b]indoles,  $\gamma$ -carbolines or azepino[4,3-b]indoles [I; Z = (CH<sub>2</sub>)<sub>n</sub>, n = 1-3; k = 1-3, R<sup>1</sup> = H, (un)substituted C1-5 alkyl, C1-5 alkoxy, C1-5 alkenyl, halo, CF<sub>3</sub>, CN, (un)substituted aryl, (un)substituted heterocyclyl, substituted sulfonyl, (un)substituted carboxyl; R<sup>2</sup>, R<sup>3</sup> = H, substituted carbonyl, substituted aminocarbonyl, substituted aminothiocarbonyl, substituted sulfonyl, C1-5 alkyl, (un)substituted C6-10 aryl, (un)substituted heterocyclyl, C6-10 (arylamino)carbonyl, C6-10 (arylamino)thiocarbonyl, C5-10 azaheteroaryl, (un)substituted carboxyl, CN, (un)substituted aryl; the dotted line next to the solid line represents a single or a double bond; ] or their racemates or optical or geometric isomers or pharmaceutically acceptable salts and/or hydrates are claimed as ligands for 5-HT<sub>6</sub> receptors, as are pharmaceutical compns. containing them for treating diseases and conditions of the central nervous system in humans, in the pathogenesis of which neurotransmitter systems modulated by 5-HT<sub>6</sub> receptors play a substantial role. A focused chemical library containing 3537

I, their geometric isomers and pharmaceutically acceptable salts were tested for ligand activity toward 5-HT<sub>6</sub> receptors. Thus, tetrahydro- $\gamma$ -carboline derivative II was 100% effective in binding with 5-HT<sub>6</sub> receptors, with an IC<sub>50</sub> = 0.074  $\mu$ M.

IT 1009632-22-7

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

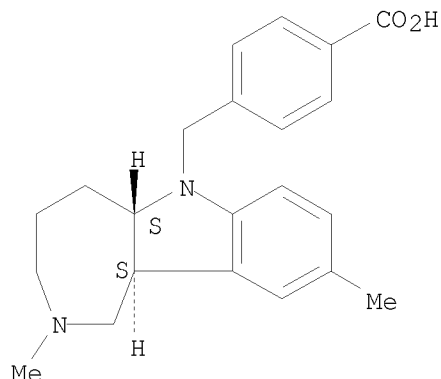
(preparation of azaheterocycles, derivs. of pyrrolo[4,3-b]indoles,  $\gamma$ -carbolines and azepino[4,3-b]indoles, as ligands of 5-HT<sub>6</sub>

receptors for treating CNS diseases)

RN 1009632-22-7 CAPLUS

CN Benzoic acid, 4-[[ (5aR,10bR)-2,3,4,5,5a,10b-hexahydro-2,9-dimethylazepino[4,3-b]indol-6(1H)-yl]methyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L20 ANSWER 5 OF 23 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2008:249120 CAPLUS

DOCUMENT NUMBER: 148:308318

TITLE: Preparation of hydrogenated, substituted azepino[4,3-b]indoles for treatment of neurodegenerative or autoimmune diseases and allergies by reduction of azepino[4,3-b]indol-1-ones and subsequent reaction with electrophiles

INVENTOR(S): Ivashchenko, Andrey Alexandrovich; Frolov, Yevgeniy Borisovich; Tkachenko, Sergey Yevgenievich; Khvat, Alexander Viktorovich; Malyarchuk, Sergey Viktorovich; Mitkin, Oleg Dmitrievich; Okun, Ilya Matusovich; Kyselev, Alexandr Sergeevich; Savchuk, Nikolay Filippovich; Ivashchenko, Alexandr Vasilievich

PATENT ASSIGNEE(S): Alla Chem, LLC, USA

SOURCE: PCT Int. Appl., 91pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Russian

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.    | KIND   | DATE     | APPLICATION NO. | DATE     |
|---------------|--|----------|-----------------|----------|
| WO 2008024029 | A1   | 20080228 | WO 2007-RU436   | 20070808 |
| W:            | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW |          |                 |          |
| RW:           | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM   |          |                 |          |

RU 2317989 C1 20080227 RU 2006-130505 20060824  
 EP 2062895 A1 20090527 EP 2007-834964 20070808  
 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
 IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR,  
 AL, BA, HR, MK, RS

PRIORITY APPLN. INFO.: RU 2006-130505 A 20060824  
 WO 2007-RU436 W 20070808

OTHER SOURCE(S): CASREACT 148:308318; MARPAT 148:308318

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\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Hydrogenated, substituted azepino[4,3-b]indoles [I; the dotted line with a solid line associated with it = a single or double bond; R1, R2 = H, (un)substituted C1-8 alkyl, possibly substituted by aryl, 5-6-membered azaheterocyclyl; C1-8 alkoxy-carbonyl; (un)substituted Ph; (un)substituted carbonylamino or thiocarbonylamino; substituted acyl, C1-8 alkylsulfonyl, (un)substituted arylsulfonyl; substituents on R1, R2 are selected from C1-8 alkyl, halo, nitro, carboxy, alkoxy, aryl; Rin =  $\geq 1$  substituents selected from H, C1-8 alkyl, C6-10 aryl, halo, 5-6-membered azaheterocyclyl] and their racemates, optical and geometric isomers and pharmaceutically acceptable salts and/or hydrates are claimed. Synthesis of compds. I as novel physiol. active substances, lead compds., mol. tools and drug candidates produced by screening combinatorial and focused libraries of compds., a pharmaceutical composition and methods for their production and use are also claimed. I are prepared by reduction of the corresponding azepino[4,3-b]indol-1-ones with LiAlH<sub>4</sub>, BH<sub>3</sub> or other borane compds. and subsequent reaction with electrophiles such as aldehydes, alkyl halides, alkenes, iso(thio)cyanates, etc. I are biol. active in treatment of neurodegenerative or autoimmune diseases and allergies. E.g., II (preparation given) showed memory-enhancing activity in doses of 1 mg/kg and 5 mg/kg.

IT 1009632-22-7P

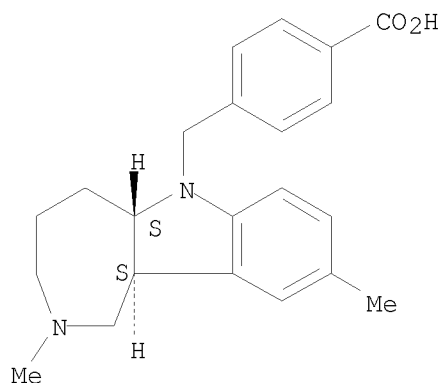
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of hydrogenated, substituted azepino[4,3-b]indoles for treatment of neurodegenerative or autoimmune diseases and allergies)

RN 1009632-22-7 CAPLUS

CN Benzoic acid, 4-[[[(5aR,10bR)-2,3,4,5,5a,10b-hexahydro-2,9-dimethylazepino[4,3-b]indol-6(1H)-yl]methyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 6 OF 23 CAPLUS COPYRIGHT 2011 ACS on STN  
ACCESSION NUMBER: 2007:619459 CAPLUS  
DOCUMENT NUMBER: 147:52913  
TITLE: Fused pyrimidines as growth factor receptor tyrosine kinase inhibitors, their preparation, pharmaceutical compositions, and use in therapy  
INVENTOR(S): Ishikawa, Tomoyasu; Miwa, Kazuhiro; Seto, Masaki; Banno, Hiroshi; Kawakita, Youichi  
PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan  
SOURCE: PCT Int. Appl., 643pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO.  | DATE       |
|---|------|----------|------------------|------------|
| WO 2007064045   | A1   | 20070607 | WO 2006-JP324499 | 20061201   |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW |      |          |                  |            |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  |      |          |                  |            |
| AU 2006319787   | A1   | 20070607 | AU 2006-319787   | 20061201   |
| CA 2631066  | A1   | 20070607 | CA 2006-2631066  | 20061201   |
| AR 57961  | A1   | 20071226 | AR 2006-105330   | 20061201   |
| EP 1957495  | A1   | 20080820 | EP 2006-834254   | 20061201   |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS   |      |          |                  |            |
| JP 2009517333   | T    | 20090430 | JP 2008-520459   | 20061201   |
| ZA 2008005009   | A    | 20091028 | ZA 2008-5009     | 20061201   |
| MX 2008007019   | A    | 20080618 | MX 2008-7019     | 20080530   |
| US 20100216788  | A1   | 20100826 | US 2008-95543    | 20080530   |
| IN 2008KN02251  | A    | 20090116 | IN 2008-KN2251   | 20080604   |
| NO 2008002870   | A    | 20080901 | NO 2008-2870     | 20080624   |
| KR 2008084823   | A    | 20080919 | KR 2008-7016193  | 20080702   |
| CN 101370812  | A    | 20090218 | CN 2006-80052319 | 20080804   |
| PRIORITY APPLN. INFO.:  |      |          | JP 2005-349858   | A 20051202 |
|   |      |          | JP 2006-60648    | A 20060307 |
|   |      |          | WO 2006-JP324499 | W 20061201 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 147:52913; MARPAT 147:52913

GI

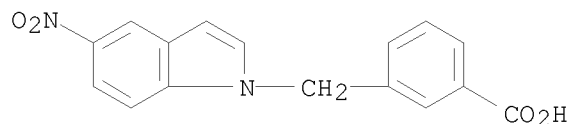
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The invention relates to pyrrolo[3,2-d]pyrimidines represented by formula I and related derivs., which are inhibitors of growth factor receptor tyrosine kinase. In compds. I, R1 is H; R2 is carbonylamino-substituted C1-6 alkyl; R3 is H or C1-6 alkyl; R4 and R5 are independently halo or C1-6 alkyl; and X is H or halo; including salts and prodrugs thereof; with several compds. excluded. The invention also relates to the preparation of I, pharmaceutical compns. comprising a compound I, a related compound or a salt or prodrug thereof, as well as to the use of the compns. for the prophylaxis or treatment of cancer. Coupling of the dihydrochloride of amine II with 2-methyl-2-(methylsulfonyl)propanoic acid gave pyrrolopyrimidine III. The compds. of the invention are inhibitors of growth factor receptor tyrosine kinases, e.g., compound III expressed 98% inhibition of HER2 kinase at 1  $\mu$ M and IC50 value below 100 nM in an assay for inhibition of breast cancer cell proliferation.

IT 940308-58-7P, 3-[(5-Nitro-1H-indol-1-yl)methyl]benzoic acid  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (intermediate; preparation of fused pyrimidines as growth factor receptor tyrosine kinase inhibitors)

RN 940308-58-7 CAPLUS

CN Benzoic acid, 3-[(5-nitro-1H-indol-1-yl)methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 7 OF 23 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2007:512060 CAPLUS

DOCUMENT NUMBER: 146:501049

TITLE: Preparation of benzimidazolyl and indolyl amide derivatives as modulators of 11 $\beta$ -hydroxysteroid dehydrogenase type 1

INVENTOR(S): Kilburn, John Paul; Andersen, Henrik Sune; Kampen, Gita Camilla Tejlgaard; Ebdrup, Soeren

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 126 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.    | KIND | DATE     | APPLICATION NO. | DATE     |
|---------------|------|----------|-----------------|----------|
| WO 2007051811 | A2   | 20070510 | WO 2006-EP68017 | 20061101 |
| WO 2007051811 | A3   | 20080124 |                 |          |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,

IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,  
 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,  
 GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

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|---------------|----|----------|-----------------|----------|
| AU 2006310519 | A1 | 20070510 | AU 2006-310519  | 20061101 |
| CA 2627307    | A1 | 20070510 | CA 2006-2627307 | 20061101 |
| EP 1945207    | A2 | 20080723 | EP 2006-807711  | 20061101 |

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
 IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,  
 BA, HR, MK, RS

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| JP 2009513611  | T  | 20090402 | JP 2008-537122   | 20061101 |
| MX 2008005653  | A  | 20080722 | MX 2008-5653     | 20080430 |
| KR 2008069189  | A  | 20080725 | KR 2008-7011701  | 20080516 |
| IN 2008DN04561 | A  | 20080815 | IN 2008-DN4561   | 20080528 |
| CN 101355938   | A  | 20090128 | CN 2006-80050238 | 20080701 |
| US 20090118259 | A1 | 20090507 | US 2008-92223    | 20081023 |

PRIORITY APPLN. INFO.: EP 2005-110226 A 20051101  
 WO 2006-EP68017 W 20061101

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
 OTHER SOURCE(S): CASREACT 146:501049; MARPAT 146:501049  
 GI

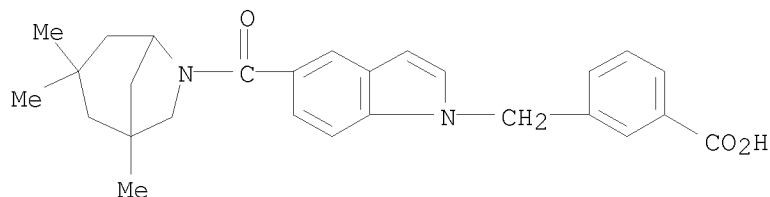
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [R1 = substituted alkyl; R2 = H, halo, alkyl, etc.; X = N  
 or CR3, wherein R3 = H, CN, alkyl, etc.; if R4 is absent, A and N together  
 form an (un)substituted and saturated heterobicyclic or heterotricyclic ring;  
 if R4 = H or alkyl, A = (un)substituted adamantyl], and their  
 pharmaceutically acceptable salts, are prepared and disclosed as modulators  
 of 11 $\beta$ -hydroxysteroid dehydrogenase type 1 (11 $\beta$ HSD1). Thus,  
 e.g., II was prepared by acylation of trifluoroacetate salt of III with  
 2-furoic acid. Details for bioassays are described (no data). As  
 modulators of 11 $\beta$ HSD1, I should prove useful for the treatment and  
 prevention of medical disorders where a decreased intracellular concentration  
 of active glucocorticoid is desirable.

IT 936348-04-8P 936348-06-0P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)  
 (preparation of benzimidazolyl and indolyl amide derivs. as modulators of  
 11 $\beta$ -hydroxysteroid dehydrogenase type 1)

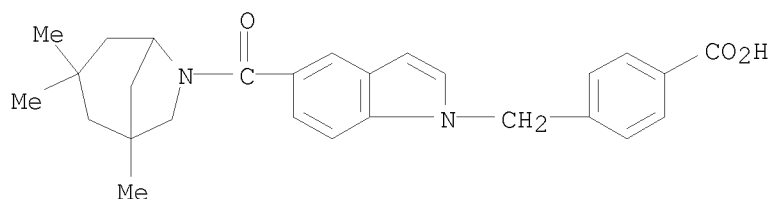
RN 936348-04-8 CAPLUS

CN Benzoic acid, 3-[[5-[(1,3,3-trimethyl-6-azabicyclo[3.2.1]oct-6-yl)carbonyl]-1H-indol-1-yl)methyl]- (CA INDEX NAME)



RN 936348-06-0 CAPLUS

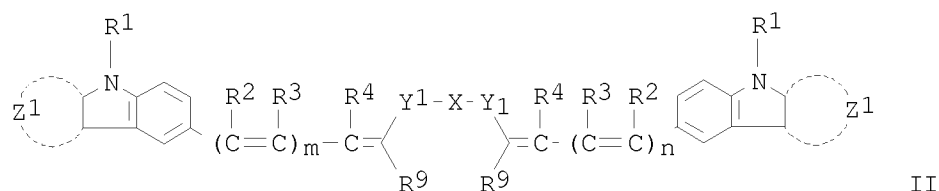
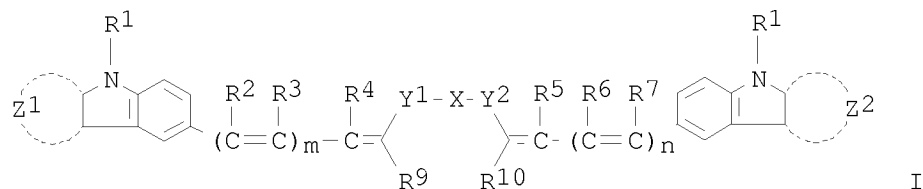
CN Benzoic acid, 4-[[5-[(1,3,3-trimethyl-6-azabicyclo[3.2.1]oct-6-yl)carbonyl]-1H-indol-1-yl)methyl]- (CA INDEX NAME)



L20 ANSWER 8 OF 23 CAPLUS COPYRIGHT 2011 ACS on STN  
 ACCESSION NUMBER: 2007:284092 CAPLUS  
 DOCUMENT NUMBER: 146:341023  
 TITLE: Photoelectric conversion material, semiconductor electrode, and photoelectric converter thereof  
 INVENTOR(S): Torizuka, Koichi  
 PATENT ASSIGNEE(S): Mitsubishi Paper Mills, Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 31pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.             | KIND   | DATE       | APPLICATION NO. | DATE     |
|------------------------|--------|------------|-----------------|----------|
| JP 2007066689          | A      | 20070315   | JP 2005-251019  | 20050831 |
| PRIORITY APPLN. INFO.: |        |            | JP 2005-251019  | 20050831 |
| OTHER SOURCE(S):       | MARPAT | 146:341023 |                 |          |

GI



AB The photoelec. conversion material uses a compound represented by I [R1, R8 = (substituted) alkyl, aralkyl, alkenyl, aryl, or heterocyclic group; R2-7 = H, halo, lower alkyl, or lower alkoxy group; R9-10 = H, (substituted) alkyl, aralkyl, aryl, acyl, cyano, carboxyl, carboxy alkyl, carbamoyl, sulfamoyl, or heterocyclic group; X = single bond or divalent connecting group; Y1, Y2 = divalent group selected from ketone, amide, sulfone, sulfoxide, or ester; Z1, Z2 = residue forming five-membered, six-membered, or heterocyclic ring by connecting 2 Carbon of N-containing heterocyclic ring; m, n = 0 or 1; and ≥1 of R1, R8, R9, and R10 contains carboxyl group], or II (R1-4, R9, X, Y1, Z1, and m are same as I). The semiconductor electrode has a semiconductor layer coated on a



surface-conductive substrate, and a pigment using the above photoelec. conversion material and adsorbed on the semiconductor layer. The photoelec. converter uses the above semiconductor electrode.

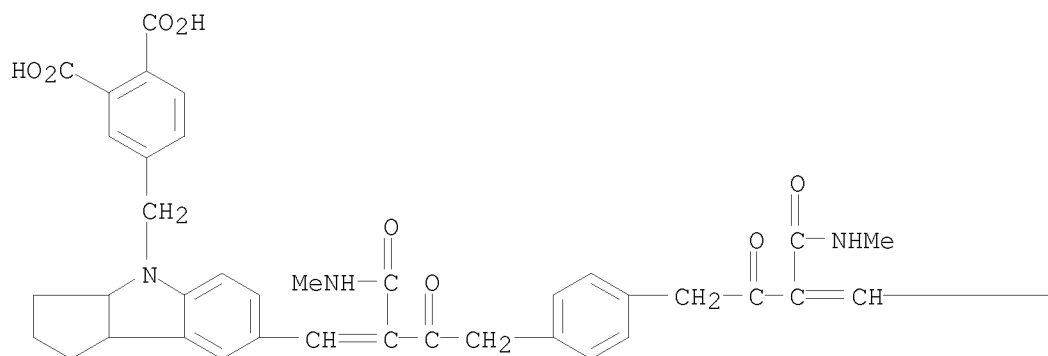
IT 929519-25-5 929519-31-3

RL: TEM (Technical or engineered material use); USES (Uses)  
(compns. of pigments for semiconductor electrodes in photoelec. converters)

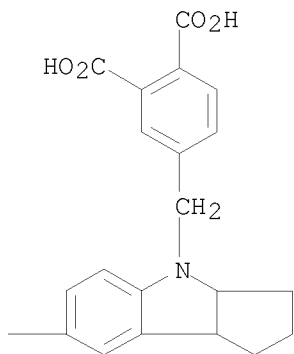
RN 929519-25-5 CAPLUS

CN 1,2-Benzenedicarboxylic acid, 4,4'-[1,4-phenylenebis[[2-[(methylamino)carbonyl]-3-oxo-1-butene-4,1-diyl](2,3,3a,8b-tetrahydrocyclopent[b]indole-7,4(1H)-diyl)methylene]]bis- (CA INDEX NAME)

PAGE 1-A



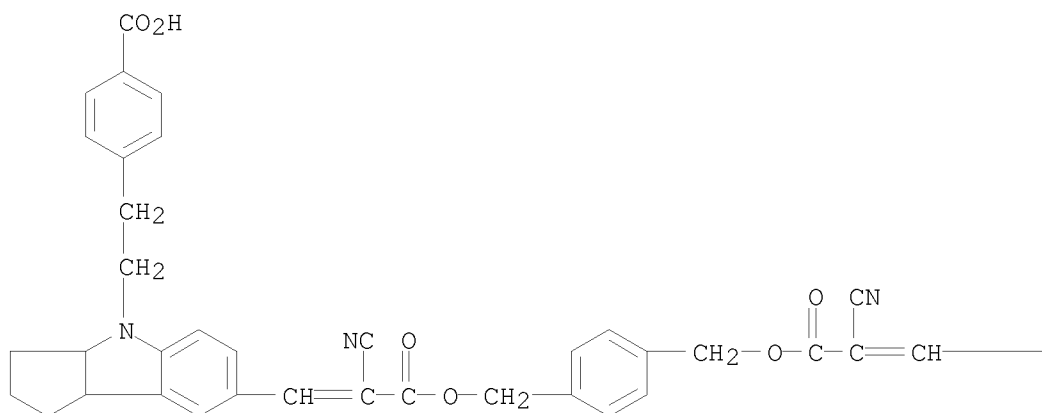
PAGE 1-B



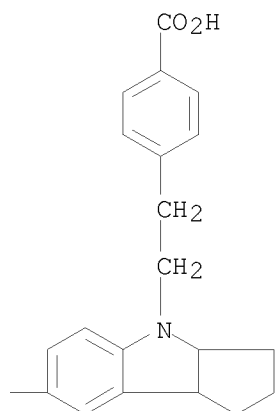
RN 929519-31-3 CAPLUS

CN Benzoic acid, 4,4'-[1,4-phenylenebis[methyleneoxy(2-cyano-3-oxo-1-propene-3,1-diyl)(2,3,3a,8b-tetrahydrocyclopent[b]indol-7,4(1H)-diyl)-2,1-ethanediyl]]bis- (CA INDEX NAME)

PAGE 1-A



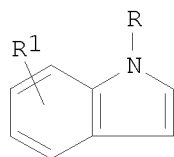
PAGE 1-B



L20 ANSWER 9 OF 23 CAPLUS COPYRIGHT 2011 ACS on STN  
ACCESSION NUMBER: 2007:197836 CAPLUS  
DOCUMENT NUMBER: 146:252104  
TITLE: Preparation of substituted indoles and their use as  
PAI-1 inhibitors  
INVENTOR(S): Hu, Baihua; Jetter, James W.  
PATENT ASSIGNEE(S): Wyeth, USA  
SOURCE: PCT Int. Appl., 54 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

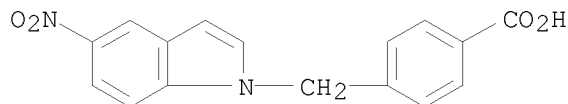
| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| WO 2007022321   | A2   | 20070222 | WO 2006-US32066 | 20060816 |
| WO 2007022321   | A3   | 20070510 |                 |          |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,<br>CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, |      |          |                 |          |

GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP,  
 KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN,  
 MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS,  
 RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA,  
 UG, US, UZ, VC, VN, ZA, ZM, ZW  
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
 IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,  
 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,  
 GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA  
 AU 2006279496 A1 20070222 AU 2006-279496 20060816  
 CA 2617372 A1 20070222 CA 2006-2617372 20060816  
 US 20070043101 A1 20070222 US 2006-505527 20060816  
 US 7683091 B2 20100323  
 EP 1919866 A2 20080514 EP 2006-801683 20060816  
 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
 IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR  
 JP 2009504762 T 20090205 JP 2008-527122 20060816  
 BR 2006014340 A2 20110412 BR 2006-14340 20060816  
 IN 2008DN01072 A 20080620 IN 2008-DN1072 20080207  
 MX 2008002117 A 20080926 MX 2008-2117 20080213  
 CN 101263115 A 20080910 CN 2006-80029894 20080215  
 US 20100137363 A1 20100603 US 2010-696648 20100129  
 PRIORITY APPLN. INFO.: US 2005-708834P P 20050817  
 US 2006-505527 A1 20060816  
 WO 2006-US32066 W 20060816  
 ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
 OTHER SOURCE(S): CASREACT 146:252104; MARPAT 146:252104  
 GI



I

AB The invention relates to indole derivs. I [R is p-R2C6H4(CH2)1-4, where R2 is alkyl, and R1 is a sulfonylamino or ureido group; or R is R3C6H4(CH2)0-4CHR4, where R3 is H, a carboxyalkoxy, carbamoyl, or carbonyl-amino acid group and R4 is H, CO2H, or CONHNH2 and R1 is a sulfonylamino group; or R is R5CO(CH2)1-4, where R5 is OH, alkoxy, or an amino acid residue and R1 is a sulfonylamino group] for use as PAI-1 inhibitors. Thus, N-[[[1-(4-tert-butylbenzyl)-1H-indol-5-yl]amino]carbonyl]-L-phenylalanine was prepared by treating 1-(4-tert-butylbenzyl)-1H-indol-5-amine (preparation given) with 2-isocyanato-3-phenylpropionic acid Et ester.  
 IT 926025-13-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of substituted indoles and their use as PAI-1 inhibitors)  
 RN 926025-13-0 CAPLUS  
 CN Benzoic acid, 4-[(5-nitro-1H-indol-1-yl)methyl]- (CA INDEX NAME)



L20 ANSWER 10 OF 23 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2005:1176261 CAPLUS

DOCUMENT NUMBER: 143:440259

TITLE: Preparation of indolyl hexafluoropropanols as Live-X-Receptor (LXR) modulators for the treatment of diabetes and related diseases

INVENTOR(S): Dehmlow, Henrietta; Kuhn, Bernd; Panday, Narendra; Ratni, Hasane; Schulz-Gasch, Tanja; Wright, Matthew Blake

PATENT ASSIGNEE(S): Hoffmann-La Roche Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 45 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO.  | DATE     |
|---|------|----------|------------------|----------|
| US 20050245515  | A1   | 20051103 | US 2005-115942   | 20050427 |
| US 7173048  | B2   | 20070206 |                  |          |
| AU 2005238176   | A1   | 20051110 | AU 2005-238176   | 20050426 |
| CA 2564563  | A1   | 20051110 | CA 2005-2564563  | 20050426 |
| WO 2005105791   | A1   | 20051110 | WO 2005-EP4454   | 20050426 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |      |          |                  |          |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |                  |          |
| EP 1756096  | A1   | 20070228 | EP 2005-751959   | 20050426 |
| EP 1756096  | B1   | 20090812 |                  |          |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR, LV   |      |          |                  |          |
| CN 1950365  | A    | 20070418 | CN 2005-80014206 | 20050426 |
| BR 2005010599   | A    | 20071120 | BR 2005-10599    | 20050426 |
| JP 2007536300   | T    | 20071213 | JP 2007-511949   | 20050426 |
| JP 4682192  | B2   | 20110511 |                  |          |
| AT 439357   | T    | 20090815 | AT 2005-751959   | 20050426 |
| RU 2368612  | C2   | 20090927 | RU 2006-142746   | 20050426 |
| PT 1756096  | E    | 20091016 | PT 2005-751959   | 20050426 |
| ES 2329489  | T3   | 20091126 | ES 2005-751959   | 20050426 |
| NZ 550447   | A    | 20100625 | NZ 2005-550447   | 20050426 |
| AR 49497  | A1   | 20060809 | AR 2005-101717   | 20050429 |
| TW 287537   | B    | 20071001 | TW 2005-113966   | 20050429 |
| ZA 2006008886   | A    | 20080625 | ZA 2006-8886     | 20061025 |
| MX 2006012683   | A    | 20070116 | MX 2006-12683    | 20061101 |
| KR 2007008678   | A    | 20070117 | KR 2006-7023014  | 20061102 |

|                |    |          |                |          |
|----------------|----|----------|----------------|----------|
| KR 893449      | B1 | 20090417 |                |          |
| IN 2006DN06980 | A  | 20070615 | IN 2006-DN6980 | 20061122 |
| NO 2006005503  | A  | 20070124 | NO 2006-5503   | 20061129 |
| US 20070099916 | A1 | 20070503 | US 2006-636925 | 20061211 |
| US 7485652     | B2 | 20090203 |                |          |

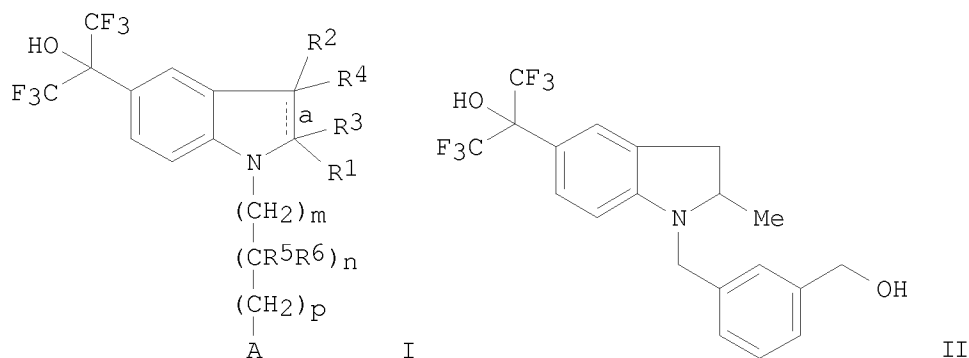
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| EP 2004-101889 | A  | 20040503 |
| WO 2005-EP4454 | W  | 20050426 |
| US 2005-115942 | A3 | 20050427 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 143:440259; MARPAT 143:440259

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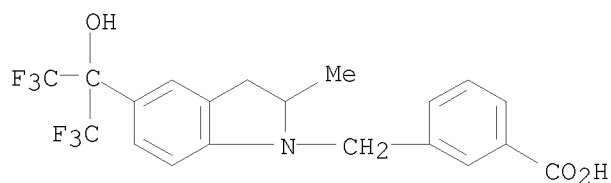


AB The invention relates to compds. I [wherein R1 - R6 = H, alkyl, etc.; A = (un)substituted aryl or heterocyclyl; m, p = 0-3; n = 0 or 1; R3 and R4 are absent when a is a double bond, with limitations, and pharmaceutically acceptable salts and esters thereof], their pharmaceutical compns., processes for their prepns., and their use in the treatment and prophylaxis of diseases modulated by LXR $\alpha$  and/or LXR $\beta$  agonists, such as diabetes. For instance, II, which showed IC50 values of 0.02  $\mu$ M and 0.006  $\mu$ M against LXR $\alpha$  and LXR $\beta$ , resp., in the binding assay, was synthesized in multiple steps from 2-methyl-2,3-dihydro-1H-indole, hexafluoroacetone sesquihydrate and Me 3-(chloromethyl)benzoate.

IT 868750-83-8P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (modulator; preparation of indolyl hexafluoropropanols as Live-X-Receptor (LXR) modulators)

RN 868750-83-8 CAPLUS

CN Benzoic acid, 3-[[2,3-dihydro-2-methyl-5-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS

## RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 11 OF 23 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2005:1042216 CAPLUS

DOCUMENT NUMBER: 143:347050

TITLE: Preparation of  
4-(5-(aminomethyl)indole-1-ylmethyl)benzamide  
derivatives as opioid receptor antagonists for the  
treatment of obesity

INVENTOR(S): Benesh, Dana Rae; Blanco-Pillado, Maria-Jesus

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 52 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

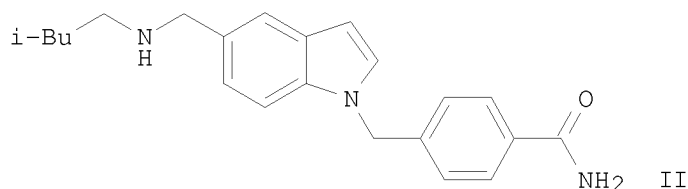
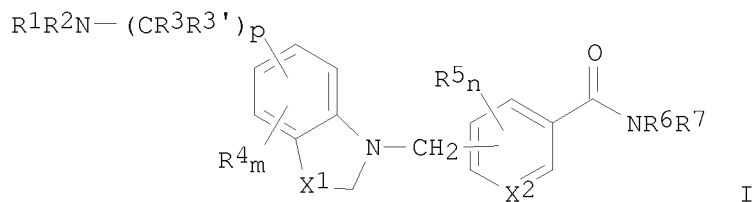
PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE       |
|---|------|----------|-----------------|------------|
| WO 2005090303   | A1   | 20050929 | WO 2005-US7702  | 20050309   |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |      |          |                 |            |
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| CA 2558030  | A1   | 20050929 | CA 2005-2558030 | 20050309   |
| EP 1751103  | A1   | 20070214 | EP 2005-725070  | 20050309   |
| EP 1751103  | B1   | 20090114 |                 |            |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR   |      |          |                 |            |
| JP 2007529523   | T    | 20071025 | JP 2007-503959  | 20050309   |
| AT 420858   | T    | 20090115 | AT 2005-725070  | 20050309   |
| ES 2318472  | T3   | 20090501 | ES 2005-725070  | 20050309   |
| US 20070155793  | A1   | 20070705 | US 2006-598281  | 20060823   |
| PRIORITY APPLN. INFO.:  |      |          | US 2004-553176P | P 20040315 |
|   |      |          | WO 2005-US7702  | W 20050309 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 143:347050; MARPAT 143:347050

GI



AB Title compds. represented by the formula I [wherein X1 = CH2, CH or N; X2 = CH or N; R1, R2 = independently H, alkyl(aryl), alkenyl, etc.; R3, R3' = independently H, alkyl, alkynyl, etc.; R4, R5 = independently H, (halo)alkyl, aryl, etc.; m = 0-2; n = 0-2; p = 0-2; and pharmaceutically acceptable salts, solvates, prodrugs, enantiomers, racemates, diastereomers and diastereomeric mixture thereof] were prepared as opioid receptor antagonists. For example, II was provided in a multi-step synthesis starting from the reaction of 5-formylindole with 4-bromomethylbenzonitrile. I were tested for antagonistic activity of mu-, gamma- and delta-opioid receptor in SPA-based GTPgammaS binding assay, and their pharmaceutical formulations were also presented. Thus, I and their pharmaceutical compns. are useful as opioid receptor antagonists for the treatment of obesity (no data).

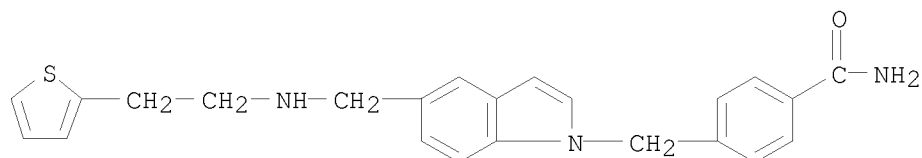
IT 865542-83-2P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of 4-(5-(aminomethyl)indole-1-ylmethyl)benzamide derivs. as opioid receptor antagonists for treatment of obesity)

RN 865542-83-2 CAPLUS

CN Benzamide, 4-[[5-[[2-(2-thienyl)ethyl]amino]methyl]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



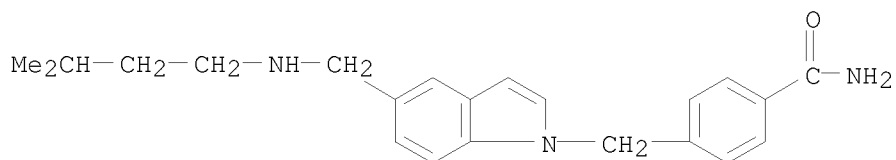
|    |              |              |              |
|----|--------------|--------------|--------------|
| IT | 865542-80-9P | 865542-82-1P | 865542-84-3P |
|    | 865542-85-4P | 865542-86-5P | 865542-87-6P |
|    | 865542-88-7P | 865542-89-8P | 865542-90-1P |
|    | 865542-91-2P | 865542-92-3P | 865542-93-4P |
|    | 865542-94-5P | 865542-95-6P | 865542-96-7P |
|    | 865542-97-8P | 865542-98-9P | 865542-99-0P |

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 4-(5-(aminomethyl)indole-1-ylmethyl)benzamide derivs. as opioid receptor antagonists for treatment of obesity)

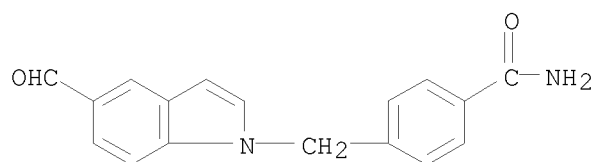
RN 865542-80-9 CAPLUS

CN Benzamide, 4-[[5-[[[(3-methylbutyl)amino]methyl]-1H-indol-1-yl]methyl]-  
(CA INDEX NAME)



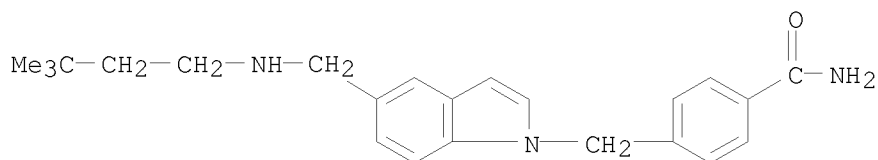
RN 865542-82-1 CAPLUS

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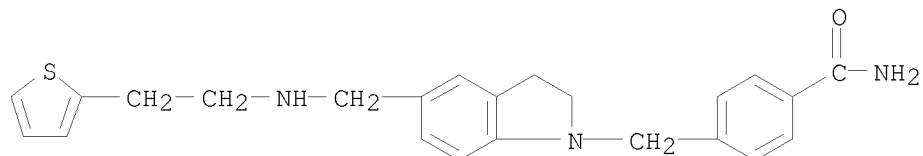
RN 865542-84-3 CAPLUS

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(CA INDEX NAME)



RN 865542-85-4 CAPLUS

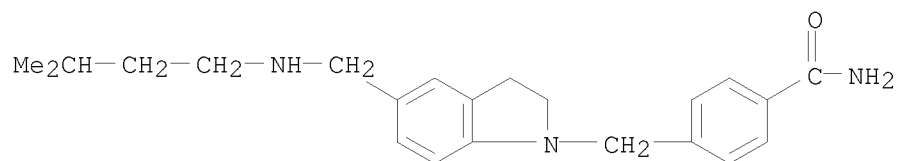
CN Benzamide, 4-[[2,3-dihydro-5-[[[2-(2-thienyl)ethyl]amino]methyl]-1H-indol-1-yl]methyl]-  
(CA INDEX NAME)



RN 865542-86-5 CAPLUS

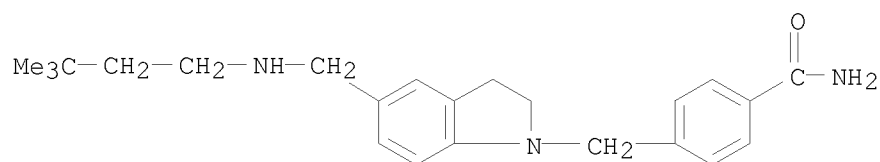
CN Benzamide, 4-[[2,3-dihydro-5-[[[(3-methylbutyl)amino]methyl]-1H-indol-1-yl]methyl]-  
(CA INDEX NAME)





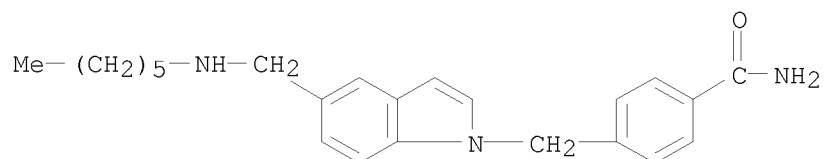
RN 865542-87-6 CAPLUS

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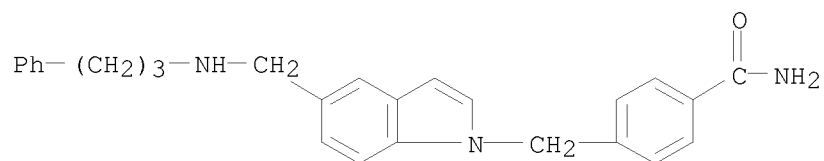
RN 865542-88-7 CAPLUS

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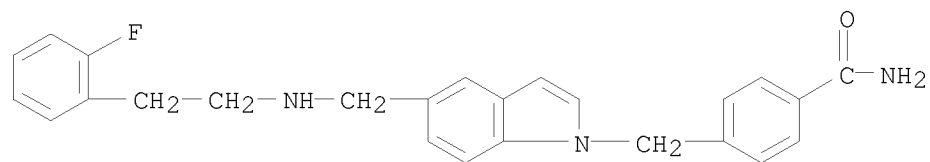
RN 865542-89-8 CAPLUS

CN Benzamide, 4-[[5-[[3-phenylpropyl]amino]methyl]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



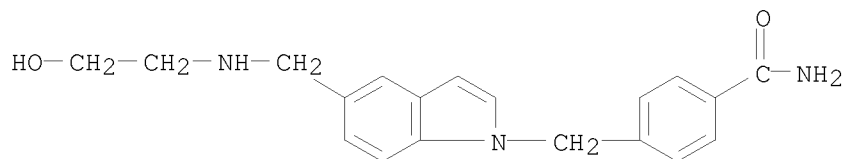
RN 865542-90-1 CAPLUS

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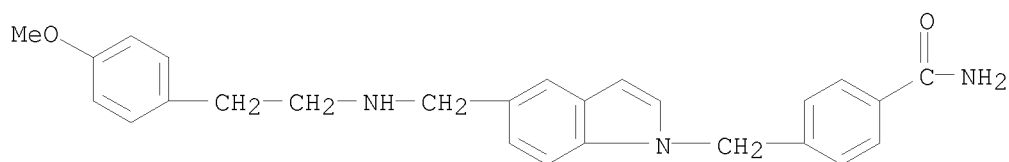
RN 865542-91-2 CAPLUS

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(CA INDEX NAME)



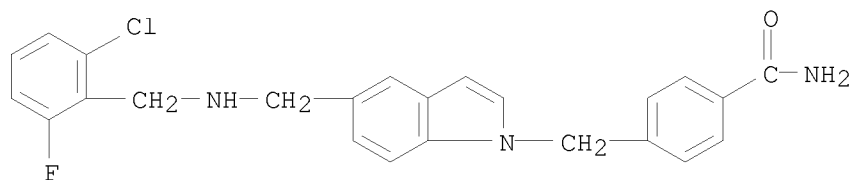
RN 865542-92-3 CAPLUS

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yl]methyl]- (CA INDEX NAME)



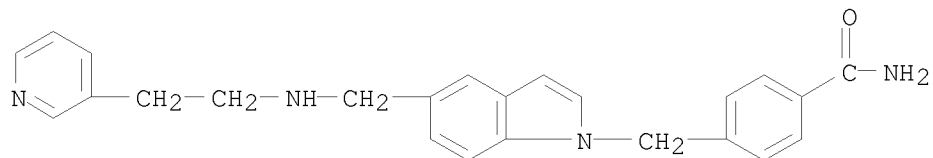
RN 865542-93-4 CAPLUS

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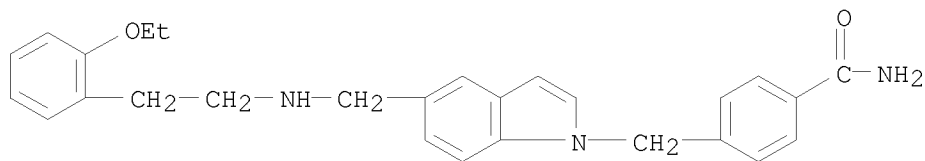
RN 865542-94-5 CAPLUS

CN Benzamide, 4-[[5-[[[2-(3-pyridinyl)ethyl]amino]methyl]-1H-indol-1-  
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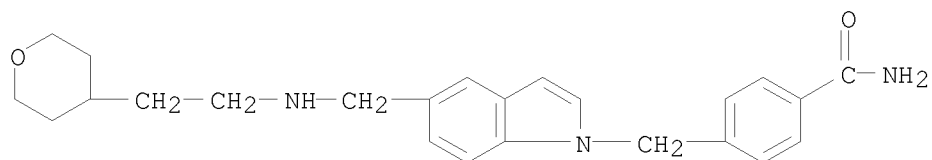
RN 865542-95-6 CAPLUS

CN Benzamide, 4-[[5-[[[2-(2-ethoxyphenyl)ethyl]amino]methyl]-1H-indol-1-  
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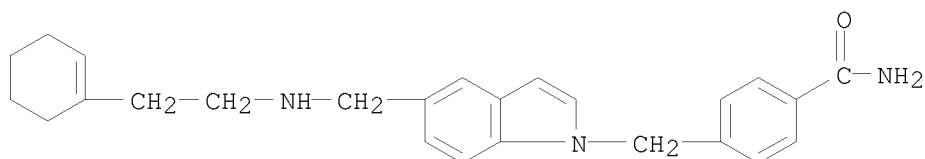
RN 865542-96-7 CAPLUS

CN Benzamide, 4-[[5-[[[2-(tetrahydro-2H-pyran-4-yl)ethyl]amino]methyl]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



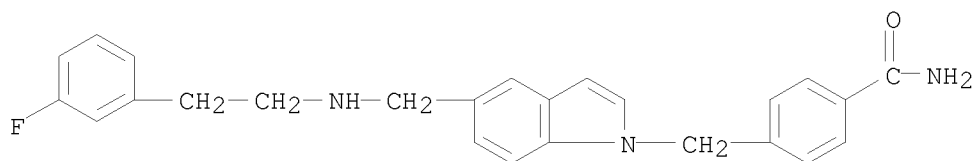
RN 865542-97-8 CAPLUS

CN Benzamide, 4-[[5-[[[2-(1-cyclohexen-1-yl)ethyl]amino]methyl]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



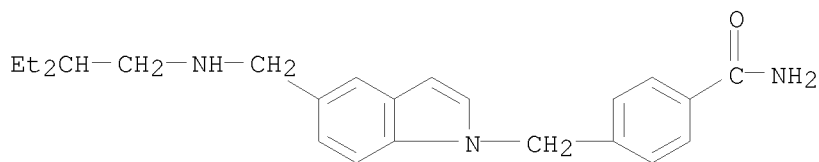
RN 865542-98-9 CAPLUS

CN Benzamide, 4-[[5-[[[2-(3-fluorophenyl)ethyl]amino]methyl]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



RN 865542-99-0 CAPLUS

CN Benzamide, 4-[[5-[[[2-(ethylbutyl)amino]methyl]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS

## RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 12 OF 23 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2004:927166 CAPLUS

DOCUMENT NUMBER: 141:395428

TITLE: Biarylmethyl indolines, indoles, and tetrahydroquinolines, useful as serine protease inhibitors, and particularly as anticoagulants, and their preparation, pharmaceutical compositions, and use.

INVENTOR(S): Smallheer, Joanne M.; Quan, Mimi L.; Wang, Shuaige; Bisacchi, Gregory S.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 153 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

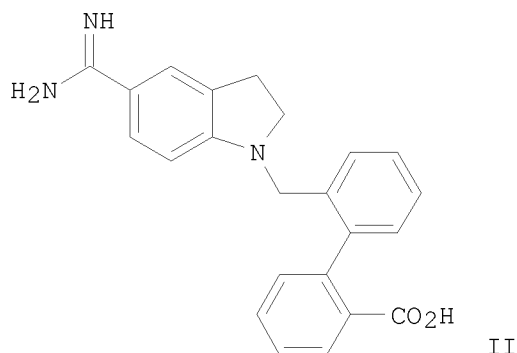
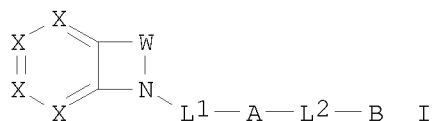
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.             | KIND   | DATE     | APPLICATION NO. | DATE       |
|------------------------|--|----------|-----------------|------------|
| WO 2004094372          | A2   | 20041104 | WO 2004-US11856 | 20040415   |
| WO 2004094372          | A3   | 20050602 |                 |            |
| W:                     | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |          |                 |            |
| RW:                    | BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |                 |            |
| US 20040220206         | A1   | 20041104 | US 2004-824025  | 20040414   |
| US 7129264             | B2   | 20061031 |                 |            |
| EP 1633716             | A2   | 20060315 | EP 2004-750251  | 20040415   |
| R:                     | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR   |          |                 |            |
| JP 2006523716          | T  | 20061019 | JP 2006-513080  | 20040415   |
| PRIORITY APPLN. INFO.: |  |          | US 2003-463452P | P 20030416 |
|                        |  |          | US 2004-824025  | A 20040414 |
|                        |  |          | WO 2004-US11856 | W 20040415 |

OTHER SOURCE(S): MARPAT 141:395428

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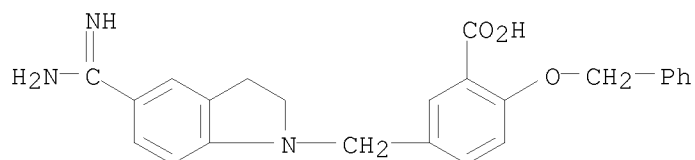
- AB The invention provides compds. I or stereoisomers, pharmaceutically acceptable salts or hydrates, or prodrugs thereof [wherein: W = (un)substituted CH<sub>2</sub>CH<sub>2</sub>, CH:CH, CH:N, or CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>; L<sub>1</sub> = CH<sub>2</sub>, CH<sub>2</sub>CH<sub>2</sub>, CH<sub>2</sub>S(O)<sub>0-2</sub>, or CH<sub>2</sub>C(O); L<sub>2</sub> = bond, (un)substituted CH<sub>2</sub>, CH<sub>2</sub>CH<sub>2</sub>, O, NH, C(O), S(O)<sub>0-2</sub>, CH<sub>2</sub>C(O), C(O)CH<sub>2</sub>, CH<sub>2</sub>O, OCH<sub>2</sub>, CH<sub>2</sub>NH, NHCH<sub>2</sub>, CH<sub>2</sub>S(O)<sub>0-2</sub>, S(O)<sub>0-2</sub>CH<sub>2</sub>, C(O)O, OC(O), C(O)NH, NHC(O), S(O)NH, S(O)<sub>2</sub>NH, NHS(O), or NHS(O)<sub>2</sub>; A = (un)substituted C<sub>3-10</sub> carbocycle or 5- to 12-membered heterocycle with 1-4 N/O/S(O)<sub>0-2</sub> heteroatoms; B = (un)substituted alk(en/yn)yl, C<sub>3-10</sub> carbocycle, or 5- to 12-membered heterocycle with 1-4 N/O/S(O)<sub>0-2</sub> heteroatoms; X = (independently) (un)substituted CH or N]. I are useful as selective inhibitors of serine protease enzymes of the coagulation cascade and/or contact activation system; for example thrombin, factor Xa, factor XIa, factor IXa, factor VIIa and/or plasma kallikrein. In particular, the invention relates to compds. that are selective factor XIa inhibitors. This invention also relates to pharmaceutical compns. comprising I, and methods of treating thromboembolic and/or inflammatory disorders using I. I had K<sub>i</sub> values of ≤ 15 μM in assays for Factor XIa and plasma kallikrein, thereby confirming their utility as effective inhibitors of these entities. Approx. 115 compds. I and various intermediates were prepared. For instance, 5-cyanoindole was reduced to 5-cyanoindoline with NaBH<sub>3</sub>CN (40%) or with Et<sub>3</sub>SiH (77%). Then, Suzuki coupling of 2-IC<sub>6</sub>H<sub>4</sub>CO<sub>2</sub>Me with 2-OCHC<sub>6</sub>H<sub>4</sub>B(OH)<sub>2</sub> gave 83% 2-OCHC<sub>6</sub>H<sub>4</sub>-C<sub>6</sub>H<sub>4</sub>CO<sub>2</sub>Me-2, which underwent reductive alkylation with 5-cyanoindoline (86%). The obtained 1-substituted 5-cyanoindoline was converted to the corresponding 5-amidoxime, which was reduced by Zn in AcOH to give the 5-amidine (18.5%). Alkaline saponification of the ester moiety gave invention compound II, isolated as the bis(trifluoroacetate) salt.
- IT 787630-52-8P, 2-(Benzyloxy)-5-(5-carbamimidoyl-2,3-dihydroindol-1-ylmethyl)benzoic acid 787630-53-9P, 2-(Benzyloxy)-3-(5-carbamimidoyl-2,3-dihydroindol-1-ylmethyl)benzoic acid 787630-69-7P, 6'-(5-Carbamimidoyl-2,3-dihydroindol-1-ylmethyl)-4-methoxybiphenyl-2,3'-dicarboxylic acid
- RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)

(drug candidate; preparation of biarylmethyl indolines, indoles, and tetrahydroquinolines as serine protease inhibitors and anticoagulants)

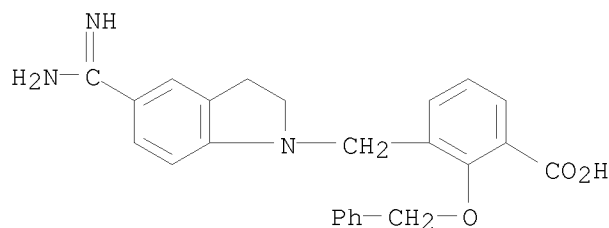
RN 787630-52-8 CAPLUS

CN Benzoic acid, 5-[[5-(aminoiminomethyl)-2,3-dihydro-1H-indol-1-yl]methyl]-2-(phenylmethoxy)- (CA INDEX NAME)



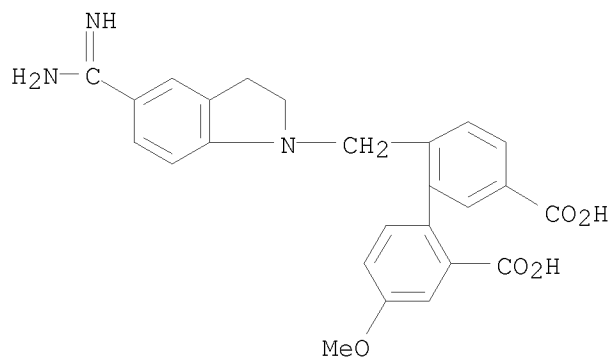
RN 787630-53-9 CAPLUS

CN Benzoic acid, 3-[[5-(aminoiminomethyl)-2,3-dihydro-1H-indol-1-yl]methyl]-2-(phenylmethoxy)- (CA INDEX NAME)



RN 787630-69-7 CAPLUS

CN [1,1'-Biphenyl]-2,3'-dicarboxylic acid, 6'-[[5-(aminoiminomethyl)-2,3-dihydro-1H-indol-1-yl]methyl]-4-methoxy- (CA INDEX NAME)

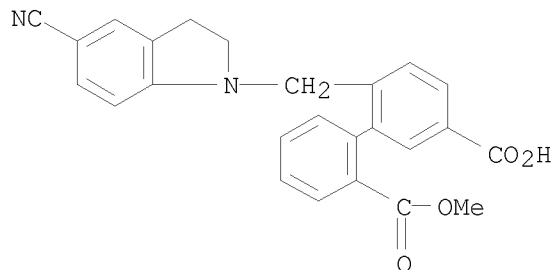


IT 787631-72-5P, 2'-(5-Cyano-2,3-dihydroindol-1-ylmethyl)-5'-carboxybiphenyl-2-carboxylic acid methyl ester 787631-85-0P, 3-[2-[(Benzyloxy)carbonyl]-4-methylphenyl]-4-[(5-cyano-2,3-dihydro-1-indolyl)methyl]benzoic acid  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(intermediate; preparation of biarylmethyl indolines, indoles, and tetrahydroquinolines as serine protease inhibitors and anticoagulants)

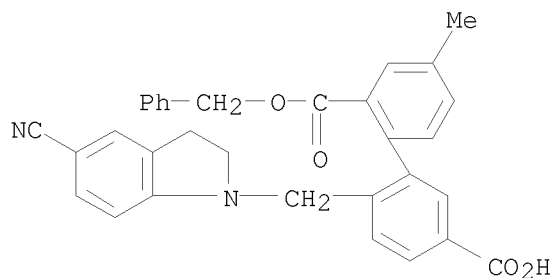
RN 787631-72-5 CAPLUS

CN [1,1'-Biphenyl]-2,3'-dicarboxylic acid,

6'-[(5-cyano-2,3-dihydro-1H-indol-1-yl)methyl]-, 2-methyl ester (CA INDEX NAME)



RN 787631-85-0 CAPLUS  
CN [1,1'-Biphenyl]-2,3'-dicarboxylic acid,  
6'-[(5-cyano-2,3-dihydro-1H-indol-1-yl)methyl]-4-methyl-, 2-(phenylmethyl)  
ester (CA INDEX NAME)



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD  
(7 CITINGS)  
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 13 OF 23 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2003:784629 CAPLUS

DOCUMENT NUMBER: 139:292147

TITLE: Preparation of indole derivatives as phospholipase  
enzyme inhibitors

INVENTOR(S): Seehra, Jasbir S.; Kaila, Neelu; McKew, John C.;  
Bemis, Jean E.; Xiang, Yibin; Chen, Lihren

PATENT ASSIGNEE(S): Genetics Institute LLC, USA

SOURCE: U.S., 81 pp., Cont.-in-part of U.S. Ser. No. 30,102.  
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO.             | KIND | DATE     | APPLICATION NO. | DATE        |
|------------------------|------|----------|-----------------|-------------|
| US 6630496             | B1   | 20031007 | US 2000-645042  | 20000824    |
| BR 9909242             | A    | 20001114 | BR 1999-9242    | 19990217    |
| PRIORITY APPLN. INFO.: |      |          | US 1997-918400  | B2 19970826 |
|                        |      |          | US 1998-30102   | B2 19980225 |
|                        |      |          | WO 1999-IS3388  | W 19990217  |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S):                MARPAT 139:292147  
GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

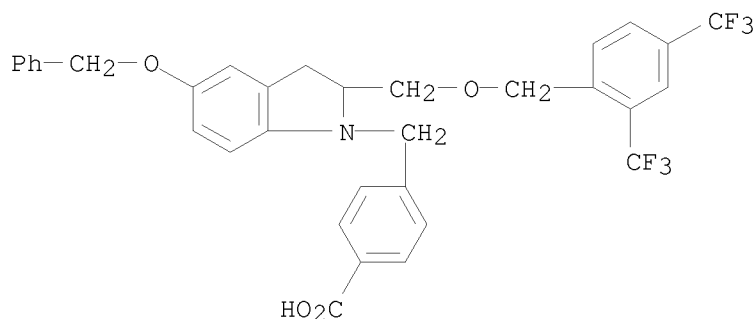
AB    The indole derivs. (I), (II), and (III) [where A = CH<sub>2</sub> or CH<sub>2</sub>CH<sub>2</sub>; B = (CH<sub>2</sub>)<sub>n</sub>, (CH<sub>2</sub>O)<sub>n</sub>, (CH<sub>2</sub>S)<sub>n</sub>, (OCH<sub>2</sub>)<sub>n</sub>, (SCH<sub>2</sub>)<sub>n</sub>, (CH=CH)<sub>n</sub>, (C.tplbond.C)<sub>n</sub>, CONR<sub>6</sub>, NR<sub>6</sub>CO, O, S, or NR<sub>6</sub>; R<sub>1</sub> = H, OH, halo, etc.; R<sub>2</sub>, R<sub>3</sub> = H, CO<sub>2</sub>H, alkyl, aryl, etc.; R<sub>4</sub>, R<sub>5</sub> = H, OH, CN, CO<sub>2</sub>H, etc.; n = 0-4] and pharmaceutically acceptable salts thereof, were prepared. Thus, 2,4-thiazolidinedione and K<sub>2</sub>CO<sub>3</sub> followed by NaOH were added to 5-(benzyloxy)-1-(4-{[3,5-bis(trifluoromethyl)phenoxy]methyl}benzyl)-1H-indole-2-carboxaldehyde in EtOH to form the 2,4-thiazolidinedion-4-ylidene derivative. The ylidene was dissolved in a solution of DMF and NaH, reacted

with an alkyl ester of 4-(bromomethyl)benzoic acid, and deesterified with HF to yield the acid, (E)-(IV). The title compds. are useful as phospholipase enzyme inhibitors, especially cytosolic phospholipase A<sub>2</sub> (cPLA<sub>2</sub>), for treatment of inflammatory conditions and pain, particularly where inhibition of production of prostaglandins, leukotrienes, and PAF are all desired. Eighty-seven compds. of the invention were tested for phospholipase enzyme inhibiting activity in the LysoPC and/or Coumarine assay. IC<sub>50</sub> values ranged from 0.081 μM to >50 μM for the LysoPC assay and from 2.5 μM to >64 μM for the Coumarine assay. Selected compds. were tested for in vivo activity in the carrageenan-induced rat paw edema test, and showed 4.2% to 34.2% inhibition. Forty-eight compds. of the invention were tested for cPLA<sub>2</sub> enzyme activity, and exhibited 25% to 95% inhibition at concns. of 3 μM to 100 μM. Pharmaceutical composition comprising the compound I was claimed.

IT    204017-06-1P            204017-07-2P            204017-08-3P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
      (preparation of indole derivs. as phospholipase enzyme inhibitors for treatment of inflammatory conditions)

RN    204017-06-1    CAPLUS

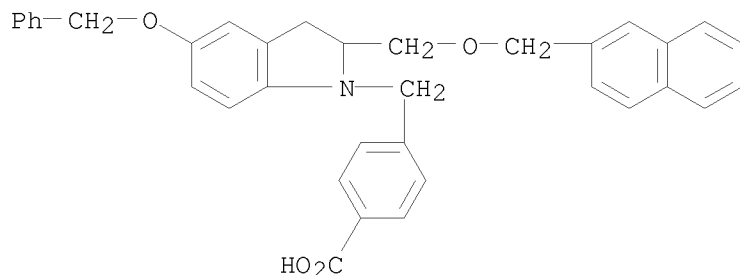
CN    Benzoic acid, 4-[[2-[[[2,4-bis(trifluoromethyl)phenyl]methoxy]methyl]-2,3-dihydro-5-(phenylmethoxy)-1H-indol-1-yl]methyl]- (CA INDEX NAME)



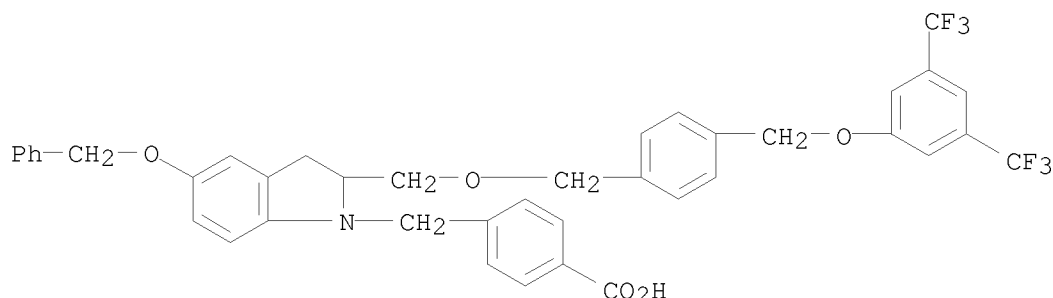
RN    204017-07-2    CAPLUS

CN    Benzoic acid, 4-[[2,3-dihydro-2-[(2-naphthalenylmethoxy)methyl]-5-(phenylmethoxy)-1H-indol-1-yl]methyl]- (CA INDEX NAME)





RN 204017-08-3 CAPLUS  
 CN Benzoic acid, 4-[[2-[[[4-[[3,5-bis(trifluoromethyl)phenoxy]methyl]phenyl]methoxy]methyl]-2,3-dihydro-5-(phenylmethoxy)-1H-indol-1-yl]methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)  
 REFERENCE COUNT: 70 THERE ARE 70 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 14 OF 23 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2001:137021 CAPLUS

DOCUMENT NUMBER: 134:193347

TITLE: Preparation of indol-1-yl(or quinolin-1-yl)methyl benzoic acids as peroxisome proliferator activated receptor (PPAR) agonists

INVENTOR(S): Hargreaves, Rodney Brian; Whittamore, Paul Robert Owen

PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited

SOURCE: PCT Int. Appl., 78 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.    | KIND | DATE     | APPLICATION NO. | DATE     |
|---------------|------|----------|-----------------|----------|
| WO 2001012187 | A2   | 20010222 | WO 2000-GB3140  | 20000814 |
| WO 2001012187 | A3   | 20010607 |                 |          |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW

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DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,  
 CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

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| CA 2380775    | A1 | 20010222 | CA 2000-2380775 | 20000814 |
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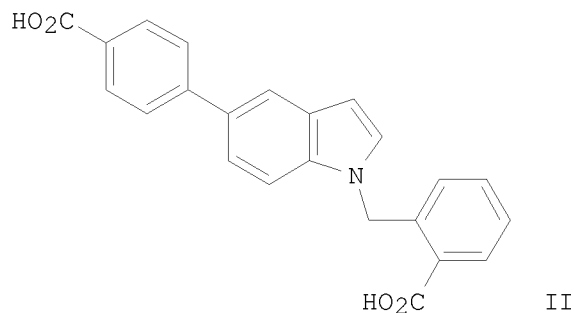
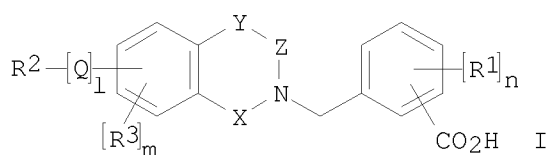
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| JP 2003507327 | T | 20030225 | JP 2001-516533 | 20000814 |
| NZ 517059     | A | 20040528 | NZ 2000-517059 | 20000814 |
| ZA 2002000669 | A | 20030424 | ZA 2002-669    | 20020124 |
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| NO 2002000765 | A | 20020417 | NO 2002-765    | 20020215 |

PRIORITY APPLN. INFO.: GB 1999-19411 A 19990818  
 WO 2000-GB3140 W 20000814

OTHER SOURCE(S): MARPAT 134:193347

GI



AB The title compds. [I; X, Y, Z = a bond, atom or groups of atoms such that X, Y and Z together with the nitrogen atom = 5-6 membered (non)aromatic ring; R1 = alkyl, halo, haloalkyl, etc.; n = 0-2; R2 = (un)substituted hydrocarbonyl, halo, CN, etc.; l = 0-1; Q = a bond, alkylene, alkenylene; R3 = alkyl, halo, haloalkyl, etc.; m = 0-2] which act as peroxisome proliferator activated receptor (PPAR) agonists, in particular gamma receptors (PPAR $\gamma$ ) (data given), and so are useful in the treatment of states of insulin resistance, including type 2 diabetes mellitus, were prepared E.g., a multi-step synthesis of II was given.

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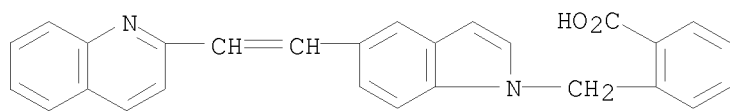
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| 327044-46-2P |              |              |

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of indol-1-yl(or quinolin-1-yl)methyl benzoic acids as peroxisome proliferator activated receptor (PPAR) agonists)

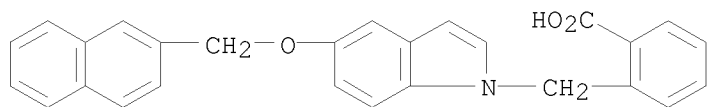
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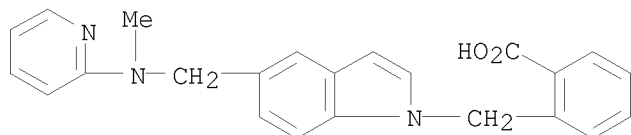
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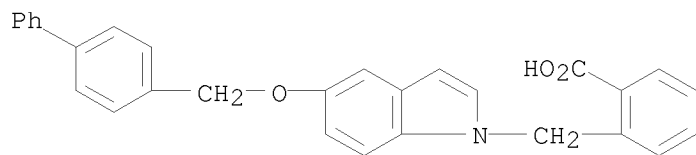
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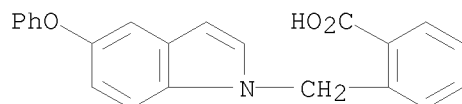


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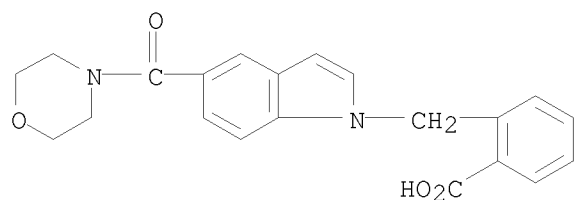
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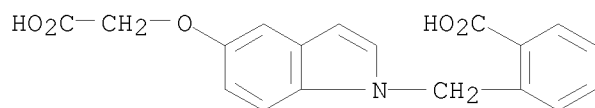
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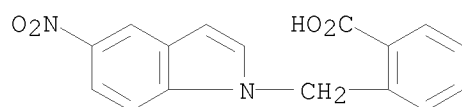
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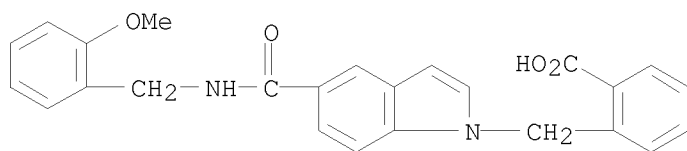
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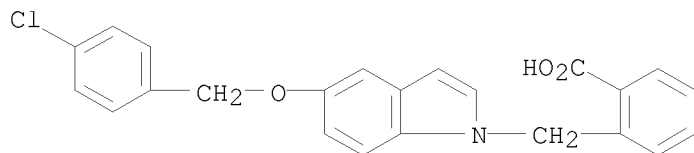


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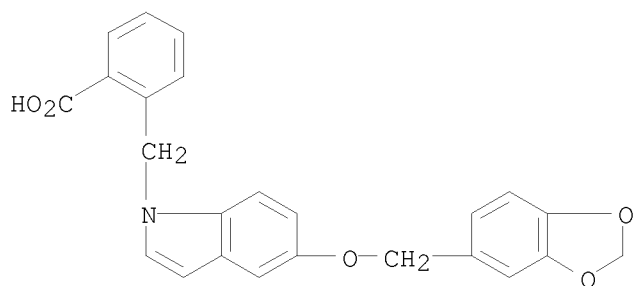
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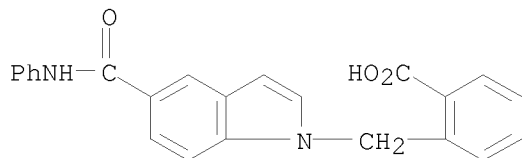
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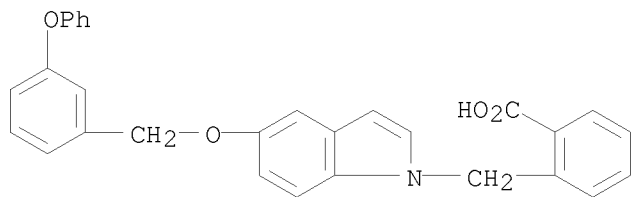
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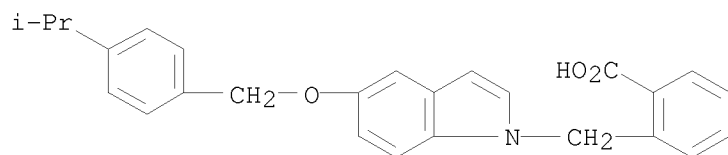


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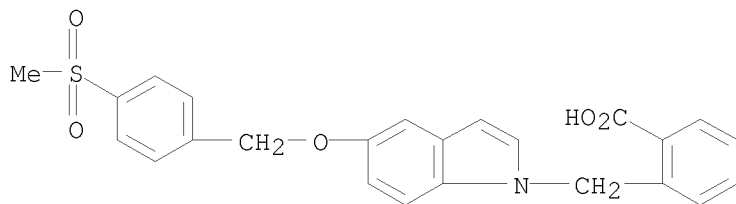
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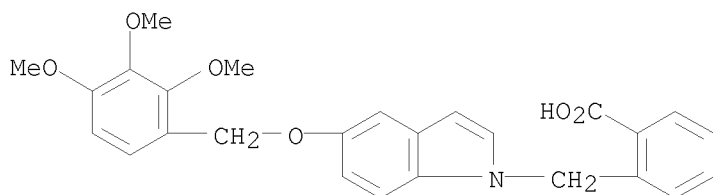
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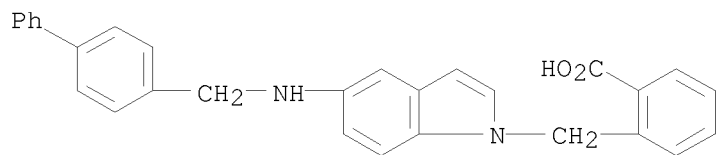
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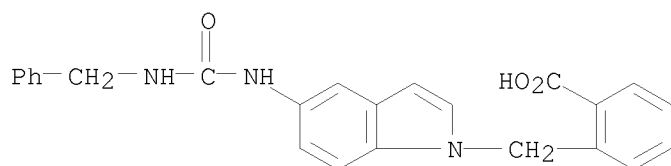


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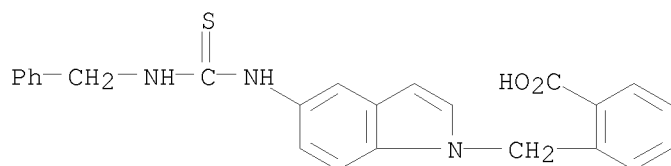
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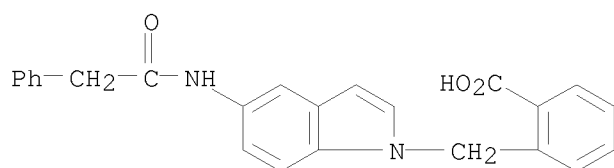
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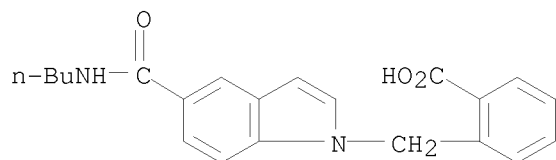
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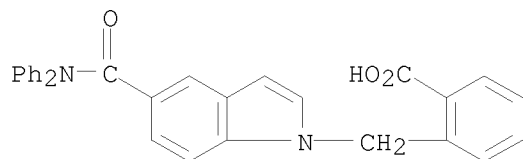
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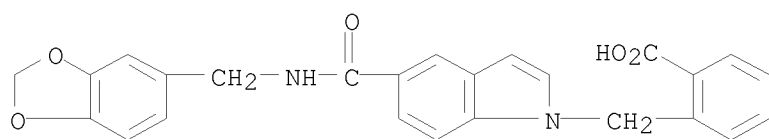
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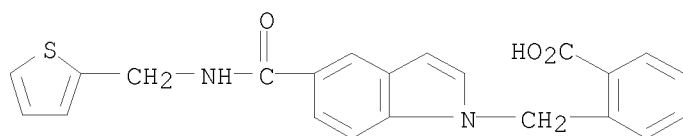
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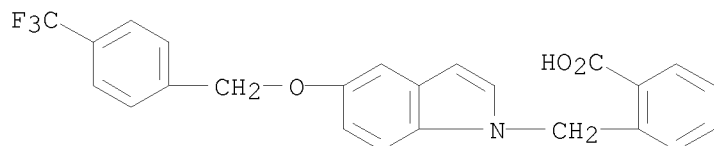
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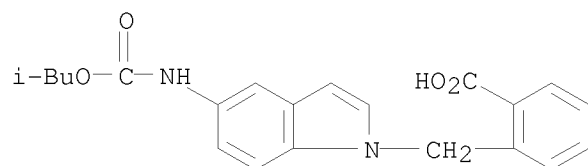
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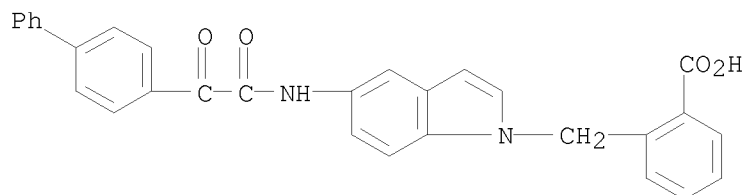
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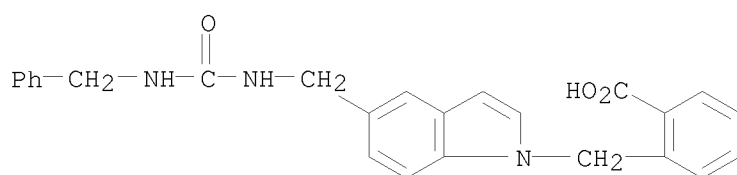


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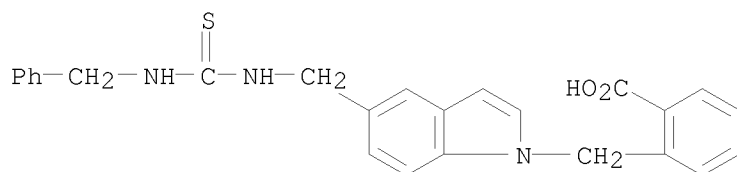
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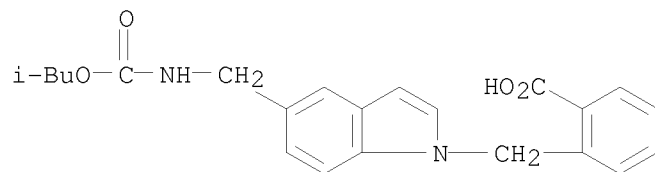
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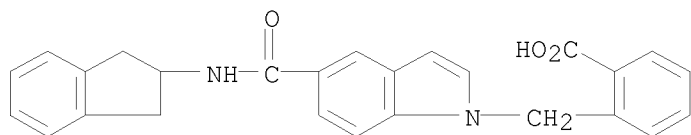
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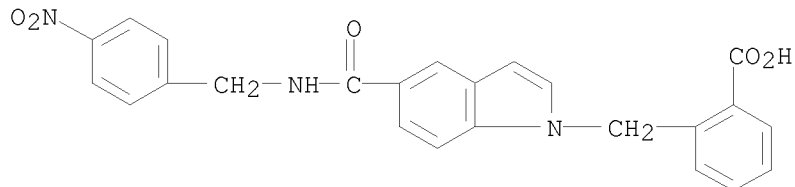
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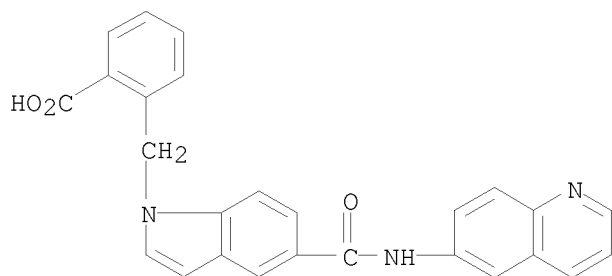
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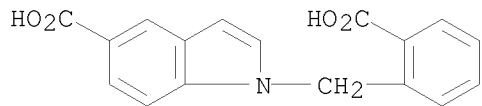
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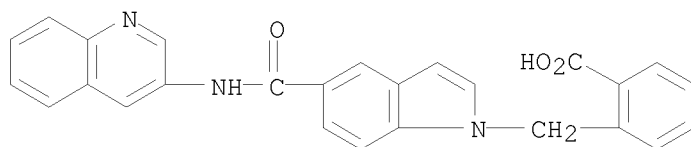
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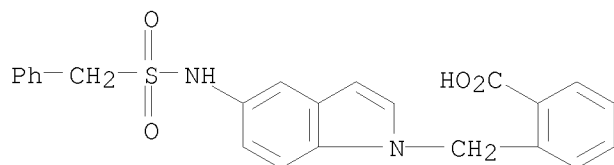
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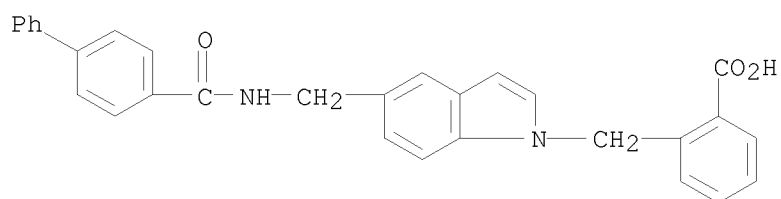
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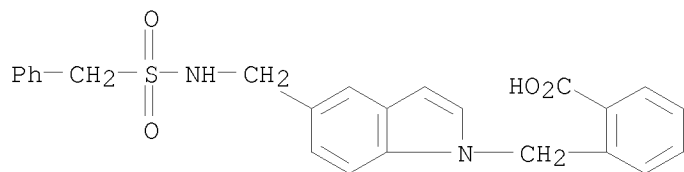
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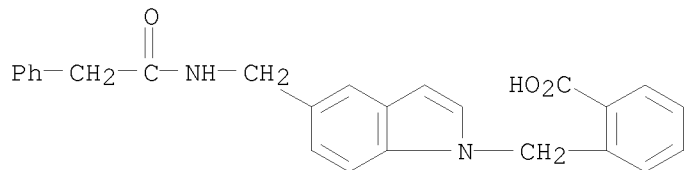
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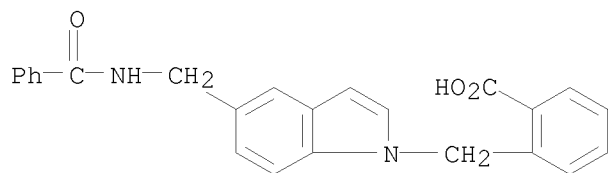
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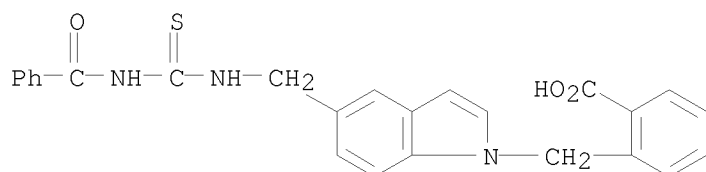
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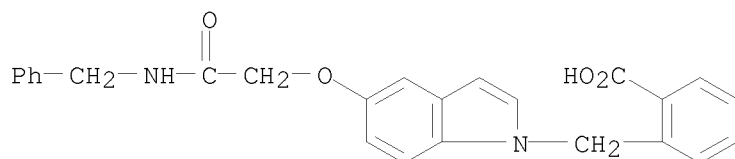
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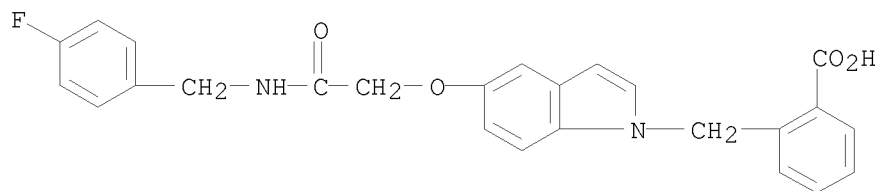
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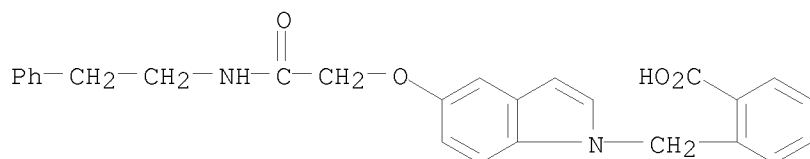
RN 327044-19-9 CAPLUS

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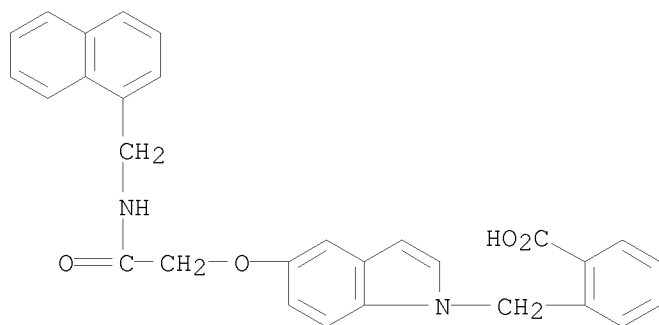
RN 327044-20-2 CAPLUS

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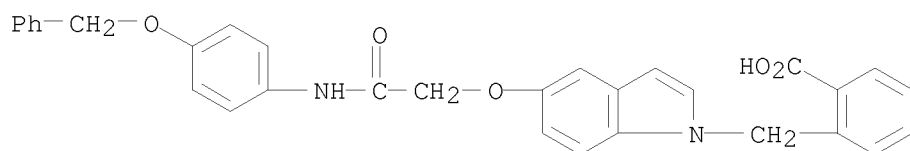
RN 327044-21-3 CAPLUS

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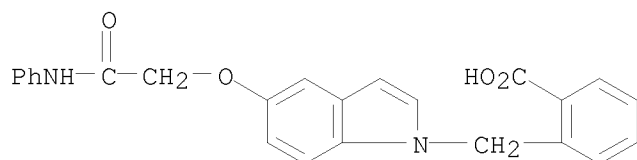
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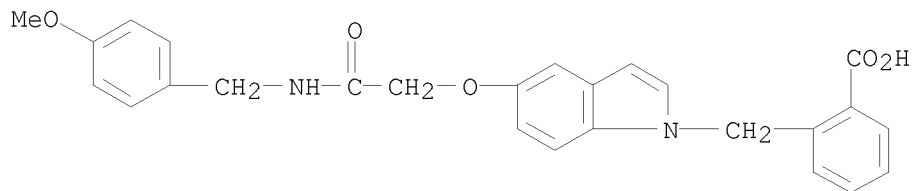
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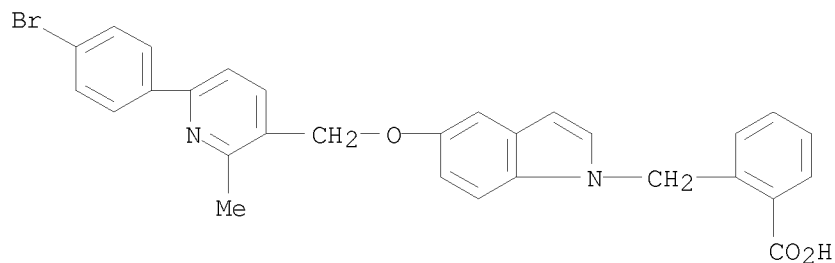
RN 327044-24-6 CAPLUS

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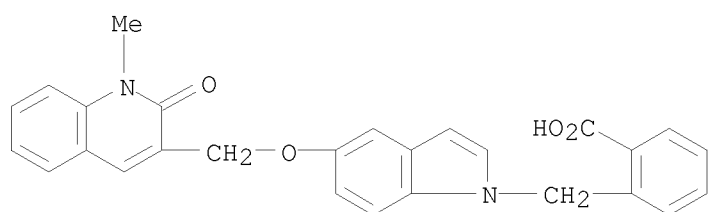
RN 327044-25-7 CAPLUS

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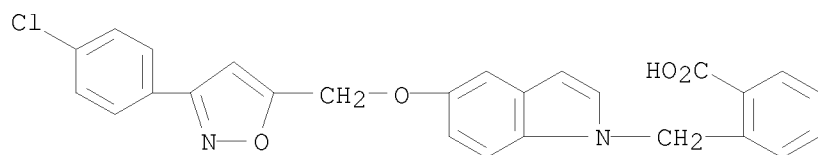
RN 327044-26-8 CAPLUS

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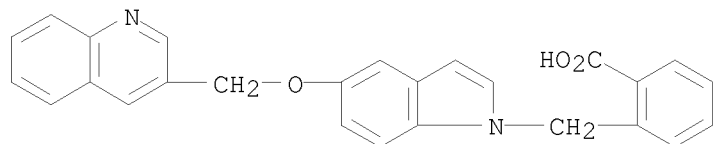
RN 327044-27-9 CAPLUS

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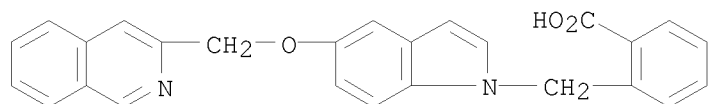
RN 327044-28-0 CAPLUS

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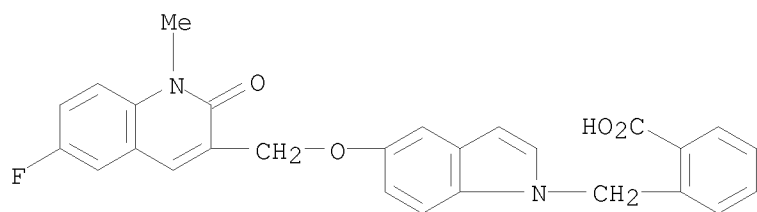


RN 327044-29-1 CAPLUS

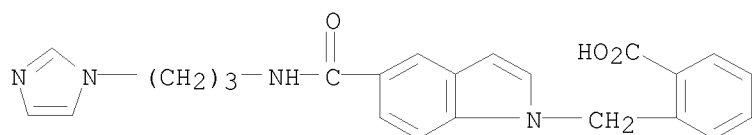
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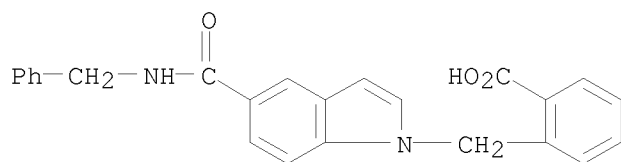
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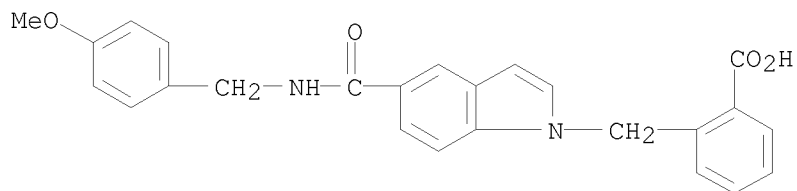
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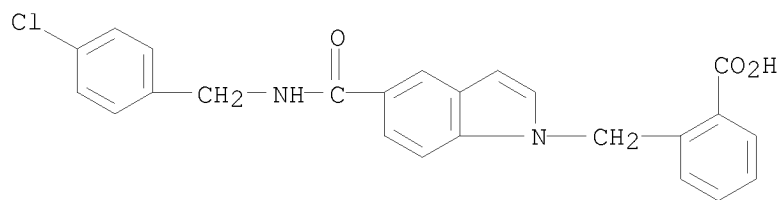
RN 327044-32-6 CAPLUS  
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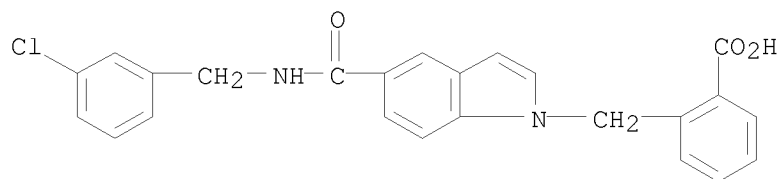
RN 327044-33-7 CAPLUS  
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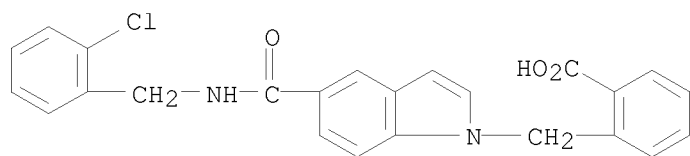
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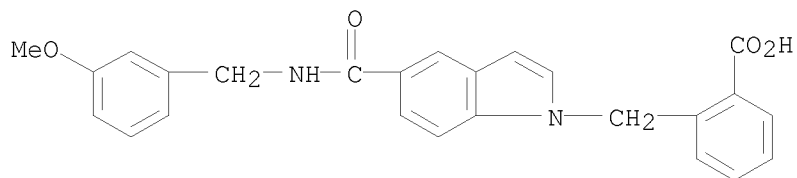
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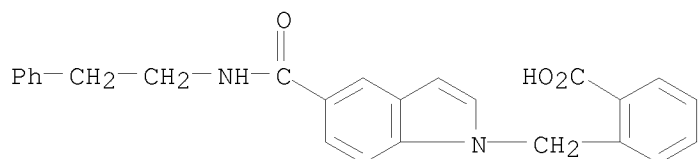
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RN 327044-37-1 CAPLUS  
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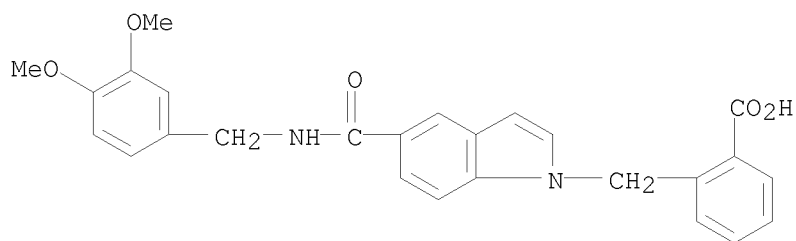


RN 327044-38-2 CAPLUS  
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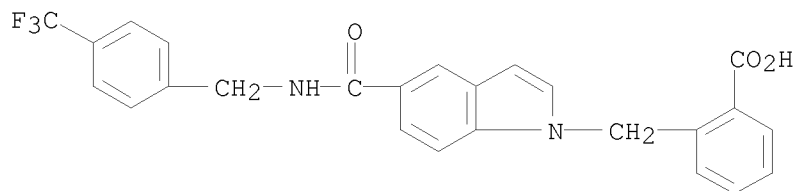




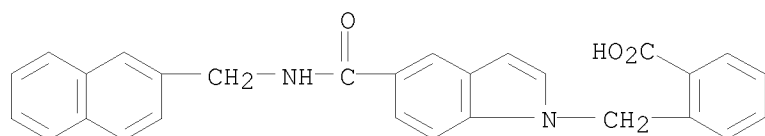
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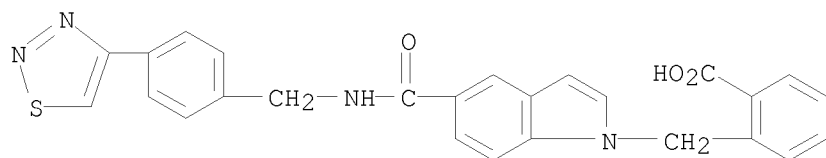
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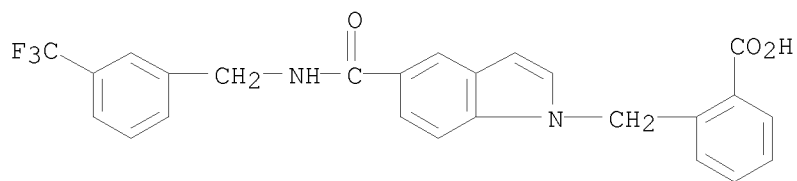
RN 327044-41-7 CAPLUS  
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RN 327044-42-8 CAPLUS  
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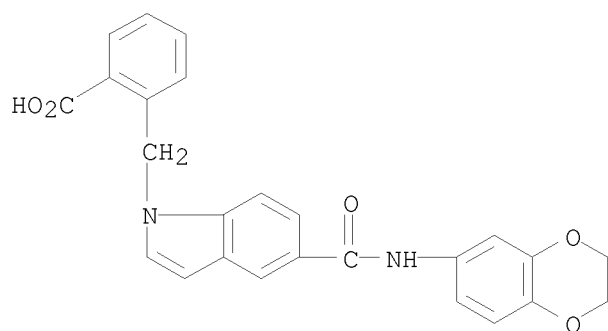


RN 327044-43-9 CAPLUS  
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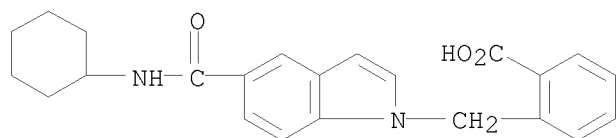
RN 327044-44-0 CAPLUS

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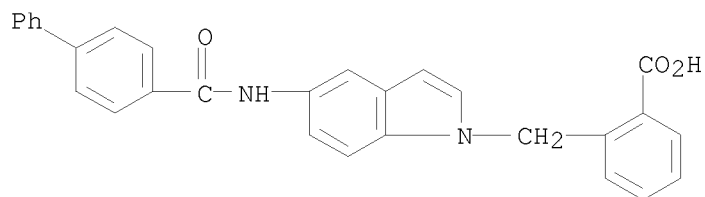
RN 327044-45-1 CAPLUS

CN Benzoic acid, 2-[[5-[(cyclohexylamino)carbonyl]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



RN 327044-46-2 CAPLUS

CN Benzoic acid, 2-[[5-[[1,1'-biphenyl]-4-ylcarbonyl]amino]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 23 THERE ARE 23 CAPLUS RECORDS THAT CITE THIS RECORD (24 CITINGS)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

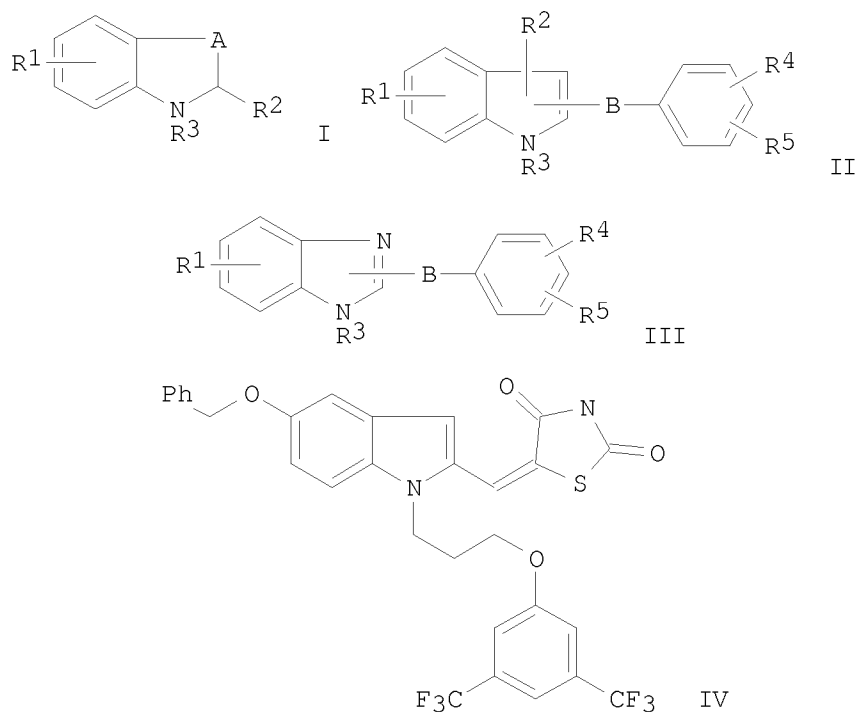
L20 ANSWER 15 OF 23 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1999:566043 CAPLUS

DOCUMENT NUMBER: 131:199620

TITLE: Preparation of indole derivatives as phospholipase  
 enzyme inhibitors  
 INVENTOR(S): Seehra, Jasbir S.; Xiang, Yibin; Bemis, Jean; McKew,  
 John; Kaila, Neelu; Chen, Lihren  
 PATENT ASSIGNEE(S): Genetics Institute, Inc., USA  
 SOURCE: PCT Int. Appl., 225 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE              | APPLICATION NO. | DATE       |
|---|------|-------------------|-----------------|------------|
| WO 9943672  | A1   | 19990902          | WO 1999-US3388  | 19990217   |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW |      |                   |                 |            |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  |      |                   |                 |            |
| CA 2322163  | A1   | 19990902          | CA 1999-2322163 | 19990217   |
| AU 9932970  | A    | 19990915          | AU 1999-32970   | 19990217   |
| BR 9909242  | A    | 20001114          | BR 1999-9242    | 19990217   |
| TR 2000002445   | T2   | 20001221          | TR 2000-2445    | 19990217   |
| EP 1062216  | A1   | 20001227          | EP 1999-936073  | 19990217   |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI   |      |                   |                 |            |
| HU 2001000156   | A2   | 20010730          | HU 2001-156     | 19990217   |
| JP 2002504551   | T    | 20020212          | JP 2000-533428  | 19990217   |
| EE 2000000522   | A    | 20020215          | EE 2000-522     | 19990217   |
| HR 2000000513   | A2   | 20011231          | HR 2000-513     | 20000731   |
| NO 2000004217   | A    | 20001023          | NO 2000-4217    | 20000823   |
| MX 2000008294   | A    | 20020327          | MX 2000-8294    | 20000824   |
| BG 104781   | A    | 20011031          | BG 2000-104781  | 20000919   |
| PRIORITY APPLN. INFO.:  |      |                   | US 1998-30102   | A 19980225 |
|   |      |                   | WO 1999-IS3388  | W 19990217 |
|   |      |                   | WO 1999-US3388  | W 19990217 |
| OTHER SOURCE(S):  |      | MARPAT 131:199620 |                 |            |
| GI  |      |                   |                 |            |



AB Indole derivs. (I), (II), and (III) [where A = CH<sub>2</sub> or CH<sub>2</sub>CH<sub>2</sub>; B = (CH<sub>2</sub>)<sub>n</sub>, (CH<sub>2</sub>O)<sub>n</sub>, (CH<sub>2</sub>S)<sub>n</sub>, (OCH<sub>2</sub>)<sub>n</sub>, (SCH<sub>2</sub>)<sub>n</sub>, (CH=CH)<sub>n</sub>, (C.tplbond.C)<sub>n</sub>, CON(R<sub>6</sub>), N(R<sub>6</sub>)CO, O, S, or N(R<sub>6</sub>); R<sub>1</sub> and R<sub>5</sub> = independently H, OH, halogen, CN, NO<sub>2</sub>, C<sub>1</sub>-5 alkyl, alkenyl, alkynyl, or (un)substituted aryl, etc.; R<sub>2</sub> and R<sub>3</sub> = independently H, CO<sub>2</sub>H, COR<sub>5</sub>, CONR<sub>5</sub>R<sub>6</sub>, (CH<sub>2</sub>)<sub>n</sub>W(CH<sub>2</sub>)<sub>m</sub>ZR<sub>5</sub>, (CH<sub>2</sub>)<sub>n</sub>WR<sub>5</sub>, ZR<sub>5</sub>, C<sub>1</sub>-10 alkyl, alkenyl, or substituted aryl; R<sub>4</sub> = H, OH, OR<sub>6</sub>, SR<sub>6</sub>, CN, COR<sub>6</sub>, NHR<sub>6</sub>, CO<sub>2</sub>H, COR<sub>6</sub>R<sub>7</sub>, NO<sub>2</sub>, (un)substituted sulfamidocarbonyl, C<sub>1</sub>-5 alkyl, alkenyl, or substituted aryl; R<sub>6</sub>, R<sub>7</sub> = H, C<sub>1</sub>-5 alkyl, alkenyl, alkynyl, or (un)substituted aryl; W = O, S, CH<sub>2</sub>, CH=CH, C.tplbond.C, or N(R<sub>6</sub>); X = O, S, N(R<sub>6</sub>); Z = CH<sub>2</sub>, O, S, N(R<sub>6</sub>), CO, CON(R<sub>6</sub>), N(R<sub>6</sub>)CO; m and n = independently 0-4] and pharmaceutically acceptable salts thereof, were prepared. Thus, 2,4-thiazolidinedione and K<sub>2</sub>CO<sub>3</sub> followed by NaOH were added to 5-(benzyloxy)-1-(4-([3,5-bis(trifluoromethyl)phenoxy]methyl)benzyl)-1H-indole-2-carboxaldehyde in EtOH to form the 2,4-thiazolidinedione-4-ylidene derivative. The ylidene was dissolved in a solution of DMF and NaH, reacted

with

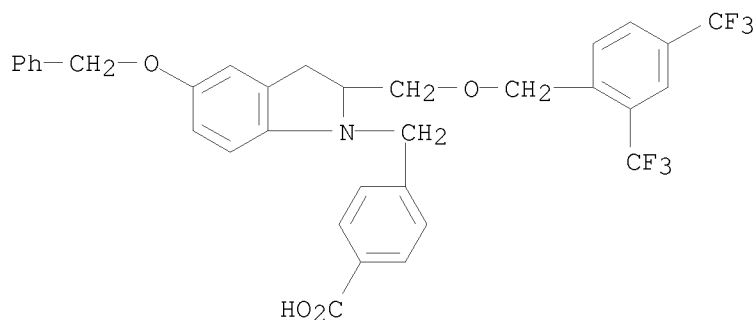
an alkyl ester of 4-(bromomethyl)benzoic acid, and deesterified with HF to yield the acid, (E)-(IV). The title compds. are useful as phospholipase enzyme inhibitors, especially cytosolic phospholipase A<sub>2</sub> (cPLA<sub>2</sub>), for treatment of inflammatory conditions, particularly where inhibition of production of prostaglandins, leukotrienes, and PAF are all desired. Eighty-seven compds. of the invention were tested for phospholipase enzyme inhibiting activity in the LysoPC and/or Coumarine assay. IC<sub>50</sub> values ranged from 0.081 μM to >50 μM for the LysoPC assay and from 2.5 μM to >64 μM for the Coumarine assay. Selected compds. were tested for in vivo activity in the carrageenan-induced rat paw edema test, and showed 4.2% to 34.2% inhibition. Forty-eight compds. of the invention were tested for cPLA<sub>2</sub> enzyme activity, and exhibited 25% to 95% inhibition at concns. of 3 μM to 100 μM.

IT 204017-06-1P      204017-07-2P      204017-08-3P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of indole derivs. as phospholipase enzyme inhibitors for treatment of inflammatory conditions)

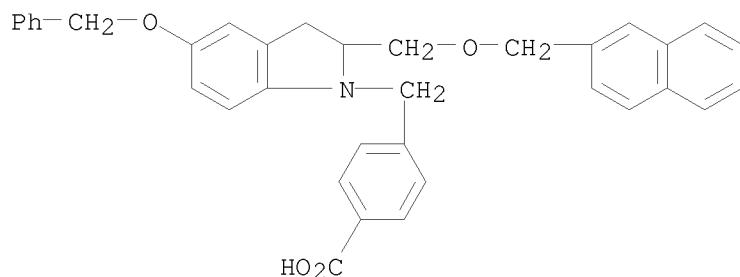
RN 204017-06-1 CAPLUS

CN Benzoic acid, 4-[[2-[[[2,4-bis(trifluoromethyl)phenyl]methoxy]methyl]-2,3-dihydro-5-(phenylmethoxy)-1H-indol-1-yl]methyl]- (CA INDEX NAME)



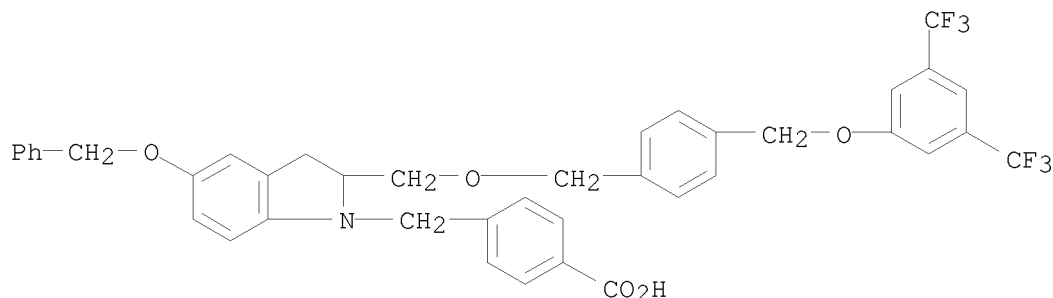
RN 204017-07-2 CAPLUS

CN Benzoic acid, 4-[[2,3-dihydro-2-[(2-naphthalenylmethoxy)methyl]-5-(phenylmethoxy)-1H-indol-1-yl]methyl]- (CA INDEX NAME)



RN 204017-08-3 CAPLUS

CN Benzoic acid, 4-[[2-[[[4-[[3,5-bis(trifluoromethyl)phenoxy]methyl]phenyl]methoxy]methyl]-2,3-dihydro-5-(phenylmethoxy)-1H-indol-1-yl]methyl]- (CA INDEX NAME)

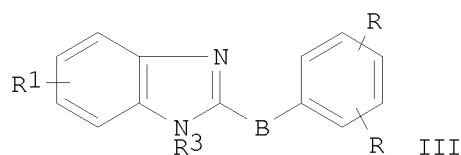
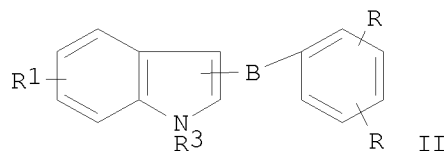
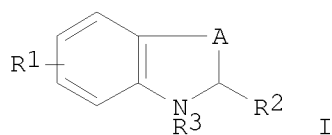


OS.CITING REF COUNT: 27 THERE ARE 27 CAPLUS RECORDS THAT CITE THIS RECORD (31 CITINGS)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 1998:163566 CAPLUS  
 DOCUMENT NUMBER: 128:204806  
 ORIGINAL REFERENCE NO.: 128:40503a,40506a  
 TITLE: Preparation of indole derivatives as phospholipase enzyme inhibitors  
 INVENTOR(S): Xiang, Yibin; Bemis, Jean; McKew, John; Kaila, Neelu  
 PATENT ASSIGNEE(S): Genetics Institute, Inc., USA  
 SOURCE: PCT Int. Appl., 115 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO.   | DATE       |
|---|------|----------|-------------------|------------|
| WO 9808818  | A1   | 19980305 | WO 1997-US14943   | 19970826   |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM<br>RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG |      |          |                   |            |
| CA 2264020  | A1   | 19980305 | CA 1997-2264020   | 19970826   |
| AU 9740882  | A    | 19980319 | AU 1997-40882     | 19970826   |
| AU 717430   | B2   | 20000323 |                   |            |
| EP 922028   | A1   | 19990616 | EP 1997-938589    | 19970826   |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI   |      |          |                   |            |
| JP 2000516958   | T    | 20001219 | JP 1998-511798    | 19970826   |
| PRIORITY APPLN. INFO.:  |      |          | US 1996-703115    | A 19960826 |
|   |      |          | WO 1997-US14943   | W 19970826 |
| OTHER SOURCE(S):  |      |          | MARPAT 128:204806 |            |
| GI  |      |          |                   |            |

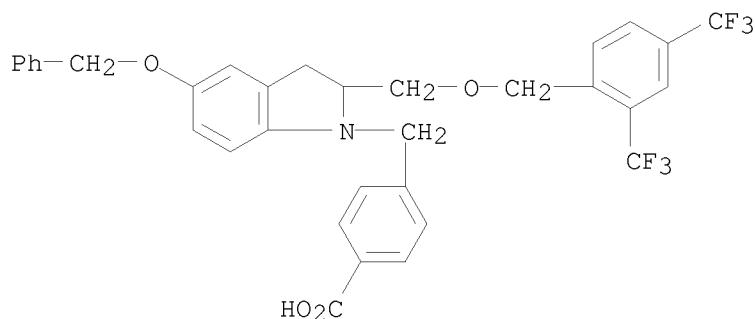


AB Title compds. I, II, III ( A is independent of any other group and is selected from the group consisting of -CH<sub>2</sub>- and -CH<sub>2</sub>-CH<sub>2</sub>-; B is independent of any other group and is selected from the group consisting of -(CH<sub>2</sub>)<sub>n</sub>-, -(CH<sub>2</sub>O)<sub>n</sub>-, -(CH<sub>2</sub>S)<sub>n</sub>-, -(OCH<sub>2</sub>)<sub>n</sub>-, -(SCH<sub>2</sub>)<sub>n</sub>-, -(CH=CH)<sub>n</sub>-, -(C.tplbond.C)<sub>n</sub>-, -CON(R<sub>6</sub>)-, -N(R<sub>6</sub>)CO-, -O-, -S- and -N(R<sub>6</sub>)-; R<sub>2</sub> is independent of any other R group and is selected from the group consisting of -H, -COOH, -COR<sub>5</sub>, -CONR<sub>5</sub>R<sub>6</sub>, -(CH<sub>2</sub>)<sub>n</sub>-W-(CH<sub>2</sub>)<sub>m</sub>-Z-R<sub>5</sub>, -(CH<sub>2</sub>)<sub>n</sub>-W-R<sub>5</sub>, -Z-R<sub>5</sub>, C<sub>1</sub>-C<sub>10</sub> alkyl, alkenyl and substituted aryl; R<sub>3</sub> is independent of any other R group and is selected from the group consisting of -H, -COOH, -COR<sub>5</sub>, -CONR<sub>5</sub>R<sub>6</sub>, -(CH<sub>2</sub>)<sub>n</sub>-W-(CH<sub>2</sub>)<sub>m</sub>-Z-R<sub>5</sub>, -(CH<sub>2</sub>)<sub>n</sub>-W-R<sub>5</sub>, -Z-R<sub>5</sub> wherein:, C<sub>1</sub>-C<sub>10</sub> alkyl, alkenyl and substituted aryl) and a pharmaceutically acceptable salt thereof; which inhibit the activity of phospholipase enzymes, particularly cytosolic phospholipase A<sub>2</sub> were prepared Pharmaceutical compns. comprising such compds. and methods of treatment using such compns. are also disclosed.

IT 204017-06-1P 204017-07-2P 204017-08-3P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of indole derivs. as phospholipase enzyme inhibitors)

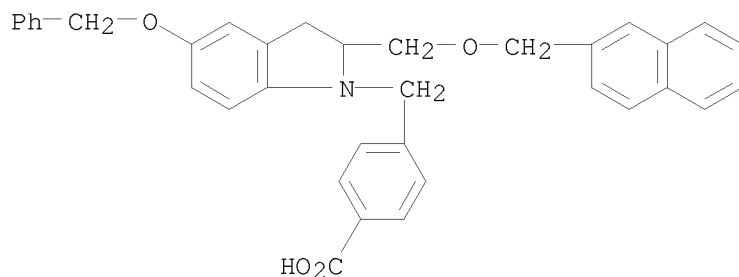
RN 204017-06-1 CAPLUS

CN Benzoic acid, 4-[[2-[[[2,4-bis(trifluoromethyl)phenyl]methoxy]methyl]-2,3-dihydro-5-(phenylmethoxy)-1H-indol-1-yl]methyl]- (CA INDEX NAME)



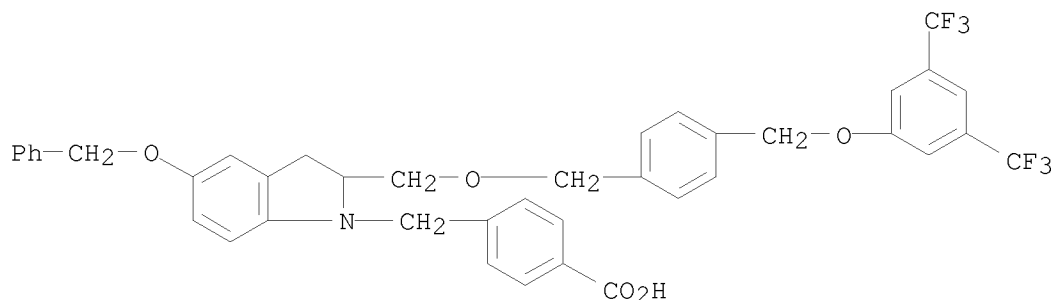
RN 204017-07-2 CAPLUS

CN Benzoic acid, 4-[[2,3-dihydro-2-[(2-naphthalenylmethoxy)methyl]-5-(phenylmethoxy)-1H-indol-1-yl]methyl]- (CA INDEX NAME)



RN 204017-08-3 CAPLUS

CN Benzoic acid, 4-[[2-[[[4-[[3,5-bis(trifluoromethyl)phenoxy]methyl]phenyl]methoxy]methyl]-2,3-dihydro-5-(phenylmethoxy)-1H-indol-1-yl]methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 29 THERE ARE 29 CAPLUS RECORDS THAT CITE THIS  
RECORD (33 CITINGS)  
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 17 OF 23 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1995:230091 CAPLUS

DOCUMENT NUMBER: 122:23227

ORIGINAL REFERENCE NO.: 122:4397a,4400a

TITLE: Derivation of a 3D pharmacophore model for the  
angiotensin-II site one receptor

AUTHOR(S): Prendergast, Kristine; Adams, Kym; Greenlee, William  
J.; Nachbar, Robert B.; Patchett, Arthru A.;  
Underwood, Dennis J.

CORPORATE SOURCE: Mol. Systems Dep., Merck Res. Lab., Rahway, NJ, 07065,  
USA

SOURCE: Journal of Computer-Aided Molecular Design (1994),  
8(5), 491-512

CODEN: JCADEQ; ISSN: 0920-654X

PUBLISHER: ESCOM

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A systematic search has been use to derive a hypothesis for the  
receptor-bound conformation of A-II antagonists at the AT1 receptor. The  
validity of the pharmacophore hypothesis has been tested using CoMFA,  
which included 50 diverse A-II antagonists, spanning four orders of  
magnitude in activity. The resulting cross-validated R2 or 0.64  
(conventional R2 of 0.76) is indicative of a good predictive model of  
activity, and has been used to estimate potency for a variety of non-peptidyl  
antagonists. The structural model for the non-peptide has been compared  
with respect to the natural substrate, A-II, by generating peptide to  
non-peptide overlays.

IT 145303-68-0

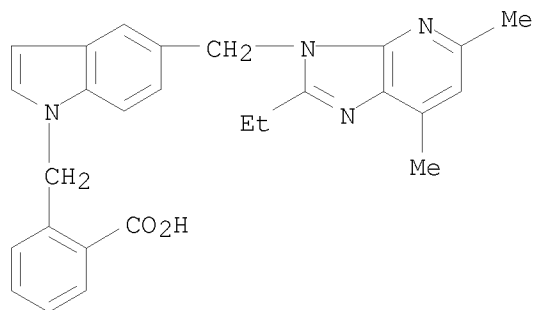
RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)

(derivation of a 3D pharmacophore model for the angiotensin-II site one  
receptor)

RN 145303-68-0 CAPLUS

CN Benzoic acid, 2-[[5-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-  
yl)methyl]-1H-indol-1-yl]methyl]- (CA INDEX NAME)





OS.CITING REF COUNT: 35 THERE ARE 35 CAPLUS RECORDS THAT CITE THIS RECORD (35 CITINGS)

L20 ANSWER 18 OF 23 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1995:67127 CAPLUS

DOCUMENT NUMBER: 122:23206

ORIGINAL REFERENCE NO.: 122:4393a, 4396a

TITLE: Nonpeptide angiotensin II (AII) receptor antagonists: N-substituted indole, dihydroindole, phenylaminophenylacetic acid and acylsulfonamide-based AII receptor antagonists

AUTHOR(S): Dhanoa, D. S.; Bagley, S. W.; Chang, R. S. L.; Lotti, V. J.; Chen, T.; Kivlighn, S. D.; Zingaro, G.; Siegl, P. K. S.; Greenlee, W. J.

CORPORATE SOURCE: Merck Res. Lab., Rahway, NJ, 07065, USA

SOURCE: Pept.: Chem., Struct. Biol., Proc. Am. Pept. Symp., 13th (1994), Meeting Date 1993, 296-8. Editor(s): Hodges, Robert S.; Smith, John A. ESCOM: Leiden, Neth.

CODEN: 60LXAW

DOCUMENT TYPE: Conference

LANGUAGE: English

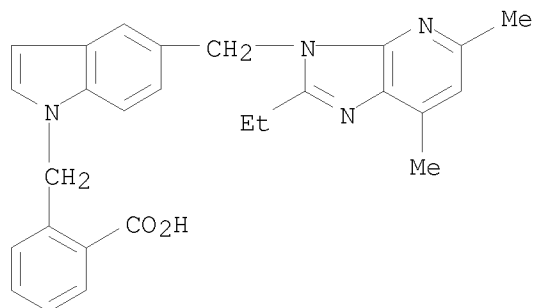
AB The design and biol. activity of new series of angiotensin II receptor antagonists derived from N-substituted indole, dihydroindole, phenylaminophenylacetic acid and acylsulfonamide are presented.

IT 145303-68-0

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study) (structure-activity relationships of nonpeptide angiotensin II receptor antagonists)

RN 145303-68-0 CAPLUS

CN Benzoic acid, 2-[[5-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]-1H-indol-1-yl)methyl]- (CA INDEX NAME)



L20 ANSWER 19 OF 23 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1994:217425 CAPLUS

DOCUMENT NUMBER: 120:217425

ORIGINAL REFERENCE NO.: 120:38604h,38605a

TITLE: Non-peptide angiotensin II receptor antagonists. 1.  
Design, synthesis, and biological activity of  
N-substituted indoles and dihydroindoles

AUTHOR(S): Dhanoa, Daljit S.; Bagley, Scott W.; Chang, Raymond S.  
L.; Lotti, Victor J.; Chen, Tsing Bau; Kivlighn, Salah  
D.; Zingaro, Gloria J.; Siegl, Peter K. S.; Patchett,  
Arthur A.; Greenlee, William J.

CORPORATE SOURCE: Merck Res. Lab., Rahway, NJ, 07065, USA

SOURCE: Journal of Medicinal Chemistry (1993), 36(26), 4230-8  
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 120:217425

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

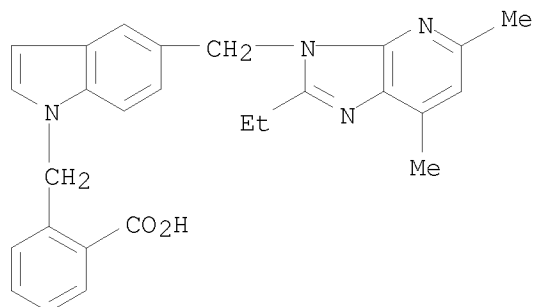
AB A series of N-acylated indoles, N-alkylated indoles, N-acylated dihydroindoles, and N-alkylated dihydroindoles were synthesized and evaluated in the in vitro AT1 (rabbit aorta) and AT2 (rat midbrain) binding assay. The carboxylic acid 3-[[N-(2-carboxy-3,6-dichlorobenzoyl)-5-indolyl]methyl]-5,7-dimethyl-2-ethyl-3H-imidazo[4,5-b]pyridine (I, R = 3,6-Cl<sub>2</sub>, R<sub>1</sub> = CO<sub>2</sub>H) was found to be the most potent AT1 (IC<sub>50</sub> = 0.8 nM) antagonist in the N-acylated indole series and displayed a 25-fold higher potency than the parent unsubstituted derivative I (R = H, R<sub>1</sub> = CO<sub>2</sub>H) (AT1 IC<sub>50</sub> = 20 nM) and a 22-fold greater potency than the corresponding dihydroindole analog II (AT1 IC<sub>50</sub> = 18 nM). Replacement of the terminal carboxyl (COOH) of I (R = H, R<sub>1</sub> = CO<sub>2</sub>H) with the bioisostere tetrazole I (R = H, R<sub>1</sub> = tetrazol-5-yl) (AT1 IC<sub>50</sub> = 5 nM, AT2 IC<sub>50</sub> = 130 nM) not only improved the AT1 potency by 4-fold but also resulted in a 50-fold increase in AT2 activity. In the N-alkylated indole series, the tetrazole 3-[[N-(2-tetrazol-5-yl-6-chlorobenzyl)-5-indolyl]methyl]-5,7-dimethyl-2-ethyl-3H-imidazo[4,5-b]pyridine (III, R<sub>1</sub> = tetrazol-5-yl) exhibited the highest AT1 (IC<sub>50</sub> = 1 nM) activity, revealing a 230-fold increase in AT1 activity as a result of the incorporation of the isosteric tetrazole for the carboxyl (COOH) of and a nearly 9-fold increase over the corresponding deschloro analog (AT1 IC<sub>50</sub> = 8.7 nM). Tetrazole IV (R<sub>1</sub> = tetrazol-5-yl) was identified as the most potent (AT1 IC<sub>50</sub> = 18 nM) AT1 receptor antagonist in a structurally distinct series of compds. derived from N-alkylation of the corresponding dihydroindole. A new class of highly potent [I (R = 3,6-Cl<sub>2</sub>, R<sub>1</sub> = CO<sub>2</sub>H), AT1 IC<sub>50</sub> = 0.8 nM; III (R<sub>1</sub> = tetrazol-5-yl), AT1 IC<sub>50</sub> = 1 nM] AT1-selective non-peptide AII receptor antagonists derived from N-substituted indoles and dihydroindoles is disclosed. Tetrazole III (R<sub>1</sub> = tetrazol-5-yl) of the N-alkylated indole series displayed good in vivo activity by blocking the AII-induced pressor response for 5.5 h after i.v. administration in conscious normotensive rats at a 1.0 mg/kg dose level.

IT 145303-68-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of, as angiotensin II receptor antagonist)

RN 145303-68-0 CAPLUS

CN Benzoic acid, 2-[[5-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]-1H-indol-1-yl)methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 15 THERE ARE 15 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS)

L20 ANSWER 20 OF 23 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1993:449404 CAPLUS

DOCUMENT NUMBER: 119:49404

ORIGINAL REFERENCE NO.: 119:8969a,8972a

TITLE: Angiotensin II antagonists incorporating a substituted indole or dihydroindole

INVENTOR(S): Bagley, Scott; Greenlee, William J.; Dhanoa, Daljit S.; Patchett, Arthur A.

PATENT ASSIGNEE(S): Merck and Co., Inc., USA

SOURCE: Eur. Pat. Appl., 104 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

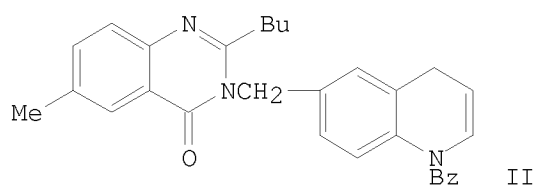
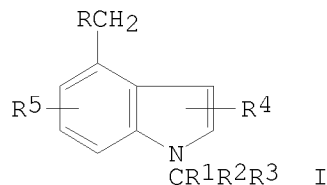
| PATENT NO.                    | KIND | DATE     | APPLICATION NO. | DATE     |
|-------------------------------|------|----------|-----------------|----------|
| EP 517357                     | A1   | 19921209 | EP 1992-303080  | 19920407 |
| R: CH, DE, FR, GB, IT, LI, NL |      |          |                 |          |
| US 5175164                    | A    | 19921229 | US 1991-710413  | 19910605 |
| CA 2065078                    | A1   | 19921206 | CA 1992-2065078 | 19920403 |
| JP 05247030                   | A    | 19930924 | JP 1992-133093  | 19920408 |
| JP 08026015                   | B    | 19960313 |                 |          |

PRIORITY APPLN. INFO.: US 1991-710413 A 19910605

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 119:49404

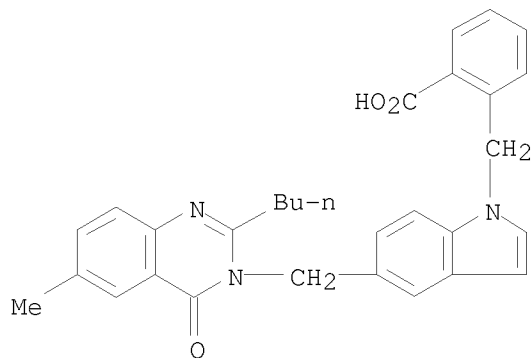
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AB Title compds. I (R = N heterocyclic; R1R2 = O, S, H2; R1 = H, R2 = CO2H, alkoxy carbonyl, cyano, tetrazolyl, sulfonylaminocarbonyl; R3 = Ph,

substituted Ph; R4, R5 = H, halo, nitro, alkyl etc.) and their 2,3-dihydro analogs were prepared as angiotensin II inhibitors and for the treatment of ocular hypertension (no data). Thus, 5-methylindole was N-benzoylated, brominated and treated with 2-butyl-6-methyl-4(1H)-quinazolinone to give the product II.

IT 148029-19-0P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 148029-19-0 CAPLUS  
 CN Benzoic acid, 2-[[5-[(2-butyl-6-methyl-4-oxo-3(4H)-quinazolinyl)methyl]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD  
 (9 CITINGS)

L20 ANSWER 21 OF 23 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1993:80938 CAPLUS

DOCUMENT NUMBER: 118:80938

ORIGINAL REFERENCE NO.: 118:14245a,14248a

TITLE: Preparation of  
 3-[(N-benzoylindol-5-yl)methyl]-3H-imidazo[4,6-b]pyridines and analogs as angiotensin II antagonists  
 INVENTOR(S): Bagley, Scott; Greenlee, William J.; Dhanoa, Daljit S.; Patchett, Arthur A.

PATENT ASSIGNEE(S): Merck and Co., Inc., USA

SOURCE: U.S., 35 pp.  
 CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

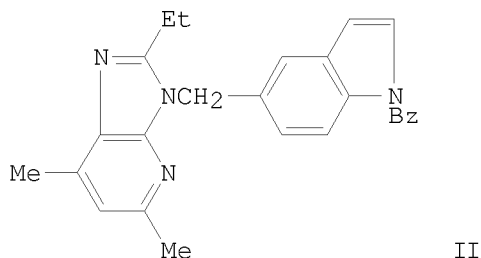
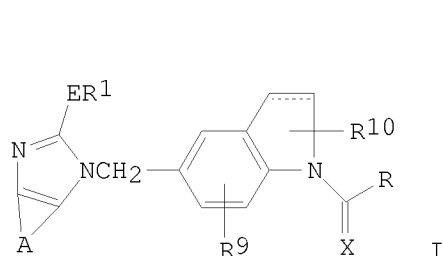
| PATENT NO.                    | KIND | DATE     | APPLICATION NO. | DATE     |
|-------------------------------|------|----------|-----------------|----------|
| US 5151435                    | A    | 19920929 | US 1991-681793  | 19910408 |
| CA 2065049                    | A1   | 19921009 | CA 1992-2065049 | 19920403 |
| EP 508723                     | A1   | 19921014 | EP 1992-303073  | 19920407 |
| R: CH, DE, FR, GB, IT, LI, NL |      |          |                 |          |
| JP 05247031                   | A    | 19930924 | JP 1992-133094  | 19920408 |
| JP 07039414                   | B    | 19950501 |                 |          |

PRIORITY APPLN. INFO.: US 1991-681793 A 19910408

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 118:80938

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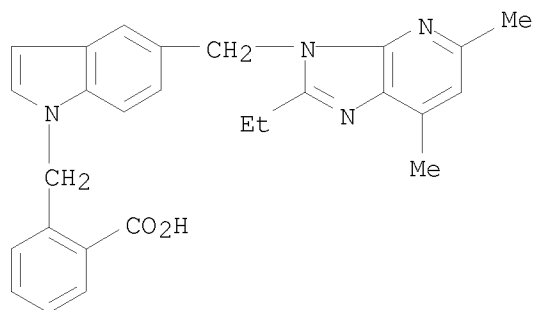


AB Title compds. [I; A = atoms to complete a (substituted) (N-containing) aromatic ring, dioxopiperazine ring, etc.; E = bond, O, SOn(CH2)s; R = (substituted) Ph; R1 = (cyclo)alkyl, alkenyl, Ph, heteroaryl, perfluoroalkyl, etc.; R9, R10 = H, halo, (cyclo)alkyl, alkoxy, aryl, etc.; X = O, H2, H and 1 of CO2H, cyano, alkoxycarbonyl, tetrazolyl, etc.; n = 0-2; s = 0-5; dashed line = optional bond] were prepared as angiotensin II antagonists (no data). Thus, 2-amino-4,6-dimethylpyridine was converted in 4 steps to 5,7-dimethyl-2-ethylimidazo[4,5-b]pyridine which was condensed with N-benzoyl-5-(bromomethyl)indole (preparation given) to give title compound II.

IT 145303-68-0P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of, as angiotensin II antagonist)

RN 145303-68-0 CAPLUS

CN Benzoic acid, 2-[[5-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]-1H-indol-1-yl)methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 14 THERE ARE 14 CAPLUS RECORDS THAT CITE THIS RECORD (17 CITINGS)  
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 22 OF 23 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1992:469879 CAPLUS

DOCUMENT NUMBER: 117:69879

ORIGINAL REFERENCE NO.: 117:12299a,12302a

TITLE: Preparation of 5-(heterocyclylmethoxy)indoles as lipoxxygenase inhibitors

INVENTOR(S): Stevens, Rodney William; Morita, Hiromasa; Nakane, Masami

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: PCT Int. Appl., 32 pp.

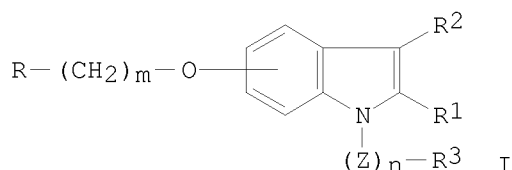
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE       |
|---|------|----------|-----------------|------------|
| WO 9206088  | A1   | 19920416 | WO 1991-US7045  | 19911001   |
| W: CA, FI, US   |      |          |                 |            |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE    |      |          |                 |            |
| JP 04145079   | A    | 19920519 | JP 1990-265687  | 19901003   |
| JP 07064841   | B    | 19950712 |                 |            |
| CA 2092404  | A1   | 19920404 | CA 1991-2092404 | 19911001   |
| EP 544821   | A1   | 19930609 | EP 1991-917500  | 19911001   |
| EP 544821   | B1   | 19950111 |                 |            |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE |      |          |                 |            |
| ES 2067248  | T3   | 19950316 | ES 1991-917500  | 19911001   |
| US 5290788  | A    | 19940301 | US 1992-848941  | 19920421   |
| PRIORITY APPLN. INFO.:                                    |      |          | JP 1990-265687  | A 19901003 |
|   |      |          | WO 1991-US7045  | W 19911001 |

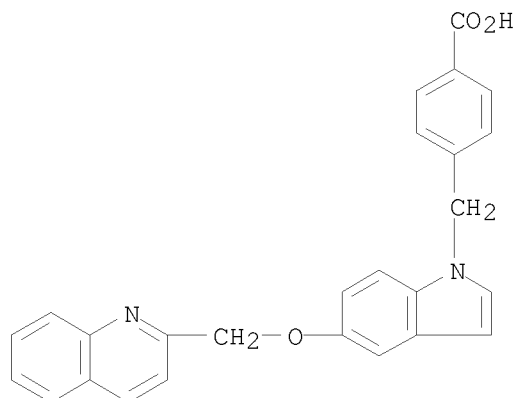
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
 OTHER SOURCE(S): MARPAT 117:69879  
 GI



AB The title compds. [I; R = naphthyl, quinolyl, pyridyl, etc.; R1 = H, C1-4 alkyl; R2 = H, C1-4 alkyl, pyridylvinylene, (un)substituted benzoyl, (un)substituted benzyl; R3 = H, HO, C1-3 alkyl, pyridyl, thienyl, carboxy, amino, (un)substituted Ph, etc.; Z = CH2, CO; m = 1, 2; n = 0-3; with a proviso], antiallergics and antiinflammatories (no data for specific I given), useful for the prevention and treatment of bronchial asthma, arthritis, thrombosis, etc., were prepared. Stirring of 5-hydroxyindole 5.0, 2-(chloromethyl)quinoline 7.0, and Na2CO3 10.0 g in DMF for 4 h at 80° gave 5.0 g of the appropriate (quinolylmethoxy)indole which (2.5 g) in DMF was added to a suspension of NaH in DMF at 0°. The mixture was treated by 1.54 g 4-ClC6H4CH2Cl in DMF and the whole stirred 30 min at that temperature for 30 min to give 3.0 g title compound [I; R(CH2)mO = 5-(2-quinolylmethoxy), R1 = R2 = H, R3 = 4-ClC6H4, Z = CH2, n = 1]. Preferred (unspecified) I had IC50 of 0.1-30 µM in a lipoxxygenase inhibition test.

IT 142403-22-3P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of, as lipoxxygenase inhibitor)

RN 142403-22-3 CAPLUS  
 CN Benzoic acid, 4-[[5-(2-quinolinylmethoxy)-1H-indol-1-yl]methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD  
(9 CITINGS)  
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 23 OF 23 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1986:626346 CAPLUS

DOCUMENT NUMBER: 105:226346

ORIGINAL REFERENCE NO.: 105:36543a,36546a

TITLE: Heterocyclic amides

INVENTOR(S): Brown, Frederick Jeffrey; Bernstein, Peter Robert;  
Yee, Ying Kwong

PATENT ASSIGNEE(S): ICI Americas, Inc., USA

SOURCE: Eur. Pat. Appl., 137 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.                                    | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| -----   | ---  | -----    | -----           | -----    |
| EP 179619                                     | A1   | 19860430 | EP 1985-307498  | 19851017 |
| EP 179619                                     | B1   | 19900905 |                 |          |
| R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE |      |          |                 |          |
| FI 8504024                                    | A    | 19860420 | FI 1985-4024    | 19851016 |
| ZA 8507952                                    | A    | 19860528 | ZA 1985-7952    | 19851016 |
| HU 38905                                      | A2   | 19860728 | HU 1985-4007    | 19851016 |
| HU 194163                                     | B    | 19880128 |                 |          |
| AU 8548814                                    | A    | 19860424 | AU 1985-48814   | 19851017 |
| AU 583062                                     | B2   | 19890420 |                 |          |
| DD 253618                                     | A5   | 19880127 | DD 1985-281838  | 19851017 |
| SU 1545940                                    | A3   | 19900223 | SU 1985-3970050 | 19851017 |
| AT 56205                                      | T    | 19900915 | AT 1985-307498  | 19851017 |
| DK 8504793                                    | A    | 19860420 | DK 1985-4793    | 19851018 |
| DK 169541                                     | B1   | 19941128 |                 |          |
| NO 8504163                                    | A    | 19860421 | NO 1985-4163    | 19851018 |
| JP 61178963                                   | A    | 19860811 | JP 1985-231457  | 19851018 |
| JP 07045466                                   | B    | 19950517 |                 |          |
| IL 76756                                      | A    | 19890515 | IL 1985-76756   | 19851018 |
| CA 1273934                                    | A1   | 19900911 | CA 1985-493372  | 19851018 |
| US 4997844                                    | A    | 19910305 | US 1985-788807  | 19851018 |
| CN 85108623                                   | A    | 19860730 | CN 1985-108623  | 19851019 |
| ES 554579                                     | A5   | 19880714 | ES 1986-554579  | 19860430 |
| SU 1595338                                    | A3   | 19900923 | SU 1987-4202434 | 19870424 |

US 5234942  
PRIORITY APPLN. INFO.:

A 19930810

US 1990-628787

19901217

GB 1984-26474

A 19841019

GB 1985-7305

A 19850321

GB 1985-7861

A 19850326

GB 1985-7862

A 19850326

EP 1985-307498

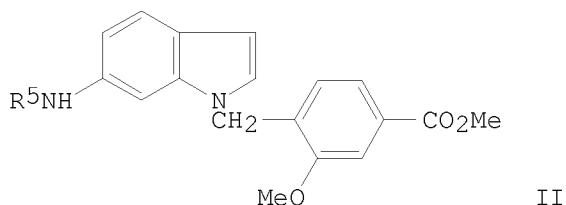
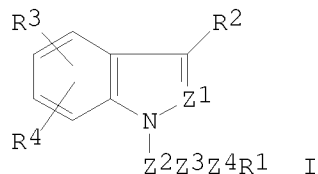
A 19851017

US 1985-788807

A3 19851018

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 105:226346  
GI

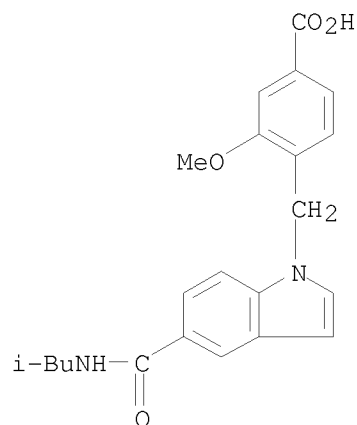


AB Title compds. I [Z1 = CH, N; Z2 = alkylene, alkenylene; Z3 = bond, O, S, phenylene, etc.; Z4 = CH2, CH:CH, bond; R1 = CO2H, 5-tetrazolyl, N-(organosulfonyl)carbamoyl, etc.; R2 = H, Me, halo, alkanoyl, etc.; R3 = H, halo, alkyl, alkoxy; R4 = acylamino, esterified NHC02H, substituted ureido, H2NCO, etc.] were prepared for treatment of allergic and inflammatory diseases. Indolamine II (R5 = H) was treated with hexanoyl chloride and Et3N to give II (R5 = hexanoyl). Selected I showed leukotriene antagonism in guinea-pigs at 5-50 mg orally. Capsules were prepared containing I 10, lactose 488.5, and Mg stearate 1.5 mg.

IT 104448-20-6P 104448-22-8P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as a drug)

RN 104448-20-6 CAPLUS

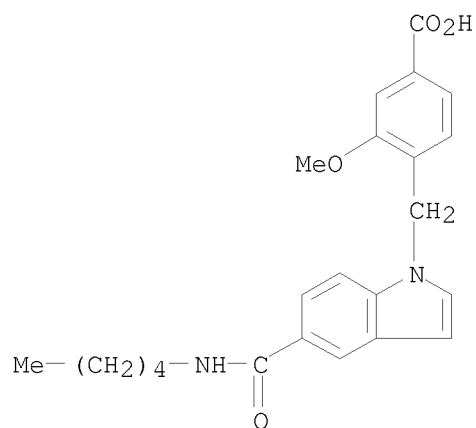
CN Benzoic acid, 3-methoxy-4-[[5-[(2-methylpropyl)amino]carbonyl]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



RN 104448-22-8 CAPLUS

CN Benzoic acid, 3-methoxy-4-[[5-[(pentylamino)carbonyl]-1H-indol-1-yl]methyl]- (CA INDEX NAME)





OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD  
(7 CITINGS)

=> log hold

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

137.60

1377.97

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

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-78.30

SESSION WILL BE HELD FOR 120 MINUTES

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